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Supporting Information

A Composite Model for hERG Blockade

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Supporting information (24 pages)

hERG IC_{50} Literature-Data List

Name	IC50 [μM]	Cell_type[1]	Reference
1-bis(4-fluorophenyl)methyl piperazine	1.6	CHO	Trepakovva, E.S. et al. Flunarizine is a Highly Potent Inhibitor of Cardiac hERG Potassium Current. J. Cardiovasc. Pharmacol. 2006, 47, 211-220
2-ethylidene-1,5-dimethyl-3,3-diphenylpyrrolidine (EDDP) (Racemate)	>50	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
2-hydroxymethyl olanzapine	11.6	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
4,4-difluorobenzhydrol	99	CHO	Trepakovva, E.S. et al. Flunarizine is a Highly Potent Inhibitor of Cardiac hERG Potassium Current. J. Cardiovasc. Pharmacol. 2006, 47, 211-220
4,4-difluorobenzophenone	72	CHO	Trepakovva, E.S. et al. Flunarizine is a Highly Potent Inhibitor of Cardiac hERG Potassium Current. J. Cardiovasc. Pharmacol. 2006, 47, 211-220
4-Aminopyridine	4400	HEK-293	Ridley, J.M. et al. Inhibition of HERG K+ Current and Prolongation of the Guinea-Pig Ventricular Action Potential by 4-Aminopyridine J. Physiol. 2003, 549, 667-672
9-Hydroxy risperidone (racemate)	1.3	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
AF 3013 (NM-394) (racemate)	>335	HEK-293	Lacroix, P. et al Prulifloxacin: in vitro (HERG current) and in vivo (conscious dog) assessment of cardiac risk Eur. J. Pharmacol. 2003, 477. 69-72
ajmaline	1.04	HEK-293	Dierk, T. et al. Class Ia anti-arrhythmic drug ajmaline blocks HERG potassium channel: mode of action. Naunyn-Schmiedeberg`s Arch. Pharmacol. 2004, 370, 423-435
ajmaline	42.3	XO	Dierk, T. et al. Class Ia anti-arrhythmic drug ajmaline blocks HERG potassium channel: mode of action. Naunyn-Schmiedeberg`s Arch. Pharmacol. 2004, 370, 423-435
Alosetron	3.2	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
ambasilide	2	rabbit cardio-myocytes	Zaza, A.; Malfatto, G.; Schwartz, P.J. Effects on atrial repolarization of the interaction between K+ channel blockers and muscarinic receptor stimulation. J. Pharmacol. Exp. Ther. 1995, 273, 1095-1104
ambasilide	3.6	CHO	Walker, B.D. et al. Comparative effects of azimilide and ambasilide on the human ether-a-go-go-related gene (HERG) potassium channel. Cardiovascular Research 2000, 48, 44-58
ambasilide	5.6	guinea pig ventricular myocytes	Zhang et al. Effect of ambasilide, a new class III agent, on plateau currents in isolated guinea pig ventricular myocytes: block of delayed outward potassium current. J.

[1] Empty boxes: cell type could not be well-defined

			Pharmacol. Exp. Ther. 1992, 263, 40-48
Amiodarone	9.8	XO	Kiehn, J.; Thomas, D.; Karle, C.A.; Schols, W.; Kubler, W. Inhibitory effects of the class III antiarrhythmic drug amiodarone on cloned HERG potassium channels. Naunyn Schmiedebergs Arch. Pharmacol. 1999, 359, 212-219
Amiodarone	2.8	rabbit cardio-myocytes	Kamiya, K. et al. Short- and log-term effects of amiodarone on the two components of cardiac delayed rectifier K+ current. Circulation 2001, 103, 1317-1324
Amiodarone	38	XO	Kamiya, K. et al. Short- and log-term effects of amiodarone on the two components of cardiac delayed rectifier K+ current. Circulation 2001, 103, 1317-1324
amitriptyline	10	CHO	Walker, B.D.; Tie, H. et al. The heart of psychotropic drug therapy. Lancet 2000, 355, 1825
amsacrine	2	XO	Dierk, T. et al. Inhibition of cardiac HERG currents by the DNA topoisomerase II inhibitor amsacrine: mode of action Br. J. Pharmacol. 2004, 142, 485-494
amsacrine	0.209	HEK-293	Dierk, T. et al. Inhibition of cardiac HERG currents by the DNA topoisomerase II inhibitor amsacrine: mode of action Br. J. Pharmacol. 2004, 142, 485-494
Astemizole	0.069	XO	Chachin, M.; Katayama, Y.; Yamada, M. et al. Epinastine, a nonsedating histamine H1 receptor antagonist, has a negligible effect on HERG channel. Eur. J. Pharmacol. 1999, 374, 457-460
Astemizole	0.0484	XO	Suessbrich, H.; Waldegger, S.; Lang, F.; Busch, A.E. Blockade of HERG channels expressed in Xenopus ooctes by the histamine-receptor antagonists terfenadine and astemizole. FEBS Letters 1996, 385, 77-80
astemizole	9.00E-04	HEK-293	Zhou, Z.; Vorperian, V.R.; Gong, Q.; Zhang, S.; January, C.T.; Block of HERG potassium channels by the antihistamine astemizole and its metabolites desmethylastemizole and norastemizole. J Cardiovasc Electrophysiol 1999, 10, 836-843
Astemizole	0.0015	guinea pig ventricular myocytes	Salata, J.J. et al. Cardiac Electrophysiological Actions of the Histamine H1-Receptor Antagonists Astemizole and Terfenadine Compared With Chlorpheniramine and Pyrilamine Circ. Res. 1995, 76,110-119
Astemizole	0.48	XO	Tagliatela, M. et al. Molecular Basis for the Lack of HERG K+ Channel Block-Related Cardiotoxicity by the H1 Receptor Blocker Cetirizine Compared with Other Second-Generation Antihistamines Mol. Pharmacol. 1998, 54, 113-121
Atenolol (Racemate)	>1000	HEK-293	Nagatomo, T. et al. Comparison of HERG channel blocking effects of various ?-blockers – implication for clinical strategy Br. J. Pharmacol. 2006, 147, 642-652
Atropine (racemate)	0.558		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
AZD7009	0.6	CHO	Jacobson, I. et al. Blocking Characteristics of hERG, hNav1.5, and hKvLQT1/hminK after Administration of the Novel Anti-Arrhythmic Compound AZD7009 J. Cardiovasc. Electrophysiol. 2005, 16, 329-341
azimilide	3	guinea pig ventricular myocytes	Fermini, B.; Jurkiewicz, N.K.; Jow, B. Use-dependent effects of the class III antiarrhythmic agent NE-10064 (azimilide) on cardiac repolarization: block of delayed-rectifier potassium and L-type calcium currents. J. Cardiovasc. Pharmacol. 1995, 26, 259-271

azimilide	5.2	XO	Busch A. E. et al. Blockade of HERG channels by the class III antiarrhythmic azimilide: mode of action. Br. J. Pharmacol. 1998, 123, 23-30
azimilide	0.61	CHO	Walker, B.D. et al. Comparative effects of azimilide and ambasilide on the human ether-a-go-go-related gene (HERG) potassium channel. Cardiovascular Research 2000, 48, 44-58
azimilide	0.56	CHO	Walker, B.D. et al. Comparative effects of azimilide and ambasilide on the human ether-a-go-go-related gene (HERG) potassium channel. Cardiovascular Research 2000, 48, 44-58
bepridil (Racemate)	0.55	COS-7	Chouabe, C.; Drici, M.-D.; Romey, G.; Barhanin, J.; Lazdunski, M. HERG and KvLQT1/IsK, the cardiac K+ channels involved in long-QT syndromes, are targets for calcium-channel blockers. Mol Pharmacol 1998, 54, 695-703
Berberine	3.1	HEK-293	Sanchez-Capula, J.A. et al. Block of hERG Channels by Berberine: Mechanisms of Voltage- and State-Dependence Probed With Site-Directed Mutant Channels J. Cardiovasc. Pharmacol. 2006, 47(1), 21-29
Bertosamil	62.7	XO	Zitron, E.; Karle, C. A. et al Bertosamil blocks HERG potassium channels in their open and inactivated states Br. J. Pharmacol. 2002, 137, 221?228
bisindolylmaleimide	13.2	XO	Dierk, T. et al. Direct block of hERG potassium channels by the protein kinase C inhibitor bisindolylmaleimide I (GF109203X). Cardiovasc. Res. 2004, 64, 467-476
bisindolylmaleimide	1	HEK-293	Dierk, T. et al. Direct block of hERG potassium channels by the protein kinase C inhibitor bisindolylmaleimide I (GF109203X). Cardiovasc. Res. 2004, 64, 467-476
BMCL_03_13_1829-1835_1	0.088	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_10	0.0062	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_11	0.46	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_12	>10	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_13	0.0235	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_14	0.204	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_15	0.011	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem.

			Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_16	26	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_17	1.48	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_18	4.55	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_19	1.947	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_2	0.01	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_20	15.7	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_21	2.2	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_22	3.5	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_23	>10	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_3	0.007	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_4	0.579	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_5	75	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_6	0.137	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_7	0.131	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem.

			Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_8	0.036	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BMCL_03_13_1829-1835_9	>10	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
BRL-32872	0.241	XO	Thomas, D. et al. High-Affinity Blockade of Human Ether-A-Go-Go-Related Gene Human Cardiac Potassium Channels by the Novel Antiarrhythmic Drug BRL-32872. J. Pharmacol. Exp. Ther. 2001, 297, 753-761
BRL-32872	0.0198	HEK-293	Thomas, D. et al. High-Affinity Blockade of Human Ether-A-Go-Go-Related Gene Human Cardiac Potassium Channels by the Novel Antiarrhythmic Drug BRL-32872. J. Pharmacol. Exp. Ther. 2001, 297, 753-761
Budipine	10.2	XO	Karle, C.A. et al. Drug binding to aromatic residues in the HERG channel pore cavity as possible explanation for acquired Long QT syndrome by antiparkinsonian drug budipine Naunyn-Schmiedeberg´s Arch. Pharmacol. 2003, 368, 404-414
Bupivacaine (Racemate)	22	CHO	Siebrands, C.C.; Schmitt, N.; Friederich, P. Local Anesthetic Interaction with Human Ether-a-go-go-related Gene (HERG) Channels: Role of Aromatic Amino Acids Y652 and F656 Anesthesiology 2005, 103, 102-112
Buprenorphine	7.5	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
canrenoic acid	104.3	CHO	Caballero, R.; Moreno, I.; Gonzalez, T.; Arias, C.; Valenzuela, C.; Delpon, E.; Tamargo, J. Spironolactone and its main metabolite, canrenoic acid, block human ether-a-go-go-related gene channels. Circulation 2003, 107, 889-895
Carvedilol (Racemate)	10.4	XO	Kiehn, J. et al. Antiarrhythmic drug carvedilol inhibits HERG potassium channels. Cardiovasc. Res. 2001, 49, 361-370
Carvedilol (Racemate)	0.51	HEK-293	Nagatomo, T. et al. Comparison of HERG channel blocking effects of various ?-blockers – implication for clinical strategy Br. J. Pharmacol. 2006, 147, 642-652
Cetirizine (racemate, but the two enantiomers show only a very small difference in activity)	108	rabbit cardio-myocytes	Carmeliet, E. Effects of cetirizine on the delayed K+ currents in cardiac cells: comparison with terfenadine. Br. J. Pharmacol. 1998, 124, 663-668
Cetirizine (racemate, but the two enantiomers show only a very small difference in activity)	>30	XO	Tagliatela, M. et al. Molecular Basis for the Lack of HERG K+ Channel Block-Related Cardiotoxicity by the H1 Receptor Blocker Cetirizine Compared with Other Second-Generation Antihistamines Mol. Pharmacol. 1998, 54, 113-121
Chlorobutanol	4400	HEK-293	Cornick, C.A. et al QTc interval prolongation associated with intravenous methadone Pain 2003, 105, 499-506
chloropromazine	1.561	CHO	Kim, K.S.; Kim, E.J. The phenothiazine drugs inihibit hERG potassium channels Drug Chem. Toxicol. 2005, 28, 303-313

chloropromazine	1.47	CHO	Walker, B.D.; Tie, H. et al. The heart of psychotropic drug therapy. Lancet 2000, 355, 1825
chloroquine (Racemate)	8.4	XO	Sanguinetti, M.C. et al. Molecular Determinants of Voltage-dependent Human Ether-a-Go-Go Related Gene (HERG) K+ Channel Block. J. Biol. Chem. 2002, 277, 23587-23595
Chloroquine (racemate)	2.5	HEK-293	Traebert, M. et al. Inhibition of hERG K+ currents by antimalarial drugs in stably transfected HEK293 cells Eur. J. Pharmacol. 2004, 484, 41-48
chlorpheniramine (racemate)	20.9	XO	Suessbrich, H.; Waldegger, S.; Lang, F.; Busch, A.E. Blockade of HERG channels expressed in Xenopus ooctes by the histamine-receptor antagonists terfenadine and astemizole. FEBS Letters 1996, 385, 77-80
Chlorpheniramine (racemate)	13	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
cibenzoline	3.7	HEK-293	Hiraoka, M. et al. Block of HERG current expressed in HEK)§ cells by the Na+-channel blocker cibenzoline Hearts and Vessels 2004, 19, 137-143
Ciprofloxacin	>300	CHO	Bischoff, U. et al. Effects of fluoroquinolones on HERG currents Eur J Pharmacol 2000, 406, 341-343
Ciprofloxacin	966	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
Cisapride (racemate)	0.009	rabbit cardio-myocytes	Carlsson, L.; Amos, G.J. et al. Electrophysiological characterization of the prokinetic agents cisapride and mosapride in vivo and in vitro: Implications for proarrhythmic potential. J. Pharmacol. Exp. Ther. 1997, 282, 220-227
Cisapride (racemate)	0.015	guinea pig ventricular myocytes	Drolet, B.; Khalifa, M,; Daleau, P.; Hamelin, B.A.; Turgeon, J. Block of the rapid component of the delayed-rectifier potassium current by the prokinetic agent cisapride underlies drug-related lengthening of the QT interval. Circulation 1998, 97, 204-210
Cisapride (racemate)	0.0065	HEK-293	Mohammad, S.; Zhou, Z.; Gong, Q.; January, C.T. Blockage of the HERG human cardiac K+ channel by the gastrointestinal prokinetic agent cisapride. Am. J. Physiol. 1997, 273, H2534-H2538
Cisapride (racemate)	0.045	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
Cisapride (racemate)	0.24	COS-7	Baro, I. et al. Gastrointestinal Prokinetic Drugs have Different Affinity for the Human Cardiac Human Ether-à-gogo K+ Channel J. Pharmacol. Exp. Ther. 2001, 299, 1007-1012
Cisapride (racemate)	0.0067	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Cisapride (racemate)	0.044		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
Cisapride (racemate)	0.0445	HEK-293	Rampe, D. et al. A mechanism for the proarrhythmic effects of cisapride (Propulsid): high affinity blockade of

			the human cardiac potassium channel HERG. FEBS Letters 1997, 417, 28-32
Cisapride (racemate)	0.124	XO	Sanguinetti, M.C. et al. Physicochemical Features of the hERG Channel Drug Binding Site. J. Biol. Chem. 2004, 279, 10120-10127
Cisapride (racemate)	0.0164	CHO	Walker, B.D. et al. Inhibition of the human ether-a-go-go-related gene (HERG) potassium channel by cisapride: affinity for open and inactivated states Br. J. Pharmacol. 1999, 128, 444?450
Cisapride (racemate)	0.0236	CHO	Walker, B.D. et al. Inhibition of the human ether-a-go-go-related gene (HERG) potassium channel by cisapride: affinity for open and inactivated states Br. J. Pharmacol. 1999, 128, 444?450
citalopram (racemate)	3.97	HEK-293	Witchel, H.J. et al. Inhibitory actions of the selective serotonin re-uptake inhibitor citalopram on HERG and ventricular L-type calcium currents FEBS Letters, 2002, 512, 59-66
clarithromycin (A-56268)	750	CHO	Abbott, G. F. et al. MiRP1 forms IKr potassium channels with HERG and is associated with cardiac arrhythmia. Cell 1999, 97, 175-187
Clarithromycin (A-56268)	45.7	HEK-293	Clarkson, C.W. et al Characterization of the inhibitory effects of erythromycin and clarithromycin on the HERG potassium channel Mol. Cell. Biochem. 2003, 254, 1-7
Clarithromycin (A-56268)	32.9	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
Clemastine	0.012	HEK-293	Hancox, J.C. et al. Clemastine, a conventional antihistamine, is a high potency inhibitor of the HERG K+ channel. J. Mol. Cell. Cardiol. 2006, 40, 107-118
Clofilium	0.25	XO	Suessbrich, H.; Schonherr, R.; Heinemann, S.H.; Lang, F.; Busch, A.E. Specific block of cloned HERG channels by clofilium and its tertiary analog LY97241. FEBS Letters 1997, 414, 435-438
Clofilium	0.15	XO	Suessbrich, H.; Schonherr, R.; Heinemann, S.H.; Lang, F.; Busch, A.E. Specific block of cloned HERG channels by clofilium and its tertiary analog LY97241. FEBS Letters 1997, 414, 435-438
Clofilium	1.25	AT1	Bhattacharyya, M.L. et al Clofilium-induced Block of Delayed Rectifier Type K+Current in Atrial Tumor Cells (AT-1 Cells) J Mol Cell Cardiol 1997, 29, 301-307
Clomiphene	0.18	HEK-293	Yuill, K.H. et al. Potent inhibition of human cardiac potassium (HERG) channels by the anti-estrogen agent clomiphene—without QT interval prolongation Biochem. Biophys. Res. Commun. 2004, 318, 556-561
Clozapine	0.32	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Clozapine-N-oxid	133.3	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Cocaethylene	4	tsA-201	O´Leary, M.E. Inhibition of HERG potassium channels by cocaethylene: a metabolite of cocaine and ethanol Cardiovasc. .Res. 2002, 53, 59-67

Cocaine	5.6	tsA-201	O´Leary, M.E. Inhibition of Human Ether-A-Go-Go Potassium Channels by Cocaine. Mol. Pharmacol. 2001, 59, 269-277
Codeine	>300	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
Cyamemazine (racemate)	0.47	HEK-293	Garay, R.P. et al. Effects of cyamemazine on hERG, INa, ICa, Ito, Isus and IK1 channel currents, and on the QTc interval in guinea pigs. Eur. J. Pharmacol. 2006, 532, 270-278
D617 (racemate)	>30	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9(2), 81-89
D620 (racemate)	>30	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9(2), 81-89
D703 (racemate)	2.2	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9(2), 81-89
Desbutyl-lumefantrine (racemate)	5.49	HEK-293	Traebert, M. et al. Inhibition of hERG K+ currents by antimalarial drugs in stably transfected HEK293 cells Eur. J. Pharmacol. 2004, 484, 41-48
Desipramine	1.39	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Desmethyl olanzapine	14.2	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
desmethylastemizole	0.001	HEK-293	Zhou, Z.; Vorperian, V.R.; Gong, Q.; Zhang, S.; January, C.T.; Block of HERG potassium channels by the antihistamine astemizole and its metabolites desmethylastemizole and norastemizole. J Cardiovasc Electrophysiol 1999, 10, 836-843
des-methyl-erythromycin	147.1	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
diltiazem	>10	COS-7	Chouabe, C.; Drici, M.-D.; Romey, G.; Barhanin, J.; Lazdunski, M. HERG and KvLQT1/IsK, the cardiac K+ channels involved in long-QT syndromes, are targets for calcium-channel blockers. Mol Pharmacol 1998, 54, 695-703
diltiazem	17.3	HEK-293	Zhang, S.; Zhou, Z.F.; Gong, Q.M.; Makielski, C.; January, C.T. Mechanism of block and identification of the verapamil binding domain to HERG potassium channels. Circ. Res. 1999, 84, 989-998
diphenhydramine	27.1	XO	Suessbrich, H.; Waldegger, S.; Lang, F.; Busch, A.E. Blockade of HERG channels expressed in Xenopus ooctes by the histamine-receptor antagonists terfenadine and astemizole. FEBS Letters 1996, 385, 77-80

Diphenhydramine	30	guinea pig ventricular myocytes	Turgeon, J. et al. Block of Potassium Currents in Guinea Pig Ventricular Myocytes and Lengthening of Cardiac Repolarization in Man by the Histamine H1 Receptor Antagonist Diphenhydramine J. Pharmacol. Exp. Ther. 1999, 288, 858-865
Disopyramide (racemate)	7.23	CHO	Hancox, J.C. et al. Inhibition of HERG Potassium Channel Current by the Class 1a Antiarrhythmic Agent Disopyramide Biochem. Biophys. Res. Commun. 2001, 280, 1243-1250
D-Norpropoxyphene	33.2	XO	Ulens, C.; Daenens, P.; Tytgat, J. Norpropoxyphene-induced cardiotoxicity is associated with changes in ion-selectivity and gating of HERG currents Cardiovasc. Res. 1999, 44, 568-578
Dofetilide	0.0469	HL-1	Claycomb, W.C.; Lanson, N.A. Jr.; Stallworth, B.S. et al., HL-1 cells: a cardiac muscle cell line that contracts and retains phenotypic characteristics of the adult cardiomyocyte. Proc. Natl. Acad. Sci. 1998, 95, 2979-2984
Dofetilide	0.0315	guinea pig ventricular myocytes	Jurkiewicz, N.K.; Sanguinetti, M.C. Rate-dependent prolongation of cardiac action potentials by a methanesulfonanilide class III antiarrhythmic agent. Specific block of rapidly activating delayed rectifier K+ current by dofetilide Circ. Res. 1993, 72, 75
Dofetilide	0.01	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
Dofetilide	0.0095	HEK-293	Rampe, D.; Murawsky, M.K.; Grau, J.; Lewis, E.W. The antipsychotic agent sertindole is a high-affinity antagonist of the human cardiac potassium channel HERG. J. Pharmacol. Exp. Ther. 1998, 286, 788-793
Dofetilide	0.012	HEK-293	Snyders, D.J.; Chaudhary, A. High-affinity open-channel block by dofetilide of HERG expressed in a human cell line. Mol. Pharmacol. 1996, 49, 949-955
Dofetilide	0.012	AT-1	Yang, T.; Snyders, D.J.; Roden, D.M. Ibutilide, a Methanesulfonanilide Antiarrhythmic, Is a Potent Blocker of the Rapidly Activating Delayed Rectifier K+ Current (IKr) in AT-1 Cells Circulation 1995, 91, 1799-1806
Dofetilide	0.595	XO	Brown, A.M. et al. Molecular Physiology and Pharmacology of HERG - Single-Channel Currents and Block by Dofetilide Circulation 1996, 94, 2572-2579
Dofetilide	0.035	XO	Brown, A.M. et al. Molecular Physiology and Pharmacology of HERG - Single-Channel Currents and Block by Dofetilide Circulation 1996, 94, 2572-2579
Dofetilide	0.0039	rabbit cardio-myocytes	Carmeliet, E. Voltage- and time-dependent block of the delayed K+ current in cardiac myocytes by dofetilide J. Pharmacol. Exp. Ther. 1992, 262, 809
Dofetilide	0.015		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
Dofetilide	0.0153	HEK-293	Rampe, D. et al. A mechanism for the proarrhythmic effects of cisapride (Propulsid): high affinity blockade of the human cardiac potassium channel HERG. FEBS Letters 1997, 417, 28-32
Dofetilide	0.011	CHO	Rampe, D. et al. Cardiac Ion Channel Effects of Tolterodine J. Pharmacol. Exp. Ther. 2004, 308, 935-940
Dofetilide	0.093	XO	Yang, B.-F. et al. Acidification alters Antiarrhythmic Drug

			Blockade of the ether-a-go-go-related Gene (HERG) Channels Bas. Clin. Pharm. Tox 2004, 94, 209-212
Dofetilide	0.192	XO	Yang, B.-F. et al. Acidification alters Antiarrhythmic Drug Blockade of the ether-a-go-go-related Gene (HERG) Channels Bas. Clin. Pharm. Tox 2004, 94, 209-212
Dolasetron	5.95	HEK-293	Kuryshev, Y. et al Interactions of the 5-Hydroxytryptamine 3 Antagonist Class of Antiemetic Drugs with Human Cardiac Ion Channels. 2000, 295, 614-620
Domperidone	0.162	CHO	Turgeon, J. et al. Domperidone Should Not Be Considered a No-Risk Alternative to Cisapride in the Treatment of Gastrointestinal Motility Disorders. Circulation 2000, 102, 1883-1885
doxazosin (racemate)	0.585	HEK-293	Thomas, D. et al. Inhibition of human ether-a-go-go-related gene potassium channels by 1-adrenoceptor antagonists prazosin, doxazosin, and terazosin Naunyn-Schmiedebergs Arch. Pharmacol. 2004, 369, 462-472
doxazosin (racemate)	18.2	XO	Thomas, D. et al. Inhibition of human ether-a-go-go-related gene potassium channels by 1-adrenoceptor antagonists prazosin, doxazosin, and terazosin Naunyn-Schmiedebergs Arch. Pharmacol. 2004, 369, 462-472
D-Propoxyphene	44.7	XO	Ulens, C.; Daenens, P.; Tytgat, J. Norpropoxyphene-induced cardiotoxicity is associated with changes in ion-selectivity and gating of HERG currents Cardiovasc. Res. 1999, 44, 568-578
Dronedarone	9.2	XO	Thomas, D. et al Acute effects of dronedarone on both components of the cardiac delayed rectifier K+ current, HERG and KvLQT1/minK potassium channels Br. J. Pharmacol. 2003, 140, 996-1002
E-4031	0.375	guinea pig ventricular myocytes	Sanguinetti, M.C.; Jurkiewicz N.K. Two components of cardiac delayed rectifier K+ current. Differential sensitivity to block by class III antiarrhythmic agents J. Gen Physiol. 1990, 96, 195-215
E-4031	0.91	rabbit cardio-myocytes	Toyama, J.; Kamiya, K. et al. Vesnarinone prolongs action-potential duration without reverse frequency-dependence in rabbit ventricular muscle by blocking the delayed-rectifier K+ current. Circulation. 1997, 96, 3696-3703
E-4031	0.0077	HEK-293	Zhou, Z.; Gong, Q.; Ye, B. et al. Properties of HERG channels stably expressed in HEK-293 cells studied at physiologic temperature. Biophysic. J. 1998, 74, 230-241
E-4031	0.0088	CHO	Abbott, G. F. et al. MiRP1 forms IKr potassium channels with HERG and is associated with cardiac arrhythmia. Cell 1999, 97, 175-187
E-4031	1.25	XO	Abbott, G. F. et al. MiRP1 forms IKr potassium channels with HERG and is associated with cardiac arrhythmia. Cell 1999, 97, 175-187
E-4031	0.0181	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
E-4031	0.134	CHO	Walker, B.D. et al. Inhibition of HERG channels stably expressed in a mammalian cell line by the antianginal agent perhexiline maleate Br. J. Pharmacol. 1999, 127, 243?251
Ebastine	0.3	XO	Ko, C.M.; Ducic, I.; Fan, J.; Shuba, Y.M.; Morad, M. Suppression of mammalian K+ channel family by ebastine J. Pharmacol. Exper. Ther. 1997, 281, 233-244
Ebastine	0.14	guinea pig	Ko, C.M.; Ducic, I.; Fan, J.; Shuba, Y.M.; Morad, M.

		ventricular myocytes	Suppression of mammalian K+ channel family by ebastine J. Pharmacol. Exper. Ther. 1997, 281, 233-244
Epinastine (racemate)	>1000	XO	Chachin, M.; Katayama, Y.; Yamada, M. et al. Epinastine, a nonsedating histamine H1 receptor antagonist, has a negligible effect on HERG channel. Eur. J. Pharmacol. 1999, 374, 457-460
ER-118585	0.04	HEK-293	Mizuno, H. et al. Cardiovascular assessment of ER-118585, a selective phosphodiesterase 5 inhibitor Biol. Pharm. Bull. 2003, 26, 1661-1667
Ersentilide (probably racemate)	0.4	feline ventricular myocytes	Sullivan, M.E. et al J. Cardiovasc. Pharmacol. 1993, 21, 647 Journal not available at Boehringer
Erythromycin	38.9	HEK-293	Clarkson, C.W. et al Characterization of the inhibitory effects of erythromycin and clarithromycin on the HERG potassium channel Mol. Cell. Biochem. 2003, 254, 1-7
Erythromycin	387		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
Erythromycin	72.2	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
erythromyclamine	273.9	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
Estradiol	>30	CHO	Moeller, C.; Netzer, R. Effects of estradiol on cardiac ion channel currents Eur. J. Pharmacol 2006, 5323, 44-49
Fentanyl	1.8	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
Fexofenadine (racemate)	23	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
Fexofenadine (racemate)	13.1		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
flecainide (racemate)	3.91	HEK-293	Hancox, J.C. et al. Inhibition of the current of heterologously expressed HERG potassium channels by flecainide and comparison with quinidine, propafenone and lignocaine Br. J. Pharmacol. 2002, 136, 717-729
Flunarizine	0.0057	CHO	Trepakovva, E.S. et al. Flunarizine is a Highly Potent Inhibitor of Cardiac hERG Potassium Current. J. Cardiovasc. Pharmacol. 2006, 47, 211-220
Fluoxetine (racemate)	3.1	XO	Kiehn, J. et al. The Antidepressant Drug Fluoxetine Is an Inhibitor of Human Ether-A-Go-Go-Related Gene (HERG) Potassium Channels J. Pharmacol. Exp. Ther. 2002, 300, 543-548
Fluoxetine (racemate)	1.5	HEK-293	Witchel, H.J. et al. Inhibitory actions of the selective serotonin re-uptake inhibitor citalopram on HERG and ventricular L-type calcium currents FEBS Letters, 2002, 512, 59-66
Fluspirilene	2.34	XO	Shuba, Y.M. et al. Testosterone-mediated modulation of HERG blockade by proarrhythmic agents. Biochem.

			Pharmacol. 2001, 62, 41-49
Fluvoxamine	3.8	HEK-293	Hancox, J.C. et al Blockade of HERG potassium currents by fluvoxamine: incomplete attenuation by S6 mutations at F656 or Y652 Br. J. Pharmacol. 2003, 139, 887-898
gatifloxacin (racemate)	26.5	AT1	Anderson, M.E.; Roden, D.M. et al Potassium Current Antagonist Properties and Proarrhythmic Consequences of Quinolone Antibiotics J.Pharmacol. Exp.Ther. 2001, 296, 806-810
gatifloxacin (racemate)	130	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
Glibenclamide	74.8	guinea pig ventricular myocytes	Rosati, B.; Rocchetti, M.; Zaza, A.; Wanke, E.; Sulfonylureas blockade of neural and cardiac HERG channels. FEBS Letters 1998, 440, 125-130.
Glimepiride	>750	guinea pig ventricular myocytes	Rosati, B.; Rocchetti, M.; Zaza, A.; Wanke, E.; Sulfonylureas blockade of neural and cardiac HERG channels. FEBS Letters 1998, 440, 125-130.
Granisetron (racemate)	3.73	HEK-293	Kuryshev, Y. et al Interactions of the 5-Hydroxytryptamine 3 Antagonist Class of Antiemetic Drugs with Human Cardiac Ion Channels. 2000, 295, 614-620
Grepafloxacin (racemate)	27.2	AT1	Anderson, M.E.; Roden, D.M. et al Potassium Current Antagonist Properties and Proarrhythmic Consequences of Quinolone Antibiotics J.Pharmacol. Exp.Ther. 2001, 296, 806-810
Grepafloxacin (racemate)	37.5 μg/ml	CHO	Bischoff, U. et al. Effects of fluoroquinolones on HERG currents Eur J Pharmacol 2000, 406, 341-343
Grepafloxacin (racemate)	50	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
H345/52 (Adekalant)	0.04	rabbit cardio-myocytes	Carlsson, L. et al Potassium and calcium current blocking properties of the novel antiarrhythmic agent H 345/52: implications for proarrhythmic potential Cardiovasc. Res. 2001, 49, 351-360
Halofantrine (racemate)	0.196	CHO	Tie, H.; Walker, B.D. et al. Inhibition of HERG potassium channels by the antimalarial agent halofantrine. Br. J. Pharmacol. 2000, 130, 1967-1975
Halofantrine (racemate)	0.0216	HEK-293	January, C.T. et al. The anti-malarial drug halofantrine and its metabolite N-desbutylhalofantrine block HERG potassium channels Cardiovasc. Res. 2002, 55, 799-805
Halofantrine (racemate)	0.04	HEK-293	Traebert, M. et al. Inhibition of hERG K+ currents by antimalarial drugs in stably transfected HEK293 cells Eur. J. Pharmacol. 2004, 484, 41-48
Haloperidol	0.032	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
Haloperidol	0.063	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
Haloperidol	0.0268	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Haloperidol	1.36	XO	Shuba, Y.M. et al. Testosterone-mediated modulation of HERG blockade by proarrhythmic agents. Biochem.

			Pharmacol. 2001, 62, 41-49
Haloperidol	1.1	XO	Suessbrich, H. et al The inhibitory effect of the antipsychotic drug haloperidol on HERG potassium channels expressed in XO Br. J. Pharmacol.1997, 120, 968–974
Hesperetin	288.8	XO	Zitron, E.; Scholz, E. et al. QTc Prolongation by Grapefruit Juice and its Potential Pharmacological Basis; HERG Channel Blockade by Flavonoids Circulation 2005, 111, 835-838
Ibutilide (racemate)	0.02	AT-1	Yang, T.; Snyders, D.J.; Roden, D.M. Ibutilide, a Methanesulfonanilide Antiarrhythmic, Is a Potent Blocker of the Rapidly Activating Delayed Rectifier K+ Current (IKr) in AT-1 Cells Circulation 1995, 91(6), 1799-1806
ICI 118551 (racemate)	9.2	HEK-293	Nagatomo, T. et al. Comparison of HERG channel blocking effects of various ?-blockers – implication for clinical strategy Br. J. Pharmacol. 2006, 147, 642-652
imipramine	3.4	CHO	Witchel, H.J. et al. Inhibition of the current of heterologously expressed HERG potassium channels by imipramine and amitriptyline Br. J. Pharmacol. 1999, 128, 479-485
imipramine	3.4	HEK-293	Witchel, H.J. et al. Inhibitory actions of the selective serotonin re-uptake inhibitor citalopram on HERG and ventricular L-type calcium currents FEBS Letters, 2002, 512, 59-66
Irbesartan	193	CHO	Moreno, I. et al. Effects of Irbesartan on Cloned Potassium Channels Involved in Human Cardiac Repolarization. J. Pharmacol. Exp. Ther. 2003, 304, 862-873
isradipine (racemate)	>10	COS-7	Chouabe, C.; Drici, M.-D.; Romey, G.; Barhanin, J.; Lazdunski, M. HERG and KvLQT1/IsK, the cardiac K+ channels involved in long-QT syndromes, are targets for calcium-channel blockers. Mol Pharmacol 1998, 54, 695-703
josamycin	102.4	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
KCB-328	2.1	XO	Park, J.B. et al. Open Channel Block by KCB-328 [1-(2-Amino-4-methanesulfonamidophenoxy)-2-[N-(3,4-dimethoxyphenethyl)-N-methylamino]ethane Hydrochloride] of the Heterologously Expressed Human Ether-a-go-go-Related Gene K+ Channels J.Pharmacol. Exp. Ther.2002, 302, 314
Ketoconazole	31	XO	Dumaine, R.; Roy, M.-L.; Brown, A.M. Blockade of HERG and Kv1.5 by ketoconazole. J. Pharmacol. Exp. Ther. 1998, 286(2), 727-735
Ketoconazole	49	XO	Dumaine, R.; Roy, M.-L.; Brown, A.M. Blockade of HERG and Kv1.5 by ketoconazole. J. Pharmacol. Exp. Ther. 1998, 286(2), 727-735
Ketoconazole	1.9	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
L-alpha-acetylmethadol (LAAM)	2.2	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694

L-Bupivacaine	12.7	CHO	Siebrands, C.C.; Schmitt, N.; Friederich, P. Local Anesthetic Interaction with Human Ether-a-go-go-related Gene (HERG) Channels: Role of Aromatic Amino Acids Y652 and F656 Anesthesiology 2005, 103, 102-112
Levofloxacin (S-(-)-Ofloxacin)	915	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
Lidoflazine	0.016	HEK-293	Hancox, J.C. et al. Lidoflazine is a high affinity blocker of the HERG K+channel J. Mol. Cell. Cardiol. 2004, 36, 151-160
lignocaine	262.9	HEK-293	Hancox, J.C. et al. Inhibition of the current of heterologously expressed HERG potassium channels by flecainide and comparison with quinidine, propafenone and lignocaine Br. J. Pharmacol. 2002, 136, 717-729
lopinavir	8.6	HEK-293	Anson, B.D.; Weaver, J.G.R.; Ackerman, M.J.; Akinsete, O.; Henry, K.; January, C.T. et al. Blockade of HERG channels by HIV protease inhibitors. Lancet 2005, 365(9460), 682-686
loratadine	0.173	HEK-293	Crumb, W.J.Jr. Loratidine blockade of K+ channels in human heart: comparison with terfenadine under physiological conditions. J. Pharmacol. Exp. Ther. 2000, 292, 261-264
Loratadine	4	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
Loratadine	101	XO	Tagliatela, M. et al. Molecular Basis for the Lack of HERG K+ Channel Block-Related Cardiotoxicity by the H1 Receptor Blocker Cetirizine Compared with Other Second-Generation Antihistamines Mol. Pharmacol. 1998, 54, 113-121
Lovastatine	7	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
lumefantrine (racemate)	8.13	HEK-293	Traebert, M. et al. Inhibition of hERG K+ currents by antimalarial drugs in stably transfected HEK293 cells Eur. J. Pharmacol. 2004, 484, 41-48
LY97241	0.0393	XO	Suessbrich, H.; Schonherr, R.; Heinemann, S.H.; Lang, F.; Busch, A.E. Specific block of cloned HERG channels by clofilium and its tertiary analog LY97241. FEBS Letters 1997, 414, 435-438
LY97241	0.019	XO	Suessbrich, H.; Schonherr, R.; Heinemann, S.H.; Lang, F.; Busch, A.E. Specific block of cloned HERG channels by clofilium and its tertiary analog LY97241. FEBS Letters 1997, 414, 435-438
Maprotiline	5.2	HEK-293	Sanchez-Capula, J.A. et al. Inhibition of cardiac HERG potassium channels by antidepressant maprotiline Eur. J. Pharmacol. 2006, 531, 1-8
MDL 74156 (racemic)	12.1	HEK-293	Kuryshev, Y. et al Interactions of the 5-Hydroxytryptamine 3 Antagonist Class of Antiemetic Drugs with Human Cardiac Ion Channels. J. Pharmacol. Exp. Ther. 2000, 295, 614-620
Mefloquine (racemate)	2.64	HEK-293	Traebert, M. et al. Inhibition of hERG K+ currents by antimalarial drugs in stably transfected HEK293 cells Eur. J. Pharmacol. 2004, 484, 41-48

Meperidine	75	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
Mepivacaine (racemate)	156.2	CHO	Siebrands, C.C.; Schmitt, N.; Friederich, P. Local Anesthetic Interaction with Human Ether-a-go-go-related Gene (HERG) Channels: Role of Aromatic Amino Acids Y652 and F656 Anesthesiology 2005, 103, 102-112
Mesoridazine (racemate)	0.32	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Mesoridazine (racemate)	0.55	HEK-293	Su, Z. et al. Mesoridazine: an open-channel blocker of human ether-a-go-go-related gene K+ channel J. Mol. Cell. Cardiol. 2004, 36, 151-160
Methadone (racemate)	9.8	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
Methadone (racemate)	20	HEK-293	Cornick, C.A. et al QTc interval prolongation associated with intravenous methadone Pain 2003, 105, 499-506
Metoprolol (racemate)	145	HEK-293	Nagatomo, T. et al. Comparison of HERG channel blocking effects of various beta-blockers – implication for clinical strategy Br. J. Pharmacol. 2006, 147, 642-652
mibefradil	1.43	COS-7	Chouabe, C.; Drici, M.-D.; Romey, G.; Barhanin, J.; Lazdunski, M. HERG and KvLQT1/IsK, the cardiac K+ channels involved in long-QT syndromes, are targets for calcium-channel blockers. Mol Pharmacol 1998, 54, 695-703
Miconazole (racemate)	2.1	HEK-293	Nagatomo, T. et al. Blockade of HERG cardiac K+ current by antifungal drug miconazole. Br. J. Pharmacol. 2005, 144, 840-848
MK-499 (probably racemate)	0.121	XO	Spector, P.S.; Curran, M.E.; Keating, M.T.; Sanguinetti, M.C. Class III antiarrhythmic drugs block HERG, a human cardiac delayed-rectifier K+ current: Open-channel block by methanesulfanilides. Circ Res 1996, 78, 499-503
MK-499 (probably racemate)	0.151	XO	Spector, P.S.; Curran, M.E.; Keating, M.T.; Sanguinetti, M.C. Class III antiarrhythmic drugs block HERG, a human cardiac delayed-rectifier K+ current: Open-channel block by methanesulfanilides. Circ Res 1996, 78, 499-503
MK-499 (probably racemate)	0.123	XO	Spector, P.S.; Curran, M.E.; Keating, M.T.; Sanguinetti, M.C. Class III antiarrhythmic drugs block HERG, a human cardiac delayed-rectifier K+ current: Open-channel block by methanesulfanilides. Circ Res 1996, 78, 499-503
MK-499 (probably racemate)	0.034	XO	Sanguinetti, M.C. et al. A structural basis for drug-induced long QT syndrome Proc. Natl. Acad. Sci. U.S.A. 2000, 97, 12329-12333
MK-499 (probably racemate)	0.046	XO	Sanguinetti, M.C. et al. Physicochemical Features of the hERG Channel Drug Binding Site. J. Biol. Chem. 2004, 279, 10120-10127
Morin	111.4	XO	Zitron, E.; Scholz, E. et al. QTc Prolongation by Grapefruit Juice and its Potential Pharmacological Basis; HERG Channel Blockade by Flavonoids Circulation 2005, 111, 835-838
Morphine	>1000	HEK-293	Katchman, A.N.; McGroary, K.A.; Kilborn, M.J.et al. Influence of opioid agonists on cardiac human ether-a-go-

			go-related gene K(+) currents. J. Pharmacol. Exp. Ther. 2002, 303, 688-694
Mosapride (racemate)	4	rabbit cardio-myocytes	Carlsson, L.; Amos, G.J. et al. Electrophysiological characterization of the prokinetic agents cisapride and mosapride in vivo and in vitro: Implications for proarrhythmic potential. J. Pharmacol. Exp. Ther. 1997, 282, 220-227
moxifloxacin	0.75	AT1	Anderson, M.E.; Roden, D.M. et al Potassium Current Antagonist Properties and Proarrhythmic Consequences of Quinolone Antibiotics J.Pharmacol. Exp.Ther. 2001, 296, 806-810
moxifloxacin	41.2 µg/ml	CHO	Bischoff, U. et al. Effects of fluoroquinolones on HERG currents Eur J Pharmacol 2000, 406, 341-343
moxifloxacin	129	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
moxifloxacin	114	HEK-293	Leaney, J.L. et al. Mechanism of hERG K+ channel blockade by the fluoroquinolone antibiotic moxifloxacin. Br. J. Pharmacol. 2006, advanced online publication from 6.Feb
moxifloxacin	65	HEK-293	Leaney, J.L. et al. Mechanism of hERG K+ channel blockade by the fluoroquinolone antibiotic moxifloxacin. Br. J. Pharmacol. 2006, advanced online publication from 6.Feb
moxifloxacin	29	HEK-293	Leaney, J.L. et al. Mechanism of hERG K+ channel blockade by the fluoroquinolone antibiotic moxifloxacin. Br. J. Pharmacol. 2006, advanced online publication from 6.Feb
Naringenin	102.3	XO	Zitron, E.; Scholz, E. et al. QTc Prolongation by Grapefruit Juice and its Potential Pharmacological Basis; HERG Channel Blockade by Flavonoids Circulation 2005, 111, 835-838
Naringenin	36.5	HEK-293	Zitron, E.; Scholz, E. et al. QTc Prolongation by Grapefruit Juice and its Potential Pharmacological Basis; HERG Channel Blockade by Flavonoids Circulation 2005, 111, 835-838
N-desbutyl-halofantrine (racemate)	0.0717	HEK-293	January, C.T. et al. The anti-malarial drug halofantrine and its metabolite N-desbutylhalofantrine block HERG potassium channels Cardiovasc. Res. 2002, 55, 799-805
N-Desmethylclozapine	4.49	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
nelfinavir	11.5	HEK-293	Anson, B.D.; Weaver, J.G.R.; Ackerman, M.J.; Akinsete, O.; Henry, K.; January, C.T. et al. Blockade of HERG channels by HIV protease inhibitors. Lancet 2005, 365(9460), 682-686
Nicotine	244.8	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
nifedipine	>50	HEK-293	Zhang, S.; Zhou, Z.F.; Gong, Q.M.; Makielski, C.; January, C.T. Mechanism of block and identification of the verapamil binding domain to HERG potassium channels. Circ. Res. 1999, 84, 989-998
Nifekalant	7.9	XO	Kushida, S. et al. Inhibitory effect of the class III

			antiarrhythmic drug nifekalant on HERG channels: mode of action Eur. J. Pharmacol. 2002, 457, 19-27
nitrendipine (racemate)	>10	COS-7	Chouabe, C.; Drici, M.-D.; Romey, G.; Barhanin, J.; Lazdunski, M. HERG and KvLQT1/IsK, the cardiac K+ channels involved in long-QT syndromes, are targets for calcium-channel blockers. Mol Pharmacol 1998, 54, 695-703
norastemizole	0.0277	HEK-293	Zhou, Z.; Vorperian, V.R.; Gong, Q.; Zhang, S.; January, C.T.; Block of HERG potassium channels by the antihistamine astemizole and its metabolites desmethylastemizole and norastemizole. J Cardiovasc Electrophysiol 1999, 10, 836-843
Norverapamil (racemate)	3.8	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9, 81-89
Ofloxacin (racemate)	1420	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
Olanzapine	0.2313	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Olanzapine	6.01	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41
oleandomycin	339.6	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
Ondansetron (racemate)	0.81	HEK-293	Kuryshev, Y. et al Interactions of the 5-Hydroxytryptamine 3 Antagonist Class of Antiemetic Drugs with Human Cardiac Ion Channels J. Pharmacol. Exp. Ther. 2000, 295, 614-620
Pentamidine	252	HEK-293	Zhou, J. et al. Pentamidine reduces hERG expression to prolong the QT interval Br. J. Pharmacol. 2005, 145, 15-23
perhexiline (racemate)	7.8	CHO	Walker, B.D. et al. Inhibition of HERG channels stably expressed in a mammalian cell line by the antianginal agent perhexiline maleate Br. J. Pharmacol. 1999, 127, 243?251
perphenazine	1.003	CHO	Kim, K.S.; Kim, E.J. The phenothiazine drugs inihibit hERG potassium channels Drug Chem. Toxicol. 2005, 28, 303-313
Phenobarbital	3000	HEK-293	Tomson, T. et al. Phenytoin and phenobarbital inhibit human HERG potassium channels Epilepsy Res. 2003, 55, 147
Phenytoin	240	HEK-293	Tomson, T. et al. Phenytoin and phenobarbital inhibit human HERG potassium channels Epilepsy Res. 2003, 55, 147
Pilsicainide	20.4	HEK-293	Hiraoka, M. et al. Effects of Na+ Channel Blocker, Pilsicainide, on HERG Current Expressed in HEK-293 Cells J. Cardiovasc. Pharmacol. 2003, 42, 410-418
Pimozide	0.018	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
Pimozide	0.0546	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-

			Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Pimozide	0.018	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41
Pimozide	0.018	CHO	Rampe, D. et al. High affinity blockade of the HERG cardiac K+ channel by the neuroleptic pimozide Eur. J. Pharmacol. 2000, 392, 137-140
Pimozide	1.74	XO	Shuba, Y.M. et al. Testosterone-mediated modulation of HERG blockade by proarrhythmic agents. Biochem. Pharmacol. 2001, 62, 41-49
prazosin	1.57	HEK-293	Thomas, D. et al. Inhibition of human ether-a-go-go-related gene potassium channels by 1-adrenoceptor antagonists prazosin, doxazosin, and terazosin Naunyn-Schmiedebergs Arch. Pharmacol. 2004, 369, 462-472
prazosin	10.1	XO	Thomas, D. et al. Inhibition of human ether-a-go-go-related gene potassium channels by 1-adrenoceptor antagonists prazosin, doxazosin, and terazosin Naunyn-Schmiedebergs Arch. Pharmacol. 2004, 369, 462-472
Prenylamine (racemate)	0.59	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
procainamide	139	HEK-293	Hancox, J.C. et al. Characterisation of recombinant HERG K+ channel blockade by the Class Ia antiarrhythmic drug procainamide Biochem. Biophys. Res. Commun. 2003, 306, 388-393
propafenone (racemate)	2	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
propafenone (racemate)	0.44	HEK-293	Hancox, J.C. et al. Inhibition of the current of heterologously expressed HERG potassium channels by flecainide and comparison with quinidine, propafenone and lignocaine Br. J. Pharmacol. 2002, 136, 717-729
Propranolol (racemate)	81.1	XO	Dupuis, D.S.; Klaerke, D.A.;Olesen, S.-P. Effect of ?-Adrenoceptor Blockers on HumanEther-a-go-go-Related Gene (HERG) Potassium Channels Bas. & Clin. Pharmacol. & Toxicol. 2005, 96, 123-130
Propranolol (racemate)	52	XO	Dupuis, D.S.; Klaerke, D.A.;Olesen, S.-P. Effect of ?-Adrenoceptor Blockers on HumanEther-a-go-go-Related Gene (HERG) Potassium Channels Bas. & Clin. Pharmacol. & Toxicol. 2005, 96, 123-130
Propranolol (racemate)	3.9	HEK-293	Nagatomo, T. et al. Comparison of HERG channel blocking effects of various ?-blockers – implication for clinical strategy Br. J. Pharmacol. 2006, 147, 642-652
Prucalopride	5.7	COS-7	Baro, I. et al. Gastrointestinal Prokinetic Drugs have Different Affinity for the Human Cardiac Human Ether-à-gogo K+ Channel J. Pharmacol. Exp. Ther. 2001, 299, 1007-1012
pyrilamine	6	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
pyrilamine	1.1	guinea pig	Salata, J.J. et al. Cardiac Electrophysiological Actions of

		ventricular myocytes	the Histamine H1-Receptor Antagonists Astemizole and Terfenadine Compared With Chlorpheniramine and Pyrilamine Circ. Res. 1995, 76,110-119
Quetiapine	5.77	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41
quinidine	1	AT1	Yang, T.; Snyders, D.J.; Roden, D.M. Inhibition of Cardiac Potassium Currents by the Vesnarinone Analog OPC-18790: Comparison with Quinidine and Dofetilide J. Pharmacol. Exp. Ther. 1997, 280, 1170-1175
Quinidine	0.41	HEK-293	Hancox, J.C. et al. Inhibition of the current of heterologously expressed HERG potassium channels by flecainide and comparison with quinidine, propafenone and lignocaine Br. J. Pharmacol. 2002, 136, 717-729
Quinidine	0.32	tsA-201	Po, S.S. et al. Modulation of HERG Potassium Channels by Extracellular Magnesium and Quinidine. J. Cardiovasc. Pharmacol. 1999, 33, 181-185
R-(+)-Verapamil	3.5	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9, 81-89
R-Bupivacaine	21.9	CHO	Siebrands, C.C.; Schmitt, N.; Friederich, P. Local Anesthetic Interaction with Human Ether-a-go-go-related Gene (HERG) Channels: Role of Aromatic Amino Acids Y652 and F656 Anesthesiology 2005, 103, 102-112
reduced Haloperidol (racemate)	2.6	XO	Suessbrich, H. et al The inhibitory effect of the antipsychotic drug haloperidol on HERG potassium channels expressed in XO Br. J. Pharmacol.1997, 120, 968–974
Renzapride	1.8	COS-7	Baro, I. et al. Gastrointestinal Prokinetic Drugs have Different Affinity for the Human Cardiac Human Ether-à-gogo K+ Channel J. Pharmacol. Exp. Ther. 2001, 299, 1007-1012
Risperidone	0.148	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Risperidone	0.394		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
Risperidone	0.167	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41
ritonavir	8.2	HEK-293	Anson, B.D.; Weaver, J.G.R.; Ackerman, M.J.; Akinsete, O.; Henry, K.; January, C.T. et al. Blockade of HERG channels by HIV protease inhibitors. Lancet 2005, 365(9460), 682-686
roxithromycin	36.5	HEK-293	Volberg, W. et al. Blockade of Human Cardiac Potassium Channel Human Ether-a-go-go-Related Gene (HERG) by Macrolide Antibiotics J. Pharmacol. Exp. Ther. 2002, 302, 320-327
RP58866 (racemate)	0.022	guinea pig ventricular myocytes	Jurkiewicz, N.K.; Wang, J.; Fermini, B.; Sanguinetti, M.C.; Salata, J.J. Mechanism of action-potential prolongation by RP 58866 and its active enantiomer, terikalant: Block of the rapidly-activating delayed-rectifier K+ current, IKr. Circulation 1996, 94, 2938-2946

RP58866 (racemate)	0.21	AT1	Jurkiewicz, N.K.; Wang, J.; Fermini, B.; Sanguinetti, M.C.; Salata, J.J. Mechanism of action-potential prolongation by RP 58866 and its active enantiomer, terikalant: Block of the rapidly-activating delayed-rectifier K+ current, IKr. Circulation 1996, 94, 2938-2946
RSD1235	21	HEK-293	Fedida, D. et al. The Mechanism of Atrial Antiarrhythmic Action of RSD1235 J. Cardiovasc. Electrophysiol. 2005, 16, 1227-1238
S-(-)-Verapamil	4	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9, 81-89
S-(+)-Chlorpheniramine	1.6	guinea pig ventricular myocytes	Salata, J.J. et al. Cardiac Electrophysiological Actions of the Histamine H1-Receptor Antagonists Astemizole and Terfenadine Compared With Chlorpheniramine and Pyrilamine Circ. Res. 1995, 76,110-119
saquinavir	15.3	HEK-293	Anson, B.D.; Weaver, J.G.R.; Ackerman, M.J.; Akinsete, O.; Henry, K.; January, C.T. et al. Blockade of HERG channels by HIV protease inhibitors. Lancet 2005, 365(9460), 682-686
sertindole	0.003	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
sertindole	0.014	HEK-293	Rampe, D.; Murawsky, M.K.; Grau, J.; Lewis, E.W. The antipsychotic agent sertindole is a high-affinity antagonist of the human cardiac potassium channel HERG. J. Pharmacol. Exp. Ther. 1998, 286, 788-793
sertindole	0.21	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
sertindole	0.0147	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Sertindole	0.005		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
sertindole	0.0027	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41
Sildenafil	3.3	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Sildenafil	33.3	HEK-293	Sarazan, R.D. et al. Absence of clinically important HERG channel blockade by three compounds that inhibit phosphodiesterase 5—sildenafil, tadalafil, and vardenafil Eur. J. Pharmacol. 2004, 502, 163-167
Sparfloxacin	0.23	AT1	Anderson, M.E.; Roden, D.M. et al Potassium Current Antagonist Properties and Proarrhythmic Consequences of Quinolone Antibiotics J.Pharmacol. Exp.Ther. 2001, 296, 806-810
Sparfloxacin	13.5 μg/ml	CHO	Bischoff, U. et al. Effects of fluoroquinolones on HERG currents Eur J Pharmacol 2000, 406, 341-343

Sparfloxacin	18	CHO	Kang, J. et al. Interactions of a series of fluoroquinolone antibacterial drugs with the human cardiac K+ channel HERG Mol. Pharmacol. 2001, 59, 122-126
Spironolactone	23	CHO	Caballero, R.; Moreno, I.; Gonzalez, T.; Arias, C.; Valenzuela, C.; Delpon, E.; Tamargo, J. Spironolactone and its main metabolite, canrenoic acid, block human ether-a-go-go-related gene channels. Circulation 2003, 107, 889-895
S-Ropivacaine	28	CHO	Friederich, P.; Solth, A.; Pongs, O. Ropivacaine Inhibits HERG Potassium Channels Cloned from Human Heart Anaesthesiology 2001, 95, A904
S-Ropivacaine	23.9	CHO	Siebrands, C.C.; Schmitt, N.; Friederich, P. Local Anesthetic Interaction with Human Ether-a-go-go-related Gene (HERG) Channels: Role of Aromatic Amino Acids Y652 and F656 Anesthesiology 2005, 103, 102-112
Tamoxifen	45.3	XO	Thomas, D. et al.Inhibition of cloned HERG potassium channels by the antiestrogen tamoxifen Naunyn Schmiedeberg´s Arch. Pharmacol. 2003, 368, 41-48
terazosin (racemate)	17.7	HEK-293	Thomas, D. et al. Inhibition of human ether-a-go-go-related gene potassium channels by 1-adrenoceptor antagonists prazosin, doxazosin, and terazosin Naunyn-Schmiedebergs Arch. Pharmacol. 2004, 369, 462-472
terazosin (racemate)	113.2	XO	Thomas, D. et al. Inhibition of human ether-a-go-go-related gene potassium channels by 1-adrenoceptor antagonists prazosin, doxazosin, and terazosin Naunyn-Schmiedebergs Arch. Pharmacol. 2004, 369, 462-472
Terfenadine (probably racemate)	0.4	XO	Ko, C.M.; Ducic, I.; Fan, J.; Shuba, Y.M.; Morad, M. Suppression of mammalian K+ channel family by ebastine J. Pharmacol. Exper. Ther. 1997, 281, 233-244
Terfenadine (probably racemate)	0.056	CHO	Pearlstein, R.A.; Vaz, R.J. et al. Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Bioorg. Med. Chem. Lett. 2003, 13, 1829-1835
Terfenadine (probably racemate)	0.2461	XO	Suessbrich, H.; Waldegger, S.; Lang, F.; Busch, A.E. Blockade of HERG channels expressed in Xenopus ooctes by the histamine-receptor antagonists terfenadine and astemizole. FEBS Letters 1996, 385, 77-80
Terfenadine (probably racemate)	0.096	rabbit cardio-myocytes	Carmeliet, E. Effects of cetirizine on the delayed K+ currents in cardiac cells: comparison with terfenadine. Br. J. Pharmacol. 1998, 124, 663-668
Terfenadine (probably racemate)	0.204	HEK-293	Crumb, W.J.Jr. Loratidine blockade of K+ channels in human heart: comparison with terfenadine under physiological conditions. J. Pharmacol. Exp. Ther. 2000, 292, 261-264
Terfenadine (probably racemate)	0.056		Lacerda, A.E. et al Comparison of block among cloned cardiac potassium channels by non-antiarrhythmic drugs Eur. Heart J. Suppl. 2001, 3, K23-K30
Terfenadine (probably racemate)	0.056	HEK-293	Rampe, D. et al. A mechanism for the proarrhythmic effects of cisapride (Propulsid): high affinity blockade of the human cardiac potassium channel HERG. FEBS Letters 1997, 417, 28-32
Terfenadine (probably racemate)	0.05	guinea pig ventricular myocytes	Salata, J.J. et al. Cardiac Electrophysiological Actions of the Histamine H1-Receptor Antagonists Astemizole and Terfenadine Compared With Chlorpheniramine and Pyrilamine Circ. Res. 1995, 76,110-119
Terfenadine (probably	0.148	XO	Sanguinetti, M.C. et al. Physicochemical Features of the

racemate)			hERG Channel Drug Binding Site. J. Biol. Chem. 2004, 279, 10120-10127
Terfenadine (probably racemate)	0.33	XO	Tagliatela, M. et al. Molecular Basis for the Lack of HERG K+ Channel Block-Related Cardiotoxicity by the H1 Receptor Blocker Cetirizine Compared with Other Second-Generation Antihistamines Mol. Pharmacol. 1998, 54, 113-121
Terfenadine (racemate)	0.431	XO	Chachin, M.; Katayama, Y.; Yamada, M. et al. Epinastine, a nonsedating histamine H1 receptor antagonist, has a negligible effect on HERG channel. Eur. J. Pharmacol. 1999, 374, 457-460
Terfenadine (racemate)	0.213	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Terikalant	0.031	guinea pig ventricular myocytes	Jurkiewicz, N.K.; Wang, J.; Fermini, B.; Sanguinetti, M.C.; Salata, J.J. Mechanism of action-potential prolongation by RP 58866 and its active enantiomer, terikalant: Block of the rapidly-activating delayed-rectifier K+ current, IKr. Circulation 1996, 94, 2938-2946
Terikalant	0.25	XO	Jurkiewicz, N.K.; Wang, J.; Fermini, B.; Sanguinetti, M.C.; Salata, J.J. Mechanism of action-potential prolongation by RP 58866 and its active enantiomer, terikalant: Block of the rapidly-activating delayed-rectifier K+ current, IKr. Circulation 1996, 94, 2938-2946
Terodiline (racemate)	0.68	guinea pig ventricular myocytes	Jones, S.E.; Ogura, T.; Shuba, L.; McDonald, T.F. Inhibition of the rapid component of the delayed-rectifier K+ current by therapeutic concentration of the antispasmodic agent terodiline. Br. J. Pharmacol. 1998, 125, 1138-1143
Thioridazine (racemate)	0.224	CHO	Kim, K.S.; Kim, E.J. The phenothiazine drugs inihibit hERG potassium channels Drug Chem. Toxicol. 2005, 28, 303-313
Thioridazine (racemate)	1.07	CHO	Walker, B.D.; Tie, H. et al. The heart of psychotropic drug therapy. Lancet 2000, 355, 1825
Thioridazine (racemate)	0.39	HEK-293	Ebert, S.N. et al. Comparative Evaluation of HERG Currents and QT Intervals following Challenge with Suspected Torsadogenic and Nontorsadogenic Drugs J. Pharmacol. Exp. Ther. 2006, 316, 1098-106
Thioridazine (racemate)	0.0332	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
Thioridazine (racemate)	0.191	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41
Tolterodine	0.017	CHO	Rampe, D. et al. Cardiac Ion Channel Effects of Tolterodine J. Pharmacol. Exp. Ther. 2004, 308, 935-940
Torborinone (OPC 18790) (racemate)	0.96	AT1	Yang, T.; Snyders, D.J.; Roden, D.M. Inhibition of Cardiac Potassium Currents by the Vesnarinone Analog OPC-18790: Comparison with Quinidine and Dofetilide J. Pharmacol. Exp. Ther. 1997, 280, 1170-1175
Trazodone	13.2	XO	Karle, C.A. et al. Inhibition of cardiac HERG potassium channels by the atypical antidepressant trazodone. Naunyn-Schmiedeberg´s Arch. Pharmacol. 2004, 370, 146-156
Trazodone	2.9	HEK-293	Karle, C.A. et al. Inhibition of cardiac HERG potassium

			channels by the atypical antidepressant trazodone. Naunyn-Schmiedeberg´s Arch. Pharmacol. 2004, 370, 146-156
Trazodone	0.69	HEK-293	Tarantino, P. et al. Effect of trazodone on hERG channel current and QT-interval. Eur. J. Pharmacol. 2005, 510, 75-85
trifluoperazine	1.406	CHO	Kim, K.S.; Kim, E.J. The phenothiazine drugs inihibit hERG potassium channels Drug Chem. Toxicol. 2005, 28, 303-313
vardenafil	12.8	HEK-293	Sarazan, R.D. et al. Absence of clinically important HERG channel blockade by three compounds that inhibit phosphodiesterase 5—sildenafil, tadalafil, and vardenafil Eur. J. Pharmacol. 2004, 502, 163-167
verapamil (racemate)	0.83	COS-7	Chouabe, C.; Drici, M.-D.; Romey, G.; Barhanin, J.; Lazdunski, M. HERG and KvLQT1/IsK, the cardiac K+ channels involved in long-QT syndromes, are targets for calcium-channel blockers. Mol Pharmacol 1998, 54, 695-703
Verapamil (racemate)	3.8	XO	Waldegger, S.; Niemeyer, G.; Morike, K. et al. Effect of verapamil enantiomers and metabolites on cardiac K+ channels expressed in XO. Cell. Physiol. Biochem. 1999, 9, 81-89
verapamil (racemate)	0.143	HEK-293	Zhang, S.; Zhou, Z.F.; Gong, Q.M.; Makielski, C.; January, C.T. Mechanism of block and identification of the verapamil binding domain to HERG potassium channels. Circ. Res. 1999, 84, 989-998
Verapamil (racemate)	0.2154	HEK-293	Hancox, J.C. et al. Lidoflazine is a high affinity blocker of the HERG K+channel J. Mol. Cell. Cardiol. 2004, 36, 701-705
Vesnarinone	5.7	rabbit cardio-myocytes	Toyama, J.; Kamiya, K. et al. Vesnarinone prolongs action-potential duration without reverse frequency-dependence in rabbit ventricular muscle by blocking the delayed-rectifier K+ current. Circulation. 1997, 96, 3696-3703
Vesnarinone	1.06	HEK-293T	Katayama, Y. et al. Inhibitory Effects of Vesnarinone on Cloned Cardiac Delayed Rectifier K+ Channels Expressed in a Mammalian Cell Line J. Pharmacol. Exp. Ther. 2000, 294, 339-346
Vesnarinone	17.7	XO	Sanguinetti, M.C. et al. Open Channel Block of HERG K+ Channels by Vesnarinone. Mol. Pharmacol. 2001, 60, 244-253
ziprasidone	0.125	HEK-293	Ekins, S. et al. Three-Dimensional Quantitative Structure-Activity Relationship for Inhibition of Human Ether-a-Go-Go-Related Gene Potassium Channel J. Pharmacol. Exp. Ther. 2002, 301, 427-433
ziprasidone	0.169	CHO	Rampe, D. et al. A comparison of the receptor binding and HERG channel affinities for a series of antipsychotic drugs Eur. J. Pharmacol. 2002, 450, 37-41