

Index

a

- A-420983 179
 A-641593 179
 A-770041 179
 AAL-993 177
 Abelson (Abl) kinase 74ff., 151ff., 177
 – inhibitor 186
 absorption
 – gastrointestinal cell 28
 absorption, distribution, metabolism, and excretion (ADME) issue
 – protein kinase inhibitor in early drug discovery 26
 ACE (angiotensin-converting enzyme)
 – inhibitor 90
 activator protein-1 (AP1) 131
 active analogue approach (AAA) 92
 acute myelogenous leukemia (AML) 116
 adenine binding region 104
 adenovirus 327
 AEB071 126
 AEE788 120
 AEW541/NPV-AEW541 120
 affinity chromatography
 – immobilized kinase inhibitor 63
 – kinase inhibitor 97
 AG013736 116
 AG024322 127
 Akt (Akt1)/ PKB (protein kinase B) 129
 Akt/PDK-/Flt3 multiple target inhibitor 117
 allosteric kinase inhibitor 101
 allosteric site 17
 AlphaScreen-based Surefire technology 58
 AMG-548 292
 AMG706 121
 2-aminobenzimidazole 172
 2-aminobenzoxazole 172
 2-aminoquinazoline 176ff.

- 3-amino-6,11-dihydro-dibenzo[*b,e*]thiepin-11-one 295
 3-amino-tetrahydropyrrolo[3,4-*c*]pyrazole 217
 amino-thiazolo acetanilide quinazolines 208
 AMN107 118, 170
 analogue-sensitive kinase allele (ASKA)
 71ff.
 – application in molecular biology 76
 anilinoquinazoline 203
 antibody-based detection 56
 antihypertensive drug 90
 antiviral activity 338
 – PCI 310, 327
 antiviral target
 – cellular protein kinase 305ff.
 ARQ197 123
 ARRY142886 (AZD6244) 126
 ARRY438162 125
 N-aryl-*N'*-pyrazolylurea 287
 ASKA kinase 71ff.
 ASKA ligand–kinase pair
 – engineering 71
 ASKA EGFR 73
 ASKA Src 72ff.
 AT9283 128, 220, 250
 ATP 94
 – competition 47, 102
 ATP analogues 72
 ATP binding cassette (ABC) transporter 33ff.
 – ABCB1 (P-gp) 27ff.
 – ABCC2 36
 – ABCG2 36, 119
 ATP binding site 91ff.
 ATP concentration 10ff., 48
 ATP site specificity 236
 ATP-competitive inhibitor 97, 147, 281

- Aurora kinase 96, 127
 – Aurora A (AurKA, AKA) 99, 151, 175, 196ff., 243
 – Aurora B (AurKB, AKB) 175, 196ff., 243
 – Aurora C (AKC) 196ff., 244
 – biological role 195f.
 – cancer 196
 – X-ray crystal structure 221
 Aurora kinase inhibitor 118ff., 175, 195ff., 242ff.
 – clinical trial 248
 – *in vitro* phenotype 197
 – screening 244
 – structure-guided design 244
 – treatment for cancer 195ff.
 AV951 116
 AX14585 356
 AX20017 356
 Axitinib (AG013736) 116
 AZD0530 123
 AZD1152 202ff., 219, 249
 AZD2171 116
 AZD6244 126
- B
 back pocket 146ff.
 back-to-back design strategy 155, 169
 back-to-front design strategy 155, 166
 BAY43-9006 89, 245
 Bcr-Abl (breakpoint cluster region–Abelson murine leukemia viral oncogene homologue) 54, 60, 80, 118
 – inhibitor 91ff.
 – kinase 92
 – tyrosine kinase inhibitor 89, 118
 BCRP (ABCG2) 36, 119
 5-benzimidazol-1-yl-3-aryloxy-thiophene-2-carboxamides 256
 benzothiophene 166
 benzthiazole PLK1 inhibitor 254
 BEZ235 129
 BGT226 129
 BI1489 245
 BI2536 256f.
 1*H*,1'*H*-[2,3'] biindolylidene-3,2'-dione 3-oxime 326
 binding affinity 15
 bioactive conformation 92
 biochemical kinase assay 4
 – dependence on the kinase concentration 12
 – identification of substrate 4
 – linearity between signal and kinase concentration 4
 – optimization of reaction buffer 6ff.
- signal linearity throughout the reaction time 12
 – validation by measurement of IC₅₀ of reference inhibitor 15
 biomolecular interaction analysis
 – surface plasmon resonance (SPR)-based 19
 biosensor
 – genetically encoded 61
 biphenyl amide (BPA) 124
 BIRB-796 15ff., 49, 89, 101, 118ff., 148ff., 166, 245, 277ff.
 BMS354825 118
 BMS387032/SNS032 127
 BMS536924 120
 BMS582949 124
 BMS599626 120
 Bosutinib (SKI606) 123
 BRET (bioluminescence resonance energy transfer) technology 58
 BRK 99
 Btk 77
 Bub1 99
 bump-and-hole approach 70

c

- Caco-2 cell 32ff.
 CAL101 130
 CaMKII 99
 cancer
 – treatment with Aurora kinase inhibitor 195ff.
 Canertinib 275
 Captopril 90
 CARDIAK 99
 casein kinase 2 (CK2) 133
 catalytic site inhibitor 234
 CD117 121
 – inhibitor 121
 CD135 116
 CDC25c 250
 Cediranib (AZD2171) 116, 121
 cell cycle
 – oncology 231
 cell line
 – genetically engineered 60
 cell permeability 52
 cellular kinase assay 45ff.
 – drug discovery application 46
 – measurement of activity 55
 cellular kinase concentration 53
 cellular kinase inhibition 53
 cellular protein kinase 305
 – antiviral target 305ff.
 CEP701 116, 130

- CGP57148B 94
 CGP79787/CGP79787D 116
 chemical genetics 71
 Chemical Validation Library (CVL) 99
 Cheng–Prusoff equation 10, 48
 CHIR258/TKI258 116ff.
 CHIR-265 172
 2-(2-chloro-phenyl)-5,7-dihydroxy-8-(3-hydroxy-1-methyl-piperidin-4-yl)-chromen-4-one 326
 chronic myeloid leukemia (CML) 115
 CI1033 118, 339
 CI1040 49, 125f.
 CL-387785 51
 Cla4 75
 combination therapy
 – PCI 336
 comparative molecular field analysis (CoMFA) 93
 comparative molecular moment analysis (CoMMA) 93
 comparative molecular similarity analysis (CoMSIA) 93
 competition
 – ATP 47
 conivaptan 125
 CP547632 116
 CP690550 130
 CP724714 120
 CSK 99
 CTV-313 327
 CYC116 116, 250
 CYC202 127
 Cyclacel 127
 cyclin groove inhibitor (CGI) 240
 cyclin-dependent kinase (CDK) 127, 233f., 310f.
 – CDK1 310ff., 330f.
 – CDK2 71, 92, 236ff., 310ff., 330ff.
 – CDK3 311ff.
 – CDK4 236ff., 310ff.
 – CDK5 236, 310ff., 330f.
 – CDK6 151, 236, 310ff.
 – CDK7 236, 310ff., 330f.
 – CDK8 310ff., 331
 – CDK9 133, 310ff., 331ff.
 – CDK10 310ff.
 – CDK11 310ff.
 – CDK12 310ff.
 – CDK13 310
 – family 48
 – inhibiting 239
 – inhibition of CDK–cyclin association 242
 – property 310f.
 – small-molecule inhibitor 233ff.
 cyclin-dependent kinase inhibitor (PCI) 305ff., 323ff.
 – combination therapy 336
 – herpes virus 330
 – HIV 332ff.
 – property 310f.
 – specificity 312ff.
 – viral pathogenesis 337
 cytochrome P450 enzyme (CYP450) 27ff., 283
 – isoform 35
 – measuring inhibition 39
 cytokine suppressive anti-inflammatory drug (CSAID) 275
 cytokine suppressive anti-inflammatory drug binding protein (CSPB), *see* p38 MAP kinase
- d**
- dasatinib (BMS354825) 118, 145ff.
 deep pocket (DP) 17, 146ff.
 – design principle 145ff.
 deep pocket binder 148
 deep pocket binding inhibitor 25
 DELFIA (dissociation enhanced lanthanide fluorescent immunoassay) method 57
 design strategy
 – comparative analysis 180
 DFG (Asp-Phe-Gly) motif 150
 – DFG-*in* conformation 79, 153
 – DFG-*out* conformation 17ff., 79, 153
 N,N'- diarylurea-based inhibitor 286
 dibenzo[*a,d*]cyclohepten-5-ones 295
 6,11-dihydro-dibenzo-[*b,e*]oxepin-11-ones
 – phenylamino-substituted 295
 dihydropyrimidopyrimidinone 160
 Dilmapimod 295ff.
 Dilmapimod tosylate 299
 DiscoveRX's enzyme fragment complementation technology 59
 distribution coefficient 30
 Doramapimod 124
 drug absorption
 – experimental approach 30
 drug design
 – kinase inhibitor for signal transduction therapy 87ff.
 – rational, *see* rational drug design
 drug discovery
 – implication 25
 drug discovery application
 – cellular kinase assay 46
 drug metabolism 34
 – experimental approach 34

- phase I and II processes 34
- drug target 350
- validation by genetic inactivation 351

- e**
- Ef-TU 78
- EGFR (epidermal growth factor receptor) 18, 73ff., 89, 118
 - inhibitor 91
 - EGFR/HER2 kinase inhibitor 119
 - EKB569 118
 - electrochemiluminescent label 57
 - ELISA (enzyme-linked immunosorbent assay) method 56
 - enzastaurin 126
 - enzyme
 - chemically knock out 70
 - enzyme donor (ED) peptide fragment 79
 - enzyme fragment complementation (EFC) technology 79
 - EO1606 294
 - EphB4 (ephrin receptor) 99
 - epidermal growth factor receptor, *see* EGFR
 - Epstein–Barr virus (EBV) 327ff.
 - equilibrium ionization coefficient 31
 - ERK1 kinase 51ff., 124
 - ERK2 kinase 51ff., 124
 - erlotinib (TarcevaTM) 18, 91, 145ff., 164
 - extended pharmacophore modeling 99
 - Extended Validation Library (EVL) 99
 - extracellular enveloped virion (EEV) 339

- f**
- FGFR (fibroblast growth factor receptor) 92, 120
- flavopiridol 97, 127, 326ff.
- FlexX program 90
- Flt (FMS-like tyrosine kinase)
 - Flt-1 177
 - Flt3 60, 116, 151
 - Flt-4 177
- fluorescent labels in kinases (FLiK) 79
- fluorescent probe 21
- Fms/CSFR 151
- c-Fos 60
- ostamatinib (R935788) 130
- FR167653 278
- FRET biosensor 61
- front-to-back design strategy 155ff.
- furopyrimidine 160

- g**
- GAK 99
- gastrointestinal stromal tumor (GIST) 118
- gatekeeper residue 74, 91
- gefitinib (IressaTM) 91ff., 145ff.
- GK00687 168
- Gleevec[®] (Glivec[®], imatinib) 15, 49, 74ff., 89ff., 118ff., 145f., 245, 338f.
- Go6976 305
- GSK690693 129
- GSK1059615 130
- GSK1120212 125
- GSK1363089(XL880) 116
- GW400426 77
- GW572016 18f.
- GW-681323 299
- GW-856553X 295

- h**
- H7 355
- H-89 15
- Hck 179
- hepatic clearance 37
- hepatocyte growth factor (HGF) 122
- HER2 kinase 73, 120
- HER2 tyrosine kinase inhibitor 54
- herpes simplex virus
 - type 1 (HSV-1) 327ff.
 - type 2 (HSV-2) 327
- herpes virus
 - antiviral activity 327
 - PCI 330
- hesperadin 197, 245ff.
- high-content analysis (HCA) 59
- high-content screening 59
- HIV 327ff.
 - PCI 332ff.
- HIVAN (HIV-associated nephropathy) 337
- HKI-272 51, 119
- HOG1 77
- human cytomegalovirus (HCMV) 305, 327ff.
- human T-lymphotropic virus-1 (HTLV-1) 327
- hybrid design strategy 155ff., 173
- hydrogen bond acceptor (HBA) 180
- hydrogen bond donor (HBD) 180
- hydrophobic back pocket 104
- 7-hydroxy-staurosporine 127

- i**
- IC₅₀ 13
- IGF1 receptor (IGF1R) 120
- IGFR insulin-like growth factor receptor 120
- IkB 51
- IkB kinase (IKK) 131
- imatinib (Gleevec[®]) 15, 49, 74ff., 89ff., 118ff., 145f., 245, 338f.
- impedance measurement 62

INCB018424 130
 indirubin-3'-monoxime 326ff.
 indolocarbazole 305
 inner centrosome protein (INCENP) 221,
 243ff.
 infection 132
 inflammation 131
 – kinase inhibitor 131
 inhibitor
 – effect 54
 – preincubation 22
 inositol polyphosphate 5-phosphatase
 (SHIP2) 51
 insulin receptor (IR) 120
 insulin receptor kinase (IRK) 151
 investigational new drug (IND) 99
 ionization
 – measurement 30
 IressaTM 18, 91, 145f.
 1-(5-isoquinolinesulfonyl)-2-methylpiperazine 355

j

JAK (Janus kinase) 130
 – JAK2 kinase 116
 JC virus 327
 JNK (c-Jun N-terminal kinase, MAPK8) 126,
 131, 271ff.
 – Jnk2 99

k

Kaposi's sarcoma herpesvirus (KSHV) 327ff.
 KDR 151, 163ff., 178
 Ki23057 121
 kinase
 – analogue-sensitive 69ff.
 – specifically targeting 78
 – untouchable 108
 kinase activity
 – detergent 9
 – ion 9
 – MgCl₂ and MnCl₂ concentration 9
 – phosphatase inhibitor 9
 kinase family selectivity
 – analysis 62
 kinase family-biased master key concept 105
 kinase inhibition 76
 kinase inhibitor
 – affinity chromatography 97
 – cellular efficacy 47
 – dissection of signaling pathway 46
 – immobilized 63
 – infectious disease 131
 – inflammation 131

– personalized therapy 96
 – screening 45ff.
 – second-generation 105
 – signal transduction therapy 87ff., 115ff.
 – small-molecule, *see* small-molecule kinase
 inhibitor
 – tool 69ff.
 – unusual 15
 kinase signaling
 – compartmentalization 55
 kinase signaling cascade
 – ultrasensitivity 51
 kinase substrate
 – identification 76
 KinaTorTM technology 97ff.
 c-Kit 80, 118, 121, 151
 c-Kit receptor 121
 KIT 121
 KIT/FLT3 inhibitor 118
 KP3721 117
 KRN383 117

l

L-167782 280
 L-786134 280
 label-free assay 62
 lapatinib (TykerbTM) 15ff., 89ff., 145ff., 169ff.
 large T antigen (T Ag) protein 335f.
 lck (lymphocyte-specific kinase) 92, 151,
 175ff.
 LeapFrog 90
 lestaurtinib (CEP701) 116, 130
 ligand efficiency (LE) 180ff.
 ligand-based drug design 92
 Lipinski's rule of five 28
 lipophilicity 31
 – measurement 30
 liver clearance 37
 losmapimod (8565533) 124, 295
 luminox technology 57ff.
 LY294002 51, 73, 254ff.
 LY317615/enzastaurin 126
 LY333531/Ruboxistaurin 126
 Lyn 99

m

Madin-Darby canine kidney cell (MDCK) 32
 magic methyl 154ff.
 MAPK (mitogen-activated protein
 kinase) 131
 – pathway 60, 121
 maribavir 305
 master key concept 102
 Master Library (ML) 99

- MEK 273
 – MEK1 77
 – MEK2 51
 Met (mesenchymal-epithelial transition factor) 99, 122
 metabolic stability
 – measurement 37
 5-methylisoxazole flavopiridol derivative 333
N-methylpiperidinyl-imidazole 283
 4-(4-methyl-piperazin-1-ylmethyl)-N-[4-methyl-3-(4-pyridin-3-ylpyrimidin-2-ylamino)-phenyl]-benzamide 338
 mHOG1, *see* p38 MAP kinase
 Michaelis–Menten constant 10
 Michaelis–Menten equation 13
 Midostaurin/PKC412 126
 mitoxantrone 355
 mixed lineage kinase (MLK) 274
 MK-0457 (VX-680) 118ff., 198, 214ff., 249
 MK5108 128, 249
 ML3163 278
 MLN8054 202, 219, 250
 MLN8237 128
 morin 254
 Motesanib (AMG706) 121
 MPAQ 160ff.
 MRP2 (ABCC2) 36
 Msk kinase 73
 mTOR 48
 multiple target kinase inhibitor 102
 multiplexing 57ff.
 multitargeted drug 97
Mycobacterium tuberculosis 349ff.
 – phosphosignaling networks 349ff.
Mycobacterium tuberculosis STPK 351
 – inhibitor 355
 MyD88 (myeloid differentiation 88) 131
- n**
 NA-PP1 73ff.
 naphthoylamide 169
 NCI-H460 256
 NCT00090987 338
 Neratinib (HKI272) 119
 Nested Chemical LibraryTM (NCL)
 technology 99
 3DNET4WTM software 99
 NexavarTM 145ff.
 NF-kB 51, 131f.
 NGIC-I 305
 nilotinib (AMN107, TasignaTM) 118ff., 145ff., 169
 NM-PP1 73ff.
 non-ATP binding site-directed kinase inhibitor 101
 non-ATP competitive inhibitor 148
 NPM-Alk 60
 NPV-AEW541 120
 NPV-AFG210 169
 number of rotatable bond (NROT) 180
 NVP-AEG082 177
- o**
 olomoucine 327
 ON 01910.Na 257
 ON012380 50
 oncology
 – cell cycle 231
 OPC-67683 350
 orthogonal ligand 71
 orthogonal receptor pair 71
 OSI-774 18
 oxalylamino-methylene-thiophene sulfonamide (OMTS) 357
- p**
 P-glycoprotein (P-gp, MDR1, ABCB1) 27ff.
 p21-activated kinase (PAK) Cla4 75
 p38 MAP kinase (p38 MAPK, CSPB, mHOG1, SAPK2) 21, 49, 92ff., 123ff., 271ff.
 – first-generation inhibitor 278
 – inhibitor 89, 101, 118, 275
 – medicinal chemistry approach for the inhibition 271ff.
 p38 α MAP kinase (MAPK14) 20, 49, 151ff., 167ff., 271ff., 287ff.
 – inhibitor 124, 164ff.
 p38 β MAP kinase 272
 – inhibitor 293f.
 p38 γ MAP kinase 281
 p38 δ MAP kinase 281
 P276-00 127
 P1446A-05 127
 PA-824 350
 Pamapimod 300
 pan-kinase inhibitor 99
 pan-tyrosine kinase inhibitor 97
 parallel artificial membrane assay (PAMPA) 32
 partition coefficient (P) 30
 passive diffusion 28
 PCI, *see* cyclin-dependent kinase inhibitor
 PD089828 125
 PD166866 125
 PD168393 73
 PD173074 121

- PD173955 236
 PD180970 97
 PD0183812 237
 PD184352 125
 PD0325901 126
 PD0332991 127, 238f.
 PDGF receptor tyrosine kinase family
 168
 permeability
 – measurement 31
 personalized therapy
 – kinase inhibitor 96
 PG-1009247 180
 Ph797804 124
 PHA665752 123
 PHA-680626 245ff.
 PHA-680632 198, 215ff., 245ff.
 PHA-739358 128, 198, 215ff.
 pharmacodynamic (PD) parameter 26
 Phe pocket 146
 phenylaminopyrimidine (PAP) 160
 phospho-p38 60
 phosphohistone 60
 phosphorylation network 69ff.
 PI3K (phosphoinositide 3-kinase) 129ff.
 – inhibitor 73, 252
 PI3K/Akt 133
 PI3 kinase/Akt/mTOR pathway 60
 piperidin-4-yl-imidazoles 283
 PKA (cAMP-activated/dependent protein kinase) 58, 71, 92, 233
 PKB (protein kinase B) 129
 PKC (protein kinase C) 126
 – inhibitor 160
 PKC412 126
 PKI166 120
 PknG 133
 platelet-derived growth factor receptor (PDGFR) 118ff.
 – α (PDGFR α) 80
 PLK 250
 polar surface area (PSA) 180
 polo-box domain (PBD) 251ff.
 polo-like kinase (PLK) 250
 – inhibitor 250ff.
 – PLK1 250f.
 – small-molecule inhibitor 252ff.
 Poloxin 259
 poloxipan 259
 PP2 54
 protein function
 – switch off 69
 protein kinase
 – antiviral target 305ff.
 – cellular 305
 protein kinase inhibitor
 – anticancer drug development 231
 – antiviral activity 338
 – classification 148
 – design 231ff.
 – design principles for targeting 145ff.
 – discovery 231ff.
 protein phosphatase
 – drug target 350
 protein tyrosine phosphatase (PTP)
 350ff.
 PS540446 124
 PTEN 51
 PTK787 116
 pure peptide binding site inhibitor 101
 purpurogallin 259
 purvalanol 332
 purvalanol A 252
 PX866 129
 pyrazole acetanilide inhibitor 212
 pyrazolo acetanilide quinazolines 208
 pyrazolopyrimidines 179
 pyridinyl-imidazole inhibitor 278
 pyridodiazines 160
 pyridotriazine 160
 pyridylether 160
 pyrimidinoquinazoline 205ff.
 pyrrolopyrimidine 179
- q**
- quantitative structure–activity relationship (QSAR) model 92f.
 – 3D 92
 – prediction-oriented 99f.
 quercetin 254
- r**
- R112 130
 R763 250
 R788/fostamatinib (R935788) 130
 R1487 299
 Rad51 77
 Rad54 77
 B-Raf kinase 151, 168
 C-Raf 168
 RAF-265 172
 RANTES 336
 rapamycin 50
 rational drug design
 – concept 88
 – kinase inhibitor for signal transduction therapy 87ff.
 RDEA119 125

- receptor tyrosine kinase (RTK) 145
 receptor-ligand complex 17
 Red1 77
 reporter displacement assay 22
 residence time 15
 retinoid X receptor (RXR) 78
 retrodesign approach 159
 ribofuranosyl benzimidazole 305
 ribose binding pocket 104
 RIP2 kinase (RICK, RIPK2, RIP2) 54, 99
 RO3201195 288ff.
 Ro5126766 125
 Rock II 6ff.
 roscovitine 325ff.
R-roscovitine 127
 Rsk1, Rsk2 73
 Ruboxistaurin 126
 rule of five 28
 RWJ67657 283f.
- s**
- SB1518 130
 SB202190 123
 SB203580 49ff., 94, 123, 163, 272ff.
 SB210313 282
 SB235699 282f.
 SB242235 123, 283ff.
 SB610677 295
 SB681323 123, 295ff.
 SB856553 (GW-856553X) 295
 SCIO323 124, 294
 SCIO469 124, 293
 SD06 288
 selectivity pocket 146
 seliciclib 127, 326
 Semaxinib (SU5416) 116
 serine/threonine protein kinase (STPK) 350ff.
 – drug target 350
 – function 352
 – mechanism 352
 – substrate 352
 signal transduction therapy
 – rational drug design of kinase inhibitor 87ff.
 SILAC (stable isotope labeling by amino acids) 62f.
 SKF86002 278ff.
 SKI606 123
 small-molecule inhibitor
 – cyclin-dependent kinase 233
 – structure-guided design 233
 small-molecule kinase inhibitor
 – *in vitro* characterization 3ff.
- pharmacokinetic (PK) behaviour 3
 solubility 31
 – measurement 30
 sorafenib (NexavarTM) 15, 49, 115ff., 145ff., 166
 SP006125 355
 SP600125 126
 SprycelTM 118, 145f.
 SR144528 125
 Src 72, 123
 – kinase 92
 staurosporine 252
 STI-571 55, 94, 236ff.
 stress-activated protein kinase 2 (SAPK2),
see p38 MAP kinase
 structure-based drug design (SBDD) 89
 – 3D 89
 SU5402 121
 SU5416 116
 SU6668 116
 SU11248 115ff.
 SU11271 123
 SU11274 123
 substrate activity screening (SAS) 357f.
 substrate phosphorylation 54
 – level 51
 sunitinib (SU11248, SutentTM) 115ff., 145ff.
 surface plasmon resonance (SPR) 19
 surface-exposed front area 104
 SX011 293
 Syk (spleen tyrosine kinase) 130ff.
- t**
- TAK715 124, 292
 Tandutinib 116
 TarcevaTM (erlotinib) 18, 91, 145ff., 164
 target
 – fishing 97
 – preincubation 22
 – selection 93
 target family-biased master key concept 102
 TasignaTM 145f.
 TG100-115 129
 TG101348 130
 Tie-2 (tunic internal endothelial cell receptor) kinase 151, 163ff.
 TKI258 116ff.
 TMC207 350
 Tozasertib 249
 transporter assay
 – P-gp interaction 33

- transporter protein 28
 triphosphate binding region 104
 tuberculosis (TB) therapeutics 349ff.
 Tykerb™ 145f.
 type II inhibitor 79, 148ff.
 – design strategy 155
 – property 184f.
 tyrphostin 101
- u**
 UCN01 (7-hydroxy-staurosporine) 127
 UDP glucuronyl transferase (UGT) 35ff.
 ultrasensitivity
 – kinase signaling cascade 51
 uridine 5'-diphosphoglucuronic acid (UDPGA) 35
- v**
 validation 93
 vandetanib 116ff.
 varicella-zoster virus (VZV) 327ff.
 vatalanib 116, 177
 VEGF (vascular endothelial growth factor) 168
 VEGFR (VEGF receptor) 92, 115, 172f.
 viral pathogenesis
 – PCI 337
 VX509 130
 VX680 (MK-0457) 118ff., 198, 214ff., 249
 VX689 (MK5108) 128, 249
 VX702 124, 294
- VX745 124, 294ff.
 VX-745-like compound 297
- w**
 washout experiment 18
 WHI-P97 130
 WO00017175 294
 WO09958502 294
 WO2004072038 294
 WO2006/134382A1 296
 wortmannin 53, 252
- x**
 xenobiotics 36
 XL019 130
 XL147 130
 XL184 123
 XL418 129
 XL518 125
 XL765 130
 XL880 116
- y**
 Y-27632 15
 Yes 99
- z**
 Zactima/ZD6474 116
 ZD-1839 18
 ZK222584 116
 ZM447439 (ZM) 197ff., 249

