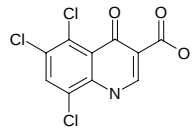
KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

5,6,8-Trichloro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid

New Protein Kinase CK2 inhibitor from OTAVA with IC50 = 0.3 µM



Chemical Formula: $C_{10}H_4Cl_3NO_3$

Molecular Weight: 292.5073

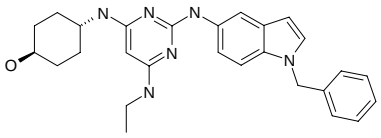
OTAVA catalog No	CAS_RN
0107830108	**302553-01-1**

Golub et al. Evaluation of 3-Carboxy-4(1H)-quinolones as Inhibitors of Human Protein Kinase CK2. Journal of Medicinal Chemistry (2006), 49, 6443-6450

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

trans-4-[[6-(Ethylamino)-2-[[1-(phenylmethyl)-1H-indol-5-yl] amino]-4-pyrimidinyl]amino]-cyclohexanol (CINK4)

Inhibitor of Cyclin-dependent Kinase 4



Chemical Formula: $C_{27}H_{32}N_6O$

Molecular Weight: 456.5957

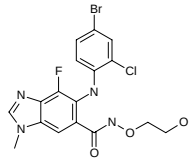
OTAVA catalog No	CAS_RN
1112092	**359886-84-3**

Soni et al. Selective in vivo and in vitro effects of a small molecule inhibitor of cyclin-dependent kinase 4. Journal of the National Cancer Institute (2001), 93, 436-446

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-N-(2-hydroxyethoxy)-1-methyl-1H-benzimidazole-6-carboxamide; ARRY-142886 (AZD6244)

Selective inhibitor of MEK1/2 (IC50 = 14nM)



Chemical Formula: $C_{17}H_{15}BrClFN_4O_3$

Molecular Weight: 457.6895

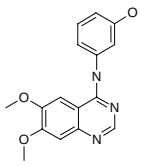
OTAVA catalog No	CAS_RN
1183194	**606143-52-6**

Tammie et al. Biological Characterization of ARRY-142886 (AZD6244), a Potent, Highly Selective Mitogen-Activated Protein Kinase Kinase 1/2 Inhibitor. Clinical Cancer Research (2007) 13, 1576-1583

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

3-[(6,7-Dimethoxy-4-quinazolinyl)amino]-phenol (Janex 3; WHI-P180)

Inhibitor of Cyclin-dependent Kinase 2 (IC50 = 1µM)



Chemical Formula: $C_{16}H_{15}N_3O_3$

Molecular Weight: 297.3163

OTAVA catalog No	CAS_RN
7015070102	**211555-08-7**

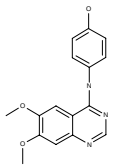
Shewchuk et al. Binding mode of the 4-anilinoquinazoline class of protein kinase inhibitor: X-ray crystallographic studies of 4-anilinoquinazolines bound to cyclin-dependent kinase 2 and p38 kinase. Journal of Medicinal Chemistry (2000), 43, 133-138

Chen et al. Pharmacokinetics and biologic activity of the novel mast cell inhibitor, 4-(3'-hydroxyphenyl)-amino-6,7-dimethoxyquinazoline in mice. Pharmaceutical Research (1999), 16, 117-122

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

4-[(6,7-Dimethoxy-4-quinazolinyl)amino]-phenol (4-(4'-Hydroxyphenyl)amino-6,7-dimethoxyquinazoline; JANEX-1; WHI-P131)

Janus Kinase 3 inhibitor



Chemical Formula: $C_{16}H_{15}N_3O_3$

Molecular Weight: 297.3163

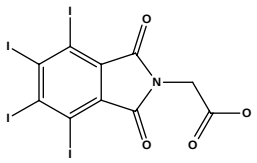
OTAVA catalog No	CAS_RN
7015070103	**202475-60-3**

D'Cruz et al. Targeting mast cells in endometriosis with Janus kinase 3 inhibitor, JANEX-1. American Journal of Reproductive Immunology (2007), 58, 75-97

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

4,5,6,7-Tetraiodo-1,3-dioxo-2-isoindolineacetic acid

New Protein Kinase CK2 inhibitor from OTAVA with IC50 = 0.3 µM



Chemical Formula: $C_{10}H_3I_4NO_4$

Molecular Weight: 708.7573

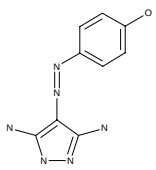
OTAVA catalog No	CAS_RN
7015980251	**19231-60-8**

Golub et al. Evaluation of 4,5,6,7-tetrahalogeno-1H-isoindole-1,3(2H)-diones as inhibitors of human protein kinase CK2. Biochimica et Biophysica Acta (2008), 1784, 143-149

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

4-(3,5-Diamino-1H-pyrazol-4-ylazo)-phenol (CAN508)

CDK9 Inhibitor, IC50 = 0.35 µM



Chemical Formula: $C_9H_{10}N_6O$

Molecular Weight: 218.2197

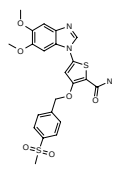
OTAVA catalog No	CAS_RN
7020402317	**140651-18-9**

Krystof et al. 4-Arylazo-3,5-diamino-1H-pyrazole CDK Inhibitors: SAR Study, Crystal Structure in Complex with CDK2, Selectivity, and Cellular Effects. Journal of Medicinal Chemistry (2006), 49, 6500-6509

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

5-(5,6-Dimethoxy-1H-benzimidazol-1-yl)-3-[[4-(methylsulfonyl)phenyl]methoxy]-2-thiophenecarboxamide

IKK-e Kinase inhibitor



Chemical Formula: $C_{22}H_{21}N_3O_6S_2$

Molecular Weight: 487.5572

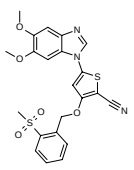
OTAVA catalog No	CAS_RN
7020402323	**916985-21-2**

Bamborough et al.
5-(1H-Benzimidazol-1-yl)-3-alkoxy-2-thiophenecarbonitriles as potent, selective, inhibitors of IKK-e kinase. Bioorganic & Medicinal Chemistry Letters (2006), 16, 6236-6240

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

5-(5,6-Dimethoxy-1H-benzimidazol-1-yl)-3-[[2-(methylsulfonyl)phenyl]methoxy]-2-thiophenecarbonitrile

Potent and selective inhibitor of IKK-e Kinase



Chemical Formula: $C_{22}H_{19}N_3O_5S_2$

Molecular Weight: 469.5418

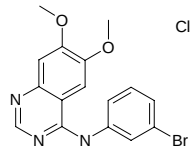
OTAVA catalog No	CAS_RN
7020402324	**862812-98-4**

Bamborough et al.
5-(1H-Benzimidazol-1-yl)-3-alkoxy-2-thiophenecarbonitriles as potent, selective, inhibitors of IKK-e kinase. Bioorganic & Medicinal Chemistry Letters (2006), 16, 6236-6240

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

N-(3-Bromophenyl)-6,7-dimethoxy-4-quinazolinamine hydrochloride (AG 1517; NSC 669364; PD 153035; SU 5271; WHI-P79)

An extremely potent inhibitor of EGFR Kinase, with an IC50 of 25 pM. Inhibits other purified tyrosine kinases only at micromolar or higher concentrations



Chemical Formula: $C_{16}H_{15}BrClN_3O_2$

Molecular Weight: 396.6739

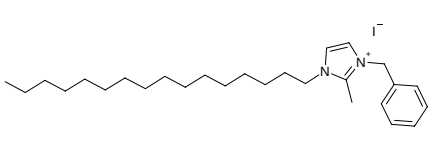
OTAVA catalog No	CAS_RN
7020540711	**153436-54-5**

Grunt et al. An EGF receptor inhibitor induces RAR-b expression in breast and ovarian cancer cells. Biochemical and Biophysical Research Communications (2005), 329, 1253-1259

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

1-Hexadecyl-2-methyl-3-(phenylmethyl)-1H-imidazolium iodide (NH125)

eEF-2 Kinase inhibitor (inhibitor of Eukaryotic Elongation Factor 2 Kinase against human cancer cell lines & potent antibacterial agent against drug-resistant bacteria)



Chemical Formula: $C_{27}H_{45}IN_2$

Molecular Weight: 524.5775

OTAVA catalog No	CAS_RN
7070707012	**278603-08-0**

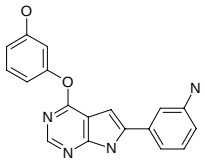
Arora et al. Identification and Characterization of an Inhibitor of Eukaryotic Elongation Factor 2 Kinase against Human Cancer Cell Lines. Cancer Research (2003), 63, 6894-6899

Yamamoto et al. Identification and characterization of a potent antibacterial agent, NH125, against drug-resistant bacteria. Bioscience, Biotechnology, and Biochemistry (2000), 64, 919-923

KINASE INHIBITORS SET FROM **OTAVA** (October 2008)

3-[[6-(3-aminophenyl)-1H-pyrrolo[2,3-d]pyrimidin-4-yl]oxy]-phenol (TWS119)

Inhibitor of Glycogen Synthase Kinase-3 (GSK-3)



Chemical Formula: $C_{18}H_{14}N_4O_2$

Molecular Weight: 318.3379

OTAVA catalog No	CAS_RN
7070707013	**601514-19-6**

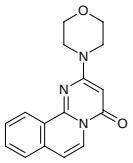
Dessalew et al. Investigation of potential glycogen synthase kinase 3 inhibitors using pharmacophore mapping and virtual screening. Chemical Biology & Drug Design (2006), 68, 154-165

Ding et al. Synthetic small molecules that control stem cell fate. Proceedings of the National Academy of Sciences of the United States of America (2003), 100, 7632-7637

KINASE INHIBITORS SET FROM OTAVA (October 2008)

2-(4-Morpholinyl)-4H-Pyrimido[2,1-a]isoquinolin-4-one (Compound 401)

Inhibitor of DNA-dependent Protein Kinase (DNA-PK)



Chemical Formula: $C_{16}H_{15}N_3O_2$

Molecular Weight: 281.3169

OTAVA catalog No	CAS_RN
7070707024	**168425-64-7**

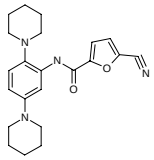
Ballou et al. Inhibition of Mammalian Target of Rapamycin Signaling by 2-(Morpholin-1-yl)pyrimido[2,1-a]isoquinolin-4-one. Journal of Biological Chemistry (2007), 282, 24463-24470

Griffin et al. Selective benzopyranone and pyrimido[2,1-a]isoquinolin-4-one inhibitors of DNA-dependent protein kinase: Synthesis, structure-activity studies, and radiosensitization of a human tumor cell line in vitro. Journal of Medicinal Chemistry (2005), 48, 569-585

KINASE INHIBITORS SET FROM OTAVA (October 2008)

5-Cyano-N-(2,5-di-1-piperidinylphenyl)-2-furancarboxamide

cFMS Receptor Tyrosine Kinase inhibitor



Chemical Formula: $C_{22}H_{26}N_4O_2$

Molecular Weight: 378.4781

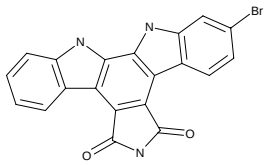
OTAVA catalog No	CAS_RN
7070707032	**959626-45-0**

Player et al. Potent 2'-aminoanilide inhibitors of cFMS as potential anti-inflammatory agents. Bioorganic & Medicinal Chemistry Letters (2007), 17, 6070-6074

KINASE INHIBITORS SET FROM OTAVA (October 2008)

2-Bromo-12,13-dihydro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione

Cyclin D1-CDK4 inhibitor



Chemical Formula: $C_{20}H_{10}BrN_3O_2$

Molecular Weight: 404.2256

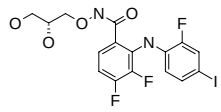
OTAVA catalog No	CAS_RN
7070707035	**546102-60-7**

Zhu et al. Synthesis, Structure-Activity Relationship, and Biological Studies of Indolocarbazoles as Potent Cyclin D1-CDK4 Inhibitors. Journal of Medicinal Chemistry (2003), 46, 2027-2030

KINASE INHIBITORS SET FROM OTAVA (October 2008)

N-[(2R)-2,3-Dihydroxypropoxy]-3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]-benzamide; PD-0325901

Mitogenic Extracellular Kinase 1/2 (MEK1/2) inhibitor



Chemical Formula: $C_{16}H_{14}F_3IN_2O_4$

Molecular Weight: 482.2006

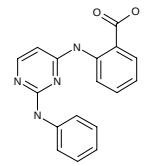
OTAVA catalog No	CAS_RN
7070707062	**391210-10-9**

Brown et al. Pharmacodynamic and toxicokinetic evaluation of the novel MEK inhibitor, PD0325901, in the rat following oral and intravenous administration. Cancer Chemotherapy and Pharmacology (2007), 59, 671-679

KINASE INHIBITORS SET FROM OTAVA (October 2008)

2-(2-Phenylamino-pyrimidin-4-ylamino)-benzoic acid

Inhibitor of Mitogen-activated Protein Kinase 8 (MAPK8, JNK1)



Chemical Formula: $C_{17}H_{14}N_4O_2$

Molecular Weight: 306.3267

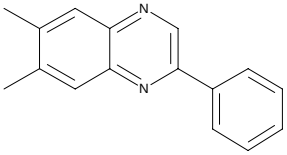
OTAVA catalog No	CAS_RN
7114970004	

Liu et al. Discovery of a new class of 4-anilinopyrimidines as potent c-Jun N-terminal kinase inhibitors: Synthesis and SAR studies. Bioorg Med Chem Lett. (2007), 17, 668-72.

KINASE INHIBITORS SET FROM OTAVA (October 2008)

6,7-Dimethyl-2-phenyl-quinoxaline (Tyrphostin AG 1295)

Selective inhibitor of Platelet-derived Growth Factor Receptor Kinase (PDGFR TK)



Chemical Formula: $C_{16}H_{14}N_2$

Molecular Weight: 234.3034

OTAVA catalog No	CAS_RN
7216050555	

Kovalenko et al. Selective platelet-derived growth factor receptor kinase blockers reverse sis-transformation. Cancer Res. (1994), 54(23):6106-14