

Neurochemicals

Newsletter

Newsletter 9/2009

New Products for Neurosciences Research

Page 2

- BG0510 **Bepriidil** - Non-selective calcium channel antagonist
- BG0511 **Combretastatin A4** - Vascular disrupting agent (VDA), antitumour agent
- BG0512 **Betahistine dihydrochloride** - Histamine H₁ receptor agonist
- BG0513 **Desloratadine** - Histamine H₁ antagonist, metabolite of loratadine

Page 3

- BG0514 **Repaglinide** - ATP-dependent K⁺ channel (K_{ATP}) inhibitor
- BG0515 **Melperone hydrochloride** - 5-HT_{2A} and dopamine D₂ receptor antagonist
- BG0516 **Atovaquone** - Antipneumocystic and antiparasitic agent
- BG0517 **Rabeprazole sodium** - H⁺,K⁺-ATPase (proton pump) inhibitor

Page 4

- BG0518 **Acrivastine** - Histamine H₁ antagonist
- BG0519 **Trandolapril** - Angiotensin-converting enzyme (ACE) inhibitor, antihypertensive agent
- BG0520 **Propentofylline** - Adenosine receptor antagonist, PDE inhibitor
- BG0522 **Thiocolchicoside** - Potent GABA_A receptor antagonist

Page 5

- BG0523 **Entacapone** - Catechol-O-methyl transferase (COMT) inhibitor
- BN0749 **5'-Iodoresiniferatoxin** - Potent vanilloid VR1 antagonist
- BN0750 **MK 571 sodium salt** - CysLT1 (LTD₄) leukotriene inverse agonist
- BN0751 **Edaravone** - A radical scavenger and antioxidant

Page 6

- BN0752 **IDE activator 1** - Small-molecule IDE activator; shows an activation of β -Amyloid degradation by 700%
- BN0753 **IDE activator 2** - Small-molecule IDE activator; shows an activation of β -Amyloid degradation by 400%
- BN0754 (-)-**Cytisine** - Potent neuronal nicotinic receptor agonist
- BN0756 **VU 0155041 sodium salt** - Water-soluble positive allosteric modulator (PAM) at mGluR₄ receptors

Page 7

- BN0757 **7-Ketolithocholic acid** - 7-Keto metabolite of lithocholic acid
- BP0382 **CGP 42112** - Selective, high affinity angiotensin AT₂ ligand
- BS0260 **PD 146176** - Potent and selective 15-lipoxygenase (15-LOX) inhibitor
- BS0261 **Lestaurtinib** - A potent JAK2, FLT3 and TrkA inhibitor

Page 8

- BS0262 **Zileuton** - 5-Lipoxygenase (5-LOX) inhibitor
- BS0263 **Edelfosine** - Selective PC-PLC inhibitor
- BS0264 **Locostatin** - Raf kinase inhibitor protein (RKIP) inhibitor
- BS0265 **TMCB** - Selective Casein kinase 2 (CK2) inhibitor

...distributed by:

BIO
TREND

SMS-Gruppen
Bolbrovej 11
DK-2960 Rungsted
Tel. +45 45 86 44 00
Fax. +41 45 86 48 81
mail@sms-gruppen.dk
www.sms-gruppen.dk

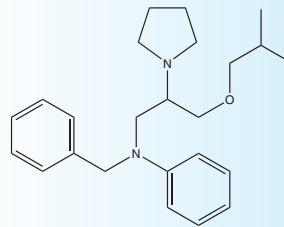
Bepidil

Cat.No.	Size	Price €
BG0510	50 mg	375,00

A non-selective calcium channel antagonist with direct negative chronotropic, dromotropic, inotropic and vasodilatory actions which reduces myocardial oxygen consumption and increases coronary blood flow. It has been discussed as a possible option in the treatment of atrial fibrillation.

Reference

1. Coumel et al. (1993) *Fundam Clin Pharmacol* 7:61;
2. Fujiki et al. (2006) *Circ J* 70:1138;
3. Takahara et al. (2008) *Eur J Pharmacol* 596:127;
4. Imai et al. (2008) *Circ J* 72:709



N-Benzyl-*N*-(3-isobutoxy-2-pyrrolidin-1-yl-propyl)aniline; Vascor; Bepadin

M.W. 366.54 $C_{24}H_{34}N_2O$
[64706-54-3] Store at RT
Soluble to 100 mM in DMSO

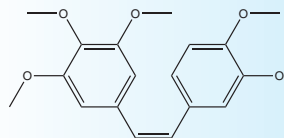
Combretastatin A4

Cat.No.	Size	Price €
BG0511	10 mg	140,00

A natural stilbenoid phenol and vascular disrupting agent (VDA) that targets tumour vasculature to inhibit angiogenesis. It inhibits tubulin polymerization at the colchicine-binding site of β -tubulin ($IC_{50} = 2-3 \mu M$). It has antitumour activity by inhibiting AKT function in human gastric cells. Used alone, it induces extensive necrosis in a wide variety of preclinical cancer models and significant blood flow reductions in the patient tumours.

Reference

1. Dorr et al. (1996) *Invest New Drugs* 14:131;
2. Griggs et al. (2001) *Int J Oncol* 19:821;
3. Lin et al. (2007) *J Pharmacol Exp Ther* 323:365;
4. Siemann et al. (2009) *Expert Opin Investig Drugs* 18:189



2-Methoxy-5-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]phenol; CA4; CRC 87-09

M.W. 316.35 $C_{18}H_{20}O_5$
[117048-59-6] Desiccate at $-20^\circ C$
Soluble to 100 mM in DMSO or to 100 mM in ethanol

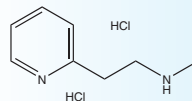
Betahistine dihydrochloride

Cat.No.	Size	Price €
BG0512	100 mg	45,00

An orally administered, centrally acting histamine H_1 receptor agonist with partial H_3 receptor antagonistic activity and no H_2 receptor binding effects. It is clinically used mainly as a vasodilator for conditions such as cluster headaches, vascular dementia and Meniere's disease. In recent years, histamine was found to be a key neurotransmitter in the regulation of feeding behavior.

Reference

1. Van Cauwenberge and De Moor (1997) *Acta Otolaryngol Suppl* 526:43;
2. Barak (2008) *Expert Opin Investig Drugs* 17:795



Methyl[2-(2-pyridyl)ethyl]amine dihydrochloride; SERC

M.W. 209.12 $C_8H_{12}N_2 \cdot 2HCl$
[5579-84-0] Store at RT
Soluble to 100 mM in DMSO or to 100 mM in water

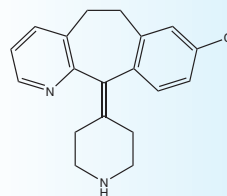
Desloratadine

Cat.No.	Size	Price €
BG0513	50 mg	290,00

Anti-allergic agent and active metabolite of loratadine (Cat. No. BG0241). Peripheral histamine H_1 receptor antagonist ($K_i = 0.87 \text{ nM}$ at human H_1 receptors), devoid of central effects.

Reference

1. Bousquet et al. (2004) *Allergy* 59:4;
2. Scadding (2005) *Clin Drug Invest* 25:153;
3. Devillier et al. (2008) *Clin Pharmacokinet* 47:217



8-Chloro-6,11-dihydro-11-(4-piperidinylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine; Claramax; Clarinex; NeoClarityn; Aerius

M.W. 310.82 $C_{19}H_{19}ClN_2$
[100643-71-8] Store at RT
Soluble to 25 mM in DMSO or to 100 mM in ethanol

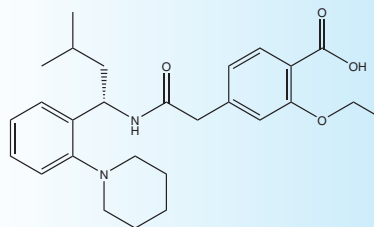
Repaglinide

Cat.No.	Size	Price €
BG0514	50 mg	275,00

Antidiabetic agent used to treat type II diabetes. Like the sulphonylureas, it acts by stimulating release of insulin from the β -cells of the islets of pancreas inhibiting ATP-sensitive K^+ channels, thereby activating the Ca^{2+} channels with increase in intracellular calcium to release insulin. However, it acts on a different binding site than the sulphonylureas.

Reference

1. Gromada et al. (1995) *Diabetologia* 38:1025;
2. Fuhendorff et al. (1998) *Diabetes* 47:345;
3. Hansen et al. (2001) *Diabetes* 50 Suppl.2:A9;
4. Johansen and Birkeland (2007) *Am J Cardiovasc Drugs* 7:319



S-(+)-2-Ethoxy-4-[2-(3-methyl-1-[2-(piperidin-1-yl)phenyl]butylamino)-2-oxoethyl]benzoic acid; Prandin; GlucoNorm; NovoNorm

M.W. 452.59 $C_{27}H_{36}N_2O_4$

[135062-02-1] Store at RT

Soluble to 100 mM in DMSO or to 100 mM in ethanol

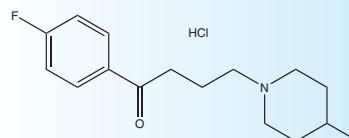
Melperone hydrochloride

Cat.No.	Size	Price €
BG0515	50 mg	320,00

A 5-HT_{2A} ($K_i = 120$ nM) and dopamine D₂ receptor antagonist ($K_i = 180$ nM). It also shows binding affinities to adrenergic α_1 ($K_i = 180$ nM) and α_2 receptors ($K_i = 150$ nM). A butyrophenone atypical antipsychotic agent.

Reference

1. Seeman et al. (1997) *Neuropsychopharmacology* 16:93;
2. Sumiyoshi et al. (2003) *Schizophr Res* 62:65;
3. Grözinger et al. (2003) *Pharmacopsychiatry* 36:3



1-(4-Fluorophenyl)-4-(4-methyl-1-piperidinyl)-1-butanone hydrochloride; Buronil; Burnil; Eunerpan

M.W. 299.81 $C_{16}H_{22}FNO.HCl$

[1622-79-3] Desiccate at RT

Soluble to 100 mM in water or to 100 mM in DMSO

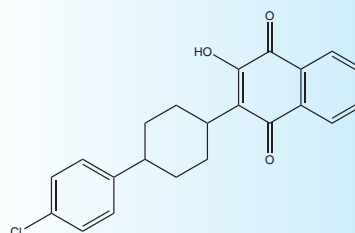
Atovaquone

Cat.No.	Size	Price €
BG0516	50 mg	280,00

An analogue of ubiquinone with antipneumocystic and antiparasitic activity. It is used to treat or prevent pneumocystis pneumonia (PCP), toxoplasmosis and malaria.

Reference

1. Patel and Kain (2005) *Expert Rev Anti Infect Ther* 3:849;
2. Nakato et al. (2007) *J Antimicrob Chemother* 60:929



2-[4-(4-Chlorophenyl)cyclohexyl]-3-hydroxy-1,4-dihydronaphthalene-1,4-dione; Atavaquone; Mepron; Malorone

M.W. 366.84 $C_{22}H_{19}ClO_3$

[95233-18-4] Store at RT

Soluble in DMSO or ethanol

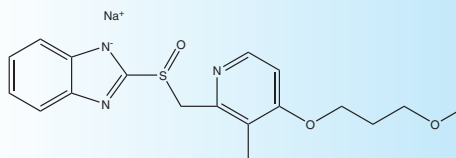
Rabeprazole sodium

Cat.No.	Size	Price €
BG0517	50 mg	295,00

A proton pump inhibitor that suppresses gastric acid secretion by specific inhibition of the H^+/K^+ -ATPase in the gastric parietal cell. By acting specifically on the proton pump, it blocks the final step in acid production, thus reducing gastric acidity. See also omeprazole (Cat. No. BG0278).

Reference

1. Morii et al. (1990) *Biochem Pharmacol* 39:661;
2. Prakash and Faulds (1998) *Drugs* 55:261;
3. Lim and Goh (2004) *J Gastroenterol Hepatol* 19 Suppl 3:S61



2-[[4-(3-Methoxypropoxy)-3-methyl-pyridin-2-yl]methylsulfanyl]benzimidazole sodium salt; Aciphex; Pariet

M.W. 381.42 $C_{18}H_{20}N_3NaO_3S$

[117976-90-6] Store at RT

Soluble to 100 mM in DMSO or in ethanol

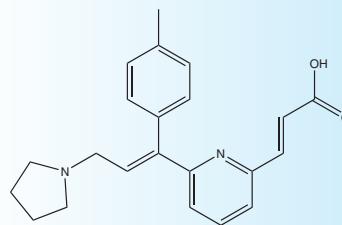
Acrivastine

Cat.No.	Size	Price €
BG0518	50 mg	350,00

Non-sedating second-generation histamine H₁ receptor antagonist.
Anti-allergic agent.

Reference

1. Bojkowski et al. (1989) *J Int Med Res* 17 Suppl 2:54B;
2. Brogden and McTavish (1991) *Drugs* 41:927, Erratum in: *Drugs* 1991 42:639



(E)-3-[6-[(E)-1-(4-methylphenyl)-3-pyrrolidin-1-yl-prop-1-enyl]pyridin-2-yl]prop-2-enoic acid; *Semprex*

M.W. 348.44 C₂₂H₂₄N₂O₂
[87848-99-5] Store at RT
Soluble to 100 mM in DMSO or in ethanol

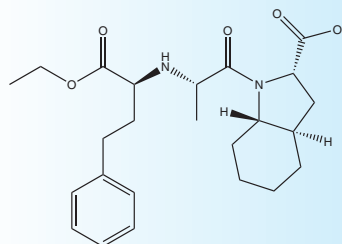
Trandolapril

Cat.No.	Size	Price €
BG0519	50 mg	379,00

A long-acting angiotensin-converting enzyme (ACE) inhibitor.
As a prodrug, trandolapril is metabolised *in vivo* to the active form trandolaprilat by various esterases.

Reference

1. Peters et al. (1998) *Drugs* 56:871;
2. Guay (2003) *Clin Ther* 25:713



(2S,3aR,7aS)-1-[[[(2S)-2-[[[(2S)-1-Ethoxy-1-oxo-4-phenylbutan-2-yl]amino]propanoyl]-octahydro-1H-indole-2-carboxylic acid; *Mavik*; RU-44570

M.W. 430.54 C₂₄H₃₄N₂O₅
[87679-37-6] Store at RT
Soluble to 100 mM in DMSO or in ethanol

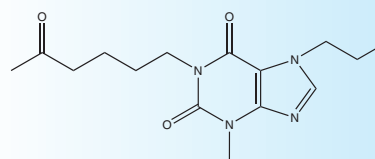
Propentofylline

Cat.No.	Size	Price €
BG0520	10 mg	150,00

A non-selective adenosine receptor antagonist, adenosine transport inhibitor and adenosine 3',5'-cyclic monophosphate (cAMP) phosphodiesterase (PDE) inhibitor. It act also as a nerve growth factor (NGF) stimulator. It has been studied as a possible treatment for Alzheimer's disease and multi-infarct dementia.

Reference

1. Rother et al. (1996) *Ann N Y Acad Sci* 777:404;
2. Bath and Bath-Hextall (2004) *Cochrane Database Syst Rev* 2004 (3):CD000162



3-Methyl-1-(5-oxohexyl)-7-propylpurine-2,6 dione; *HWA 285*

M.W. 306.36 C₁₅H₂₂N₄O₃
[55242-55-2] Store at -20 ° C
Soluble to 12 mg/ml in water

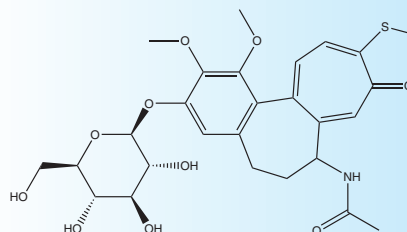
Thiocolchicoside

Cat.No.	Size	Price €
BG0522	5 mg	138,00

A semi-synthetic derivative of the naturally occurring compound colchicoside, it is used in humans as a muscle relaxant with anti-inflammatory and analgesic activity. Recently it has been shown that it inhibits the activity of various subtypes of recombinant GABA_A receptors expressed in *Xenopus laevis* oocytes (median inhibitory concentrations of 0.13 to 0.2 μM).

Reference

1. Janbroers (1987) *Acta Ther* 13:221;
2. Mascia et al. (2007) *Eur J Pharmacol* 558:37



N-[3-(β-D-Glucopyranosyloxy)-1,2-dimethoxy-10-(methylthio)-9-oxo-5,6,7,9-tetrahydrobenzo[a]heptalen-7-yl]acetamide; *Neoflax*;
2-Demethoxy-2-glucosidoxythiocolchicine

M.W. 563.62 C₂₇H₃₃N₂O₁₀S
[602-41-5] Store at -20 ° C
Soluble in DMSO or ethanol

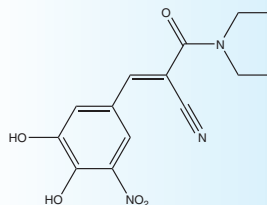
Entacapone

Cat.No.	Size	Price €
BG0523	50 mg	310,00

A catechol-O-methyl transferase (COMT) inhibitor. It is used in the treatment of Parkinson's disease. When administered in conjunction with dopaminergic agents such as L-DOPA, it prevents COMT from metabolizing L-DOPA into 3-methoxy-4-hydroxy-L-phenylalanine in the periphery, which does not easily cross the blood brain barrier.

Reference

1. Henchcliffe and Waters (2002) *Expert Opin Pharmacother* 3:957;
2. Lees (2008) *CNS Neurosci Ther* 14:83



(2E)-2-Cyano-3-(3,4-dihydroxy-5-nitrophenyl)-N,N-diethylprop-2-enamide; Comptan

M.W. 305.29 $C_{16}H_{15}N_3O_5$
[130929-57-6] Store at +4° C
Soluble in DMSO or ethanol

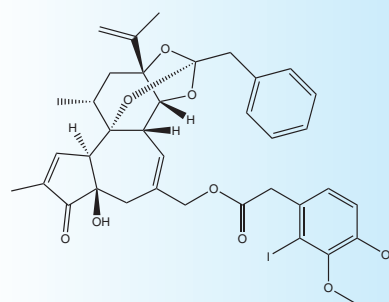
5'-Iodoresiniferatoxin

Cat.No.	Size	Price €
BN0749	1 mg	260,00

Potent VR1 vanilloid receptor (TRPV1) antagonist ($K_i = 5.8$ nM). It is an iodinated form of the potent VR1 agonist Resiniferatoxin (Cat. No. BN0585).

Reference

1. Wahl et al. (2000) *Mol Pharmacol* 59:9;
2. Marinelli et al. (2002) *J Physiol* 543:531;
3. Di Marzo et al. (2008) *Br J Pharmacol* 153:1272;
4. Xu et al. (2009) *J Neurosci Res* 87:482



6,7-Deepoxy-6,7-didehydro-5-deoxy-21-dephenyl-21-(phenylmethyl)-daphnetoxin, 20-(4-hydroxy-5-iodo-3-methoxybenzoyl); 5'-IRTX

M.W. 754.61 $C_{37}H_{39}IO_9$
Desiccate at -20° C
Soluble in DMSO or to 100 mM in ethanol

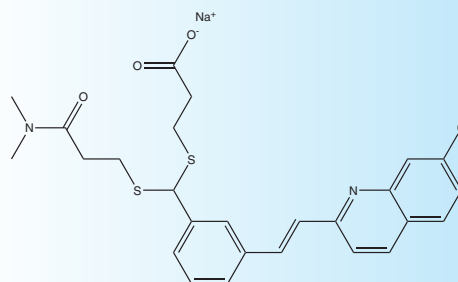
MK 571 sodium salt

Cat.No.	Size	Price €
BN0750	10 mg	128,00

Potent inverse agonist at CysLT₁ (LTD₄) leukotriene receptors ($EC_{50} = 1.3$ nM). It antagonizes LTD₄-induced contractions of guinea pig trachea and ileum (pA_2 values are 9.4 and 10.5 respectively). MK 571 is also an inhibitor of the multidrug resistance protein-1 (MRP1) mediated transport.

Reference

1. Vellenga et al. (1999) *Br J Pharmacol* 127:441;
2. Blain and Sirois (2000) *Prost Leuko Essent Fatty Acids* 62:361;
3. Dupre et al. (2004) *J Pharmacol Exp Ther* 309:102;
4. Wong et al. (2007) *Eur J Pharmacol* 575:134



3-[[[3-[(1E)-2-(7-Chloro-2-quinolinyl)ethenyl]phenyl][3-(dimethylamino)-3-oxopropyl]thio]methyl]thio]propanoic acid sodium salt; L-660711

M.W. 537.07 $C_{26}H_{26}ClN_2NaO_3S_2$
[115104-28-4] Desiccate at -20° C
Soluble to 100 mM in DMSO

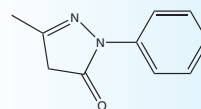
Edaravone

Cat.No.	Size	Price €
BN0751	100 mg	78,00

A radical scavenger and antioxidant. Recently it has been shown that it prevents MPTP-induced neurotoxicity in the substantia nigra but not in the striatum. Also a reagent for detection of reducing carbohydrates by ESI/MALDI-MS.

Reference

1. Kawai et al. (1997) *J Pharmacol Exp Ther* 281:921;
2. Higashi et al. (2006) *Recent Pat Cardiovasc Drug Discov* 1:85;
3. Kawasaki et al. (2007) *J Pharmacol Exp Ther* 322:274



3-Methyl-1-phenyl-2-pyrazolin-5-one; MCI-186

M.W. 174.20 $C_{10}H_{10}N_2O$
[89-25-8] Store at RT
Soluble to 100 mM in DMSO

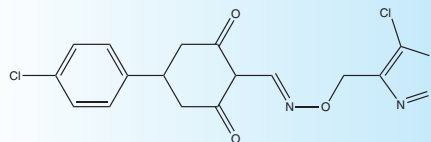
IDE activator 1

Cat.No.	Size	Price €
BN0752	10 mg	170,00

Small-molecule activator of insulin-degrading enzyme (IDE), an enzyme that is implicated in the pathogenesis of Alzheimer disease (AD). It shows an activation of the degradation of β -Amyloid by 700%. See also IDE activator 2 (Cat. No. BN0752).

Reference

1. Cabrol et al. (2009) *PLoS ONE* 4:e5274. Epub 2009 Apr 22



4-(4-Chlorophenyl)-2,6-dioxocyclohexanecarbaldehyde O-[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]oxime

M.W. 398.26 $C_{16}H_{13}Cl_2N_3O_3S$

Store at RT

Soluble to 100 mM in DMSO

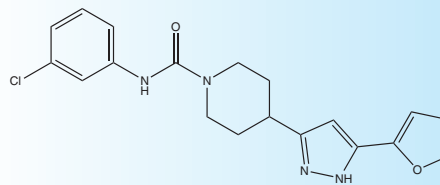
IDE activator 2

Cat.No.	Size	Price €
BN0753	10 mg	170,00

Small-molecule activator of insulin-degrading enzyme (IDE), an enzyme that is implicated in the pathogenesis of Alzheimer disease (AD). It shows an activation of the degradation of β -Amyloid by 400%. See also IDE activator 1 (Cat. No. BN0752).

Reference

1. Cabrol et al. (2009) *PLoS ONE* 4:e5274. Epub 2009 Apr 22



N-(3-Chlorophenyl)-4-[5-(2-furyl)-1H-pyrazol-3-yl]tetrahydro-1(2H)-pyridinecarboxamide

M.W. 370.83 $C_{19}H_{19}ClN_4O_2$

Store at RT

Soluble to 100 mM in DMSO

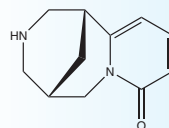
(-)-Cytisine

Cat.No.	Size	Price €
BN0754	50 mg	180,00

Potent and selective neuronal nicotinic receptor agonist. It acts as a partial agonist at β 2-containing nicotinic receptors. A toxic pyridine-like alkaloid, plants that contain the alkaloid in various concentrations include those from several genera of the *Faboideae* subfamily.

Reference

1. Reavill et al. (1990) *Neuropharmacology* 29:619;
2. Papke et al. (1994) *Mol Pharmacol* 45:142;
3. Happe et al. (1994) *Neuroscience* 62:929;
4. LeSage et al. (2009) *Pharmacol Biochem Behav* 91:461



(1R,5S)-1,2,3,4,5,6-Hexahydro-1,5-methano-8H-pyrido[1,2-a][1,5]diazocin-8-one; Cytisine; Baptitoxine; Sophorine

M.W. 190.24 $C_{11}H_{14}N_2O$

[485-35-8] Store at RT

Soluble to 100 mM in water

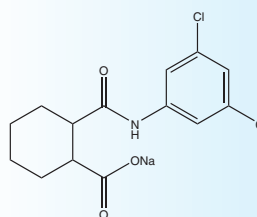
VU 0155041 sodium salt

Cat.No.	Size	Price €
BN0756	50 mg	155,00

Water-soluble, potent and selective positive allosteric modulator (PAM) at mGluR₄ receptors (EC_{50} = 798 nM at human mGluR₄, EC_{50} = 693 nM at rat mGluR₄).

Reference

1. Niswender et al. (2008) *Mol Pharmacol* 74:1345-58



cis-2-(3,5-Dichlorophenylcarbamoyl)cyclohexanecarboxylic acid sodium salt

M.W. 338.16 $C_{14}H_{14}Cl_2NNaO_3$

Store at RT

Soluble to 100 mM in water

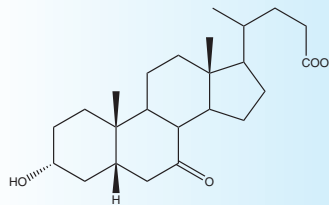
7-Ketolithocholic acid

Cat.No.	Size	Price €
BN0757	1 g	45,00

7-Keto metabolite of lithocholic acid, a bile acid which acts as a detergent to solubilize fats for absorption. Biosynthetically, lithocholic acid is made from chenodeoxycholic acid by bacterial action in the colon.

Reference

1. Strange (1984) *Physiol Rev* 64:1055;
2. Deo and Bandiera (2008) *Drug Metab Dispos* 36:1983



3 α -Hydroxy-7-keto-5 β -cholanic acid

M.W. 390.56 C₂₄H₃₈O₄
[4651-67-6] Store at RT
Soluble to 100 mM in DMSO

CGP 42112

Cat.No.	Size	Price €
BP0382	1 mg	95,00

Selective, high affinity angiotensin AT₂ receptor ligand (K_i = 0.24 nM) with agonistic properties at proximal tubule AT₂ receptors and antagonistic properties (Ang-II induced contractions in rabbit aortic rings, IC₅₀ = 1850 nM).

Reference

1. Criscione et al. (1990) *J Cardiovas Pharmacol* 16:S56;
2. Naveri (1995) *Acta Physiol Scand Suppl* 630:1;
3. Takekoshi et al. (2000) *Biochem Biophys Res Commun* 272:544;
4. Hakam and Hussain (2006) *Am J Physiol Renal Physiol* 290:F1430

N- α -Nicotinoyl-Tyr-Lys-(N- α -Z-Arg)-His-Pro-Ile

N- α -Nicotinoyl-Tyr-Lys-(N- α -Z-Arg)-His-Pro-Ile; CGP 42112A

M.W. 1052.2 C₅₂H₆₉N₁₃O₁₁
[127060-75-7] Desiccate at -20° C
Soluble to 1 mg/ml in water

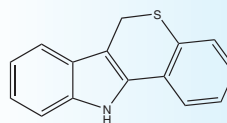
PD 146176

Cat.No.	Size	Price €
BS0260	10 mg	89,00

A potent and selective non-competitive 15-lipoxygenase (15-LOX) inhibitor (K_i = 197 nM; IC₅₀ = 0.54 μ M for 15-LOX in rabbit reticulocytes) displaying no effects on 5-LOX, 12-LOX, COX-1 or COX-2. It has been shown that it dose-dependently reduces A β formation without affecting total APP levels. Specific pharmacologic inhibition of 12/15LOX could represent a novel therapeutic target for treating or preventing AD pathology in humans.

Reference

1. Sendobry et al. (1997) *Br J Pharmacol* 120:1199;
2. Bocan et al. (1998) *Atherosclerosis* 136:203;
3. Sordillo et al. (2005) *Prostaglandins Other Lipid Mediat* 76:19;
4. Succol and Pratico (2007) *J Neurochem* 103:380



6,11-Dihydro[1]benzothiopyrano[4,3-b]indole

M.W. 237.32 C₁₅H₁₁NS
[4079-26-9] Store at +4° C
Soluble to 100 mM in DMSO or to 50 mM in ethanol

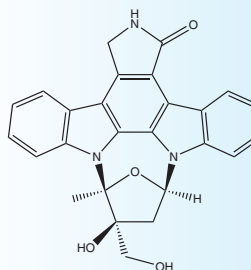
Lestaurtinib

Cat.No.	Size	Price €
BS0261	1 mg	190,00

A potent JAK2, FLT3 and TrkA inhibitor (IC₅₀ values are 0.9, 3 and < 25 nM respectively). Lestaurtinib suppresses JAK2/STAT5 signaling and the proliferation of primary erythroid cells from patients with myeloproliferative disorders. It is structurally related to staurosporine (Cat. No. BS0188).

Reference

1. Miknyoczki et al. (1999) *Ann NY Acad Sci* 880:252;
2. Weisel et al. (2007) *Ann NY Acad Sci* 1106:190;
3. Hexner et al. (2008) *Blood* 111:5663;
4. Mead et al. (2008) *Br J Haematol* 141:454



(9S,10S,12R)-2,3,9,10,11,12-Hexahydro-10-hydroxy-10-(hydroxymethyl)-9-methyl-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-1-one; CEP-701; KT-5555

M.W. 439.46 C₂₆H₂₁N₃O₄
[111358-88-4] Desiccate at -20° C
Soluble to 100 mM in DMSO or to 25 mM in ethanol

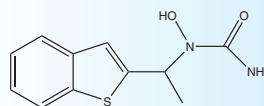
Zileuton

Cat.No.	Size	Price €
BS0262	10 mg	55,00

A potent orally-active non-redox inhibitor of 5-lipoxygenase (5-LOX), leading to an inhibition of leukotriene B₄ (LTB₄) synthesis (IC₅₀ = 2.3 μM and 2.6 μM in rat and human, respectively).

Reference

1. McMillan et al. (1992) *Br J Pharmacol* 107:1042;
2. Malo et al. (1994) *Pulm Pharmacol* 7:73;
3. Lu et al. (2003) *Drug Metab Dispos* 31:1352;
4. Berger et al. (2007) *Int J Clin Pract* 61:663



N-(1-Benzo[b]thien-2-ylethyl)-*N*-hydroxyurea

M.W. 236.29 C₁₁H₁₂N₂O₂S
 [111406-87-2] Store at +4° C
 Soluble to 100 mM in DMSO

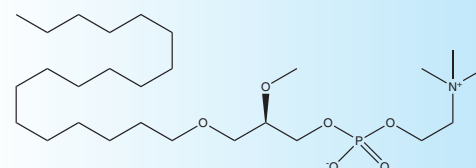
Edelfosine

Cat.No.	Size	Price €
BS0263	10 mg	81,00

Selective Phosphoinositide-specific phospholipase C (PI-PLC) inhibitor. Synthetic lysophospholipid analogue and selective anti-tumour lipid targeting apoptosis through intracellular activation of Fas/CD95 death receptor.

Reference

1. Powis et al. (1992) *Cancer Res* 52:2835;
2. Mollinedo et al. (2004) *Curr Med Chem* 11:3163;
3. Wong et al. (2007) *BMC Cell Biol* 8:15



(7*R*)-4-Hydroxy-7-methoxy-*N,N,N*-trimethyl-3,5,9-trioxo-4-phosphaheptacosan-1-aminium-4-oxide; ET-18-OCH₃

M.W. 523.73 C₂₇H₅₈NO₆P
 [77286-66-9] Store at -20° C
 Soluble in water or ethanol or to 4.5 mg/ml in PBS (PH 7.2)

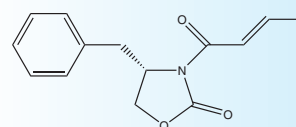
Locostatin

Cat.No.	Size	Price €
BS0264	50 mg	55,00

A potent inhibitor of Raf kinase inhibitor protein (RKIP)/Raf1 kinase interaction. Shown to block eukaryotic cell migration (IC₅₀ = 14 μM for inhibition of wound closure in MDCK cell monolayers). Also inhibits early development in frog embryos and tissue dynamics in embryonic explants.

Reference

1. Mc Henry et al. (2002) *Chembiochem* 3:1105;
2. Bement et al. (2005) *Chem Biol* 12:953;
3. Zhu et al. (2005) *Chem Biol* 12:981



(*S*)-(+)-4-Benzyl-3-crotonyl-2-oxazolidinone; *N*-Crotonyl)-(4*S*)-benzyl-2-oxazolidinone; UIC-1005

M.W. 245.27 C₁₆H₁₅NO₃
 [133812-16-5] Store at +4° C
 Soluble to 5 mg/ml in DMSO or to 50 mg/ml in methanol

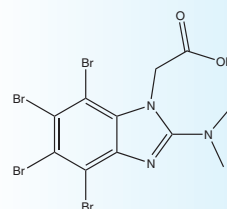
TMCB

Cat.No.	Size	Price €
BS0265	10 mg	55,00

Casein kinase 2 (CK2) inhibitor (K_i = 250 nM) displaying selectivity over PIM1, HIPK2 and DYRK1a (K_i values are 8.65, 15.25 and 11.90 μM respectively) and a more favourable selectivity profile over a range of other kinases. CK2 is a very pleiotropic serine/threonine protein kinase whose abnormally high constitutive activity has often been correlated to pathological conditions with special reference to neoplasia.

Reference

1. Pagano et al. (2008) *Biochem J* 415:353



2-(4,5,6,7-Tetrabromo-2-(dimethylamino)-1*H*-benzo[d]imidazol-1-yl)acetic acid

M.W. 534.82 C₁₁H₉Br₄N₃O₂
 Store at RT
 Soluble to 100 mM in DMSO or ethanol