

# Neurochemicals

Newsletter

## Newsletter 5/2008

### New Products for Neurosciences Research

#### Page 2

- BG0451 **Erythromycin lactobionate** - Antibiotic
- BG0452 **Cilnidipine** - Dual L-/N-type calcium channel blocker
- BG0453 **Fipexide hydrochloride** - Nootropic agent
- BG0456 **Probenecid** - New VR2 (TRPV2) activator
- BS0239 **XK469** - Topoisomerase II $\beta$  inhibitor; apoptosis inducer

#### Page 3

- BG0457 **Ciprofibrate** - PPAR $\alpha$  agonist
- BG0468 **Troglitazone** - Selective PPAR $\gamma$  agonist
- BN0694 **L-161,982** - Potent, selective EP $_4$  antagonist
- BN0696 **2-Chloroadenosine** - Adenosine A $_1$  receptor agonist

#### Page 4

- BN0698 **SB 366791** - Potent and selective VR1 (TRPV1) antagonist
- BN0699 **Evodiamine** - New VR1 (TRPV1) agonist
- BN0700 **Clioquinol** - Metal chelating ligand, neuroprotective agent
- BN0701 **Batrachotoxin** - Potent Na $^+$  channel activator

#### Page 5

- BN0702 **(+)-Tubocurarine chloride** - Nicotinic acetylcholine receptor antagonist
- BN0703 **QX-222** - Sodium channel blocker
- BN0704 **(-)-Huperzine A** - Acetylcholinesterase inhibitor
- BN0705 **Artemisinin** - Antimalarial agent

#### Page 6

- BN0707 **Aminopotentidine** - Histamine H $_2$  antagonist
- BN0708 **Carazolol** -  $\beta$ -adrenoceptor antagonist/partial inverse agonist
- BN0709 **MPTP hydrochloride** - Dopaminergic neurotoxin
- BN0711 **Wogonin** - Antitumour and anti-inflammatory agent

#### Page 7

- BN0713 **N-Arachidonoylserotonin** - Potent vanilloid VR1 (TRPV1) antagonist
- BN0714 **AQ-RA 741** - Potent, selective muscarinic M $_2$  antagonist
- BP0360 **Motilin antagonist** - Selective motilin antagonist
- BP0361 **[Trp $^3$ , Arg $^5$ ]-Ghrelin (1-5) (human, rat)** - Growth hormone secretagogue (GSH) receptor agonist
- BP0363 **Spinorphin** - Potent P2X $_3$  receptor antagonist

#### Page 8

- BP0364 **LP17** - TREM-1 peptide
- BP0365 **LP17 control peptide** - TREM-1 control peptide
- BP0366 **Apstatin** - Aminopeptidase P (APP) inhibitor
- BS0238 **Alsterpallone** - Potent inhibitor of CDK1/cyclin B

...distributed by:

ANAWA Trading SA  
Unterdorfstrasse 21b  
CH-8602 Wangen  
Tel. +41 44 805 76 81  
Fax. +41 44 805 76 75  
hassler@anawa.ch  
[www.anawa.ch](http://www.anawa.ch)

BIOTREND Chemikalien GmbH  
Im Technologiezentrum Köln  
Eupener Str. 157 • D-50933 Köln  
Tel. +49 221 9 49 83 20  
Fax. +49 221 9 49 83 25  
jaeger@biotrend.com  
[www.biotrend.com](http://www.biotrend.com)

**BIO**  
**TREND**

BIOTREND Chemicals AG  
Unterdorfstrasse 21b  
CH-8602 Wangen  
Tel. +41 44 805 76 76  
Fax. +41 44 805 76 77  
info@biotrend.ch  
[www.biotrend.ch](http://www.biotrend.ch)

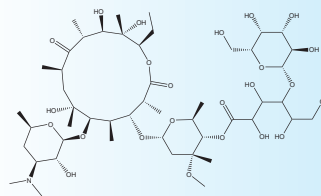
## Erythromycin lactobionate

Cat.No.	Size	Price €
BG0451	500 mg	90,00

Antibiotic agent. More water soluble analogue of Erythromycin.

### Reference

1. Hirakata et al. (1992) *Antimicrob Agents Chemother* 36:1198



M.W. 1074.21  $C_{49}H_{87}NO_{24}$   
[3847-29-8] Store at RT Soluble in water or ethanol

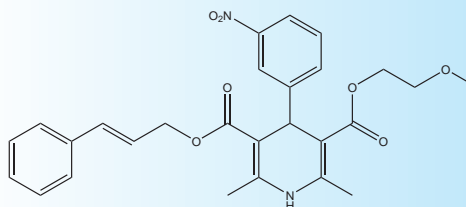
## Cilnidipine

Cat.No.	Size	Price €
BG0452	10 mg	98,00

Slow acting dual L-/N-type calcium channel blocker. Displays renal and vascular protective effects in patients with essential hypertension. Also displays neuroprotective effects in a rat focal brain ischemia model.

### Reference

1. Takahara et al. (2004) *Biol Pharm Bull* 27:1388;
2. Hayashi et al. (2007) *Circ Res* 100:342;
3. Morimoto et al. (2007) *J Hypertens* 25:2178



1,4-Dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 2-methoxyethyl (2E)-3-phenyl-2-propenyl ester; FRC-8653

M.W. 492.52  $C_{27}H_{28}N_2O_7$   
[132203-70-4] Store at RT  
Soluble to 25 mg/ml in DMSO or to 20 mg/ml in ethanol

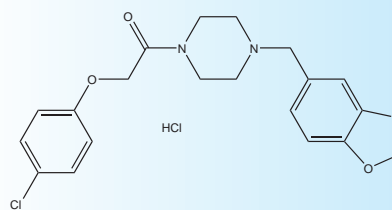
## Fipexide hydrochloride

Cat.No.	Size	Price €
BG0453	500 mg	39,00

Nootropic agent that displays a positive effect on cognitive function in rats.

### Reference

1. Marino et al. (1990) *Pharmacol Res* 22:179;
2. Genkova-Papazova et al. (1996) *Eur Neuropsychopharmacol* 6:285



1-(1,3-Benzodioxol-5-yl-methyl)-4-[(4-chlorophenoxy)acetyl] piperazine hydrochloride

M.W. 425.31  $C_{20}H_{21}ClN_2O_4 \cdot HCl$   
[34161-23-4] Store at RT Soluble to 25 mg/ml in DMSO

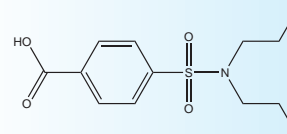
## Probenecid

Cat.No.	Size	Price €
BG0456	100 mg	35,00

Recently it was shown that TRPV2 expressed in sensory neurons is activated by probenecid. Also an uricosuric drug, primarily used in treating gout or hyperuricemia, that increases uric acid removal in the urine.

### Reference

1. Cunningham et al. (1981) *Clin Pharmacokinet* 6:135;
2. Bang et al. (2007) *Neurosci Lett* 425:120



4-(Dipropylsulfamoyl)benzoic acid

M.W. 285.36  $C_{13}H_{19}NO_4S$   
[57-66-9] Store at RT  
Soluble in DMSO or ethanol

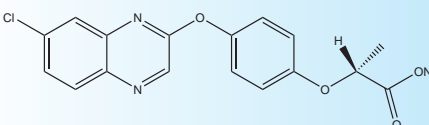
## XK469

Cat.No.	Size	Price €
BS0239	5 mg	74,00

Topoisomerase II $\beta$  inhibitor and apoptosis inducer.

### Reference

1. Gao et al. (1999) *Proc Natl Acad Sci USA* 96:12168;
2. Mensah-Osman et al. (2002) *Mol Cancer Ther* 1:1321



Sodium (R)-2-(4-(7-chloroquinoxalin-2-yloxy)phenoxy)propanoate; NSC 697887; NSC 656889

M.W. 366.73  $C_{17}H_{12}ClN_2NaO_4$   
Store at +4° C Soluble in water or ethanol

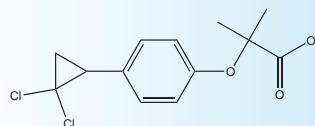
## Ciprofibrate

Cat.No.	Size	Price €
BG0457	50 mg	130,00

Peroxisome proliferator-activated receptor alpha (PPAR $\alpha$ ) agonist.  
Hypolipidemic compound.

### Reference

1. Turpin and Bruckert (1996) *Atherosclerosis* 124 Suppl:S83;
2. Goll et al. (1999) *Toxicol Appl Pharmacol* 160:21;
3. Passilly et al. (1999) *Biochem Pharmacol* 58:1001;
4. Clemencet et al. (2005) *Cancer Lett* 222:217; 5. Gatica et al. (2007) *J Lipid Res* 48:924



2-[p-(2,2-Dichlorocyclopropyl)phenoxy]-2-methylpropanoic acid; WIN 35833

M.W. 289.15 C<sub>13</sub>H<sub>14</sub>Cl<sub>2</sub>O<sub>3</sub>  
[52214-84-3] Store at +4° C  
Soluble in DMSO

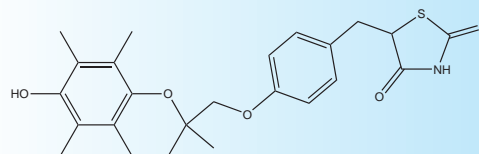
## Troglitazone

Cat.No.	Size	Price €
BG0468	10 mg	118,00

A potent and selective PPAR $\gamma$  agonist (EC<sub>50</sub> values for transactivation of human and murine PPAR $\gamma$  are 0.55 and 0.78  $\mu$ M, respectively). No activation of PPAR $\alpha$  and PPAR $\delta$  has been observed at concentrations >10  $\mu$ M. It also induces cell cycle arrest and apoptosis in several cancer cell lines with an EC<sub>50</sub> of 10  $\mu$ M. It was withdrawn from the market due to serious idiosyncratic hepatotoxicity.

### Reference

1. Willson et al. (2000) *J Med Chem* 43:528;
2. Koderia et al. (2000) *J Biol Chem* 275:33201;
3. Yoshizawa et al. (2002) *Cancer* 95:2243;
4. Masubuchi (2006) *Drug Metab Pharmacokinet* 21:347



(±)-5-[4-[(6-Hydroxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]benzyl]-2,4-thiazolidinedione; Resulin

M.W. 441.54 C<sub>24</sub>H<sub>27</sub>NO<sub>5</sub>S  
[97322-87-7] Store at RT  
Soluble to 30 mg/ml in DMSO or to 0.3 mg/ml in ethanol

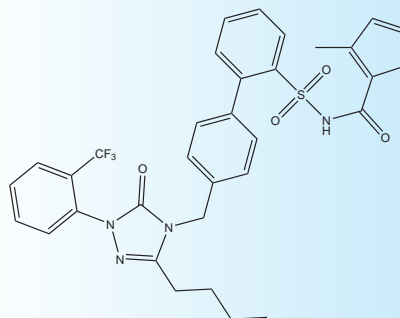
## L-161,982

Cat.No.	Size	Price €
BN0694	1 mg	89,00

Selective, potent prostaglandin EP<sub>4</sub> receptor antagonist. Recently it was shown that it blocks prostaglandin E<sub>2</sub>-induced signal transduction and cell proliferation in HCA-7 colon cancer cells.

### Reference

1. Machwate et al. (2001) *Mol Pharmacol* 60:36;
2. Cherukuri et al. (2007) *Exp Cell Res* 313:2969



N-[[4'-[[[3-Butyl-1,5-dihydro-5-oxo-1-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-3-methyl-2-thiophenecarboxamide

M.W. 654.72 C<sub>32</sub>H<sub>29</sub>F<sub>3</sub>N<sub>4</sub>O<sub>4</sub>S<sub>2</sub>  
[147776-06-5] Store at -20° C  
Soluble to 25 mg/ml in DMSO

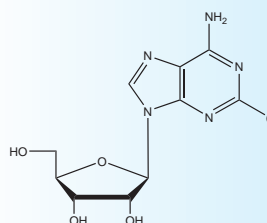
## 2-Chloroadenosine

Cat.No.	Size	Price €
BN0696	10 mg	45,00

Metabolically stable adenosine receptor agonist (K<sub>i</sub> values are 18 and 63 nM for adenosine A<sub>1</sub> and A<sub>2A</sub> receptors, respectively).

### Reference

1. Dunwiddie and Worth (1982) *J Pharmacol Exp Ther* 220:70;
2. Marangos et al. (1983) *J Neurochem* 41:367;
3. Bruns et al. (1986) *Mol Pharmacol* 29:331;
4. Pourgholami et al. (1997) *Brain Res* 751:259;
5. Fredholm et al. (2001) *Pharmacol Rev* 53:527



6-Amino-2-chloropurine riboside; 2-CADO

M.W. 301.69 C<sub>10</sub>H<sub>12</sub>ClN<sub>5</sub>O<sub>4</sub>  
[146-77-0] Desiccate at +4° C  
Soluble to 25 mM in water

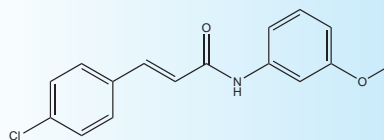
## SB 366791

Cat.No.	Size	Price €
BN0698	5 mg	89,00

Potent, selective and competitive antagonist at vanilloid VR1 (TRPV1) receptors ( $pA_2 = 7.71$  at human VR1); it antagonises VR1 receptors activated by agonists, noxious heat, but not protons. It inhibits glutamatergic synaptic transmission in rat spinal dorsal horn following peripheral inflammation.

### Reference

1. Fowler et al. (2003) *Biochem Pharmacol* 66:757;
2. Gunthorpe et al. (2004) *Neuropharmacology* 46:133;
3. Gava et al. (2005) *Mol Pharmacol* 68:1524;
4. Lappin et al. (2006) *Eur J Pharmacol* 540:73



*N*-(3-Methoxyphenyl)-4-chlorocinnamide

M.W. 287.74  $C_{16}H_{14}ClNO_2$

[472981-92-3] Store at RT

Soluble to 100 mM in DMSO or to 10 mM in ethanol

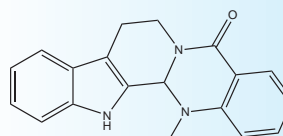
## Evodiamine

Cat.No.	Size	Price €
BN0699	10 mg	55,00

A novel structural class of agonist for rat VR1 (TRPV1) ( $K_i = 5.95 \mu M$ ). Induces apoptosis in U937 cells. An indole alkaloid found in *Evodia rufaescarpa* that acts also as an anti-inflammatory compound.

### Reference

1. Pearce et al. (2004) *Org Biomol Chem* 2:2281;
2. Lee et al. (2006) *Mol Cancer Ther* 5:2398;
3. Choi et al. (2006) *Arch Pharm Res* 29:293



8,13,13b,14-Tetrahydro-14-methylindolo[2',3':3,4]pyrido[2,1-b]quinazolin-5(7H)-one

M.W. 303.36  $C_{19}H_{17}N_3O$

[518-17-2] Store at +4° C

Soluble to 5 mg/ml in DMSO (with warming)

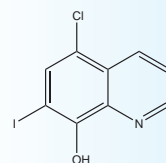
## Clioquinol

Cat.No.	Size	Price €
BN0700	100 mg	35,00

A metal chelating ligand. It displays protective effects in various neurodegenerative states. Recently a modulation of amyloid  $\beta$ -peptide accumulation via upregulation of metalloprotease activity has been shown. It down-regulates mutant huntingtin expression *in vitro* and mitigates pathology in a Huntington's disease mouse model.

### Reference

1. Nguyen et al. (2005) *Proc Natl Acad Sci USA* 102:11840;
2. White et al. (2006) *J Biol Chem* 281:17670;
3. Caragounis et al. (2007) *Biochem J* 407:435;
4. Chen et al. (2007) *Neuroscience* 147:853



5-Chloro-7-iodo-8-quinolinol

M.W. 305.50  $C_9H_5ClINO$

[130-26-7] Store at RT

Soluble to 25 mg/ml in DMSO

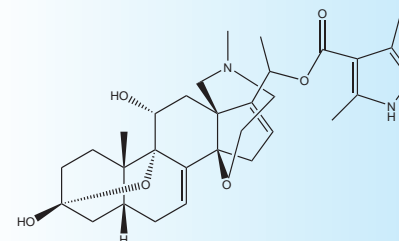
## Batrachotoxin

Cat.No.	Size	Price €
BN0701	20 $\mu g$	270,00

Potent  $Na^+$  channel activator. A potent neurotoxin found in the skin of various poisonous frogs like the poison dart frog *Phylllobates terribilis*. It binds specifically to voltage-gated  $Na^+$  channels causing steady state activation, preventing all forms of inactivation, altering ion selectivity and slowing deactivation.

### Reference

1. Brown (1988) *Int Rev Neurobiol* 29:77;
2. Cronin et al. (2003) *J Biol Chem* 278:10675;
3. Wang et al. (2006) *Mol Pharmacol* 69:788



Batrachotoxinin A, 20-(2,4-dimethyl-1H-pyrrole-3-carboxylate); BTX

M.W. 538.67  $C_{31}H_{42}N_2O_6$

[23509-16-2] Store at +4° C

Soluble in ethanol, methanol or DMSO

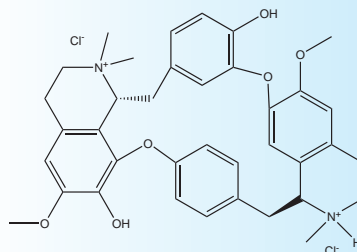
## (+)-Tubocurarine chloride

Cat.No.	Size	Price €
BN0702	50 mg	38,00

Competitive nicotinic acetylcholine receptor antagonist.  
Muscle relaxant, can be used to induce neuromuscular paralysis.  
Acts also as a 5-HT<sub>3</sub> and GABA<sub>A</sub> receptor antagonist.

### Reference

1. Peters et al. (1990) *Neurosci Letts* 110:107;
2. Wotring and Yoon (1995) *Neurosci* 67:293;
3. Gawade (1998) *J Nat Toxins* 7:95;
4. Sato et al. (1999) *Br J Anaesth* 82:904;
5. Willcockson et al. (2002) *J Biol Chem* 277:42249



**2,3,13a,14,15,16,25,25a,-Octahydro-9,19-dihydroxy-18,29-dimethoxy-1,14,14-trimethyl-13H-4,6:21,24-dietheno-8,1 2-metheno-1H-pyrido[3',2':14,15][1,11]dioxacycloicosin o[2,3,4-ij] isoquinolinium chloride hydrochloride**

M.W. 681.65 C<sub>37</sub>H<sub>42</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>6</sub>  
[57-94-3] Desiccate at +4° C  
Soluble to 25 mM in water or to 10 mM in DMSO

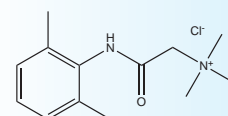
## QX-222

Cat.No.	Size	Price €
BN0703	10 mg	40,00

Sodium channel blocker. A quaternary derivative of lidocaine  
(Cat. No. BG0236).

### Reference

1. Cuevas and Adams (1994) *Br J Pharmacol* 11:663;
2. Hanck et al. (1994) *J Gen Physiol* 103:19;
3. Lardin and Lee (2006) *Biochem Pharmacol* 71:1299



**N-(2,6-Dimethylphenylcarbamoylmethyl) trimethylammonium chloride**

M.W. 256.77 C<sub>13</sub>H<sub>21</sub>ClN<sub>2</sub>O  
[21236-55-5] Store at RT  
Soluble to 100 mM in water

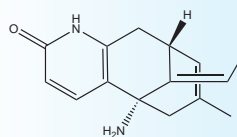
## (-)-Huperzine A

Cat.No.	Size	Price €
BN0704	1 mg	140,00

Acetylcholinesterase inhibitor and active enantiomer of Huperzine A  
(Cat. No. BN0681). Huperzine A is a naturally occurring sesqui-  
terpene alkaloid found in the extracts of the firmoss *Huperzia*  
*serrata*, which has been used in China for centuries for the treat-  
ment of swelling, fever and blood disorders. A Phase II clinical trial  
has started to evaluate the safety and efficiency of huperzine A  
in the treatment of Alzheimer's disease in a randomized controlled  
trial of its effect on cognitive function.

### Reference

1. Tang et al. (1989) *J Neurosci Res* 24:276;
2. Wang and Tang (2005) *Neurosignals* 14:71;
3. Houghton and Howes (2005) *Neurosignals* 14:6



**(-)-1-Amino-13-ethylidene-11-methyl-6-aza-tricyclo[7.3.1.0(2,7)]trideca-2(7),3,10-trien-5-one; (-)-Selagine**

M.W. 242.32 C<sub>15</sub>H<sub>18</sub>N<sub>2</sub>O  
[102518-79-6] Store at +4° C  
Soluble to 100 mM in DMSO

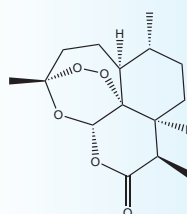
## Artemisinin

Cat.No.	Size	Price €
BN0705	100 mg	52,00

Natural product isolated from the traditional Chinese antimalarial  
herb *Artemisia annua* L. Selectively inhibits the P-type ATPase  
(PfATP6) of *Plasmodium falciparum* (K<sub>i</sub> ~ 150 nM). Displays anti-  
angiogenic effects in mouse embryonic stem cell-derived embryoid  
bodies. Cytotoxic against several tumour cell lines, including Ehrlich  
ascites carcinoma cells.

### Reference

1. Balint (2001) *Pharmacol Ther* 90:261;
2. Eckstein-Ludwig et al. (2003) *Nature* 424:957;
3. Wartenberg et al. (2003) *Lab Invest* 83:1647;
4. Lee (2007) *Mini Rev Med Chem* 7:411



**(3R,5aS,6R,8aS,9R,12S,12aR)-Octahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10(3H)-one; Qinghaosu**

M.W. 282.33 C<sub>15</sub>H<sub>22</sub>O<sub>5</sub>  
[63968-64-9] Store at +4° C  
Soluble to 100 mM in DMSO or to 75 mM in ethanol

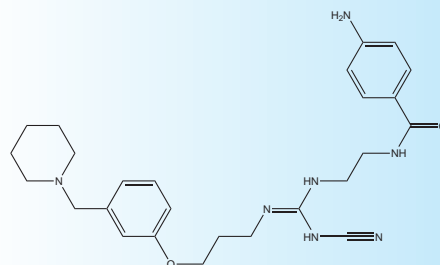
## Aminopotentidine

Cat.No.	Size	Price €
BN0707		Inquire

High specific and selective histamine H<sub>2</sub> receptor antagonist (K<sub>D</sub> = 0.3 nM).

### Reference

1. Traiffort et al. (1992) *J Neurochem* 59:290;
2. Xie et al. (2006) *Bioorg Med Chem Lett* 16:3886



4-Amino-N-[2-[[N-cyano-N'-[3-[3-(piperidin-1-ylmethyl)phenoxy]propyl]carbamidoyl]amino]ethyl]benzamide

M.W. 477.60 C<sub>26</sub>H<sub>35</sub>N<sub>5</sub>O<sub>2</sub>  
[140873-27-4] Store at -20° C Soluble in DMSO

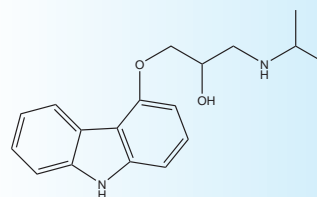
## Carazolol

Cat.No.	Size	Price €
BN0708	10 mg	89,00

β-adrenoceptor antagonist/partial inverse agonist and anti-hypertensive agent (K<sub>i</sub> value = 1.4 nM at β<sub>2</sub>-adrenoceptors). Recently it was reported that the crystal structure of a human β<sub>2</sub>-adrenergic receptor-T4 lysozyme fusion protein bound to the partial inverse agonist carazolol.

### Reference

1. Burgisser et al. (1981) *Mol Pharmacol* 19:205;
2. Cherezov et al. (2007) *Science* 318:1258;
3. Rosenbaum et al. (2007) *Science* 318:1266



1-(Carbazol-4-yloxy)-3-(isopropylamino)-2-propanol;  
4-(2-Hydroxy-3-isopropylamino-propoxy)carbazole

M.W. 298.38 C<sub>18</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>  
[57775-29-8] Store at +4° C  
Soluble in DMSO or ethanol

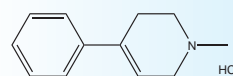
## MPTP hydrochloride

Cat.No.	Size	Price €
BN0709	50 mg	120,00

A piperidine derivative and dopaminergic neurotoxin that is widely utilized in *in vivo* research studies as a model for Parkinsonism. It is metabolized to 1-methyl-4-phenylpyridine (MPP<sup>+</sup>), which in turn can cause free radical production *in vivo* and lead to oxidative stress. A mouse investigation of MPTP treatment has indicated a possible role for COX-2 in Parkinsonian neurodegeneration.

### Reference

1. Burns et al. (1983) *Proc Natl Acad Sci USA* 80:4546;
2. Langston et al. (1983) *Science* 219:979;
3. Przedborski et al. (1998) *Mov Disord* 13:35;
4. Mogi et al. (1998) *Neurosci Lett* 250:25



1-Methyl-4-phenyl-1,2,3,6-tetrahydropyridine hydrochloride

M.W. 209.72 C<sub>12</sub>H<sub>16</sub>ClN  
[23007-85-4] Store at RT  
Soluble to 50 mM in water or to 100 mM in DMSO

(Controlled drug).  
We will charge 100 € for each delivery to cover customs and regulatory administration fees.

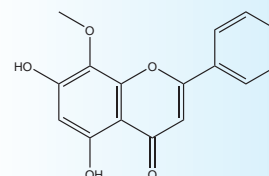
## Wogonin

Cat.No.	Size	Price €
BN0711	10 mg	120,00

A cell-permeable flavonoid that displays anti-inflammatory properties. Reported to suppress the release of NO by iNOS, PGE<sub>2</sub> by COX-2, proinflammatory cytokines, and MCP-1 gene expression and NF-κB activation. Recently it was shown that it preferentially kills malignant lymphocytes and suppresses T-cell tumor growth by inducing PLCγ1- and Ca<sup>2+</sup>-dependent apoptosis.

### Reference

1. Chang et al. (2001) *Mol Pharmacol* 60:507;
2. Kim et al. (2004) *J Pharmacol Sci* 96:229;
3. Lee et al. (2006) *Biochem Biophys Res Comm* 351:118;
4. Fas et al. (2006) *Blood* 108:3700;
5. Baumann et al. (2008) *Blood* 111:2354



5,7-Dihydroxy-8-methoxyflavone

M.W. 284.26 C<sub>16</sub>H<sub>12</sub>O<sub>5</sub>  
[632-85-9] Store at RT  
Soluble in DMSO or ethanol

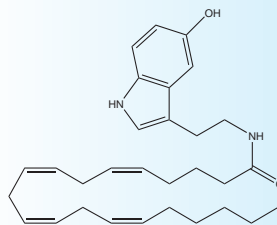
## N-Arachidonoylserotonin

Cat.No.	Size	Price €
BN0713	10 mg	85,00

A potent vanilloid VR1 (TRPV1) receptor antagonist ( $IC_{50} = 40$  nM). Also inhibits FAAH ( $IC_{50} = 12$   $\mu$ M) and enhances levels of anandamide and 2-AG *in vivo*.

### Reference

1. Bisogno et al. (1998) *Biochem Biophys Res Commun* 248:515;
2. Lago et al. (2005) *Biochem Pharmacol* 70:446;
3. Maione et al. (2007) *Br J Pharmacol* 150:766;
4. Ortar et al. (2007) *J Med Chem* 50:6554;
5. Di Marzo et al. (2008) *Br J Pharmacol*; doi: 10.1038/sj.bjp.0707682 [Epub ahead of print]



**N-[2-(5-Hydroxy-1H-indol-3-yl)ethyl]-5Z,8Z,11Z,14Z-eicosatetraenamide; AA-5HT**

**M.W. 462.67**  $C_{30}H_{42}N_2O_2$   
**[187947-37-1]** Store at  $-20^{\circ}C$  Soluble in ethanol or DMSO

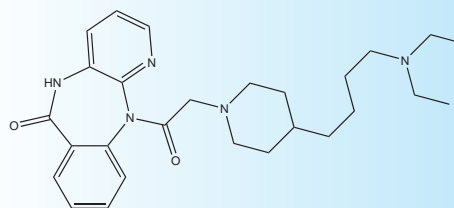
## AQ-RA 741

Cat.No.	Size	Price €
BN0714	10 mg	95,00

Potent, selective muscarinic  $M_2$  receptor antagonist ( $K_i$  values are 5 nM, 19 nM and 151 nM for  $M_2$ ,  $M_1$  and  $M_3$  receptors, respectively). Functional studies showed that it is a competitive antagonist with 60 to 87-fold higher affinity for cardiac muscarinic receptors than for muscarinic receptors in intestinal, tracheal or bladder smooth muscle.

### Reference

1. Doods et al. (1991) *Eur J Pharmacol* 192:147;
2. Doods et al. (1991) *Eur J Pharmacol* 253:275;
3. Watson et al. (1999) *Br J Pharmacol* 127:590



**11-[[4-[4-(Diethylamino)butyl]-1-piperidiny]acetyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one**

**M.W. 463.61**  $C_{27}H_{37}N_5O_2$   
**[123548-16-3]** Store at RT  
Soluble to 100 mM in ethanol or to 100 mM in DMSO

## Motilin antagonist

Cat.No.	Size	Price €
BP0360		Inquire

A selective motilin antagonist ( $pA_2$  value of 7.37).

### Reference

1. Takanashi et al. (1995) *J Pharmacol Exp Ther* 273:624
2. Jia et al. (2007) *Neurosci Bull* 23:75

**Phe-cyclo[Lys-Tyr(3-tBu)- $\beta$ Ala] .trifluoroacetate**

**Phe-cyclo[Lys-Tyr(3-tBu)- $\beta$ Ala] trifluoroacetate**

**M.W. 679.73**  $C_{31}H_{43}N_5O_5 \cdot CF_3CO_2H$   
Desiccate at  $-20^{\circ}C$   
Soluble in water

## [Trp<sup>3</sup>, Arg<sup>5</sup>]-Ghrelin (1-5) (human, rat)

Cat.No.	Size	Price €
BP0361	1 mg	123,00

Novel growth hormone secretagogue (GSH) receptor agonist based on the primary structure of ghrelin ( $IC_{50} = 10$   $\mu$ M). Displays stimulation of growth hormone secretion after intravenous or oral administration and increased food intake in non-fasted mice when administered centrally.

**H-Gly-Ser-Trp-Phe-Arg-OH**

**M.W. 651.71**  $C_{31}H_{41}N_7O_7$   
**[848442-59-1]** Desiccate at  $-20^{\circ}C$   
Soluble in water

### Reference

1. Ohinata et al. (2006) *Peptides* 27:1632

## Spinorphin

Cat.No.	Size	Price €
BP0363	1 mg	88,00

Potent P2X<sub>3</sub> receptor antagonist ( $IC_{50} = 8.3$  pM). Endogenous peptide that also inhibits angiotensin-converting enzyme and enkephalin-degrading enzymes (aminopeptidase, dipeptidyl aminopeptidase III, neprilysin). Displays antinociceptive effects in mice.

**H-Leu-Val-Val-Tyr-Pro-Trp-Thr-OH**

**M.W. 877.04**  $C_{45}H_{64}N_8O_{10}$   
**[137201-62-8]** Desiccate at  $-20^{\circ}C$   
Soluble in water

### Reference

1. Honda et al. (2001) *Jpn J Pharmacol* 87:261;
2. Liang et al. (2001) *J Immunol* 167:6609;
3. Yamamoto et al. (2002) *Curr Protein Pept Sci* 3:587;
4. Jung et al. (2007) *J Med Chem* 50:4543

## LP17

Cat.No.	Size	Price €
BP0364	1 mg	190,00

TREM-1 peptide. The triggering receptor expressed on myeloid cells (TREM)-1 is a recently discovered cell-surface molecule that has been identified both on human and murine polymorphonuclear neutrophils and mature monocytes. It belongs to the immunoglobulin superfamily and activates downstream signaling pathways with the help of an adaptor protein called DAP12. It has been shown that the expression of TREM-1 was greatly up-regulated on neutrophils and monocytes in the presence of such bacteria as *Pseudomonas aeruginosa* or *Staphylococcus aureus*, both in cell culture and in tissue samples from patients with infection.

## LP17 control peptide

Cat.No.	Size	Price €
BP0365	1 mg	190,00

TREM-1 control peptide (see Cat. No. BP0364). The triggering receptor expressed on myeloid cells (TREM)-1 is a recently discovered cell-surface molecule that has been identified both on human and murine polymorphonuclear neutrophils and mature monocytes. It belongs to the immunoglobulin superfamily and activates downstream signaling pathways with the help of an adaptor protein called DAP12.

## Apstatin

Cat.No.	Size	Price €
BP0366	1 mg	99,00

A potent and selective aminopeptidase P (APP) inhibitor ( $K_i = 2.6 \mu\text{M}$ ; purified rat lung membrane-bound APP). It blocks the APP-mediated degradation of bradykinin but does not inhibit ACE or other known bradykinin-degrading enzymes. Degradation of bradykinin was almost completely blocked in rats perfused with  $40 \mu\text{M}$  apstatin along with an ACE inhibitor. Limits myocardial infarct size alone or with ACE inhibitors.

### Reference

- Prechel et al. (1995) *J Pharmacol Exp Ther* 275: 1136;
- Wolfrum et al. (2001) *Br J Pharmacol* 134:370;
- Laurent et al. (2001) *Eur J Biochem* 268:5430;
- Veeravalli et al. (2003) *Pharmacol Res* 48:557

## Alsterpallone

Cat.No.	Size	Price €
BS0238	1 mg	84,00

Potent inhibitor of CDK1/cyclin B ( $\text{IC}_{50} = 35 \text{ nM}$ ). Also a potent and selective inhibitor of CDK2/cyclin A, CDK2/cyclin E ( $\text{IC}_{50} = 200 \text{ nM}$ ), CDK5/p25 ( $\text{IC}_{50} = 40 \text{ nM}$ ), CDK5/p35 ( $\text{IC}_{50} = 40 \text{ nM}$ ) and GSK-3 $\beta$ . Induces apoptosis by activation of caspase-8 and caspase-9 followed by disruption of the mitochondrial potential. Shows a high *in vitro* antitumour potency.

### Reference

- Schultz et al. (1999) *J Med Chem* 42:2909;
- Zaharevitz et al. (1999) *Cancer Res* 59:2566;
- Kunick et al. (2000) *Bioorg Med Chem Lett* 10:567;
- Lahusen et al. (2003) *Mol Carcinog* 36:183;
- Selenica et al. (2007) *Br J Pharmacol* 152:959

## H-Leu-Gln-Val-Thr-Asp-Ser-Gly-Leu-Tyr-Arg-Cys-Val-Ile-Tyr-His-Pro-Pro-NH<sub>2</sub>

M.W. 1960.26 C<sub>89</sub>H<sub>138</sub>N<sub>24</sub>O<sub>24</sub>S

Desiccate at -20° C

Soluble in water

### Reference

- Gibot et al. (2004) *JEM* 200:1419;
- Gibot et al. (2006) *J Infect Dis* 194:975

## H-Thr-Asp-Ser-Arg-Cys-Val-Ile-Gly-Leu-Tyr-His-Pro-Pro-Leu-Gln-Val-Tyr-NH<sub>2</sub>

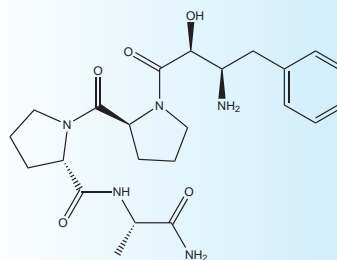
M.W. 1960.26 C<sub>89</sub>H<sub>138</sub>N<sub>24</sub>O<sub>24</sub>S

Desiccate at -20° C

Soluble in water

### Reference

- Gibot et al. (2004) *JEM* 200:1419;
- Gibot et al. (2006) *J Infect Dis* 194:975

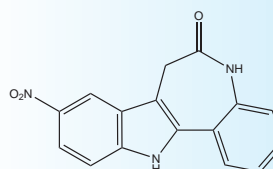


N-[(2S,3R)-3-Amino-2-hydroxy-4-phenylbutanoyl]-L-prolyl-L-prolyl-L-alaninamide

M.W. 459.54 C<sub>23</sub>H<sub>33</sub>N<sub>5</sub>O<sub>5</sub>

[160470-73-5] Desiccate at -20° C

Soluble to 25 mg/ml in water or to 20 mg/ml in DMSO



9-Nitro-7,12-dihydroindolo-[3,2-d][1]benzazepin-6(5H)-one; NSC 705701

M.W. 293.28 C<sub>16</sub>H<sub>11</sub>N<sub>3</sub>O<sub>3</sub>

[237430-03-4] Store at +4° C

Soluble to 50 mM in DMSO, insoluble in water