

# Neurochemicals

Newsletter

## Newsletter 1/2007

### New Products for Neurosciences Research

- **17-AAG** (Cat. No. BN0677) - HSP90 inhibitor
- **$\beta$ -Ala-Lys(AMCA)** (Cat. No. BP0352) - Reporter molecule for studying the oligopeptide transport system
- **Alamethicin** (Cat. No. BP0356) - Membrane permeabilizing antibiotic
- **Apramycin sulfate** (Cat. No. BG0445) - Aminoglycoside antibiotic
- **(R)-(+)-Baclofen hydrochloride** (Cat. No. BG0444) - More water-soluble hydrochloride salt of (R)-(-)-Baclofen
- **BCTC** (Cat. No. BN0674) - Vanilloid VR1 antagonist
- **CGP 78608** (Cat. No. BN0678) - Selective and potent NMDA receptor antagonist that acts through the glycine site
- **Clopidogrel hydrogensulfate** (Cat. No. BN0680) - P2Y<sub>12</sub> adenosine diphosphate-receptor antagonist
- **L-3,4-Dihydroxyphenylalanine methyl ester hydrochloride** (Cat. No. BN0679) - Precursor to L-DOPA
- **( $\pm$ )-Epibatidine dihydrochloride** (Cat. No. BN0676) - Most potent nicotinic acetylcholine receptor agonist known
- **Z-Ile-Glu(OtBu)-Ala-Leu-aldehyde** (Cat. No. BP0355) - Proteaseinhibitor, apoptosis inducer
- **Nostocarboline iodide** (Cat. No. BN0656) - Potent butyrylcholinesterase (BChE) inhibitor
- **cis-PPDA** (Cat. No. BN0675) - Potent and subtype-selective NMDA NR2C/NR2D receptor antagonist
- **Psalmotoxin 1** (Cat. No. BP0354) - Selective Acid-sensing ion channel (ASICa) inhibitor and spider toxin
- **Tetanus Toxoid Peptide (830-844)** (Cat. No. BP0353) - Tetanus toxoid helper peptide (amino acids 830-844)

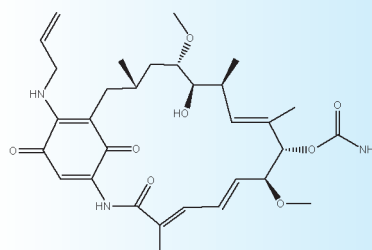
#### 17-AAG

Cat.No.	Size	Price €
BN0677	1 mg	224,00

HSP90 inhibitor that displays a 100-fold higher affinity for HSP90 derived from tumour cells compared to HSP90 from normal cells. Because Hsp90 client proteins play important roles in the regulation of the cell cycle, cell growth, cell survival, apoptosis and oncogenesis, it obstructs the proliferation of cancer cells and shows anti-cancer activity in experimental animals. More stable and less toxic analogue of geldanamycin (Cat. No. BN0223).

#### Reference

1. Kamal et al. (2003) *Nature* 425:407;
2. Vasilevskaya et al. (2003) *Mol Pharmacol* 65:235;
3. Solit et al. (2003) *Cancer Res* 63:2139



17-(Allylamino)-17-demethoxygeldanamycin

M.W. 585.69 C<sub>31</sub>H<sub>43</sub>N<sub>3</sub>O<sub>8</sub>

[75747-14-7] Desiccate at -20°C

Soluble to 100 mM in DMSO or to 10 mg/ml in ethanol

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## $\beta$ -Ala-Lys(AMCA)

Cat.No.	Size	Price €
BP0352	5 mg	75,00

Fluorescent dipeptide derivative, which could be used as an excellent reporter molecule for studying the oligopeptide transport system in brain cell cultures.

### Reference

1. Dieck et al. (1999) *Glia* 25:10

## $\beta$ -Ala-Lys(AMCA)

### $\beta$ -Ala-(L)-Lys-N-7-amino-4-methylcoumarin-3-acetic acid

M.W. 432.50  $C_{21}H_{28}N_4O_6$   
[75747-14-7] Desiccate at -20°C  
Soluble to 1 mg/ml in water

## Alamethicin

Cat.No.	Size	Price €
BP0356	5 mg	120,00

Membrane permeabilizing antibiotic. Monovalent cation ionophore that can mimic nerve action potential across artificial membranes. Remainder a mixture of alamethacin homologs.

### Reference

1. Cosette et al. (1999) *Biochim Biophys Acta* 1461:113; 2. Tieleman et al. (1999) *Biophys J* 76:3186; 3. Duclouier et al. (1999) *Biochim Biophys Acta* 1420:14

Ac-Aib-Pro-Aib-Ala-Aib-Ala-Gln-Aib-Val-Aib-Gly-Leu-Aib-Pro-Val-Aib-Aib-Glu-Gln-Phl

Ac-2-MeAla-L-Pro-2-MeAla-L-Ala-2-MeAla-L-Ala-L-Glu(NH<sub>2</sub>)-2-MeAla-L-Val-2-MeAla-Gly-L-Leu-2-MeAla-L-Pro-L-Val-2-MeAla-2-MeAla-L-Glu-L-Glu(NH<sub>2</sub>)-phenylalaninol; U-22324

M.W. 1964.4  $C_{92}H_{150}N_{22}O_{25}$   
[27061-78-5] Desiccate at -20°C  
Soluble in DMSO and ethanol

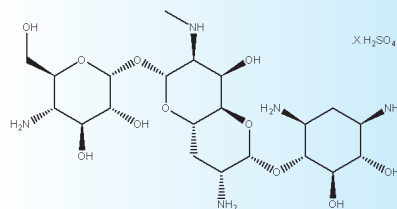
## Apramycin sulfate

Cat.No.	Size	Price €
BG0445	100 mg	25,00

Apramycin is an aminoglycoside antibiotic and has a bactericidal action against many gram-negative bacteria. It is a structurally unique antibiotic that contains a bicyclic sugar moiety and a mono-substituted deoxystreptamine.

### Reference

1. Paget and Davies (1996) *J Bacteriol* 178:6357



4-O-((8R)-2-Amino-8-O-(4-amino-4-deoxy- $\alpha$ -D-glucopyranosyl)-2,3,7-trideoxy-7-(methylamino)-D-glycero- $\alpha$ -D-allo-octodialdo-1,5:8,4-dipyranos-1-yl)-2-deoxy-D-streptamine sulfate

M.W. 539.58 (Base)  $C_{21}H_{41}N_5O_{11} \cdot XH_2SO_4$   
Soluble to 25 mg/ml in water  
Store at 2-8°C

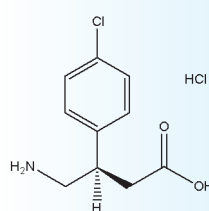
## (R)-(+)-Baclofen hydrochloride

Cat.No.	Size	Price €
BG0444	50 mg	239,00

More active enantiomer of (RS)-Baclofen (Cat. No. BG0101). More water-soluble hydrochloride salt of (R)-(-)-Baclofen (Cat. No. BG0394).

### Reference

1. Hong et al. (1991) *Eur J Pharmacol* 196:267



(R)-(+)-4-Amino-3-(4-chlorophenyl)butanoic acid hydrochloride

M.W. 250.12  $C_{10}H_{12}ClNO_2 \cdot HCl$   
[63701-55-3] Store at RT  
Soluble to 26 mg/ml in water

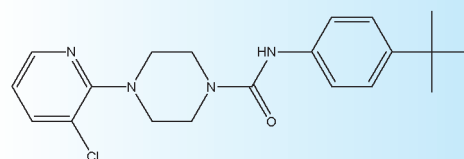
## BCTC

Cat.No.	Size	Price €
BN0674	10 mg	91,00

Vanilloid VR1 antagonist. A potent and selective inhibitor of capsaicin-induced ( $IC_{50} = 35$  nM) and acid-induced ( $IC_{50} = 6$  nM) VR1 activation. It displays analgesic activity in rat models of inflammatory and neuropathic pain.

### Reference

1. Valenzano et al. (2003) *J Pharmacol Exp Ther* 306:377;  
2. Pomonis et al. (2003) *J Pharmacol Exp Ther* 306:387



N-(4-t-Butylphenyl)-4-(3-chloropyridin-2-yl)tetrahydropyrazine-1(2H)-carboxamide

M.W. 372.89  $C_{20}H_{25}ClN_4O$   
Store at RT  
Soluble to 20 mg/ml in DMSO or to 15 mg/ml in ethanol

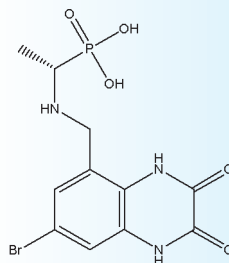
## CGP 78608

Cat.No.	Size	Price €
BN0678	10 mg	145,00

Anticonvulsant agent. Selective and potent NMDA receptor antagonist that acts through the glycine site ( $IC_{50} = 5 \text{ nM}$ ). Displays > 500-fold selectivity over kainate and AMPA receptors ( $IC_{50}$  values are 2.7 and 3  $\mu\text{M}$  respectively). Prevents activation of the NMDA/NO/cGMP pathway by ammonia.

### Reference

1. Auberson et al. (1999) *Bioorg Med Chem Lett* 9:249;
2. Ametamey et al. (2000) *Bioorg Med Chem Lett* 10:75;
3. Hilgier et al. (2004) *Brain Res* 1015:186



[(1S)-1-[[[7-Bromo-1,2,3,4-tetrahydro-2,3-dioxo-5-quinoxaliny]methyl]amino]ethyl]phosphonic acid

M.W. 378.12  $C_{11}H_{13}BrN_3O_5P$

Store at RT

Soluble to 100 mM in DMSO

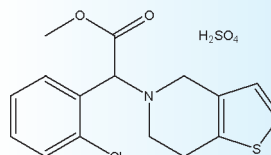
## Clopidogrel hydrogensulfate

Cat.No.	Size	Price €
BN0680	10 mg	110,00

P2Y<sub>12</sub> adenosine diphosphate-receptor antagonist. A thienopyridine compound which has been found to selectively inhibit ADP-induced platelet aggregation and adenylyl cyclase *ex vivo*.

### Reference

1. Savi et al. (1997) *Br J Haematol* 38:880;
2. Savi et al. (1998) *Platelets* 9:251



Methyl (2-chlorophenyl) (6,7-dihydro-4H-thieno[3,2-c]pyridin-5-yl) acetate hydrogen sulfate

M.W. 419.90  $C_{16}H_{16}ClNO_2S.H_2SO_4$

[135046-48-9] Desiccate at +4 °C

Soluble to 26 mg/ml in DMSO

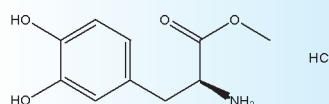
## L-3,4-Dihydroxyphenylalanine methyl ester HCl

Cat.No.	Size	Price €
BN0679	1 g	145,00

Antiparkinsonian agent and precursor to L-DOPA (Cat. No. BG0419) that crosses the blood-brain barrier.

### Reference

1. Tabar et al. (1989) *Pharmacol Biochem Behav* 33:139;
2. De Souza Silva et al. (1997) *J Neurochem* 68:233



2-Amino-3-(3,4-dihydroxyphenyl)-propanoic acid methylester hydrochloride; Methyl L-DOPA hydrochloride

M.W. 247.68  $C_{10}H_{13}NO_4.HCl$

[1421-65-4] Store at -20 °C (protect from light)

Soluble to 100 mM in water

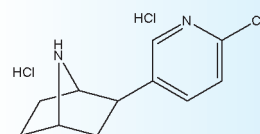
## (±)-Epibatidine dihydrochloride

Cat.No.	Size	Price €
BN0676	5 mg	140,00

Most potent nicotinic acetylcholine receptor agonist known ( $K_i$  values are 0.02 and 233 nM for  $\alpha 4\beta 2$  and  $\alpha 7$  nicotinic receptors respectively). Non-opioid analgesic. More water soluble form of (±)-Epibatidine (Cat. No. BN0207).

### Reference

1. Spande et al. (1992) *J Am Chem Soc* 114:3475;
2. Badio and Daly (1994) *Mol Pharmacol* 45:563;
3. Gerzarich et al. (1995) *Mol Pharmacol* 48:774;
4. Marks et al. (1998) *J Pharmacol Exp Ther* 285:377;
5. Sharples et al. (2000) *J Neurosci* 20:2783



(±)-exo-2-(6-Chloro-3-pyridinyl)-7-azabicyclo[2.2.1]heptane dihydrochloride

M.W. 281.61  $C_{11}H_{13}ClN_2.2HCl$

[162885-01-0] Desiccate at +4 °C

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

## Z-Ile-Glu(OtBu)-Ala-Leu-aldehyde

Cat.No.	Size	Price €
BP0355	5 mg	265,00

Proteaseinhibitor and inhibitor of chymotrypsin-like activity of the multicatalytic proteinase complex (MPC; 20S proteasome) in HT4 cells. Furthermore, this compound induced massive apoptosis in murine leukaemia L1210 cells. Therefore, proteasome inhibitors may be considered as potential anti-neoplastic agents. The first inhibitor reported so far which can cause accumulation of ubiquitinated proteins in neuronal cells.

Z-Ile-Glu(OtBu)-Ala-Leu-CHO

M.W. 618.77  $C_{32}H_{50}N_4O_8$

[158442-41-2] Desiccate at -20 °C

Soluble in water

### Reference

1. Traenckner et al. (1994) *EMBO J* 13:5433;
2. Figueiredo-Pereira et al. (1994) *J Neurochem* 63:1578;
3. Wojcik et al. (1997) *Apoptosis* 2:455

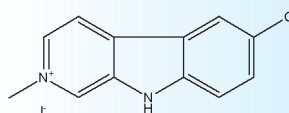
### Nostocarboline iodide\*

Cat.No.	Size	*new & exclusive, sold under license from ETH Zurich; Patent applied	Price €
BN0656	10 mg		150,00

Potent butyrylcholinesterase (BChE) inhibitor ( $IC_{50} = 13.2 \mu M$ ), originally isolated from the freshwater cyanobacterium Nostoc 78-12A. The inhibitory activity is of the same order of magnitude as that of galanthamine. See also the Des-chloro homologue 2-methylnorharmine (Cat. No. BN0657)

#### Reference

1. Becher et al. (2005) *J Nat Prod* 68:1793;
2. Blom et al. (2006) *Org Lett* 8:737



**6-Chloro-2-methyl-9H-pyrido[3,4-b]indol-2-ium iodide;**  
**6-Chloro-2-methylnorharmine iodide**

**M.W. 344.58**  $C_{12}H_{10}ClIN_2$

**Desiccate at +4° C**

**Soluble in water**

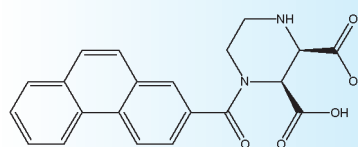
### cis-PPDA

Cat.No.	Size	Price €
BN0675	10 mg	92,00

Potent and subtype-selective NMDA NR2C/NR2D receptor antagonist ( $K_i$  values are 0.096, 0.125, 0.55 and 0.31  $\mu M$  for NR2C, NR2D, NR2A and NR2B subunits respectively).

#### Reference

1. Lozovaya et al. (2004) *J Physiol* 558:451;
2. Feng et al (2004) *Br J Pharmacol* 141:508;
3. Morley et al. (2005) *J Med Chem* 48:2627;
4. Kinarsky et al. (2005) *J Pharmacol Exp Ther* 313:1066



(and enantiomer)

**(±)-cis-1-[Phenanthren-2-yl-carbonyl]piperazine-2,3-dicarboxylic acid**

**M.W. 378.38**  $C_{21}H_{18}N_2O_5$

**Store at +4° C**

**Soluble to 50 mM in 2eq. NaOH or to 100 mM in DMSO**

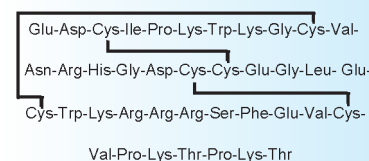
### Psalmotoxin 1

Cat.No.	Size	Price €
BP0354	100 $\mu g$	260,00

Selective Acid-sensing ion channel (ASICa) inhibitor and spider toxin. It inhibits ASIC1a by a unique mechanism: the toxin increases the apparent affinity for  $H^+$  of ASIC1a. A novel protein toxin from a tarantula (South American Tarantula, Psalmopoeus cambridgei).

#### Reference

1. Escoubas et al. (2000) *J Biol Chem* 275:25116;
2. Chen et al. (2005) *J Gen Physiol* 126:71



**PTX-4435-s; PXTx1**

**M.W. 4689.4**  $C_{200}H_{312}N_{62}O_{57}S_6$

**Desiccate at -20° C**

**Soluble in water**

### Tetanus Toxoid Peptide (830-844)

Cat.No.	Size	Price €
BP0353	1 mg	139,00

Tetanus toxoid helper peptide QYKANSKFIGITEL (amino acids 830-844) binds to class II MHC molecules as a nonspecific vaccine helper epitope (adjuvant) and induces an increased (and long term) immune response by increasing the helper T-cell response. Obtained by genetic engineering from the bacterial Clostridium tetani toxoid.



**Tetanus Toxoid Peptide (830-844)**

**M.W. 1725.0**  $C_{80}H_{129}N_{19}O_{23}$

**Desiccate at -20° C**

**Soluble to 1 mg/ml in water**

#### Reference

1. Cruz et al. (2004) *Bioconjug Chem* 15:112;
2. Bainbridge et al. (2004) *Clin Exp Immunol* 137:298