

# Neurochemicals

## Newsletter

### Newsletter 5/2009

#### New Products for Neurosciences Research

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- BG0492 **Miltefosine** - CTP:phosphocholine cytidyl transferase inhibitor, anti-leishmanial drug
- BG0493 **Podophyllotoxin** - Microtubule assembly inhibitor, antineoplastic agent
- BG0494 **Dorzolamide hydrochloride** - Carbonic anhydrase inhibitor
- BG0495 **Nilvadipine** - Ca<sup>2+</sup> channel (L-type) blocker

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- BG0496 **Endoxifen** - Estrogen receptor antagonist, secondary active metabolite of tamoxifen
- BG0497 **Carbamazepine** - Anticonvulsant and mood stabilizing agent
- BG0498 **Latanoprost** - Potent prostaglandin F receptor agonist (prodrug)
- BG0499 **Tranlycypromine** - Non-selective MAO-A/-B inhibitor

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- BG0501 **Idebenone** - Antioxidant and neuroprotective agent
- BG0502 **Esmolol hydrochloride** - Adrenergic  $\beta_1$  antagonist
- BG0503 **Mitoxantrone dihydrochloride** - Antitumour agent, type II topoisomerase inhibitor
- BG0504 **Amorolfine hydrochloride** - Antifungal agent

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- BG0505 **Stavudine** - Antiviral agent, reverse transcriptase inhibitor
- BG0506 **A77 1726** - Active metabolite of leflunomide, immunosuppressant
- BG0507 **Miglitol** -  $\alpha$ -Glucosidase inhibitor
- BG0509 **Cefdinir** - Antibiotic agent

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- BN0742 **Trichostatin A** - Histone deacetylase inhibitor
- BN0743 **HC 030031** - Potent and selective TRPA1 inhibitor
- BN0744 **FrPbAII** - Selective GABA and glycine uptake inhibitor
- BN0745 **T 0901317** - Potent liver X receptor (LXR) agonist

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- BN0746 **SR 12813** - Pregnane X receptor (PXR) agonist
- BN0747 **NCS-382** -  $\gamma$ -Hydroxybutyrate (GHB) receptor antagonist, anticonvulsant agent
- BP0379 **TKD peptide / Hsp70 (450 - 463) (human)** - Partial Hsp70, stimulates NK cells activity
- BP0380 **Bradykinin** - Endogenous bradykinin receptor agonist
- BP0381 **Bestatin** - Aminopeptidase inhibitor and immunomodulator

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- BS0257 **Triptolide** - Immunosuppressant, anti-inflammatory and antitumour agent
- BS0258 **BYK 191023** - Highly selective and potent iNOS inhibitor
- BS0259 **Ellagic acid** - Selective casein kinase 2 (CK2) inhibitor

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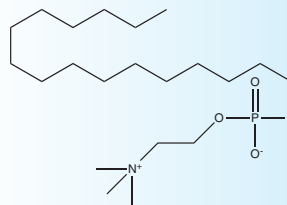
## Miltefosine

Cat.No.	Size	Price €
BG0492	25 mg	45,00

CTP:phosphocholine cytidyl transferase inhibitor with antitumour properties. It inhibits proliferation of HaCaT cells (immortalized human keratinocyte cell line) by 50% and 94% at concentrations of 3 and 25  $\mu\text{M}$ , respectively. Also used for the treatment of visceral and cutaneous leishmaniasis. It is currently the only effective oral treatment for leishmaniasis.

### Reference

1. Geilen et al. (1991) *Eur J Canc* 27:1650;
2. Geilen et al. (1992) *J Biol Chem* 267:6719;
3. Wieder et al. (1993) *Biochem J* 291:561;
4. Wieder et al. (1998) *J Biol Chem* 273:11025



1-Hexadecylphosphorylcholine; Impavido; Miltex

M.W. 407.57  $\text{C}_{21}\text{H}_{46}\text{NO}_4\text{P}$

[58066-85-6] Store at  $-20^\circ\text{C}$

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

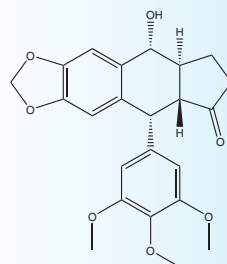
## Podophyllotoxin

Cat.No.	Size	Price €
BG0493	50 mg	45,00

Antineoplastic agent. The mechanism by which it blocks cell division is related to its inhibition of microtubule assembly in the mitotic apparatus. Please see also the precursor etoposide (Cat. No. BG0186).

### Reference

1. Gordaliza et al. (2000) *Curr Pharm Des* 6:1811;
2. Gordaliza et al. (2004) *Toxicol* 44:441



5,8,8a,9-Tetrahydro-9-hydroxy-5-(3,4,5-trimethoxyphenyl)furo[3',4':6,7]naphtho[2,3,d]-1,3-dioxol-6(5aH)-one; Condylax; Podofilox; NSC 24818

M.W. 414.41  $\text{C}_{22}\text{H}_{22}\text{O}_8$

[518-28-5] Store at  $+4^\circ\text{C}$

Soluble to 100 mM in DMSO

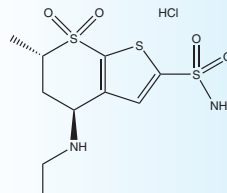
## Dorzolamide hydrochloride

Cat.No.	Size	Price €
BG0494	50 mg	180,00

A carbonic anhydrase inhibitor for topical ophthalmic application. It is used in the treatment of glaucoma to lower the intraocular pressure. After absorption via the cornea and stroma, it inhibits carbonic anhydrase in the ciliary process, which leads to a reduction of aqueous humour production and therefore to the desired therapeutic effect.

### Reference

1. Grover et al. (2006) *Am J Ophthalmol* 141:850;
2. Katz et al. (2007) *Curr Med Res Opin* 23:2971



(4S-trans)-4-(Ethylamino)-5,6-dihydro-6-methyl-4H-thieno[2,3-b]thiopyran-2-sulfonamide 7,7-dioxide hydrochloride; Trusopt

M.W. 360.90  $\text{C}_{10}\text{H}_{16}\text{N}_2\text{O}_4\text{S}_3 \cdot \text{HCl}$

[130693-82-2] Store at RT

Soluble to 50 mM in water or to 100 mM in DMSO

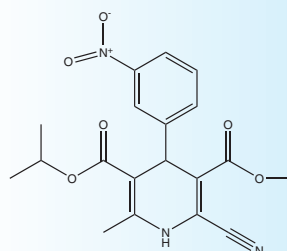
## Nilvadipine

Cat.No.	Size	Price €
BG0495	10 mg	98,00

1,4-Dihydropyridine-type  $\text{Ca}^{2+}$  channel blocker. Antihypertensive agent. Currently it is tested in clinical trials as a possible treatment for Alzheimer's disease.

### Reference

1. Honerjäger and Seibel (1992) *J Cardiovasc Pharmacol* 20:S15;
2. Rosenthal (1994) *J Cardiovasc Pharmacol* 24:S92;
3. Matsuda et al. (2008) *Clin Nucl Med* 33:34



5-Isopropyl-3-methyl-2-cyano-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate

M.W. 385.37  $\text{C}_{19}\text{H}_{19}\text{N}_3\text{O}_6$

[75530-68-6] Store at RT

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

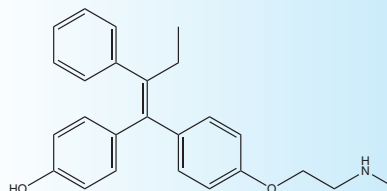
## Endoxifen

Cat.No.	Size	Price €
BG0496	5 mg	170,00

A secondary active metabolite of tamoxifen (Cat. No. BG0328), has anti-estrogenic effects in breast cancer cells with potency similar to the main active metabolite 4-hydroxy-tamoxifen (4-OH Tam), which itself has greater anti-estrogenic potency than the parent drug, tamoxifen. Antitumour agent.

### Reference

1. Johnson et al. (2004) *Breast Cancer Res Treat* 85:151;
2. Lim et al. (2005) *Cancer Chemother Pharmacol* 55:471;
3. Lim et al. (2006) *J Pharmacol Exp Ther* 318:503



4-[1-[4-[2-(Methylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenol (approx. 1:1 E/Z Mixture); N-Desmethyl-4-hydroxy-tamoxifen

M.W. 373.49  $C_{25}H_{27}NO_2$   
[110025-28-0] Store at +4° C  
Soluble to 100 mM in DMSO or methanol

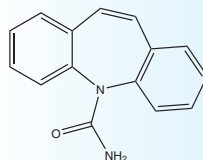
## Carbamazepine

Cat.No.	Size	Price €
BG0497	500 mg	45,00

An anticonvulsant and mood stabilizing agent used primarily in the treatment of epilepsy and bipolar disorder. A sodium channel blocker that stabilizes the inactivated state of sodium channels. Also a ligand for the GABA<sub>A</sub> receptor benzodiazepine modulatory side. It is also used to treat ADD, ADHD, schizophrenia, phantom limb syndrome, paroxysmal extreme pain disorder and trigeminal neuralgia.

### Reference

1. Keck and McElroy (2002) *J Clin Psychiatry* 63:13;
2. Tudur Smith et al. (2002) *Cochrane Database Syst Rev* CD001911;
3. Ambrosio et al. (2002) *Neurochem Res* 27:121



4H-Dibenz[b,f]azepine-5-carboxamide; CBZ; Tegretal; Amizepin; Biston

M.W. 236.27  $C_{15}H_{12}N_2O$   
[298-46-4] Store at RT  
Soluble to 100 mM in DMSO or to 100 mM in ethanol

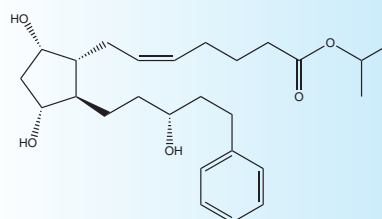
## Latanoprost

Cat.No.	Size	Price €
BG0498	1 mg	75,00

A prodrug form, the free acid is a potent agonist at prostaglandin F (FP) receptors in the eye (EC<sub>50</sub> value of latanoprost (tested as the free acid) for FP receptors is 3.6 nM). Used as a topical antiglaucoma agent.

### Reference

1. Stjernschantz et al. (1992) *Drug Future* 17:691;
2. Alm et al. (1993) *Ophthalmology* 100:1312;
3. Camras et al. (1996) *Ophthalmology* 103:1916;
4. Linden et al. (1997) *Br J Ophthalmol* 81:370



17-Phenyl-13,14-dihydro prostaglandin F2α isopropyl ester

M.W. 432.59  $C_{26}H_{40}O_5$   
[130209-82-4] Store at -20° C  
Soluble to 25 mg/ml in DMSO or to 25 mg/ml in ethanol

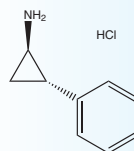
## Tranlycypromine

Cat.No.	Size	Price €
BG0499	100 mg	45,00

Non-selective MAO-A/-B inhibitor. It also inhibits prostacyclin synthase and BHC110/LSD1, a histone H3 demethylase (IC<sub>50</sub> > 2 μM). It is indicated for the treatment of major depressive episodes.

### Reference

1. Silverman and Zieske (1985) *Biochemistry* 24:2128;
2. Lee et al. (2006) *Chem Biol* 13:563



trans-2-Phenylcyclopropylamine hydrochloride; Parnate

M.W. 169.65  $C_9H_{11}N.HCl$   
[1986-47-6] Store at +4° C  
Soluble in DMSO or in ethanol

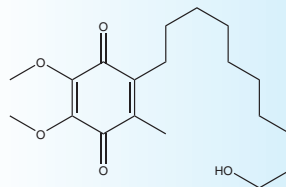
## Idebenone

Cat.No.	Size	Price €
BG0501	50 mg	170,00

An organic compound of the quinone family with antioxidant and neuroprotective properties and promoted commercially as a synthetic analogue of coenzyme Q10.

### Reference

1. Gillis et al. (1994) *Drugs Aging* 5:133;
2. Farris (2007) *Dermatol Ther* 20:322



2-(10-Hydroxydecyl)-5,6-dimethoxy-3-methyl-2,5-cyclohexadiene-1,4-dione; Catena

M.W. 338.44  $C_{19}H_{30}O_5$

[58186-27-9] Store at RT

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

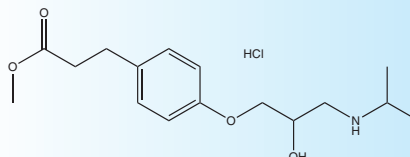
## Esmolol hydrochloride

Cat.No.	Size	Price €
BG0502	50 mg	180,00

A cardioselective  $\beta_1$  adrenoceptor antagonist with rapid onset, a very short duration of action, and no significant intrinsic sympathomimetic or membrane stabilising activity at therapeutic dosages.

### Reference

1. Barbier et al. (1995) *Int J Clin Pharmacol Ther* 33:212;
2. Wiest (1995) *Clin Pharmacokinet* 28:190



Methyl 3-[4-(2-hydroxy-3-propan-2-ylamino-propoxy)phenyl]propanoate hydrochloride; Brevibloc

M.W. 331.83  $C_{16}H_{25}NO_4 \cdot HCl$

[81161-17-3] Store at RT

Soluble to 100 mM in DMSO

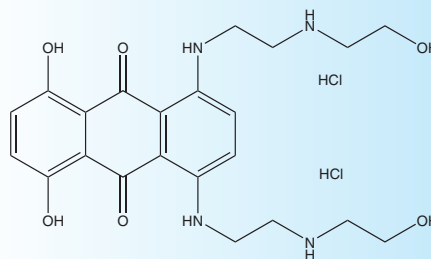
## Mitoxantrone dihydrochloride

Cat.No.	Size	Price €
BG0503	50 mg	140,00

Antitumour agent and a type II topoisomerase inhibitor. It is used in the treatment of metastatic breast cancer, acute myeloid leukemia and non-Hodgkin's lymphoma. Mitoxantrone is also used to treat multiple sclerosis (MS), it is effective in slowing the progression of secondary progressive MS.

### Reference

1. Fox (2004) *Neurology* 63:S15;
2. Hagemester et al. (2005) *Oncologist* 10:150;
3. Collins et al. (2006) *Br J Cancer* 95:457



1,4-Dihydroxy-5,8-bis[2-(2-hydroxyethylamino)ethylamino]-anthracene-9,10-dione dihydrochloride

M.W. 517.40  $C_{22}H_{28}N_4O_6 \cdot 2HCl$

[70476-82-3] Store at RT

Soluble to 100 mM in DMSO

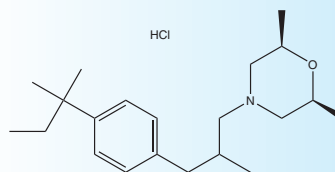
## Amorolfine hydrochloride

Cat.No.	Size	Price €
BG0504	50 mg	140,00

An allylamine antifungal agent that inhibits D14 reductase and D7-D8 isomerase, which depletes ergosterol and causes ergosterol to accumulate in the fungal cytoplasmic cell membranes.

### Reference

1. Haria and Bryson (1995) *Drugs* 49:103;
2. Flagothier et al. (2005) *Mycoses* 48:91



(2R,6S)-2,6-Dimethyl-4-[2-methyl-3-[4-(2-methylbutane-2-yl)phenyl]propyl]morpholine hydrochloride; Curanail; Loceryl; Odenil

M.W. 353.97  $C_{21}H_{35}NO \cdot HCl$

[78613-38-4] Store at RT

Soluble to 100 mM in DMSO

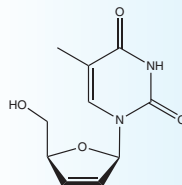
## Stavudine

Cat.No.	Size	Price €
BG0505	50 mg	265,00

Anti-HIV agent. A nucleoside reverse transcriptase inhibitor (NRTI) with activity against Human Immunodeficiency Virus Type 1 (HIV-1).

### Reference

1. De Clercq (1988) *Chemioterapia* 7:357;
2. Siegfried et al. (2006) *Cochrane Database Syst Rev* (2):CD004535;
3. Hill et al. (2007) *Expert Opin Pharmacother* 8:679



2',3'-Didehydro-3'-deoxythymidine; Zerit, d4T

M.W. 224.21  $C_{10}H_{12}N_2O_4$   
[3056-17-5] Store at  $-20^{\circ}C$   
Soluble to 100 mM in DMSO

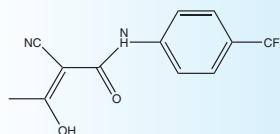
## A77 1726

Cat.No.	Size	Price €
BG0506	5 mg	65,00

Physiologically active metabolite of the immunomodulatory agent leflunomide (Cat. No. BG0373). It inhibits dihydroorotate dehydrogenase ( $K_i = 2.7 \mu M$ ) and has antiproliferative activity. It also blocks the *de novo* synthesis of pyrimidines, thus preventing the proliferation of activated T cells. A77 1726 inhibits anti-CD3/CD28-induced cytokine production in PBMC cells ( $IC_{50} = 21-27 \mu g/ml$ ).

### Reference

1. Greene et al. (1995) *Biochem Pharmacol* 50:861;
2. Cherwinski et al. (1995) *J Pharmacol Exp Ther* 275:1043;
3. Magari et al. (2004) *Inflamm Res* 53:544



2-Cyano-3-hydroxy-N-[4-(trifluoromethyl)phenyl]-2-butenamide;  
N-(4-Trifluoromethylphenyl)-2-cyano-3-hydroxycrotonamide; Triflunomide

M.W. 270.21  $C_{12}H_9F_3N_2O_2$   
[108605-62-5] Store at  $+4^{\circ}C$   
Soluble to 100 mM in DMSO

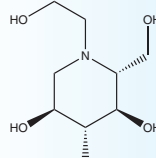
## Miglitol

Cat.No.	Size	Price €
BG0507	10 mg	190,00

$\alpha$ -Glucosidase inhibitor. Oral anti-diabetic drug primarily used in diabetes mellitus type 2 for establishing greater glycemic control. A hydroxyethyl derivative of 1-deoxynojirimycin (Cat. No. BN0179).

### Reference

1. Sels et al. (1999) *Expert Opin Pharmacother* 1:149;
2. Scott and Spencer (2000) *Drugs* 59:521;
3. Aoki et al. (2008) *Diabetes Obes Metab* 10:970



1,5-Dideoxy-1,5-[(2-hydroxyethyl)amino]-D-glucitol; N-( $\beta$ -Hydroxyethyl)-1-deoxynojirimycin; Glyset

M.W. 207.22  $C_8H_{17}NO_5$   
[72432-03-2] Store at  $+4^{\circ}C$   
Soluble to 100 mM in DMSO

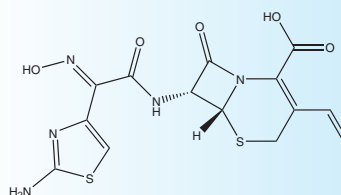
## Cefdinir

Cat.No.	Size	Price €
BG0509	500 mg	75,00

An advanced-generation, cephalosporin antibiotic agent with excellent and well balanced antibacterial activities against gram-positive and gram-negative bacteria.

### Reference

1. Perry and Scott (2004) *Drugs* 64:1433;
2. Hadley (2006) *Expert Opin Pharmacother* 7:1075



[6R-[6 $\alpha$ -7 $\beta$ (Z)]]-7-[[[2-Amino-4-thiazolyl](hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid;  
BMY-28488; FK-482; Omnicef

M.W. 395.41  $C_{14}H_{13}N_5O_5S_2$   
[91832-40-5] Store at RT  
Soluble to 100 mM in DMSO or to 30 mM in ethanol

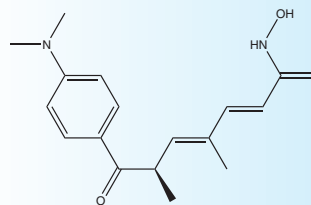
## Trichostatin A

Cat.No.	Size	Price €
BN0742	1 mg	135,00

A potent, reversible inhibitor of histone deacetylase (HDAC). It arrests cell cycle progression in G1 and inhibits the activity of the HDAC1 with an  $IC_{50}$  value of 70 nM in human Jurkat T cells.

### Reference

1. Hoshikawa et al. (1994) *Exp Cell Res* 214:189;
2. Taunton et al. (1996) *Science* 272:408;
3. Kawamura et al. (2005) *J Biol Chem* 280:19682



[*R*-(*E*,*E*)]-7-[4-(Dimethylamino)phenyl]-*N*-hydroxy-4,6-dimethyl-7-oxo-2,4-heptadienamides

M.W. 302.37  $C_{17}H_{22}N_2O_3$   
[58880-19-6] Store at -20° C  
Soluble to 10 mg/ml in DMSO, DMF or ethanol

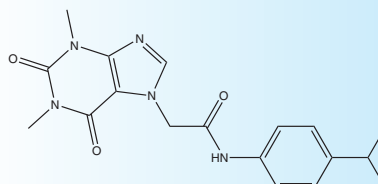
## HC 030031

Cat.No.	Size	Price €
BN0743	10 mg	99,00

A substituted theophylline derivative acting as a potent and selective TRPA1 (ANKTM1/TRPN1) inhibitor (TRPV1, TRPV3, TRPV4, hERG and NaV1.2 are not blocked).

### Reference

1. McNamara et al. (2007) *Proc Natl Acad USA* 104:13525;
2. Taylor-Clark et al. (2008) *Proc Natl Acad USA* 73:274



2-(1,3-Dimethyl-2,6-dioxo-1,2,3,6-tetrahydro-7H-purin-7-yl)-*N*-(4-isopropylphenyl)acetamide; HC-030031; Theophylline-7-(*N*-4-isopropylphenyl)acetamide

M.W. 355.39  $C_{18}H_{21}N_5O_3$   
[349085-38-7] Store at RT  
Soluble to 100 mM in DMSO

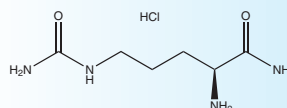
## FrPbAII

Cat.No.	Size	Price €
BN0744	5 mg	285,00

Selective GABA and glycine uptake inhibitor ( $IC_{50}$  values are 65  $\mu$ M and 62  $\mu$ M respectively), displaying minor or no effect on monoamine or glutamate transporters. A component of the *Parawixia bistriata* spider venom.

### Reference

1. Cairrao et al. (2002) *Pharm Biol* 40:472;
2. Belebony et al. (2006) *Mol Pharmacol* 69:1988;
3. Gelfuso et al. (2007) *Life Sci* 80:566



L-2-Amino-5-ureidopentanamide hydrochloride

M.W. 210.66  $C_6H_{14}N_4O_2 \cdot HCl$   
Store at -20° C  
Soluble to 25 mg/ml in water or to 25 mg/ml in ethanol

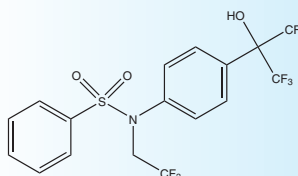
## T 0901317

Cat.No.	Size	Price €
BN0745	10 mg	74,00

Potent liver X receptor (LXR) agonist ( $EC_{50} \sim 50$  nM,  $K_d$  values are 7 and 22 nM for LXR- $\alpha$  and LXR- $\beta$  respectively). Also a bile acid farnesoid X receptor (FXR) agonist ( $EC_{50} \sim 5$   $\mu$ M). It decreases  $\beta$ -amyloid production *in vitro* and in a mouse model of Alzheimer's disease.

### Reference

1. Repa et al. (2000) *Science* 289:1524;
2. Houck et al. (2004) *Mol Gen Metab* 83:184;
3. Koldamova et al. (2005) *J Biol Chem* 280:4079;
4. Mitro et al. (2007) *Nature Lett* 445:219



*N*-(2,2,2-Trifluoroethyl)-*N*-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzenesulfonamide

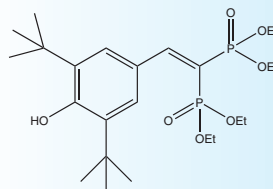
M.W. 481.33  $C_{17}H_{12}F_9NO_3S$   
[293754-55-9] Store at -20° C  
Soluble to 100 mM in ethanol or to 10 mM in DMSO

## SR 12813

Cat.No.	Size	Price €
BN0746	10 mg	81,00

Pregnane X receptor (PXR) agonist ( $EC_{50} = 200$  nM for human PXR). Also activates farnesoid X receptors (FXR) at  $\mu$ M concentrations. Hypocholesterolaemic agent.

1. Berkhout et al. (1996) *J Biol Chem* 271:14376;
2. Jones et al. (2000) *Mol Pharmacol* 14:27;
3. Lemaire et al. (2007) *Mol Pharmacol* 72:572 ;
4. Chen et al. (2007) *Cancer Res* 67:10361



**[[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]ethenyldene] bis-phosphonic acid tetraethyl ester**

M.W. 504.53  $C_{24}H_{42}O_6P_2$   
[126411-39-0] Store at +4° C

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

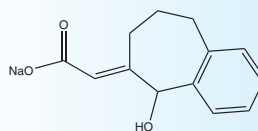
## NCS-382

Cat.No.	Size	Price €
BN0747	50 mg	379,00

$\gamma$ -Hydroxybutyrate (GHB) receptor antagonist. It blocks the effects of GHB in animals and has both anti-sedative and anticonvulsant effects.

### Reference

1. Maitre et al. (1990) *J Pharmacol Exp Ther* 255:657;
2. Schmidt et al. (1991) *Eur J Pharmacol* 203:393;
3. Colombo et al. (1995) *Physiol Behav* 58:587;
4. King et al. (1997) *J Neural Transm* 104:1177



**5,7,8,9-Tetrahydro-5-hydroxy-6H-benzocyclohepten-6-ylidene acetic acid monosodium salt**

M.W. 240.23  $C_{13}H_{13}NaO_3$

Store at +4° C

Soluble to 22 mg/ml in water

## TKD peptide / Hsp70 (450 - 463) (human)

Cat.No.	Size	Price €
BP0379	1 mg	230,00

The TKD peptide is a partial Hsp70 sequence (aa. 450-463) and has identical effects on NK cells as full-length Hsp70 protein, being able to stimulate natural killer cells activity at equivalent concentrations to full-length Hsp70 protein. Incubation of peripheral blood lymphocyte cells with TKD plus lowdose interleukin 2 (IL-2) enhances the cytolytic activity of NK cells against Hsp70 membrane-positive tumours, *in vitro* and *in vivo*.

H-Thr-Lys-Asp-Asn-Asn-Leu-Leu-Gly-Arg-Phe-Glu-Leu-Ser-Gly-OH

**TKDNNLLGRFELSG; Hsp70 (450 - 463) (human); TKD**

M.W. 1563.71  $C_{67}H_{110}N_{20}O_{23}$

Desiccate at -20° C

Soluble to 1 mg/ml in water

### Reference

1. Rothman (1989) *Cell* 59:591;
2. DeLuca-Flaherty et al. (1990) *Cell* 62:875;
3. Bork et al. (1992) *Proc Natl Acad Sci USA* 89:7290;
4. Boorstein et al. (1993) *J Mol Evol* 38:1;
5. Multhoff et al. (2001) *Cell Stress Chaperones* 6:337;
6. Krause et al. (2004) *Clin Cancer Res* 10:3699

## Bradykinin

Cat.No.	Size	Price €
BP0380	5 mg	53,00

Endogenous bradykinin receptor agonist.

### Reference

1. Regoli et al. (1998) *Eur J Pharmacol* 348:1;
2. Leeb-Lundberg et al. (2005) *Pharmacol Rev* 57:27

H-Arg-Pro-Pro-Gly-Phe-Ser-Pro-Phe-Arg-OH

M.W. 1060.21  $C_{50}H_{73}N_{15}O_{11}$

[6846-03-3] Desiccate at -20° C

Soluble to 1 mg/ml in water

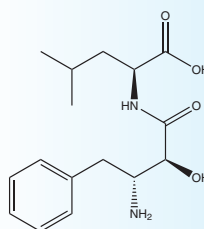
## Bestatin

Cat.No.	Size	Price €
BP0381	5 mg	93,00

Aminopeptidase inhibitor and immunomodulator. It inhibits LTA4 hydrolase, a dual function protease and epoxide hydrolase ( $IC_{50} = 4$   $\mu$ M). Also modulates the production of cytokines and chemokines by activated monocytes and macrophages.

### Reference

1. Orming et al. (1991) *J Biol Chem* 266:1375;
2. Wilkes and Prescott (1985) *J Biol Chem* 260:13154;
3. Tarnus et al. (1996) *Bioorg Med Chem* 4:1287;
4. Lkhagvaa et al. (2008) *Cytokine* 44:386



**(2S,3R)-3-Amino-2-hydroxy-4-phenyl-butanoyl]-L-leucine; Ubenimex**

M.W. 308.37  $C_{16}H_{24}N_2O_4$

[65391-42-6] Store at -20° C

Soluble to 25 mg/ml in DMSO or to 4 mg/ml in water

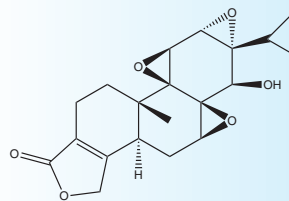
## Triptolide

Cat.No.	Size	Price €
BS0257	10 mg	199,00

A potent naturally occurring immunosuppressant and anti-inflammatory terpenoid originally isolated from the Chinese herb *Tripterygium wilfordii hook* (TwHF). It induces apoptotic death in T lymphocytes and tumour cells and also inhibits T-cell IL-2 expression at the level of NF-κB transcriptional activation. Recently it has been shown that it inhibits amyloid-β 1-42-induced TNF-α and IL-1β production in cultured rat microglia.

### Reference

1. Yang et al. (1998) *Immunopharmacology* 40:139;
2. Qiu et al. (1999) *J Biol Chem* 274:13443;
3. Lee (1999) *J Biol Chem* 274:13451;
4. Jiao et al. (2008) *J Neuroimmunol* 205:32



(3bS,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-3b,4,4a,6,6a,7a,7b,8b,9,10-Decahydro-6-hydroxy-8b-methyl-6a-(1-methylethyl)trioxireno [4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1(3H)-one; PG490

M.W. 360.40 C<sub>20</sub>H<sub>24</sub>O<sub>6</sub>  
 [38748-32-2] Store at -20° C  
 Soluble to 1 mg/ml in ethanol or to 25 mg/ml in DMSO

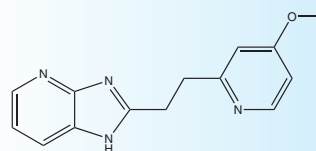
## BYK 191023

Cat.No.	Size	Price €
BS0258	10 mg	110,00

Highly selective and potent iNOS inhibitor (IC<sub>50</sub> values are 86 nM, 17 μM and 162 μM for iNOS, nNOS and eNOS respectively). In a model of systemic hypotension following high-dose lipopolysaccharide challenge, administration of BYK 191023 completely prevented the gradual decrease in mean arterial blood pressure observed in vehicle-treated control rodents. Recently it was shown that it is also a NADPH- and time-dependent irreversible iNOS inhibitor. (Sold under permission of Nycomed).

### Reference

1. Strub et al. (2006) *Mol Pharmacol* 68:328;
2. Lehner et al. (2006) *J Pharmacol Exp Ther* 317:181;
3. Tiso et al. (2008) *Mol Pharmacol* 72:1244



2-[2-(4-Methoxy-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridine

M.W. 254.29 C<sub>14</sub>H<sub>14</sub>N<sub>4</sub>O  
 Store at +4° C  
 Soluble to 100 mM in DMSO

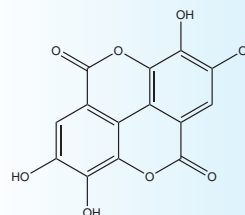
## Ellagic acid

Cat.No.	Size	Price €
BS0259	50 mg	45,00

Selective casein kinase 2 (CK2) inhibitor (IC<sub>50</sub> values are 40 nM, 2.9 μM, 3.5 μM, 4.3 μM and 9.4 μM for CK2, Lyn, PKA, Syk and FGR respectively). A commonly occurring plant polyphenol exhibiting antioxidant and antitumour properties. It acts also as a glutathione S-transferase inhibitor. Recently it was shown that compounds structurally related to ellagic acid show improved antiplasmodial activity.

### Reference

1. Cozza et al. (2006) *J Med Chem* 49:2363;
2. Han et al. (2006) *Anticancer Res* 26:3601;
3. Hayeshi et al. (2007) *Food Chem Toxicol* 45:286;
4. Sturm et al. (2009) *Antimicrob Agents Chemother* 53:622



4,4',5,5',6,6'-Hexahydroxydiphenic acid 2,6,2',6'-dilactone

M.W. 302.19 C<sub>14</sub>H<sub>6</sub>O<sub>8</sub>  
 [476-66-4] Store at RT  
 Soluble to 10 mM in ethanol or to 5 mM in water