

# Neurochemicals

## Newsletter 1/2009

### New Products for Neurosciences Research

#### Page 2

- BG0475 **Tamsulosin hydrochloride** - Adrenergic  $\alpha_1$  antagonist
- BG0476 **D-Penicillamine** - Immunosuppressant to treat rheumatoid arthritis
- BG0477 **Oxamflatin** - Histone deacetylase inhibitor; antitumour agent
- BG0478 **Venlafaxine hydrochloride** - Dual 5-HT/noradrenaline uptake inhibitor

#### Page 3

- BG0479 **Methoxsalen** - Cytochrome P450 inhibitor
- BG0481 **3"-Hydroxy-Simvastatin** - HMG-CoA reductase inhibitor metabolite
- BG0482 **Simvastatin Hydroxy acid, ammonium salt** - HMG-CoA reductase inhibitor metabolite
- BG0483 **Fenofibrate** - PPAR $\alpha$  agonist

#### Page 4

- BG0484 **Torsemide** - Ion channel modulator, loop diuretic
- BG0485 **Topiramate** - Anticonvulsant agent; GluR5 kainate antagonist
- BG0487 **Dimebolin dihydrochloride** - Antihistaminergic, Alzheimer's disease therapeutic
- BG0489 **Rocuronium bromide** - Acetylcholine, nicotinic antagonist

#### Page 5

- BG0491 **Nitisinone** - 4-Hydroxyphenylpyruvate oxidase inhibitor
- BN0730 **Herbimycin A** - Hsp90 protein inhibitor
- BN0731 **Ellipticine** - Antitumour agent, topoisomerase II inhibitor
- BN0733 **Pravadoline** - Cannabinoid receptor agonist

#### Page 6

- BN0738 **A 803467** - Selective Nav1.8 channel blocker
- BN0739 **Echinomycin** - Antitumour agent and potent HIF-1 inhibitor
- BN0740 **U-46619** - Thromboxane receptor agonist
- BN0741 **Sulforaphane** - Antitumour agent

#### Page 7

- BP0376 **SNX 482** - Potent, selective Ca<sup>2+</sup> channel (R-type) blocker
- BS0247 **NU2058** - Cyclin-dependent kinase inhibitor
- BS0248 **AICAR** - Orally active AMP kinase (AMPK) agonist
- BS0249 **AY 9944 dihydrochloride** - Specific cholesterol biosynthesis inhibitor

#### Page 8

- BS0250 **H-1152 Glycyl, dihydrochloride** - Rho-kinase inhibitor displaying ROCKII selectivity
- BS0252 **Jervine** - Inhibitor of sonic hedgehog (Hh) signaling
- BS0253 **Purmorphamine** - Smo activator (hedgehog signaling pathway)
- BS0255 **9,21-Didehydroryanodine** - Ca<sup>2+</sup> release inhibitor (SR)

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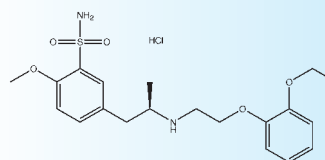
## Tamsulosin hydrochloride

Cat.No.	Size
BG0475	10 mg

$\alpha_{1A}$ -selective adrenoceptor antagonist used in the symptomatic treatment of benign prostatic hyperplasia (BPH) ( $pK_i$  value are for 9.97, 9.64 and 8.86 for  $\alpha_{1A}$ ,  $\alpha_{1B}$  and  $\alpha_{1D}$  adrenoceptors, respectively).

### Reference

1. Garcia-Sainz et al. (1995) *Eur J Pharmacol* 289:1;
2. Taguchi et al. (1997) *J Pharmacol Exp Ther* 280:1;
3. Michel MC and de la Rosette (2004) *Expert Opin Pharmacother* 5:151;
4. Ohtake et al. (2005) *J Pharm Pharmacol* 58:345



(R)-(-)-5-[2-[2-(2-Ethoxyphenoxy)ethylamino]propyl]-2-methoxybenzylsulfonamide hydrochloride

M.W. 444.97  $C_{20}H_{28}N_2O_5 \cdot HCl$   
[106463-17-6] Desiccate at RT  
Soluble to 100 mM in DMSO or to 10 mM in water

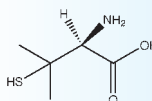
## D-Penicillamine

Cat.No.	Size
BG0476	500 mg

Immunosuppressant to treat rheumatoid arthritis. It works by reducing numbers of T-lymphocytes, inhibiting macrophage function, decreasing IL-1, decreasing rheumatoid factor and preventing collagen from cross-linking. It is also used as a chelating agent. Recently, in a population of patients with diffuse cutaneous systemic sclerosis, with progressive disease of recent onset, D-penicillamine treatment caused a statistically significant reduction in skin involvement and improvement of renal, cardiac and pulmonary involvement.

### Reference

1. Walshe (2003) *Mov Disord* 18:853;
2. Derk et al. (2008) *Br J Dermatol* 158:1063



D-(-)-2-Amino-3-mercapto-3-methylbutanoic acid; 3,3-Dimethyl-D-cysteine; 3-Mercapto-D-valine

M.W. 149.21  $C_5H_{11}NO_2S$   
[52-67-5] Store at +4 ° C  
Soluble to 100 mM in DMSO or to 10 mM in water

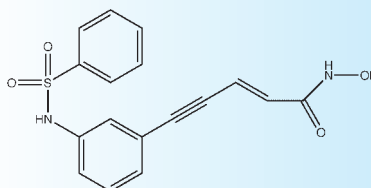
## Oxamflatin

Cat.No.	Size
BG0477	1 mg

Potent inhibitor of mammalian histone deacetylase (HDAC) ( $IC_{50} = 15.7$  nM) and antitumour agent. Induces apoptosis in P-glycoprotein (Pgp) positive and Pgp negative multidrug resistant cells.

### Reference

1. Sonoda et al. (1996) *Oncogene* 13:143;
2. Kim et al. (1999) *Oncogene* 18:2461;
3. Peart et al. (2003) *Cancer Res* 63:4460;
4. Dear et al. (2006) *Org Biomol Chem* 4:3778



(2E)-5-[3-(Phenylsulfonamino)phenyl]-pent-2-en-4-ynohydroxamic acid

M.W. 342.37  $C_{17}H_{14}N_2O_4S$   
[151720-43-3] Store at +4 ° C  
Soluble to 15 mg/ml in DMSO

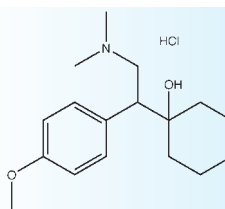
## Venlafaxine hydrochloride

Cat.No.	Size
BG0478	10 mg

Antidepressant agent. A potent inhibitor of neuronal serotonin and noradrenaline reuptake ( $K_i$  values are 82 nM and 2.5  $\mu$ M, respectively) and a weak inhibitor of dopamine reuptake. It has no significant activity for muscarinic, histaminergic or  $\alpha_1$  adrenergic receptors *in vitro*.

### Reference

1. Bymaster et al. (2001) *Neuropsychopharmacology* 25:871;
2. Magalas et al. (2005) *Eur J Pharmacol* 528:103;
3. Berrocoso and Mico (2007) *J Pharmacol Exp Ther* 322:101;
4. Berrocoso and Mico (2008) *Int J Neuropsychopharmacol* 14:1



(±)-1-[2-(Dimethylamino)-1-(4-methoxyphenyl)ethyl]cyclohexanol hydrochloride; Effexor; Wy-45030; WY-45030

M.W. 313.86  $C_{17}H_{27}NO_2 \cdot HCl$   
[99300-78-4] Store at RT  
Soluble to 50 mM in DMSO or to 100 mM in water

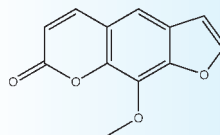
## Methoxsalen

Cat.No.	Size
BG0479	100 mg

Methoxsalen plus UVA irradiation induces monoadducts and inter-strand cross-links in DNA and therefore can be used to study DNA repair and recombination mechanisms. Also an inactivator of purified reconstituted cytochrome P450. It is used to treat psoriasis, eczema, and some cutaneous Lymphomas in conjunction with exposing the skin to sunlight.

### Reference

1. Meniel et al. (1997) *Mutat Res* 384:23;
2. Hickman et al. (1998) *Drug Metab Dispos* 26:207;
3. Vongthongsri et al. (2006) *J Am Acad Dermatol* 55:627



**9-Methoxyfuro[3,2-g][1]benzopyran-7-one; 8-Methoxypsoralen; 8-MOP; Xanthotoxin; Ammoidin**

**M.W. 216.19**  $C_{12}H_8O_4$   
**[298-81-7]** Store at RT (protect from light)  
**Soluble to 100 mM in DMSO**

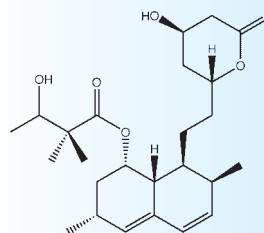
## 3"-Hydroxy-Simvastatin

Cat.No.	Size
BG0481	2.5 mg

Metabolite of the HMG-CoA reductase inhibitor simvastatin (Cat. No. BG0317).

### Reference

1. White (1999) *J Clin Pharmacol* 30:111;
2. Garrett et al. (2001) *Curr Pharmaceut Des* 7:715;
3. Reinoso et al. (2002) *Methods Find Exp Clin Pharmacol* 24:593;
4. Pasha et al. (2006) *Biomed Chromatogr* 20:282



**(1S,3R,7S,8S,8aR)-8-(2-((2R,4R)-4-hydroxy-6-oxotetrahydro-2H-pyran-2-yl)ethyl)-3,7-dimethyl-1,2,3,7,8,8a-hexahydronaphthalen-1-yl 3-hydroxy-2,2-dimethylbutanoate**

**M.W. 434.57**  $C_{25}H_{38}O_6$   
**[126313-98-2]** Desiccate at -20° C  
**Soluble to 100 mM in DMSO or to 50 mM in ethanol**

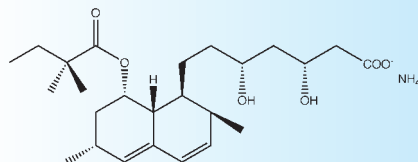
## Simvastatin Hydroxy acid, ammonium salt

Cat.No.	Size
BG0482	5 mg

Metabolite of the HMG-CoA reductase inhibitor simvastatin (Cat. No. BG0317).

### Reference

1. White (1999) *J Clin Pharmacol* 30:111;
2. Garrett et al. (2001) *Curr Pharmaceut Des* 7:715;
3. Reinoso et al. (2002) *Methods Find Exp Clin Pharmacol* 24:593;
4. Pasha et al. (2006) *Biomed Chromatogr* 20:282



**(3R,5R)-7-((1S,2S,6R,8S,8aR)-8-(2,2-dimethylbutanoyloxy)-2,6-dimethyl-1,2,6,7,8,8a-hexahydronaphthalen-1-yl)-3,5-dihydroxyheptanoate ammonium salt**

**M.W. 453.61**  $C_{25}H_{43}NO_6$   
**[139893-43-9]** Desiccate at -20° C  
**Soluble to 100 mM in DMSO or to 50 mM in ethanol**

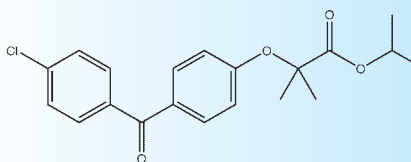
## Fenofibrate

Cat.No.	Size
BG0483	1 g

Peroxisome proliferator-activated receptor (PPAR) alpha agonist (EC<sub>50</sub> values are 18 and 30 μM for murine and human PPARα, respectively). It also binds to PPARγ, but with at least 10-fold less affinity and is inactive at PPARδ at concentrations up to 100 μM. Antihyperlipoproteinemic agent.

### Reference

1. Lemberger et al. (1996) *Annu Rev Cell Dev Biol* 12:335;
2. Latruffe et al. (1997) *Biochimie* 79:81;
3. Willson et al. (2000) *J Med Chem* 43:528;
4. Mandard et al. (2004) *Cell Mol Life Sci* 61:393



**2-[4-(4-Chlorobenzoyl)phenoxy]-2-methyl-propanoic acid 1-methylethyl ester**

**M.W. 360.83**  $C_{20}H_{21}ClO_4$   
**[49562-28-9]** Store at -20° C  
**Soluble in DMSO, slightly soluble in ethanol, insoluble in water**

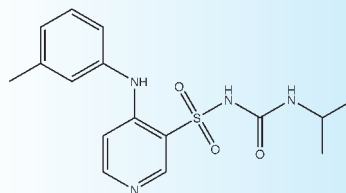
## Toraseמיד

Cat.No.	Size
BG0484	10 mg

Inhibits ion co-transport in the kidney (renal  $\text{Na}^+$ - $\text{K}^+$ - $\text{Cl}^-$  cotransporter). A loop diuretic with antialdosteronergic properties.

### Reference

1. Dunn et al. (1995) *Drugs* 49:121;
2. Vormfelde et al. (2006) *Br J Clin Pharmacol* 62:323;
3. Kasama et al. (2006) *Heart* 92:1434



*N*-[[[(1-Methylethyl)amino]carbonyl]-4-[(3-methylphenyl)amino]-3-pyridinesulfonamide; *Torseמיד*; *Dermadex*

M.W. 348.42  $\text{C}_{16}\text{H}_{20}\text{N}_4\text{O}_3\text{S}$

[56211-40-6] Store at RT

Soluble to 18 mg/ml in DMSO or in ethanol

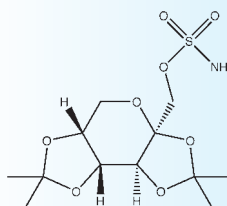
## Topiramate

Cat.No.	Size
BG0485	10 mg

A GluR5 kainate receptor antagonist. Anticonvulsant agent used to treat epilepsy in both children and adults. It is also approved for the prevention of migraines. A sulfamate-substituted monosaccharide, related to fructose.

### Reference

1. Maryanoff et al. (1987) *J Med Chem* 30:880;
2. Maryanoff et al. (1998) *J Med Chem* 41:1315



2,3:4,5-Bis-O-(1-methylethylidene)-36-D-fructo-pyranose sulfamate; *Topamax*; *McN 4853*; *RWJ 17021*

M.W. 339.36  $\text{C}_{32}\text{H}_{21}\text{NO}_8\text{S}$

[97240-79-4] Store at RT

Soluble to 44 mg/ml in DMSO

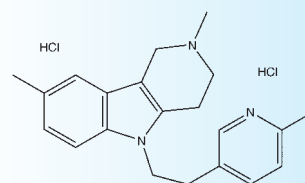
## Dimebolin dihydrochloride

Cat.No.	Size
BG0487	10 mg

A histamine  $\text{H}_1$  receptor antagonist. It has been used clinically in Russia as antihistaminergic drug since 1983. Recently it has been shown to inhibit brain cell death in preclinical studies of Alzheimer's disease and Huntington's disease, making it a potential treatment for these and other neurodegenerative diseases. It appears to operate through multiple mechanisms of action, e.g. blocking the action of neurotoxic  $\beta$ -amyloid proteins, inhibiting L-type calcium channels and modulating the action of AMPA and NMDA glutamate receptors.

### Reference

1. Matveeva (1983) *Farmakologiya i Toksikologiya* 46:27;
2. Bachurin et al. (2001) *Annals NY Acad Sci* 939:425;
3. Shevtsova et al. (2005) *Vestnik Rossiiskoi Akademii Meditsinskikh Nauk* 9:13;
4. Doody et al. (2008) *Lancet* 372:207;
5. Burns and Jacoby (2008) *Lancet* 372:179



2,3,4,5-Tetrahydro-2,8-dimethyl-5-(2-(6-methyl-3-pyridyl)ethyl)-1H-pyrido(4,3-b)indole dihydrochloride; *Dimebon*

M.W. 392.37  $\text{C}_{21}\text{H}_{25}\text{N}_3 \cdot 2\text{HCl}$

Store at +4° C

Soluble in DMSO or ethanol

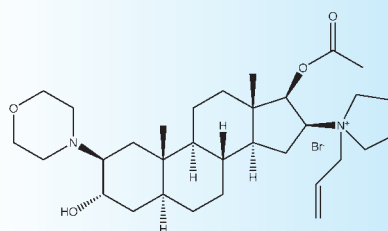
## Rocuronium bromide

Cat.No.	Size
BG0489	10 mg

A competitive nicotinic antagonist. An aminosteroid non-depolarizing neuromuscular blocker or muscle relaxant used in modern anaesthesia. See also Pancuronium bromide (Cat. No. BG0283).

### Reference

1. Hunter (1996) *Br J Anaesth* 76:481;
2. Lysakowski et al. (2007) *Acta Anaesthesiol Scand* 51:848



[3-Hydroxy-10,13-dimethyl-2-morpholin-4-yl-16-(1-prop-2-enyl-2,3,4,5-tetrahydropyrrol-1-yl)-2,3,4,5,6,7,8,9,11,12,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-17-yl]acetate bromide; *Esmeron*; *Zemuron*

M.W. 609.68  $\text{C}_{32}\text{H}_{53}\text{BrN}_2\text{O}_4$

[119302-91-9] Store at RT

Soluble in DMSO or ethanol

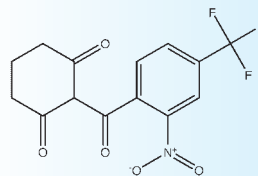
## Nitisinone

Cat.No.	Size
BG0491	10 mg

A reversible inhibitor of 4-hydroxyphenylpyruvate oxidase, thus preventing the formation of maleylacetoacetic acid and fumarylacetoacetic acid, which have the potential to be converted to succinyl acetone, a toxin that damages the liver and kidneys. A drug originally developed as an herbicide now used in the treatment of hereditary tyrosinemia type.

### Reference

1. Kavana and Moran (2003) *Biochemistry* 42:10238;
2. McKiernan (2006) *Drugs* 66:743;
3. Santra and Baumann (2008) *Expert Opin Pharmacother* 9:1229



2-[2-Nitro-4-(trifluoromethyl)benzoyl]cyclohexane-1,3-dione; NTBC; Orfadin

M.W. 329.23  $C_{16}H_{10}F_3NO_5$   
[104206-65-7] Store at RT  
Soluble in DMSO or ethanol

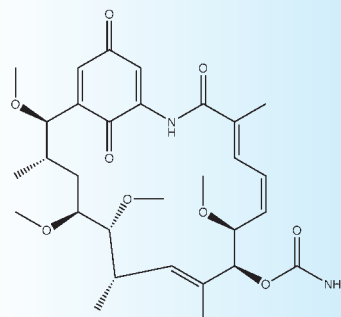
## Herbimycin A

Cat.No.	Size
BN0730	100 µg

Hsp90 protein chaperone complex inhibitor. A benzoquinoid ansamycin antibiotic isolated from *Streptomyces hygroscopicus* that inhibits protein tyrosine kinase. Also a potent inhibitor of angiogenesis.

### Reference

1. Oikawa et al. (1994) *Biol Pharm Bull* 17:1430;
2. Davis et al. (1999) *Toxicol Appl Pharmacol* 16:59;
3. Nagaishi et al. (1999) *J Cell Physiol* 180:345;
4. Zakar et al. (1999) *Can J Physiol Pharmacol* 77:138



[(2R,3S,5S,6R,7S,8E,10R,11S,12E,14E)-2,5,6,11-Tetramethoxy-3,7,9,15-tetramethyl-16,20,22-trioxo-17-azabicyclo[16.3.1]docosa-8,12,14,18,21-pentaen-10-yl] carbamate

M.W. 574.66  $C_{30}H_{42}N_2O_9$   
[70563-58-5] Desiccate at -20° C (protect from light)  
Soluble to 7.5 mg/ml in DMSO

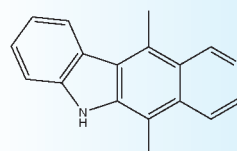
## Ellipticine

Cat.No.	Size
BN0731	10 mg

Antitumour agent, the mode of action of which is considered to be based on DNA intercalation and inhibition of topoisomerase II. It inhibits phosphorylation of p53 and induces apoptosis. Also inhibits cytochrome P450-1A1.

### Reference

1. Ammon-Froelich et al. (1995) *J Biol Chem* 270:14998;
2. Ohashi et al. (1995) *Jpn J Cancer Res* 86:819;
3. Chang (1998) *Mol Cell Biol* 18:525;
4. Stiborova et al. (2004) *Cancer Res* 64:8374



5,11-Dimethyl-6H-pyrido[4,3-b]carbazole; NSC 71795

M.W. 246.31  $C_{17}H_{14}N_2$   
[519-23-3] Store at -20° C  
Soluble to 100 mM in DMSO

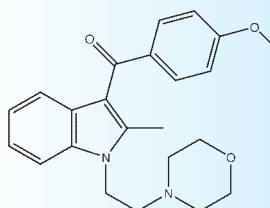
## Pravadoline

Cat.No.	Size
BN0733	5 mg

An anti-inflammatory and analgesic drug displaying cannabinoid receptor agonist activity. It was developed in the 1980s as a new anti-inflammatory and prostaglandin synthesis inhibitor.

### Reference

1. Haubrich et al. (1990) *J Pharmacol Exp Ther* 255:511;
2. Ward et al. (1990) *J Pharmacol Exp Ther* 255:1230;
3. D'Ambra et al. (1992) *J Med Chem* 35:124



(4-Methoxyphenyl) (2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl) methanone

M.W. 378.46  $C_{23}H_{26}N_2O_3$   
[92623-83-1] Store at -20° C  
Soluble in DMSO

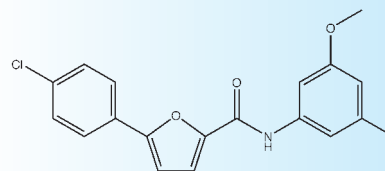
## A 803467

Cat.No.	Size
BN0738	10 mg

Potent and selective blocker of the TTX-resistant voltage-gated sodium channel Nav1.8 (IC<sub>50</sub> are 8 nM and 140 nM for human and rat Nav1.8 respectively). It attenuates spinal neuronal activity in neuropathic rats.

### Reference

1. Jarvis et al. (2007) *Proc Natl Acad Sci USA* 104:8520;
2. McGaraughty et al. (2008) *J Pharmacol Exp Ther* 324:1204;
3. Kort et al. (2008) *J Med Chem* 51:407



5-(4-Chlorophenyl)-N-(3,5-dimethoxyphenyl)-2-furancarboxamide; A-803467

M.W. 357.79 C<sub>19</sub>H<sub>16</sub>ClNO<sub>4</sub>  
[944261-79-4] Store at +4 °C  
Soluble to 100 mM in DMSO or to 25 mM in ethanol

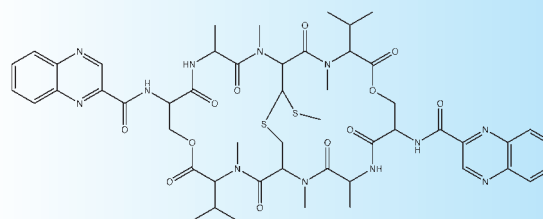
## Echinomycin

Cat.No.	Size
BN0739	5 mg

A cyclic depsipeptide metabolite from *Streptomyces sp.* MST-AS5446. It has broad activity against bacteria, fungi and viruses and has found application as an antitumour agent. It acts by bifunctional intercalation of nucleic acids. It is also a potent inhibitor of Hypoxia-inducible factor-1 (HIF-1).

### Reference

1. Park et al. (2004) *Pharmacol Res* 50:201;
2. Kong et al. (2005) *Cancer Res* 65:9047



N-[(1R,4S,8R,11S,14R,17S,21R,24S)-3,11,13,16,24,26-hexamethyl-27-methylsulfanyl-2,5,9,12,15,18,22,25-octaoxo-4,17-di(propan-2-yl)-8-(quinoxaline-2-carbonylamino)-6,19-dioxo-28-thia-3,10,13,16,23,26-hexazabicyclo[12.12.3]nonacosan-21-yl]quinoxaline-2-carboxamide; Quinomycin A; Actinoleukin

M.W. 1101.26 C<sub>51</sub>H<sub>64</sub>N<sub>12</sub>O<sub>12</sub>S<sub>2</sub>  
[512-64-1] Store at +4 °C  
Soluble in DMSO, ethanol or methanol

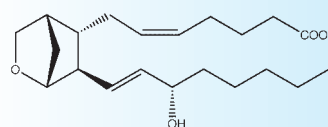
## U-46619

Cat.No.	Size
BN0740	1 mg

A stable analog of the endoperoxide prostaglandin H<sub>2</sub> and a thromboxane receptor agonist. It exhibits properties similar to thromboxane A<sub>2</sub> (EC<sub>50</sub> values for shape change in human, rat, and rabbit platelets are 4.8, 6.0, and 7.3 nM respectively, and for aggregation are 82, 145, and 65 nM, respectively).

### Reference

1. Coleman et al. (1981) *Br J Pharmacol* 73:773;
2. Liel et al. (1987) *Prostaglandins* 33:789;
3. Tymkewycz et al. (1991) *Br J Pharmacol* 102:607;
4. Abramovitz et al. (2000) *Biochim Biophys Acta* 1483:285



(5Z)-7-[(1R,4S,5S,6R)-6-[(1E,3S)-3-Hydroxy-1-octenyl]-2-oxabicyclo[2.2.1]hept-5-yl]-5-heptenoic acid; U 46619; 9,11-Dideoxy-9 $\alpha$ ,11 $\alpha$ -methanoepoxy prostaglandin F2 $\alpha$

M.W. 350.49 C<sub>21</sub>H<sub>34</sub>O<sub>4</sub>  
[56985-40-1] Desiccate at -20 °C  
Soluble in methyl acetate, to 100 mg/ml in DMSO or ethanol

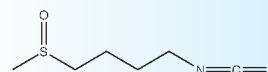
## Sulforaphane

Cat.No.	Size
BN0741	25 mg

An anticancer, antidiabetic and antimicrobial compound that occurs naturally in broccoli. The anticancer activity of sulforaphane is thought to be related to the induction of phase-II enzymes of xenobiotic transformation (such as quinone reductase and glutathione S-transferase), and enhancing the transcription of tumour suppressor proteins. Recently it has been shown that it targets pancreatic tumour-initiating cells by NF- $\kappa$ B-induced anti-apoptotic signaling.

### Reference

1. Zhang et al. (1992) *Proc Natl Acad Sci USA* 89:2399;
2. Kall et al. (1997) *Cancer Lett* 114:169;
3. Fahey et al. (2002) *Proc Natl Acad Sci USA* 99:7610;
4. Kallifatidis et al. (2008) *Gut* 2008 Oct 1. [Epub ahead of print]



1-Isothiocyanato-4-(methylsulfinyl)-butane; RS-Sulforaphane

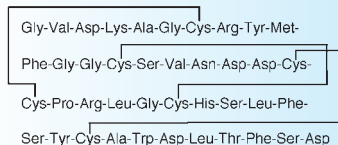
M.W. 177.29 C<sub>6</sub>H<sub>11</sub>NOS<sub>2</sub>  
[4478-93-7] Desiccate at -20 °C  
Soluble to 40 mg/ml in DMSO

## SNX 482

Cat.No.	Size
BP0376	10 µg

A selective and potent voltage-dependent R-type  $\text{Ca}_v2.3$  calcium channel blocker ( $\text{IC}_{50} = 30 \text{ nM}$ ). Antinociceptive agent. A toxin from the venom of the tarantula *Hysterocrates gigas*.

1. Newcombe et al. (1998) *Biochemistry* 37:15353;
2. Bourinet et al. (2001) *Biophys J* 81:79;
3. Kohlmeier and Leonard (2006) *Eur J Neurosci* 23:1151;
4. Matthews et al. (2007) *Eur J Neurosci* 25:3561



M.W. 4495.01  $\text{C}_{192}\text{H}_{274}\text{N}_{52}\text{O}_{60}\text{S}_7$   
[203460-30-4] Desiccate at  $-20^\circ \text{C}$   
Soluble in water

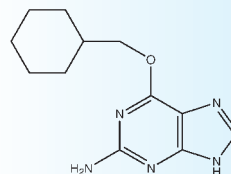
## NU2058

Cat.No.	Size
BS0247	5 mg

Cyclin-dependent kinase inhibitor. Competitive inhibitor of CDK1/cyclin B ( $\text{IC}_{50} = 5 \text{ µM}$ ) and CDK2/cyclin A ( $\text{IC}_{50} = 12 \text{ µM}$ ).

### Reference

1. Arris et al. (2000) *J Med Chem* 43:2797;
2. Gibson et al. (2002) *J Med Chem* 45:3381;
3. Knockaert et al. (2002) *Trends Pharmacol Sci* 23:417;
4. Ferguson et al. (2004) *Cell Cycle* 3:80



### 6<sup>o</sup>-Cyclohexylmethylguanine

M.W. 247.30  $\text{C}_{12}\text{H}_{17}\text{N}_5\text{O}$   
[161058-83-9] Store at  $+4^\circ \text{C}$   
Soluble in water or to 22 mg/ml in DMSO

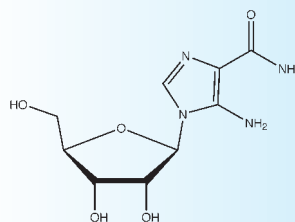
## AICAR

Cat.No.	Size
BS0248	50 mg

Orally active AMP kinase (AMPK) agonist. It is phosphorylated in whole cells to form 5-aminoimidazole-4-carboxamide-1- $\beta$ -D-ribofuranosyl-5'-monophosphate, which stimulates AMPK activity. Inhibits PPAR $\alpha$  coactivation and adipocyte differentiation. Recently, it has been shown that the AMPK agonist AICAR and PPAR $\delta$  agonists are exercise mimetics.

### Reference

1. Corton et al. (1995) *Eur J Biochem* 229:558;
2. Habinowski et al. (2001) *Biochem Biophys Res Commun* 286:852;
3. Vergis et al. (2001) *J Biol Chem* 276:7727;
4. Bronner et al. (2004) *Biochem J* 384:295;
5. Narkar et al. (2008) *Cell*, doi:10.1016/j.cell.2008.06



### 5-Aminoimidazole-4-carboxamide 1- $\beta$ -D-ribofuranoside; Acadesine

M.W. 258.23  $\text{C}_9\text{H}_{14}\text{N}_4\text{O}_5$   
[2627-69-2] Store at  $-20^\circ \text{C}$   
Soluble to 9 mg/ml in water or DMSO

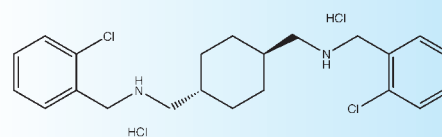
## AY 9944 dihydrochloride

Cat.No.	Size
BS0249	10 mg

A specific cholesterol biosynthesis inhibitor, due to a specific inhibition of  $\Delta^7$ -dehydrocholesterol reductase and inhibition of cholesterol esterification. It is also an inhibitor of hedgehog (hh) signaling, possibly via several mechanisms and a teratogenic agent *in vivo*.

### Reference

1. Dvornik and Hill (1968) *J Lipid Res* 9:587;
2. Cooper et al. (1998) *Science* 280:1603;
3. Incardona and Eaton (2000) *Curr Op Cell Biol* 12:193;
4. Bercovici et al. (2007) *Neurosci Lett* 418:13



### trans-1,4-bis[2-Chloro-benzylaminomethyl]-cyclohexane dihydrochloride

M.W. 464.30  $\text{C}_{22}\text{H}_{28}\text{Cl}_2\text{N}_2 \cdot 2\text{HCl}$   
[366-93-8] Desiccate at RT  
Soluble to 50 mM in water or to 5 mM in DMSO

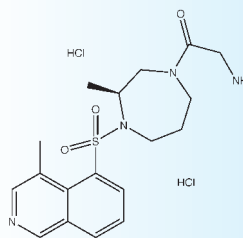
## H-1152 Glycyl, dihydrochloride

Cat.No.	Size
BS0250	1 mg

Rho-kinase inhibitor displaying ROCKII selectivity ( $I_{50}$  values are 11.8 nM, 2.35  $\mu$ M, 2.57  $\mu$ M, 3.26  $\mu$ M, > 10  $\mu$ M and >10  $\mu$ M for ROCKII, Aurora A, CAMKII, PKG, PKA and PKC, respectively)

### Reference

1. Tamura et al. (2005) *Biochim Biophys Acta* 1754:245



(S)-(+)-4-Glycyl-2-methyl-1-[(4-methyl-5-isoquinolinyl)sulfonyl]-hexahydro-1H-1,4-diazepine dihydrochloride

M.W. 449.39  $C_{18}H_{24}N_4O_3 \cdot 2HCl$   
 [913844-45-8] Desiccate at +4° C  
 Soluble to 100 mM in water or to 50 mM in DMSO

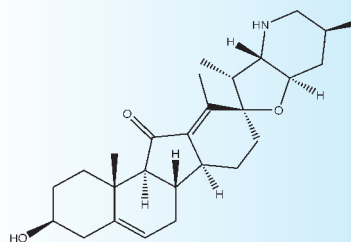
## Jervine

Cat.No.	Size
BS0252	1 mg

Naturally occurring steroidal alkaloid structurally similar to cyclopamine (Cat. No. BS0054). It specifically inhibits the hedgehog (Hh) pathway by interaction with the Hh signaling protein smoothed. Anti-cancer and teratogenic agent *in vivo*. Tomatidine (Cat. No. BS0254) is a useful negative control.

### Reference

1. Cooper et al. (1998) *Science* 280:1603;  
 2. Mistretta et al. (2003) *Dev Biol* 254:1;  
 3. Williams et al. (2003) *PNAS* 100:4616



(3 $\beta$ ,23 $\beta$ )-17,23-Epoxy-3-hydroxyveratraman-11-one; 11-Ketocyclopamine

M.W. 425.60  $C_{27}H_{39}NO_3$   
 [469-59-0] Desiccate at -20° C  
 Soluble to 10 mg/ml in ethanol or to 5 mg/ml in DMSO

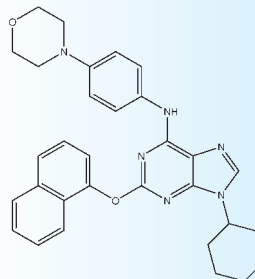
## Purmorphamine

Cat.No.	Size
BS0253	5 mg

It directly binds to and activates the 7-transmembrane Smo receptor of the Hedgehog signaling pathway. It also promotes the differentiation of both human and murine mesenchymal progenitor cells into osteoblasts ( $EC_{50}$  = 1  $\mu$ M for differentiation of C3H10T1/2 cells based on alkaline phosphatases expression).

### Reference

1. Wu et al. (2002) *J Am Chem Soc* 124:14520;  
 2. Wu et al. (2004) *Chemistry & Biology* 11:1229;  
 3. Beloti et al. (2005) *J Cell Biol Int* 29:537;  
 4. Sinha and Chen (2006) *Nature Chem Biol* 2:29



9-Cyclohexyl-N-[(4-morpholinyl)phenyl]-2-(1-naphthalenyloxy)-9H-purin-6-amine

M.W. 520.62  $C_{31}H_{32}N_6O_2$   
 [483367-10-8] Store at -20° C  
 Soluble to 1 mg/ml in DMSO or ethanol

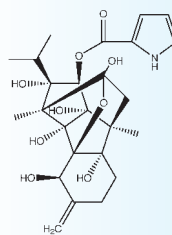
## 9,21-Didehydroryanodine

Cat.No.	Size
BS0255	1 mg

Plant alkaloid. Potent  $Ca^{2+}$  release inhibitor from sarcoplasmic reticulum. Ryanodine receptors form a class of calcium channels in various forms of muscle: RyR1 is expressed in skeletal muscle, RyR2 in myocardium and a third form, RyR3, is expressed in the brain. See also ryanodine (Cat. No. BS0171).

### Reference

1. Sutko et al. (1985) *Fed Proc* 44:2984;  
 2. Sutko et al. (1997) *Pharmacol Rev* 49:53;  
 3. Bianchi (1997) *Biochem Pharmacol* 53:909



9,21-Didehydroryanodol 3-(1H-pyrrole-2-carboxylate)

M.W. 491.53  $C_{25}H_{33}NO_9$   
 [94513-55-0] Desiccate at -20° C  
 Soluble in DMSO, methanol or ethanol and in water under warming