

Neurochemicals

Newsletter 1/2012 - New Products for Neuroscience Research

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- BN0859 O4 - Small molecule that accelerates A β fibrillogenesis

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- BS0309 MLS-573151 - A potent and specific inhibitor of Cdc42
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- BS0311 Patulin - Antibacterial mycotoxin, K⁺ uptake inhibitor
- BS0312 PX-866 - PI-3 Kinase (p110 α) inhibitor

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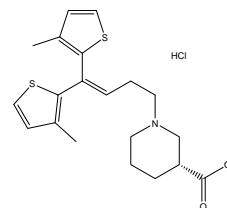
- BS0313 IWP-2 - An inhibitor of Wnt secretion and processing
- BS0314 IWR-1 - An inhibitor of Wnt response
- BS0315 (3-Chloroacetyl)-Indole (3CAI) - A potent and specific AKT inhibitor

Tiagabine hydrochloride

Cat. No. **BG0438** Size **10 mg** Price **180 CHF**

(3S)-1-[4,4-bis(3-Methylthiophen-2-yl)but-3-enyl]piperidine-3-carboxylic acid hydrochloride; Gabitril
M.W. 412.01 C₂₀H₂₅NO₂S₂·HCl [145821-59-6] Store at RT
Soluble in DMSO, sparingly soluble in water

Potent, selective GABA reuptake inhibitor (inhibition of [³H]-GABA uptake; IC₅₀ = 67 nM) with no effect on noradrenaline or dopamine reuptake. It is approved as an oral anticonvulsant agent for the treatment of severe epilepsy.



Reference

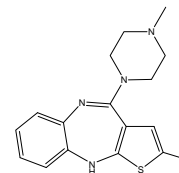
1. Braestrup et al. (1990) *J Neurochem* 54:639; 2. Schachter (1999) *Clin Neuropharmacol* 22:312; 3. Stahl (2004) *J Clin Psychiatry* 65:291

Olanzapine

Cat. No. **BG0488** Size **10 mg** Price **66 CHF**

2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine; Zyprexa
M.W. 312.43 C₁₇H₂₀N₄S [132539-06-1] Store at RT
Soluble in DMSO or ethanol

Atypical antipsychotic compound that has higher affinity for 5-HT₂ serotonin receptors than for D₂ dopamine receptors. Like most atypical antipsychotics it has a lower affinity for histamine, cholinergic muscarinic and α adrenergic receptors. It is structurally related to clozapine (Cat. No. BG0154) and is classified as a thienobenzodiazepine.



Reference

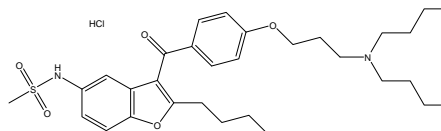
1. Bymaster et al. (1996) *Neuropsychopharmacology* 14:87; 2. Deeks and Keating (2008) *Drugs* 68:1115

Dronedarone hydrochloride

Cat. No. **BG0624** Size **10 mg** Price **106 CHF**

N-(2-Butyl-3-(p-(3-(dibutylamino)propoxy)benzoyl)-5-benzofuranyl)methanesulfonamide hydrochloride; Multaq; SR33589
M.W. 593.22 C₃₁H₄₄N₂O₅S·HCl [141625-93-6] Desiccate at +4° C
Soluble to 50 mg/ml in DMSO or in ethanol

An antianginal and antiarrhythmic agent (Vaughan-Williams Class III) and multi-channel blocker. A benzofuran derivative related to amiodarone (Cat. No. BG0032).



Reference

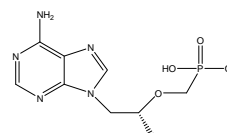
1. Zimetbaum (2009) *New Engl J Med* 360:1811; 2. Schweizer et al. (2011) *Drug Des Devel Ther* 5:27

Tenofovir

Cat. No. **BG0625** Size **10 mg** Price **132 CHF**

(R)-[[2-(6-Amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid; PMPA; (R)-9-(2-Phosphonylmethoxypropyl)adenine
M.W. 287.21 C₉H₁₄N₅O₄P [147127-20-6] Desiccate at -20° C
Soluble to 10 mM in DMSO or in ethanol

A reverse transcriptase inhibitor and is used to treat HIV disease. Antiviral agent.



Reference

1. Suo et al. (1998) *J Biol Chem* 273:27250; 2. Antoniou et al. (2003) *Pharmacotherapy* 23:29

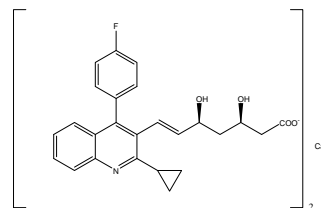
Pitavastatin calcium

Cat. No.	Size	Price
BG0626	100 mg	252 CHF

(3R,5S,6E)-7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid calcium salt; Livalo; Itavastatin, Itabavastin, Nisvastatin, NK-104; NKS-104

M.W. 880.98 (C₂₅H₂₃FN₄)₂Ca [147511-69-1] Store at RT
Soluble in DMSO or in ethanol

Selectively and competitively inhibits the hepatic enzyme hydroxymethylglutaryl-coenzyme A (HMG-CoA) reductase. As HMG-CoA reductase is responsible for converting HMG-CoA to mevalonate, this results in a decrease in mevalonate, a precursor of cholesterol, and a subsequent decrease in hepatic cholesterol levels and increase in uptake of LDL cholesterol.



Reference

1. Kajinami et al. (2003) *Cardiovasc Drug Rev* 21:199; 2. Wensel et al. (2010) *Ann Pharmacother* 44:507

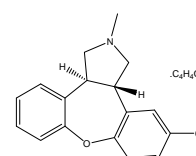
Asenapine maleate

Cat. No.	Size	Price
BG0627	10 mg	102 CHF

trans-5-Chloro-2-methyl-2,3,3a,12b-tetrahydro-1H-dibenzo[2,3:6,7]oxepino[4,5-c]pyrrole maleate; Saphris; Sycrest

M.W. 401.84 C₁₇H₁₆ClNO.C₄H₄O₄ [85650-56-2] Store at +4° C
Soluble to 100 mM in DMSO or to 100 mM in ethanol

A new atypical antipsychotic. It displays antagonistic activity at 5-HT, dopamine, adrenoceptors and histamine receptors (pK_i values are 8.60 (5-HT_{1A}), 8.40 (5-HT_{1B}), 10.15 (5-HT_{2A}), 9.75 (5-HT_{2B}), 10.46 (5-HT_{2C}), 8.84 (5-HT_{5A}), 9.60 (5-HT₆), 9.94 (5-HT₇), 8.85 (D₁), 8.90 (D_{2L}), 8.84 (D_{2S}), 9.38 (D₃), 8.95 (D₄), 8.93 (α_{1A}), 8.9 (α_{2A}), 9.49 (α_{2B}), 8.91 (α_{2C}), 9.00 (H₁) and 8.21(H₂)). It has been approved for the acute treatment of schizophrenia and manic or mixed episodes associated with bipolar I disorders.



Reference

1. Shahid et al. (2009) *J Psychopharmacol* 23:65; 2. Meltzer et al. (2009) *Nat Rev Drug Discov* 8:843

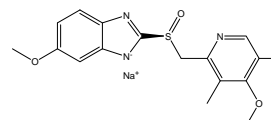
Esomeprazole sodium

Cat. No.	Size	Price
BG0628	50 mg	108 CHF

6-Methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt; Zoleri; Nexium; Lucen; Esopral; Axagon

M.W. 367.40 C₁₇H₁₈N₃O₃Na [161796-78-7] Desiccate at -20° C
Soluble to 100 mM in water or to 100 mM in ethanol

Active S-enantiomer of Omeprazole (Cat. No. BG0278). A H⁺,K⁺-ATPase (proton pump) inhibitor (IC₅₀ = 2.3 μM). It also inhibits Cytochrome P450 2C19 (CYP2C9), CYP2C19, CYP3A4 (K_i values are 81.5, 8.6 and 46.6 μM, respectively).



Reference

1. Li et al. (2004) *Drug Metab Dispos* 32:821; 2. McKeage et al. (2008) *Drugs* 68:1571; 3. Kodama et al. (2010) *J Pharmacol Exp Ther* 334:395; 4. Fornai et al. (2011) *Pharmacol Res* 63:59

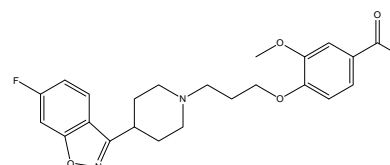
Iloperidone

Cat. No.	Size	Price
BG0629	50 mg	144 CHF

1-[4-[3-[4-(6-Fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethanone; Fanapt; Fanapta; Zomaril

M.W. 426.48 C₂₄H₂₇FN₂O₄ [133454-47-4] Store at RT
Soluble to 50 mM in DMSO or to 50 mM in ethanol

An atypical antipsychotic for the treatment of schizophrenia. It acts as an antagonist at serotonin (5-HT_{1A} and 5-HT₆), noradrenaline (α_{2C}) and dopamine (D_{2A} and D₃) receptors.



Reference

1. Kalkman et al. (2003) *Life Sci* 93:1151; 2. Albers et al. (2008) *Expert Opin Investig Drugs* 17:61

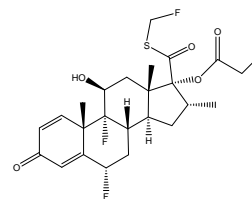
Fluticasone propionate

Cat. No. **BG0630** Size **10 mg** Price **155 CHF**

(6 α , 11 β , 16 α , 17 α)-6, 9-Difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)androsta-1,4-diene-17-carbothioic acid fluoromethyl ester; Flovent; Flixotide; Flonase

M.W. 500.57 C₂₅H₃₁F₃O₅S [80474-14-2] Store at RT
Soluble to 50 mM in DMSO or in ethanol

High affinity, selective glucocorticoid receptor (GR) agonist (K_D = 0.5 nM). It is used to treat asthma, allergic rhinitis and eosinophilic esophagitis.



Reference

1. Johnson (1995) *Allergy* 50:11; 2. Smith and Kreutner (1998) *Arzneim-Forsch* 48:956; 3. Adams et al. (2008) *Cochrane Database Syst Rev* Oct 8;(4):CD003135

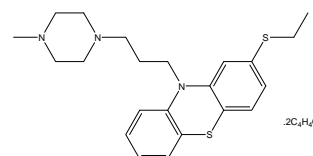
Thiethylperazine maleate

Cat. No. **BG0631** Size **50 mg** Price **360 CHF**

3-Ethylmercapto-10-(1-methylpiperazinyl-4-propyl)phenothiazine dimaleate; Torecan; Toresten; Tresten; NSC-130044

M.W. 631.76 C₂₇H₂₉N₃S₂·2C₄H₄O₄ [141625-93-6] Desiccate at +4° C
Soluble to 50 mM in DMSO or in ethanol

A dopamine antagonist that is particularly useful in treating the nausea and vomiting associated with anesthesia, mildly emetic cancer chemotherapy agents, radiation therapy and toxins. It also activates the transport protein ABCC1, that clears β -amyloid from brains of mice.



Reference

1. Tamboline et al. (1965) *Can Med Assoc J* 92:422; 2. Krohn et al. (2011) *J Clin Invest* doi:10.1172/JCI57867

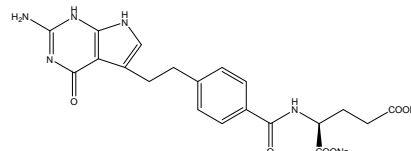
Pemetrexed disodium

Cat. No. **BG0632** Size **25 mg** Price **264 CHF**

(2S)-2-[[4-[2-(2-Amino-4-oxo-1,7-dihydropyrrolo[2,3-d]pyrimidin-5-yl)ethyl]benzoyl]amino]pentanedioic acid disodium salt; Alimta

M.W. 471.37 C₂₀H₁₉N₅O₆Na₂ [150399-23-8] Store at RT
Soluble to 100 mM in DMSO or in ethanol

Antitumour agent and folate antimetabolite. It inhibits thymidylate synthase (TS), dihydrofolate reductase (DHFR) and glycinamide ribonucleotide formyltransferase (GARFT). It is used to treat breast cancer, mesothelioma, colon cancer, pancreatic cancer and non small cell lung cancer.



Reference

1. Curtin and Hughes (2001) *Lancet Oncol* 2:298; 2. Manegold et al. (2009) *Expert Rev Anticancer Ther* 9:1195; 3. Gridelli et al. (2011) *Expert Opin Drug Saf* 10:311

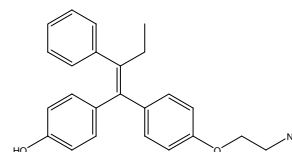
Norendoxifen

Cat. No. **BG0633** Size **1 mg** Price **Enquire**

4-[1-[4-[2-(Aminoethoxy)phenyl]-2-phenyl-1-butenyl]phenol

M.W. 359.46 C₂₄H₂₅NO₂ Store at +4° C
Soluble to 100 mM in DMSO or methanol

A active metabolite of tamoxifen (Cat. No. BG0328). A potent and selective inhibitor of aromatase (CYP19, IC₅₀ = 90 nM, placental aromatase) and a potential lead compound for novel therapeutic agents. Antitumour agent. See also Endoxifen (Cat. No. BG0496)



Reference

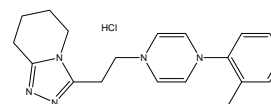
1. Johnson et al. (2004) *Breast Cancer Res Treat* 85:151; 2. Lim et al. (2005) *Cancer Chemother Pharmacol* 55:471; 3. Lu et al. (2011) *Breast Cancer Res Treat* 2011 Aug 4. [Epub ahead of print]

Dapiprazole hydrochloride

Cat. No. **BG0634** Size **10 mg** Price **102 CHF**

3-{2-[4-(2-Methylphenyl)piperazin-1-yl]ethyl}-5,6,7,8-tetrahydro-[1,2,4]triazolo[4,5-a]pyrid hydrochloride; Rev-Eyes
M.W. 357.88 C₁₉H₂₃N₅ .HCl [72822-13-0] Store at RT
Soluble to 100 mM in DMSO or methanol

A selective α_1 adrenoceptor antagonist. It is used to reverse mydriasis after eye examination.



Reference

1. Molinari et al. (1995) *Optom Vis Sci* 72:552; 2. Canovetti et al. (2009) *J Cataract Refract Surg* 35:42

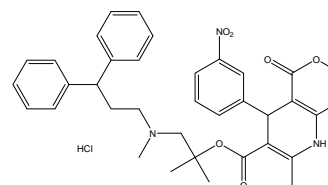
Lercanidipine hydrochloride

Cat. No. **BG0635** Size **10 mg** Price **113 CHF**

1,4-Dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 2-[(3,3-diphenylpropyl)methylamino]-1,1-dimethylethyl methyl ester hydrochloride; Zanidip

M.W. 648.19 C₃₆H₄₁N₃O₆ .HCl [132866-11-6] Desiccate at +4° C
Soluble to 100 mM in DMSO or to 10 mM in ethanol

L-type calcium channel blocker. It displays higher vascular selectivity than felodipine (Cat. No. BG0188) and shows peripheral vasodilation with only weak negative inotropic activity. Antihypertensive agent.



Reference

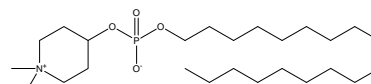
1. Sironi et al. (1996) *Arzneim Forsch* 46:152; 2. Bang et al. (2003) *Drugs* 63:2449; 3. Wirtz and Herzig (2004) *Br J Pharmacol* 142:275

Perifosine

Cat. No. **BG0636** Size **5 mg** Price **156 CHF**

1,1-Dimethylpiperidinium-4-yl octadecyl phosphate; KRX-0401; NKA17
M.W. 461.66 C₂₅H₅₂NO₄P [157716-52-4] Desiccate at -20° C
Soluble to 100 mM in DMSO or to 100 mM in ethanol

An oral Akt inhibitor that targets the pleckstrin homology domain of Akt, thereby preventing its translocation to the plasma membrane. Antitumour agent. It induces p21(WAF1) expression in squamous carcinoma cells through a p53-independent pathway, leading to loss in cyclin-dependent kinase activity and cell cycle arrest. See also Miltefosine (Cat. No. BG0492).



Reference

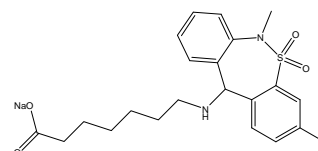
1. Patel et al. (2002) *Cancer Res* 62:1401; 2. Kondapaka et al. (2003) *Mol Cancer Ther* 2:1093; 3. Gills and Dennis (2009) *Curr Oncol Rep* 11:102; 4. Pal et al. (2010) *Expert Opin Investig Drugs* 19:1355

Tianeptine sodium

Cat. No. **BG0640** Size **10 mg** Price **114 CHF**

7-[(3-Chloro-6,11-dihydro-6-methyl-5,5-dioxidibenzo[c,f][1,2]thiazepin-11-yl)amino]heptanoic acid sodium salt; Stablon; Coaxil; Tatinal
M.W. 458.93 C₂₁H₂₄ClN₂O₄SNa [30123-17-2] Desiccate at RT
Soluble to 100 mM in water or to 10 mM in DMSO

A selective serotonin reuptake enhancer (SSRE) *in vitro* and *in vivo*. It has no affinity for a wide range of receptors, including 5-HT and dopamine (IC₅₀ value > 10 μ M) and has no effect on noradrenalin or dopamine uptake. Antidepressant, analgesic and neuroprotective agent.



Reference

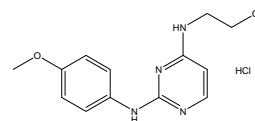
1. Kato and Weitsch (1988) *Clin Neuropharmacol* 11:S43; 2. Uzbay et al. (1999) *Life Sci* 64:1313; 3. Plaisant et al. (2003) *Neuropharmacology* 44:801; 4. Kasper and McEwen (2008) *CNS Drugs* 22:15

Cardiogenol C

Cat. No. **BN0839** Size **10 mg** Price **264 CHF**

4-(2-Hydroxyethylamino)-2-(4-methoxyphenylamino)-pyrimidine hydrochloride
M.W. 296.75 C₁₃H₁₆N₄O₂ .HCl [671225-39-1] Desiccate at -20° C
Soluble to 30 mg/ml in DMSO or to 30 mg/ml in water

A diaminopyrimidine, cell permeable compound that induces the differentiation of MHC- (myosin heavy chain) positive cardiomyocytes from embryonic stem (ES) cells (EC₅₀ value = 100 nM).



Reference

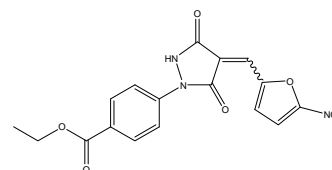
1. Wu et al. (2004) *J Am Chem Soc* 126:1590; 2. Jasmin et al. (2010) *Stem Cells Dev* 19:403; 3. Yau et al. (2011) *Proteome Sci* 9:3

PYR-41

Cat. No. **BN0841** Size **10 mg** Price **180 CHF**

4-[4-(5-Nitro-furan-2-ylmethylene)-3,5-dioxo-pyrazolidin-1-yl]-benzoic acid ethyl ester
M.W. 371.30 C₁₇H₁₃N₃O₇ [418805-02-4] Desiccate at -20° C
Soluble to 100 mM in DMSO or in ethanol

A ubiquitin activating enzyme E1 inhibitor (> 60% inhibition at 10 μM) with little or no activity against ubiquitin activating enzyme E2 or E3. Cell permeable.



Reference

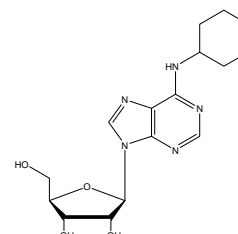
1. Yang et al. (2007) *Cancer Res* 67:9472; 2. Mi et al. (2009) *J Biol Chem* 284:17039; 3. Brahemi et al. (2010) *Lett Drug Des Discov* 7:57

N⁶-Cyclohexyladenosine

Cat. No. **BN0842** Size **50 mg** Price **102 CHF**

(2R,3S,4R,5R)-2-(6-(Cyclohexylamino)-9H-purin-9-yl)-tetrahydro-5-(hydroxymethyl)furan-3,4-diol
M.W. 349.38 C₁₆H₂₃N₅O₄ [36396-99-3] Desiccate at +4° C
Soluble to 100 mM in DMSO or to 100 mM in ethanol

Potent and selective adenosine A₁ receptor agonist (EC₅₀ = 8.2 nM). It displays anticonvulsant effects and protects against neuronal death.



Reference

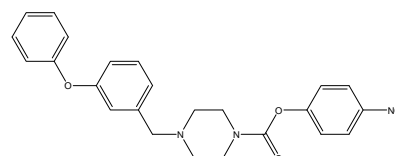
1. von Lubitz et al. (1988) *Stroke* 19:1133; 2. Santicioli et al. (1993) *Eur J Pharmacol* 231:139; 3. Heidarianpour et al. (2006) *Epileptic Disord* 8:259

JZL 195

Cat. No. **BN0843** Size **5 mg** Price **90 CHF**

4-Nitrophenyl 4-(3-phenoxybenzyl)piperazine-1-carboxylate
M.W. 433.46 C₂₄H₂₃N₃O₅ Desiccate at -20° C
Soluble in DMSO or in ethanol

A potent inhibitor of both Fatty acid amide hydrolase (FAAH, IC₅₀ = 2 nM) and Monoacylglycerol lipase (MAGL, IC₅₀ = 2 nM). See also JZL 184 (Cat. No. BN0787)



Reference

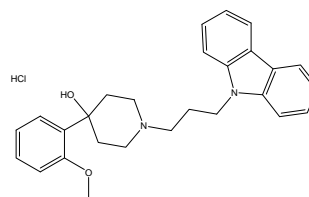
1. Long et al. (2009) *Proc Natl Acad Sci USA* 106:20270

NNC 05-2090 hydrochloride

Cat. No. **BN0844** Size **10 mg** Price **186 CHF**

1-[3-(9H-Carbazol-9-yl)propyl]-4-(2-methoxyphenyl)-4-piperidinol hydrochloride
M.W. 451.00 C₂₇H₃₀N₂O₂ .HCl [184845-43-0] Desiccate at RT
Soluble to 100 mM in DMSO or in ethanol

A GABA uptake inhibitor, selective for the BGT-1 transporter (K_i values are 1.4, 19, 41 and 15 μM at BGT-1, GAT-1, GAT-2 and GAT-3, respectively). Displays anti-convulsant effects *in vivo* and is also active at α₁- and dopamine D₂ receptors (IC₅₀ values are 266 and 1632 nM respectively).



Reference

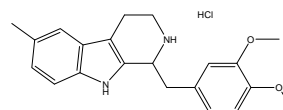
1. Thomsen et al. (1997) *Br J Pharmacol* 120:983; 2. Dalby et al. (1997) *Epilepsy Res* 28:51

LY 272015 hydrochloride

Cat. No. **BN0845** Size **10 mg** Price **180 CHF**

1-[(3,4-Dimethoxyphenyl)methyl]-2,3,4,9-tetrahydro-6-methyl-1H-pyrido[3,4-b]indole hydrochloride
M.W. 372.89 C₂₁H₂₄N₂O₂ .HCl [172895-15-7] Desiccate at +4° C
Soluble to 100 mM in DMSO or in ethanol

Potent and selective serotonin 5-HT_{2B} receptor antagonist (K_i values are 0.75, 21.63 and 28.7 nM for 5-HT_{2B}, 5-HT_{2C} and 5-HT_{2A} receptors, respectively). Displays anti-hypertensive effects in DOCA-salt-hypertensive rats.



Reference

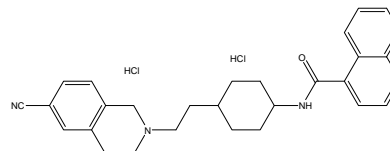
1. Audia et al. (1996) *J Med Chem* 39:2773; 2. Watts et al. (1999) *Am J Physiol* 276:944; 3. Russell et al. (2002) *J Pharmacol Exp Ther* 303:179

SB-277011-A dihydrochloride

Cat. No. **BN0846** Size **5 mg** Price **118 CHF**

N-[trans-4-[2-(6-Cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-4-quinolinecarboxamide dihydrochloride
M.W. 511.49 C₂₈H₃₀N₄O .2HCl [1226917-67-4] Desiccate at +4° C
Soluble to 100 mM in DMSO or to 10 mM in water

A potent and selective dopamine D₃ receptor antagonist (K_i = 11 nM; human D₃ receptor), displaying over 100-fold selectivity over dopamine D₂ receptors. It attenuates ethanol consumption in ethanol preferring and non-preferring rats.



Reference

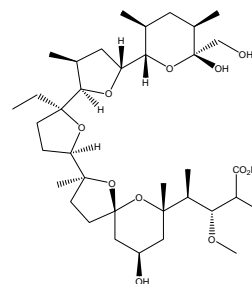
1. Thanos et al. (2005) *Pharmacol Biochem Behav* 81:190; 2. Ross et al (2007) *Eur J Pharmacol* 559:173; 3. Thanos et al. (2008) *Pharmacol Biochem Behav* 89:499

Monensin sodium

Cat. No. **BN0847** Size **100 mg** Price **54 CHF**

4-[2-[5-Ethyl-5-[5-[6-hydroxy-6-(hydroxymethyl)-3,5-dimethyloxan-2-yl]-3-methyloxolan-2-yl]oxolan-2-yl]-9-hydroxy-2,8-dimethyl-1,6-dioxaspiro[4.5]dec-7-yl]-3-methoxy-2-methylpentanoic acid sodium salt
M.W. 692.85 C₃₈H₆₁O₁₁Na [22373-78-0] Desiccate at +4° C
Soluble to 100 nM in ethanol or in DMSO

A Na⁺ ionophore, that forms lipophilic complexes with monovalent cations to induce Na⁺ influx and H⁺/K⁺ efflux. It increases intracellular Ca²⁺ levels. Also a potent inducer of oxidative stress and inhibitor of androgen signaling leading to apoptosis in prostate cancer cells.



Reference

1. Mollenhauer et al. (1990) *Biochim Biophys Acta* 1031:225; 2. Singh et al. (2007) *Pharmacol Rep* 59:456; 3. Ketola et al. (2010) *Mol Cancer Ther* 9:3175

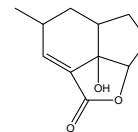
Galiellalactone

Cat. No.	Size	Price
BN0848	500 µg	354 CHF

Source: *Unidentified fungus; MST-FP1889*

M.W. 194.23 C₁₁H₁₄O₃ [133613-71-5] Desiccate at -20° C
Soluble in ethanol or in DMSO

Antitumour agent and plant growth regulator. Recently it was shown to inhibit IL-6 induced SEAP expression with IC₅₀ values of 250-500 nM by blocking the binding of the activated Stat3 dimers to their DNA binding sites without inhibiting the tyrosine and serine phosphorylation of the Stat3 transcription factor. Galiellalactone treatment decreases the proportion of ALDH+ prostate cancer cells and induces apoptosis of ALDH+ cells. It is originally isolated from *Galiella rufa*.



Reference

1. Hautzel and Anke (1990) *Z Naturforsch* 45c:1094; 2. Weidler et al. (2000) *FEBS Lett* 484:1; 3. Johansson et al. (2002) *J Antibiot* 55:663; 4. Kopcke et al. (2002) *J Antibiot* 55:36; 5. Hellsten et al. (2011) *PLoS One* 6:e22118

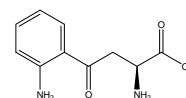
L-Kynurenine

Cat. No.	Size	Price
BN0849	25 mg	90 CHF

L-2-Amino-4-(2-aminophenyl)-4-oxobutanoic acid; β-Anthraniloyl-L-alanine

M.W. 208.21 C₁₀H₁₂N₂O₃ [2922-83-0] Store at RT
Soluble to 100 mM in DMSO or in ethanol

An endogenous ligand of the human aryl hydrocarbon receptor (AHR), that is constitutively generated by human tumour cells via tryptophan-2,3-dioxygenase (TDO), a liver- and neuron-derived Trp-degrading enzyme not yet implicated in cancer biology. Key intermediate in the breakdown pathway of tryptophan.



Reference

1. Opitz et al. (2011) *Nature* 478:197

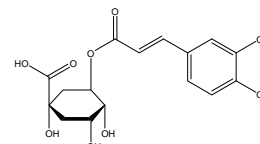
Chlorogenic acid

Cat. No.	Size	Price
BN0850	100 mg	78 CHF

1,3,4,5-Tetrahydroxycyclohexanecarboxylic acid 3-(3,4-dihydroxycinnamate); 3-(3,4-Dihydroxycinnamoyl)quinic acid

M.W. 354.31 C₁₆H₁₈O₉ [327-97-9] Store at RT
Soluble to 100 mM in DMSO or in ethanol

Antioxidant *in vitro* and might therefore contribute to the prevention of Type 2 Diabetes mellitus and cardiovascular disease. It differentially affects postprandial glucose and glucose-dependent insulinotropic polypeptide response in rats. Displays also antiviral, antibacterial and antifungal effects.



Reference

1. Lincoln et al. (2000) *Clin Exp Pharmacol Physiol* 27:152; 2. Paynter et al. (2006) *Am J Epidemiol* 164:1075; 3. Tunnicliffe et al. (2011) *Appl Physiol Nutr Metab* 36:650

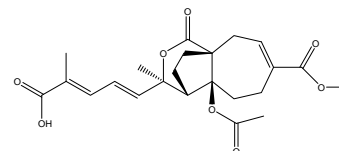
Pseudolaric Acid B

Cat. No.	Size	Price
BN0851	1 mg	150 CHF

4a-(Acetyloxy)-3-[(1E,3E)-4-carboxy-1,3-pentadien-1-yl]-3R,4S,4aS,5,6,9-hexahydro-3-methyl-1-oxo-7-methylester; 1H-4,9aR-ethanocyclohepta[c]pyran-7-carboxylic acid; PAB

M.W. 432.46 C₂₃H₂₈O₈ [82508-31-4] Desiccate at -20° C
Soluble to 100 mM in DMSO or in ethanol

Antifungal antibiotic. Also inhibits angiogenesis and reduces hypoxia-inducible factor 1α (HIF-1α) by promoting proteasome-mediated degradation. It induces apoptosis in cancer cells (IC₅₀ = 1 µM). A diterpene acid isolated from the bark of *Pseudolarix kaempferi*, a traditional Chinese medicinal plant.



Reference

1. Li et al. (1995) *J Nat Prod* 58:57; 2. Li et al. (2004) *Clin Cancer Res* 10:8266; 3. Gong et al. (2006) *Exp Mol Med* 38:428

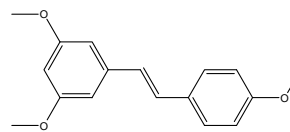
trans-3,4',5-Trimethoxy-stilbene

Cat. No. **BN0852** Size **10 mg** Price **102 CHF**

(E)-1,3-dimethoxy-5-(4-methoxystyryl)benzene

M.W. 270.32 C₁₇H₁₈O₃ [22255-22-7] Store at +4° C
Soluble to 40 mg/ml in DMSO or to 15 mg/ml in ethanol

Antitumour agent displaying potent antiangiogenic activity. Inhibits endothelial cell proliferation, sprouting, collagen gel invasion and morphogenesis (ID₅₀ = 0.3 - 3 μM). Induces microtubule disassembly and tubulin depolymerization. It inhibits antigen-induced release of TNF-α in RBL-2H3 cells. An analogue of resveratrol (Cat. No. BS0159), that acts also as a potent AHR antagonist.



Reference

1. Belleri et al. (2005) *Mol Pharmacol* 67:1451; 2. Pan et al. (2008) *Mol Carcinog* 47:184; 3. Hsieh et al. (2011) *Int J Cancer* 129:2732

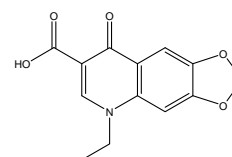
Oxolinic acid

Cat. No. **BN0853** Size **100 mg** Price **78 CHF**

5-Ethyl-8-oxo-5,8-dihydro-[1,3]dioxolo[4,5-g]quinoline-7-carboxylic acid

M.W. 261.23 C₁₃H₁₁NO₅ [14698-29-4] Store at RT
Soluble to 100 mM in DMSO or in ethanol

A quinolone antibiotic that inhibits DNA gyrase. It also acts as a dopamine reuptake inhibitor and has stimulant effects in mice.



Reference

1. Gleckman et al. (1979) *Am J Hosp Pharm* 36:1077; 2. Garcia de Mateos-Verchere (1998) *Eur Neuropsychopharmacol* 8:255

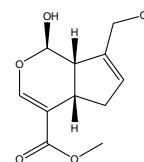
Genipin

Cat. No. **BN0854** Size **25 mg** Price **186 CHF**

(1R,4aS,7aS)-Methyl 1-hydroxy-7-(hydroxymethyl)-1,4a,5,7a-tetrahydrocyclopenta[c]pyran-4-carboxylate

M.W. 226.23 C₁₁H₁₄O₅ [6902-77-8] Store at +4° C
Soluble to 100 mM in DMSO or in ethanol

An aglycone derived from geniposide (Cat. No. BN0684), that is used in traditional Chinese medicine to relieve the symptoms of type 2 diabetes. It induces apoptosis and inhibits invasion in MDA-MB-231 breast cancer cells. Also used as a natural crosslinker in various biomaterials like gelatin, collagen and chitosan.



Reference

1. Aburada et al. (1980) *J Pharmacobiodyn* 3:423; 2. Kim et al. (2011) *Oncol Rep Oct 20*. doi: 10.3892/or.2011.1508. [Epub ahead of print]

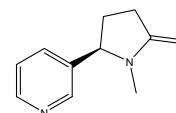
(+)-Cotinine

Cat. No. **BN0855** Size **10 mg** Price **276 CHF**

(5R)-(+)-1-Methyl-5-(3-pyridyl)-2-pyrrolidinone; R-Cotinine; Ba 2701

M.W. 176.22 C₁₀H₁₂N₂O [32162-64-4] Desiccate at -20° C
Soluble to 100 mM in DMSO or in ethanol

Metabolite of nicotine. See also the S-enantiomer (-)-Cotinine (Cat. No. BN0830).



Reference

1. Frankenburg (1957) *J Am Chem Soc* 79:149; 2. Thompson et al. (1982) *J Chromatog* 231:53; 3. Seeman et al. (1986) *J Org Chem* 51:1548

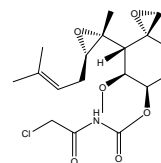
TNP 470

Cat. No. **BN0856** Size **5 mg** Price **245 CHF**

N-(2-Chloroacetyl)carbamic acid (3*R*,4*S*,5*S*,6*R*)-5-Methoxy-4-[(2*R*,3*R*)-2-methyl-3-(3-methyl-2-buten-1-yl)-2-oxiranyl]-1-oxaspiro[2.5]oct-6-yl ester; TNP-470; AGM 1470

M.W. 401.88 C₁₉H₂₆ClNO₆ [129298-91-5] Store at -20° C
Soluble to 100 mM in DMSO or in ethanol

Antibiotic and antiangiogenic agent. Analogue of Fumagillin (Cat. No. BN0214) with potent antiangiogenic activity *in vitro* and *in vivo*. Potently inhibits the proliferation of endothelial cells and methionine aminopeptidase type II (MetAP2).



Reference

- Huang et al. (2003) *Mol Cancer Ther* 3:335; 2. Miura et al. (2004) *Cancer Letts* 203:45; 3. Arico-Muendel et al. (2009) *J Med Chem* 52:8047; 4. Hines et al. (2010) *J Pharm Exp Ther* 334:729; 5. Naganuma et al. (2011) *Cancer Sci* 102:1545

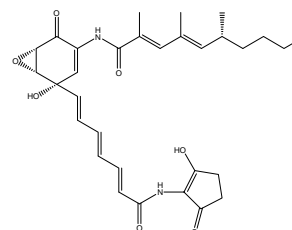
Manumycin A

Cat. No. **BN0857** Size **1 mg** Price **179 CHF**

N-[(1*S*,5*S*,6*R*)-5-Hydroxy-5-[(1*E*,3*E*,5*E*)-7-[(2-hydroxy-5-oxo-1-cyclopenten-1-yl)amino]-7-oxo-1,3,5-heptatrien-1-yl]-2-oxo-7-oxabicyclo[4.1.0]hept-3-en-3-yl]-2*E*,4*E*,6*R*-trimethyl,2,4-decadienamido; UCF 1C; NSC 622141

M.W. 550.64 C₃₁H₃₈N₂O₇ [52665-74-4] Desiccate at -20° C
Soluble to 100 mM in DMSO or in ethanol

Antibiotic and antitumour agent. It acts as a potent and selective farnesyltransferase (FTase) inhibitor (K_i = 1.2 μM, rat brain FTase). It exhibits significant antitumour activity against Ki-ras-activated solid tumours in mice at a dose of 6.3 mg/kg.



Reference

- Hara et al. (1993) *Proc Natl Acad Sci USA* 90:2281; 2. Hara and Han (1995) *Proc Natl Acad Sci USA* 92:3333; 3. Bernier et al. (2006) *J Biol Chem* 281:2551; 4. Xiong and Rikihisa (2011) *J Med Microbiol* 60:744

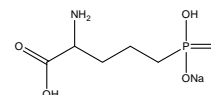
DL-AP5 sodium salt

Cat. No. **BN0858** Size **10 mg** Price **64 CHF**

DL-2-Amino-5-phosphonopentanoic acid sodium salt; *DL*-APV sodium salt

M.W. 219.11 C₅H₁₁NO₅PNa Desiccate at +4° C
Soluble to 100 mM in water

More water soluble form of DL-AP5 (Cat. No. BN0086). Potent NMDA receptor antagonist. See separate isomers D-AP5 (Cat. No. BN0085) and L-AP5 (Cat. No. BN0087).



Reference

- Evans et al. (1982) *Br J Pharmacol* 75:65; 2. Davies and Watkins (1982) *Brain Res* 235:378

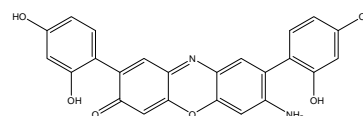
O4

Cat. No. **BN0859** Size **50 mg** Price **114 CHF**

7-Amino-2,8-bis(2,4-dihydroxyphenyl)-3*H*-phenoxazin-3-one; Lacmoid

M.W. 428.39 C₂₄H₁₆N₂O₆ Store at RT
Soluble to 100 mM in DMSO or in ethanol

Orcein-related small molecule that accelerates Aβ fibrillogenesis. It directly binds to hydrophobic amino acid residues in Aβ peptides and stabilizes the self-assembly of seeding-competent, β-sheet-rich protofibrils and fibrils. The mediated acceleration of amyloid fibril formation efficiently decreases the concentration of small, toxic Aβ oligomers in complex, heterogeneous aggregation reactions. In addition, it suppresses inhibition of long-term potentiation by Aβ oligomers in hippocampal brain slices.



Reference

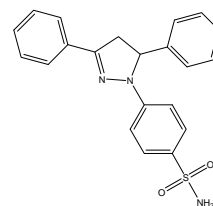
- Bieschke et al. (2011) *Nat Chem Biol* 8:93

MLS-573151

Cat. No.	Size	Price
BS0309	10 mg	216 CHF

4-[3,5-Diphenyl-4,5-dihydropyrazol-1-yl]benzenesulfonamide; MLS 573151
M.W. 377.46 C₂₁H₁₉N₃O₂S Desiccate at RT
Soluble to 20 mg/ml in DMSO or in ethanol

A potent and specific inhibitor of Cdc42, a small GTPase of the Rho-subfamily (IC₅₀ value = 2 μM).



Reference

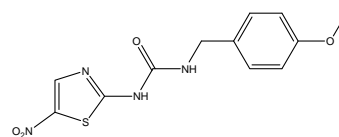
1. Surviladze et al. (2010) *J Biomol Screening* 15:10

AR-A014418

Cat. No.	Size	Price
BS0310	10 mg	228 CHF

N-[(4-Methoxyphenyl)methyl]-N'-(5-nitro-2-thiazolyl)urea
M.W. 308.31 C₁₇H₁₇N₄O₄S [487021-52-3] Desiccate at +4° C
Soluble to 100 mM in DMSO and to 5 mM in ethanol

Selective glycogen synthase kinase 3 (GSK-3) inhibitor (IC₅₀ = 104 nM). Displays specificity for GSK-3 over cdk2 and cdk5 (IC₅₀ > 100 μM) and over 26 other kinases. It inhibits β-amyloid-mediated neurodegeneration in hippocampal slices.



Reference

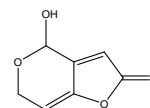
1. Bhat and Budd (2002) *Neurosignals* 11:251; 2. Bhat et al. (2003) *J Biol Chem* 278:45937; 3. Ramirez et al. (2010) *Am J Pathol* 176:881

Patulin

Cat. No.	Size	Price
BS0311	5 mg	179 CHF

4-Hydroxy-4,6-dihydro-2H-furo[3,2-c]pyran-2-one; Clavacin; Claviformin; Mycoin C3
M.W. 154.12 C₇H₆O₄ [149-29-1] Desiccate at -20° C (protect from light)
Soluble to 10 mg/ml in DMSO or in ethanol

A cytostatic, antibacterial mycotoxin originally isolated from *Penicillium expansum*. It is used as a potassium uptake inhibitor and as an inducer of ion flux across cell membranes, potentially involving Na⁺-K⁺ dependent ATPase and to induce intra- and intermolecular protein crosslinking. It also activates p38 kinase.



Reference

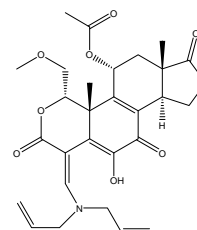
1. Fuchs et al. (2008) *Food Chem Toxicol* 46:1398; 2. McLaughlin et al. (2009) *Toxicol In Vitro* 23:83; 3. Saxena et al. (2009) *Toxicol Appl Pharmacol* 234:192

PX-866

Cat. No.	Size	Price
BS0312	5 mg	180 CHF

(4S,4aR,5R,6aS,9aR,E)-1-((Diallylamino)methylene)-11-hydroxy-4-(methoxymethyl)-4a,6a-dimethyl-2,7,10-trioxo-1,2,4,4a,5,6,6a,7,8,9,9a,10-dodecahydroindeno[4,5-h]isochromen-5-yl acetate; DJM-166; DJM-2-166
M.W. 525.59 C₂₉H₃₅NO₈ [502632-66-8] Desiccate at -20° C
Soluble to 25 mg/ml in DMSO or in ethanol

Antitumour agent. Inhibits PI-3 Kinase with selectivity for p110α (IC₅₀ = 0.1 nM). *In vivo*, it inhibits subcutaneous tumour growth and increases the median survival time of animals with intracranial tumours. A derivative of Wortmannin (Cat. No. BS0205)



Reference

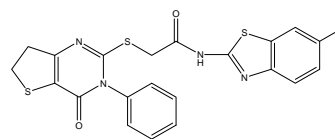
1. Ihle et al. (2004) *Mol Cancer Ther* 3:763; 2. Koul et al. (2010) *Neuro Oncol* 12:559

IWP-2

Cat. No.	Size	Price
BS0313	10 mg	173 CHF

N-(6-Methyl-2-benzothiazolyl)-2-[(3,4,6,7-tetrahydro-4-oxo-3-phenylthieno[3,2-d]pyrimidin-2-yl)thio]-acetamide; IWP2
M.W. 466.60 C₂₂H₁₈N₄O₂S₃ [686770-61-6] Store at +4° C
Soluble to 10 mM in DMSO or in ethanol

An inhibitor of Wnt secretion and processing. It blocks Wnt-dependent signaling (IC₅₀ = 27 nM) by inhibition of the O-acyltransferase Porcupine (Porcn). See also IWR-2 (Cat. No. BS0314).



Reference

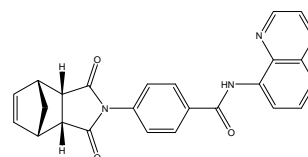
1. Chen et al. (2009) *Nature Chem Biol* 5:100

IWR-1

Cat. No.	Size	Price
BS0314	5 mg	102 CHF

4-(1,3,3a,4,7,7a-Hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl)-N-8-quinoliny-Benzamide; IWR1
M.W. 409.44 C₂₅H₁₉N₃O₃ [430429-02-0] Store at +4° C
Soluble to 10 mM in DMSO or in ethanol

An inhibitor of Wnt response. It induces stabilization of Axin proteins via a direct interaction, which is a part of the β-catenin destruction complex (consists of Apc, Axin, Ck1 and Gsk3β). See also IWP-2 (Cat. No. BS0313).



Reference

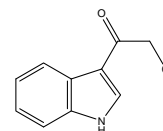
1. Chen et al. (2009) *Nature Chem Biol* 5:100

(3-Chloroacetyl)-Indole (3CAI)

Cat. No.	Size	Price
BS0315	50 mg	180 CHF

2-Chloro-1-(1H-indol-3-yl)ethanone
M.W. 193.63 C₁₀H₈ClNO [28755-03-5] Store at RT
Soluble to 100 mM in DMSO or in ethanol

A potent and specific AKT inhibitor. It shows significant inhibition of AKT in an *in vitro* kinase assay and suppressed expression of AKT direct downstream targets such as mTOR and GSK3β as well as induced growth inhibition and apoptosis in colon cancer cells. Antitumour agent.



Reference

1. Kim et al. (2011) *Cancer Prev Res* 4:1842

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