

# New Products

Spring and Summer 2011

**TOCRIS**  
b i o s c i e n c e

High Performance Life Science Reagents

## Featured inside:

### Hsp70 Inhibitor

VER 155008

### Potent and Selective Mps1 Kinase Inhibitor

AZ 3146

### Selective FAAH Inhibitor

PF 3845

### Potent $\gamma$ -secretase Inhibitor

BMS 299897

### Potent PI 3-Kinase Inhibitor

GSK 1059615

*Ipomoea hederacea*,  
A source of Muristerone

## Products by pharmacological activity:

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## 7-TM Receptors

### 5-HT Receptors

		Unit size	£	€
3326	BGC 20-761	High affinity 5-HT <sub>6</sub> antagonist	10 mg	95 139
			50 mg	379 589
3783	CP 135807	Selective 5-HT <sub>1D</sub> agonist	10 mg	99 149
			50 mg	415 639
3665	Donitriptan HCl	5-HT <sub>1B/1D</sub> agonist	10 mg	79 129
			50 mg	339 539
4052	Lisuride maleate	DA receptor agonist; antiparkinson's agent	10 mg	105 159
			50 mg	449 709
1523	LY 215840	5-HT <sub>2</sub> /5-HT <sub>7</sub> antagonist	10 mg	99 155
			50 mg	415 655
1644	Mesulergine HCl	5-HT <sub>2A/2C</sub> antagonist. Also dopamine receptor partial agonist	10 mg	99 149
			50 mg	415 639
3282	NAD 299 HCl	Selective, high affinity 5-HT <sub>1A</sub> receptor antagonist	10 mg	79 129
			50 mg	339 539
3991	NPEC-caged-serotonin	Caged serotonin	10 mg	99 149
			50 mg	415 639
3688	SGS 518 oxalate	Selective 5-HT <sub>6</sub> antagonist	10 mg	99 155
			50 mg	415 655
3904	WAY 208466 2HCl	Selective 5-HT <sub>6</sub> agonist	10 mg	105 159
3996	Zotepine	5-HT <sub>2A</sub> /D <sub>2</sub> antagonist; atypical antipsychotic	10 mg	49 79
			50 mg	199 319

### Acetylcholine (Muscarinic) Receptors

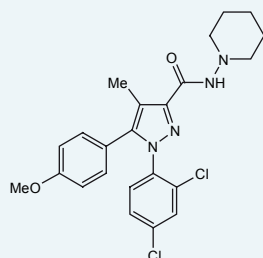
3761	Tolterodine L-tartrate	Potent, non-selective muscarinic receptor antagonist	10 mg	69 105
			50 mg	285 435
3383	VU 152100	Positive allosteric modulator of M <sub>4</sub> receptors	10 mg	95 145
			50 mg	395 615
3727	VU 0255035	Highly selective muscarinic M <sub>1</sub> antagonist	10 mg	95 139
			50 mg	379 589

### Adenosine Receptors

3937	ANR 94	Adenosine A <sub>2A</sub> antagonist	10 mg	99 149
			50 mg	415 639
3576	(±)-5'-chloro-5'-deoxy-ENBA	Highly selective A <sub>1</sub> agonist	10 mg	109 175
			50 mg	465 735

#### NIDA 41020, High Affinity CB<sub>1</sub> Antagonist

**NIDA 41020**  
Cat. No. 3921

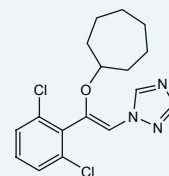


NIDA 41020 is a high affinity CB<sub>1</sub> receptor antagonist ( $K_i = 4.1$  nM). The compound exhibits significantly reduced lipophilicity compared to other CB<sub>1</sub> antagonists.

Katoch-Rouse *et al* (2003) *J.Med.Chem.* **46** 642. Miller *et al* (2007) *Life Sci.* **81** 63.

#### Ro 64-5229, Selective, Non-competitive mGlu<sub>2</sub> Antagonist

**Ro 64-5229**  
Cat. No. 2913



Ro 64-5229 is a selective, non-competitive mGlu<sub>2</sub> antagonist. The compound inhibits GTPγ<sup>35</sup>S binding to mGlu<sub>2</sub>-containing membranes (IC<sub>50</sub> = 0.11 μM).

Kolczewski *et al* (1999) *Bioorg.Med.Chem.Lett.* **9** 2173. Brauner-Osbourne *et al* (2007) *Curr.Drug Targets.* **8** 169.

### Adrenergic Receptors

2758	B-HT 933 2HCl	Selective α <sub>2</sub> agonist	10 mg	95 139
			50 mg	379 589
3990	NPEC-caged-noradrenalin	Caged noradrenalin	10 mg	105 159
			50 mg	449 709

## Cannabinoid Receptors

		Unit size	£	€	
3130	Cannabinol	Cannabinoid receptor agonist; not psychoactive	10 mg	105	159
4063	MJ 15	Potent and selective CB <sub>1</sub> antagonist	10 mg	105	159
			50 mg	449	709
3921	NIDA 41020	High affinity CB <sub>1</sub> antagonist	10 mg	99	149
			50 mg	415	639

## Chemokine Receptors

3756	Maraviroc	Selective CCR5 antagonist	10 mg	119	195
			50 mg	519	815
3951	WZ 811	Potent CXCR4 antagonist	10 mg	85	129
			50 mg	349	549

## Dopamine Receptors

4057	Flupenthixol 2HCl	Dopamine receptor antagonist	50 mg	25	35
3940	GSK 789472 HCl	D <sub>3</sub> antagonist. Also D <sub>2</sub> partial agonist	10 mg	89	135
			50 mg	359	565
3298	NGD 94-1	Selective D <sub>4</sub> receptor ligand	10 mg	89	135
			50 mg	359	565
3992	NPEC-caged-dopamine	Caged dopamine	10 mg	99	149
			50 mg	415	639
3887	PG 01037 2HCl	D <sub>3</sub> receptor selective antagonist	10 mg	99	155
			50 mg	439	695
3896	Rotigotine HCl	Dopamine D <sub>2</sub> /D <sub>3</sub> agonist	10 mg	65	99
			50 mg	265	409

## Free Fatty Acid Receptors

3795	TUG 424	FFA1 (GPR40) agonist	10 mg	95	145
			50 mg	395	615

## Glutamate (Metabotropic) Receptors

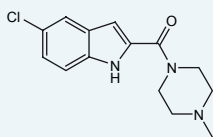
4048	BINA	Selective positive allosteric modulator of mGlu <sub>2</sub>	10 mg	105	159
			50 mg	449	709
3949	CBIPES HCl	Positive allosteric modulator of mGlu <sub>2</sub>	10 mg	95	145
			50 mg	395	615
3331	NPEC-caged-(1S,3R)-ACPD	Caged (1S,3R)-ACPD	10 mg	159	245
			50 mg	675	1069
3330	NPEC-caged-(S)-3,4-DCPG	Caged (S)-3,4-DCPG	10 mg	159	245
			50 mg	675	1069
2923	NPEC-caged-(S)-3,5-DHPG	Caged (S)-3,5-DHPG (Cat. No. 0805)	10 mg	169	265
3332	NPEC-caged-LY 379268	Caged group II mGlu receptor agonist	10 mg	225	349
2913	Ro 64-5229	Selective, non-competitive mGlu <sub>2</sub> antagonist	10 mg	109	175
			50 mg	465	765
4120	Xanthurenic acid	Selectively activates group II mGlu receptors	50 mg	35	45
3413	YM 202074	High affinity, selective mGlu <sub>1</sub> antagonist	10 mg	99	155
			50 mg	439	695
2986	YM 230888	Selective mGlu <sub>1</sub> antagonist	10 mg	99	155
			50 mg	415	655

## Histamine Receptors

3753	A 943931 2HCl	Potent and selective H <sub>4</sub> antagonist	10 mg	119	185
			50 mg	499	785
3640	A 987306	Potent and selective H <sub>4</sub> receptor antagonist	10 mg	119	205
			50 mg	539	859
3743	BF 2649	H <sub>3</sub> receptor inverse agonist	10 mg	79	129
			50 mg	339	539
4021	JNJ 7777120	Selective H <sub>4</sub> receptor antagonist	10 mg	89	135
			50 mg	359	565
3848	Sinomenine HCl	Anti-inflammatory; causes mast cell degranulation and histamine release	50 mg	49	65
3948	Terfenadine	H <sub>1</sub> antagonist. Also hERG and K <sub>ATP</sub> channel blocker	50 mg	29	39

## JNJ 777120, Selective H<sub>4</sub> Receptor Antagonist

**JNJ 777120**  
Cat. No. 4021



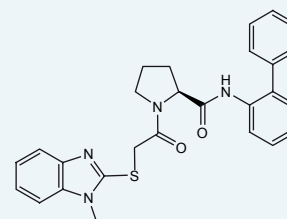
JNJ 777120 is a histamine H<sub>4</sub> receptor antagonist. The compound displays high affinity (K<sub>i</sub> = 4.5 nM) and is >1000-fold selective for H<sub>4</sub> over other histamine receptors.

Jablanowski *et al* (2003) *J.Med.Chem.* **46** 3957. Thurmond *et al* (2004) *J.Pharmacol.Exp.Ther.* **309** 404. Strakhova *et al* (2009) *Br.J.Pharmacol.* **157** 44.

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## TCS 1102, Potent, Dual Orexin Receptor Antagonist

**TCS 1102**  
Cat. No. 3818



TCS 1102 is a potent, dual orexin receptor antagonist (K<sub>i</sub> values are 0.2 and 3 nM for OX<sub>2</sub> and OX<sub>1</sub> receptors respectively). The compound inhibits ADL-orexin B-mediated locomotion following i.p. administration *in vivo* and is brain penetrant.

Bergman *et al* (2008) *Bioorg.Med.Chem.Letts* **18** 1425. Winrow *et al* (2010) *Neuropsychopharmacology* **58** 185.

(Manufactured and sold under license from Merck & Co., Inc. for use solely for preclinical research purposes (ie: not for administration to or other use in humans))

## NOP Receptors

		Unit size	£	€
3661	BAN ORL 24.....Potent and selective NOP antagonist.....	10 mg	105	159
		50 mg	449	709
3763	MCOPPB 3HCl.....Potent NOP receptor agonist.....	1 mg	79	115
		10 mg	185	299
3932	Orphanin FQ (1-11).....Potent NOP agonist; displays analgesic properties.....	1 mg	49	79
3573	SB 612111 HCl.....Selective NOP receptor antagonist.....	10 mg	135	215
		50 mg	589	915
3240	SCH 221510.....Potent and selective NOP agonist.....	10 mg	105	159
		50 mg	449	709

## Opioid Receptors

4085	Nalmefene HCl.....Opioid receptor antagonist.....	50 mg	49	79
3971	Nalmefene d3.....Deuterated nalmefene; opioid receptor antagonist.....	1 mg	165	255
3958	Oxycodone HCl.....μ-opioid receptor agonist.....	50 mg	49	65

## Peptide Receptors

3370	AC 264613.....PAR <sub>2</sub> receptor agonist.....	10 mg	95	145
		50 mg	395	615
3359	ATC 0065.....Potent and selective MCH <sub>1</sub> antagonist.....	10 mg	119	185
		50 mg	499	785
3346	ATC 0175 HCl.....MCH <sub>1</sub> antagonist; also 5-HT <sub>2B</sub> antagonist and partial antagonist of 5-HT <sub>1A</sub> .....	10 mg	119	185
		50 mg	499	785
3730	Boc-MLF.....FPR1 antagonist.....	1 mg	69	105
3338	CART (55-102) human.....Neuromodulatory neuropeptide fragment; satiety factor.....	100 μg	135	195
3337	CART (55-102) rat.....Neuromodulating neuropeptide fragment; satiety factor.....	100 μg	155	255
3417	CP 99994 2HCl.....High affinity NK <sub>1</sub> antagonist.....	10 mg	119	195
		50 mg	519	815
2826	FPR A14.....FPR agonist.....	10 mg	95	145
		50 mg	395	615
3643	FR 171113.....PAR <sub>1</sub> antagonist.....	10 mg	105	159
		50 mg	449	709
4018	JNJ 5207787.....Selective NPY Y <sub>2</sub> antagonist.....	10 mg	129	205
		50 mg	559	875
3881	Kisspeptin 234.....KISS1/GPR54 antagonist; kisspeptin 10 analogue.....	1 mg	69	105
3562	LU AA33810.....Potent NPY Y <sub>5</sub> antagonist.....	10 mg	89	135
		50 mg	359	565
3978	MEN 11270.....Selective B <sub>2</sub> antagonist; analogue of HOE 140 (Cat.No. 3014).....	500 μg	175	275
3898	SF 11.....NPY Y <sub>2</sub> receptor antagonist.....	10 mg	105	159
		50 mg	449	709

## Peptide Receptors continued

		Unit size	£	€
3294	SN 003	Potent and selective CRF <sub>1</sub> antagonist	10 mg	105 159
3297	SSR 146977 HCl	Potent and selective NK <sub>3</sub> antagonist	10 mg	119 205
			50 mg	539 859
3818	TCS 1102	Potent, dual orexin receptor antagonist	10 mg	89 135
			50 mg	359 565
3890	WAY 207024 2HCl	GnRH receptor antagonist	10 mg	129 205
3933	WAY 267464 2HCl	Potent non-peptide oxytocin receptor agonist	10 mg	129 205

## Prostanoid Receptors

4027	16,16-Dimethyl Prostaglandin E2	Synthetic PGE <sub>2</sub> (Cat.No. 2296) derivative; regulates HSC development	1 mg	45 69
2791	SC 51322	Potent EP <sub>1</sub> receptor antagonist	10 mg	89 135
			50 mg	359 565
4069	TCS 2510	Selective EP <sub>4</sub> agonist	1 mg	99 155

## Purinergic P2Y Receptors

1820	(+)-Clopidogrel hydrogen sulfate	Selective P2Y <sub>12</sub> antagonist	10 mg	99 149
			50 mg	415 639
2502	MRS 2693 trisodium salt	Selective P2Y <sub>6</sub> agonist	1 mg	119 205
3884	MRS 2768 tetrasodium salt	Selective P2Y <sub>2</sub> agonist	1 mg	159 245
3830	NF 340	Selective P2Y <sub>11</sub> antagonist	10 mg	105 159
			50 mg	449 709
3892	NF 546	Selective P2Y <sub>11</sub> agonist	10 mg	105 159
			50 mg	449 709
3931	Ticlopidine HCl	Selective P2Y <sub>12</sub> antagonist	50 mg	29 39

## Sphingosine-1-phosphate Receptors

3601	CYM 5442 HCl	Selective S1P <sub>1</sub> receptor agonist	10 mg	119 185
			50 mg	499 785

## Cellular Processes

### Apoptosis

3367	AT 101	Downregulates Bcl-2 and Mcl-1; pro-apoptotic	10 mg	89 135
			50 mg	359 565
3816	Muristerone A	Stimulates Bcl-XL mRNA transcription; antiapoptotic	1 mg	105 165
4038	TW 37	Bcl-2 inhibitor; induces apoptosis	10 mg	115 195
			50 mg	525 839

### Apoptosis inducers

3868	CHM 1	Potent antitumour agent; inducer of apoptosis	10 mg	89 135
			50 mg	359 565
4091	Cyclophosphamide	Alkylating agent; chemotherapeutic	50 mg	35 45

### Calcium Signalling

3626	NPS 2413	Selective antagonist of the calcium-sensing receptor; orally active calcilytic agent	10 mg	99 155
			50 mg	415 655



## Signal Transduction continued

		Unit size	£	€	
3532	<i>endo</i> -IWR 1	.....Axin stabiliser; promotes $\beta$ -catenin phosphorylation	10 mg	75	109
			50 mg	305	465
3905	NAADP tetrasodium salt	..... $\text{Ca}^{2+}$ mobilising agent	10 mg	159	245
4079	Niclosamide	.....STAT3 inhibitor; also inhibits mTORC1 signalling	50 mg	49	65
3534	PNU 74654	..... $\beta$ -catenin binder; inhibits Wnt signalling	10 mg	75	109
			50 mg	305	465
3324	QS11	.....ARFGAP1 inhibitor; modulates Wnt/ $\beta$ -catenin signalling	10 mg	99	149
			50 mg	415	639
3815	R 568 HCl	.....Positive allosteric modulator of the human calcium-sensing receptor	10 mg	89	135
			50 mg	359	565
3617	SANT-2	.....Inhibitor of hedgehog (Hh) signalling; antagonises smoothed activity	10 mg	99	149
			50 mg	415	639
3035	SD 1008	.....JAK2/STAT3 signalling pathway inhibitor	10 mg	89	135
			50 mg	359	565
3929	SJ 172550	.....MDMX inhibitor. Disrupts MDMX-p53 interaction	10 mg	95	145
			50 mg	395	615
3880	SNOB 1 control	.....Negative control for SNOB 1 (Cat. No. 3879)	10 mg	109	175
3879	SNOB 1 reagent	.....Detects S-nitrosylated proteins	10 mg	109	175
3803	VER 155008	.....Hsp70 inhibitor	10 mg	105	159
			50 mg	449	709

## Stem Cells

3656	Neurodazine	.....Induces neurogenesis in mature skeletal muscle cells	10 mg	89	135
			50 mg	359	565
3854	1-Oleoyl lysophosphatidic acid	.....LPA <sub>1</sub> and LPA <sub>2</sub> agonist. Inhibits differentiation of neural stem cells	1 mg	29	35

## Enzyme-Linked Receptors

### Integrin receptors

3910	BIO 1211	.....Selective $\alpha_4\beta_1$ (VLA-4) inhibitor	1 mg	89	135
3900	TCS 2314	..... $\alpha_4\beta_1$ (VLA-4) antagonist	10 mg	115	175
			50 mg	489	765

### Receptor Serine/Threonine Kinases (RSTKs)

4126	DMH-1	.....BMP inhibitor; selectively inhibits ALK2	10 mg	105	159
			50 mg	449	709
3742	SJN 2511	.....Selective inhibitor of TGF- $\beta$ RI	10 mg	95	145
			50 mg	395	615

### Receptor Tyrosine Kinases (RTKs)

2617	AG 879	.....TrkA inhibitor	10 mg	95	139
3360	CGP 52411	.....EGFR inhibitor. Also inhibits A $\beta$ 42 fibril formation	10 mg	79	129
			50 mg	339	539
4033	5'-Fluorouridine	.....FLT3 inhibitor; displays antiproliferative activity	10 mg	89	139
			50 mg	385	599

## Enzymes

### ATPases/GTPases

3584	Golgicide A	.....Potent, specific and reversible inhibitor of GBF1 ArfGEF	10 mg	105	159
			50 mg	449	709
3792	ITX 3	.....Selective inhibitor of TrioN RhoGEF activity	10 mg	89	135
			50 mg	359	565
3982	Mdivi 1	.....Dynamin inhibitor; attenuates mitochondrial division and apoptosis	10 mg	49	79
			50 mg	199	319
4110	Oligomycin A	.....Inhibitor of mitochondrial ATPase	5 mg	65	99

## Cyclases

		Unit size	£	€	
3834	KH 7	Selective soluble adenylyl cyclase inhibitor	10 mg	89	135
			50 mg	359	565

## Cytochrome P450

3759	Exemestane	Steroidal aromatase (CYP19) inhibitor	10 mg	89	135
			50 mg	359	565

## Deacetylases

3747	NCH 51	Histone deacetylase inhibitor	10 mg	95	145
			50 mg	395	615
4127	Salermide	SIRT1 and SIRT2 inhibitor	10 mg	59	89
			50 mg	235	369
3850	Sodium butyrate	Histone deacetylase inhibitor	50 mg	29	39

## Dehydrogenases

2966	CGP 3466B maleate	GAPDH inhibitor. Neuroprotective	10 mg	65	99
			50 mg	265	409
4102	Mycophenolate mofetil	Inhibitor of inosine monophosphate dehydrogenase	50 mg	49	79

## Esterases

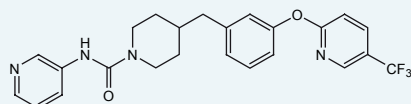
3627	LY 311727	Selective secreted phospholipase A <sub>2</sub> (sPLA <sub>2</sub> ) inhibitor	10 mg	105	159
3575	VU 0155069	Potent and selective PLD <sub>1</sub> inhibitor	10 mg	119	185
			50 mg	499	785

## Hydrolases

3998	LDN 57444	Ubiquitin C-terminal hydrolase-L1 (UCH-L1) inhibitor	10 mg	69	105
			50 mg	285	435
4175	PF 3845	Selective FAAH inhibitor	10 mg	129	205
			50 mg	559	875
3252	WWL 70	Potent ABHD6 inhibitor	10 mg	119	205

### PF 3845, Selective FAAH Inhibitor

**PF 3845**  
Cat. No. 4175



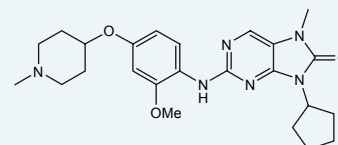
PF 3845 is a selective fatty acid amide hydrolase (FAAH) inhibitor ( $K_i = 0.23 \mu\text{M}$ ). The compound reduces inflammatory pain via a cannabinoid receptor-dependent mechanism. PF 3845 is highly efficacious and selective *in vivo*. The compound displays no activity at FAAH-2 ( $\text{IC}_{50} > 10 \mu\text{M}$ ).

Ahn *et al* (2009) Chem.Biol. **16** 411. Ahn *et al* (2009) Expert Opin.Drug Discov. **4** 763.

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### AZ 3146, Potent and Selective Mps1 Kinase Inhibitor

**AZ 3146**  
Cat. No. 3994



AZ 3146 is a potent and selective monopolar spindle 1 (Mps1) kinase inhibitor ( $\text{IC}_{50} = 35 \text{ nM}$ ). The compound displays selectivity over 46 other kinases including Cdk1 and aurora kinase B. AZ 3146 interferes with chromosome alignment and overrides spindle assembly checkpoint. The compound also inhibits the recruitment of Mad1, Mad2 and centromere protein E (CENP-E) to kinetochores.

Hewitt *et al* (2010) J.Cell Biol. **190** 25. Lan and Cleveland (2010) J.Cell Biol. **190** 21. Maciejowski *et al* (2010) J.Cell Biol. **190** 89.

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## Isomerases

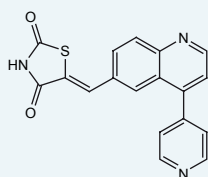
3857	Dexrazoxane HCl	Topoisomerase II inhibitor	10 mg	65	99
			50 mg	265	409

## Kinases

		Unit size	£	€
3977	3-Methyladenine.....Class III PI 3-kinase inhibitor; also inhibits autophagy .....	50 mg	49	79
3897	API-1.....Selective Akt/PKB inhibitor. Antitumour .....	10 mg	159	245
3966	AR-A 014418.....Selective GSK-3 inhibitor .....	10 mg	95	145
		50 mg	395	615
3671	AS 252424.....Selective inhibitor of PI 3-kinase $\gamma$ .....	10 mg	119	195
3994	AZ 3146.....Potent and selective monopolar spindle 1 (Mps1) kinase inhibitor .....	10 mg	119	195
		50 mg	519	815
3968	AZD 5438.....Potent cyclin-dependent kinase (cdk) 1, 2 and 9 inhibitor .....	10 mg	119	195
		50 mg	519	815
3874	BIO-acetoxime.....Selective GSK-3 $\alpha/\beta$ inhibitor.....	1 mg	69	105
		10 mg	149	235
4037	BRD 7389.....p90 ribosomal S6 kinase inhibitor .....	10 mg	99	149
		50 mg	415	639
3091	FR 236924.....Selective PKC $\epsilon$ activator .....	10 mg	95	145
4026	GSK 1059615.....Potent, non-selective PI 3-kinase inhibitor .....	10 mg	115	175
		50 mg	489	765
3873	MeBio.....Inactive analogue of BIO (Cat. No. 3194) .....	10 mg	89	135
3301	NU 6140.....Cyclin-dependent kinase 2 (cdk2) inhibitor .....	10 mg	105	159
		50 mg	449	709
3960	NVP 231.....Potent, selective and reversible CerK inhibitor.....	10 mg	95	145
		50 mg	395	615
4192	PD 03325901.....Selective inhibitor of MEK1/2 .....	10 mg	129	205
		50 mg	559	875
3785	PD 166285 2HCl.....Potent Src inhibitor; also inhibits FGFR1, PDGFR $\beta$ and Wee1.....	1 mg	49	79
		10 mg	119	205
4032	PF 4708671.....S6K1 inhibitor .....	10 mg	119	185
		50 mg	499	785
4153	Phorbol 12,13-dibutyrate.....Protein kinase C activator .....	1 mg	29	45
4082	Anti-PIKfyve.....Antibody recognising PIKfyve.....	100 $\mu$ g	225	355
4058	PKC (19-36).....Pseudosubstrate peptide; inhibitor of PKC.....	1 mg	75	109
4059	[Glu <sup>27</sup> ]-PKC (19-36).....Inactive control peptide for PKC (19-36) .....	1 mg	69	105
3894	PP121.....Dual kinase inhibitor; inhibits PI 3K family kinases .....	10 mg	95	145
		50 mg	395	615

### GSK 1059615, Potent PI 3-Kinase Inhibitor

**GSK 1059615**  
Cat. No. 4026



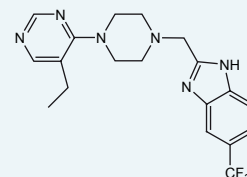
GSK 1059615 is a potent inhibitor of PI 3-kinase  $\alpha$  (PI3K $\alpha$ ) (IC<sub>50</sub> = 2 nM). The compound inhibits proliferation in BT474 cells and attenuates MAPK signalling.

Saadia *et al* (2009) Clin.Cancer Res. **15** 3029. Knight *et al* (2010) ACS Med.Chem. Lett. **1** 39.

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### PF 4708671, S6K1 Inhibitor

**PF 4708671**  
Cat. No. 4032



PF 4708671 is a cell-permeable inhibitor of p70 ribosomal S6 kinase (S6K1 isoform) (K<sub>i</sub> = 20 nM; IC<sub>50</sub> = 160 nM). The compound suppresses the S6K1-mediated phosphorylation of S6, Rictor and mTOR in response to IGF1. PF 4708671 displays no effect on the activity of RSK and MSK *in vivo*. The compound also exhibits no significant inhibition of S6K2 or other AGC kinases (e.g. Akt, PKA and ROCK) *in vitro*.

Pearce *et al* (2010) Biochem.J. [Epub ahead of print].

(Sold for research purposes under agreement from Pfizer Inc.)

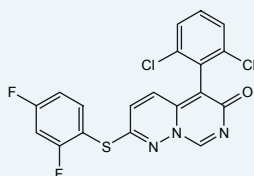
4087	PS 48.....PDK1 activator .....	10 mg	65	95
		50 mg	245	389
4039	PT 1.....AMPK activator.....	10 mg	105	159
		50 mg	449	709
3528	SCIO 469 HCl.....Selective p38 MAPK inhibitor .....	10 mg	119	195
		50 mg	519	815
3667	SR 3677 HCl.....Potent, selective Rho-kinase (ROCK) inhibitor .....	10 mg	119	205
		50 mg	539	859

## Kinases continued

		Unit size	£	€
3639	SX 011.....p38 MAPK inhibitor.....	10 mg	105	159
		50 mg	449	709
3869	TCS 2002.....Potent GSK-3β inhibitor.....	10 mg	109	175
		50 mg	465	765
3835	TWS 119.....GSK-3β inhibitor; induces neuronal differentiation in ESCs.....	10 mg	119	185
3916	VX 702.....Orally active p38α and p38β inhibitor.....	10 mg	79	129
3915	VX 745.....Potent and selective p38α inhibitor.....	10 mg	115	175
		50 mg	489	765

### VX 745, Potent and Selective p38α Inhibitor

**VX 745**  
Cat. No. 3915

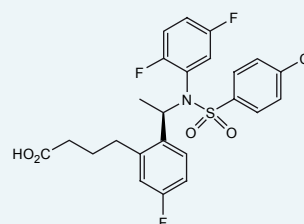


VX 745 is a highly potent and selective p38α inhibitor (IC<sub>50</sub> = 10 nM). The compound also blocks TNFα production in LPS-stimulated HWB *in vitro* (IC<sub>50</sub> = 177 nM). VX 745 displays 1000-fold selectivity over closely related kinases, including ERK1, MK2 and JNK1-3.

Fabian *et al* (2005) Nat. Biotechnol. **23** 329. Bagley *et al* (2007) Bioorg. Med. Chem. Lett. **17** 5107. Goldstein *et al* (2010) J. Med. Chem. **53** 2345.

### BMS 299897, Potent γ-secretase Inhibitor

**BMS 299897**  
Cat. No. 2870



BMS 299897 is an orally active, potent γ-secretase inhibitor (IC<sub>50</sub> = 12 nM). The compound inhibits Aβ40 and Aβ42 formation *in vitro* (IC<sub>50</sub> values are 7.4 and 7.9 nM respectively) and reduces Aβ in the brain, plasma and cerebrospinal fluid *in vivo*. BMS 299897 exhibits no Notch toxicity and is brain penetrant.

Barten *et al* (2005) J. Pharmacol. Exp. Ther. **312** 635. Anderson *et al* (2005) Biochem. Pharmacol. **69** 689. Goldstein *et al* (2007) J. Pharmacol. Exp. Ther. **323** 102.

## Oxygenases/Oxidases

3919	SC 236.....Selective cyclooxygenase-2 (COX-2) inhibitor.....	10 mg	89	135
		50 mg	359	565
3852	Tranylcypromine HCl.....Irreversible inhibitor of MAO-A, MAO-B and LSD1.....	50 mg	29	39

## Phosphatases

3979	Alexidine 2HCl.....Selective inhibitor of PTPMT1.....	50 mg	49	79
3718	AS 1949490.....SH2 domain-containing inositol 5'-phosphatase 2 (SHIP2) inhibitor.....	10 mg	89	135
		50 mg	359	565
3930	NFAT Inhibitor.....Inhibitor of calcineurin-mediated NFAT activation.....	1 mg	105	165
2302	Sanguinarine chloride.....Inhibitor of protein phosphatase 2C (PP2C).....	10 mg	85	129
		50 mg	349	549

## Phosphodiesterases

1693	Enoximone.....PDE3 inhibitor.....	10 mg	89	135
		50 mg	359	565
4165	Gisadenafil besylate.....PDE5 inhibitor.....	10 mg	115	175
		50 mg	489	765

## Polymerases

3734	BYK 204165.....Selective PARP-1 inhibitor.....	10 mg	89	135
		50 mg	359	565
4106	Nicotinamide.....PARP-1 inhibitor.....	50 mg	19	25
3736	UPF 1069.....PARP-2 inhibitor.....	10 mg	99	149
		50 mg	415	639

## Proteases

		Unit size	£	€
1637	Argatroban.....Potent thrombin inhibitor .....	10 mg	89	135
		50 mg	359	565
2870	BMS 299897.....Potent $\gamma$ -secretase inhibitor .....	10 mg	119	185
3595	CHR 2797.....Aminopeptidase inhibitor .....	1 mg	65	95
		10 mg	145	225
4090	Doxycycline hyclate.....Broad-spectrum MMP inhibitor; tetracycline derivative .....	50 mg	25	35
4088	IU1.....Usp14 inhibitor .....	10 mg	85	129
		50 mg	349	549
3066	L 006235.....Potent cathepsin K inhibitor.....	10 mg	115	195
		50 mg	525	839
4187	UK 356618.....Potent and selective MMP-3 inhibitor.....	10 mg	145	225
4188	UK 383367.....Potent and selective BMP-1 (PCP) inhibitor.....	1 mg	65	95
		10 mg	145	225

## Reductases

2954	PX 12.....Competitive thioredoxin-1 inhibitor .....	10 mg	65	99
		50 mg	265	409

## Synthases/Synthetases

3319	ARL 17477 2HCl.....Selective nNOS inhibitor .....	10 mg	75	109
		50 mg	305	465
3531	AT 56.....L-PGDS inhibitor .....	10 mg	89	135
		50 mg	369	575
2489	C 75.....Potent fatty acid synthase inhibitor; proapoptotic.....	10 mg	105	159
		50 mg	449	709
3530	HQL 79.....Hematopoietic prostaglandin D synthase (H-PGDS) inhibitor.....	10 mg	95	145
		50 mg	395	615
4014	MK 217.....Inhibitor of farnesyl diphosphate synthase (FPPS) and osteoclast-mediated bone resorption .....	50 mg	49	65

## Transferases

3842	5-Azacytidine.....DNA methyltransferase inhibitor.....	50 mg	29	39
3542	CP 316819.....Selective glycogen phosphorylase inhibitor .....	10 mg	119	185
		50 mg	499	785
2406	FTI 276.....Farnesyltransferase (FTase) inhibitor; antitumour.....	1 mg	69	105
2407	FTI 277.....Prodrug form of FTI 276 (Cat. No. 2406) .....	1 mg	69	105
2430	GGTI 298.....Geranylgeranyltransferase I (GGTase I) inhibitor.....	1 mg	95	149
4060	LY 78335.....Phenylethanolamine-N-methyltransferase inhibitor.....	10 mg	49	79
		50 mg	205	345
4061	6-Thioguanine.....Anticancer and immunosuppressive agent; disrupts cytosine methylation .....	50 mg	19	29
3039	YM 750.....Acyl-CoA:cholesterol acyltransferase (ACAT) inhibitor .....	10 mg	79	129
		50 mg	339	539

## Ion Channels

### Calcium Channels

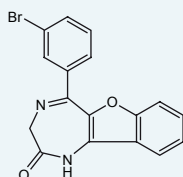
3934	Benidipine HCl.....Calcium channel blocker .....	10 mg	89	135
		50 mg	359	565
4117	Bepidil HCl.....Non-selective calcium channel blocker .....	50 mg	49	65
3895	NS 309.....Positive modulator of SK and IK channels .....	10 mg	49	69
		50 mg	175	275
3775	Pregabalin.....Anticonvulsant. Selectively binds the $\alpha_2\delta$ subunit of voltage-gated calcium channels .....	10 mg	89	139
		50 mg	385	599
3939	YM 58483.....Inhibitor of SOCE in non-excitabile cells .....	10 mg	105	159
		50 mg	439	695
3943	PG 01.....CFTR mutants potentiator .....	10 mg	95	145
		50 mg	395	615

## Ligand-gated Ion Channels

		Unit size	£	€
3579	5-BDBD	Potent P2X <sub>4</sub> receptor antagonist	10 mg	95 145
			50 mg	395 615
4080	ATP-γS tetralithium salt	P2 agonist; ATP analogue	10 mg	99 155
4105	CIQ	Potentiator of NMDA receptors containing NR2C/NR2D	10 mg	95 145
			50 mg	395 615
3328	Desformylflustrabromine	Positive allosteric modulator of α4β2	10 mg	95 145
			50 mg	395 615
3693	DL-AP5 sodium salt	Sodium salt of DL-AP5 (Cat. No. 0105)	10 mg	39 59
			50 mg	155 245
2991	DPNI-caged GABA	Nitroindoline-caged GABA	10 mg	225 355
3113	Etifoxine	Potentiator of GABA <sub>A</sub> receptors; anxiolytic	10 mg	85 129
			50 mg	349 549

### 5-BDBD, Potent P2X<sub>4</sub> Receptor Antagonist

**5-BDBD**  
Cat. No. 3579

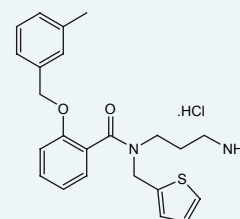


5-BDBD is a potent P2X<sub>4</sub> receptor antagonist. The compound blocks P2X<sub>4</sub>-mediated currents in Chinese hamster ovary cells (IC<sub>50</sub> = 0.50 μM).

Donnelly-Roberts *et al* (2008) *J.Pharmacol.Exp.Ther.* **324** 409.

### AMTB, TRPM8 Blocker

**AMTB**  
Cat. No. 3989



AMTB is a TRPM8 channel blocker. The compound inhibits icilin- (Cat. No. 1531) induced TRPM8 channel activation in a rat model (pIC<sub>50</sub> = 6.23).

Lashinger *et al* (2008) *Am.J.Physiol.Renal Physiol.* **295** F803.

2555	GYKI 53655 HCl	Non-competitive AMPA receptor antagonist	10 mg	115 175
			50 mg	489 765
4111	Hexamethonium Br	Nicotinic receptor blocker	50 mg	19 25
2225	MNI caged kainic acid	Caged kainic acid	10 mg	275 435
3817	MRK 016	α5-selective GABA <sub>A</sub> inverse agonist	10 mg	109 175
			50 mg	465 765
2766	Naspm 3HCl	Ca <sup>2+</sup> -permeable AMPA receptor antagonist	10 mg	105 159
3840	NPEC-caged-(S)-AMPA	Caged (S)-AMPA	10 mg	159 245
3801	Pep2m, myristoylated	Myristoylated form of pep2m (Cat. No. 1595). Peptide inhibitor of GluR2 subunit binding to NSF	1 mg	95 149
3518	S 24795	Partial agonist at α7 nAChR	10 mg	69 105
			50 mg	285 435
4154	TCN 201	Selective NR1/NR2A receptor antagonist	10 mg	105 159
			50 mg	449 709
3942	TCS 1105	GABA <sub>A</sub> α2 benzodiazepine receptor agonist	10 mg	89 135
			50 mg	359 565
3941	TCS 1205	Subtype-selective GABA <sub>A</sub> receptor agonist	10 mg	89 135
			50 mg	359 565
3621	UBP 310	GLU <sub>K5</sub> antagonist	10 mg	89 135
			50 mg	359 565

## Potassium Channels

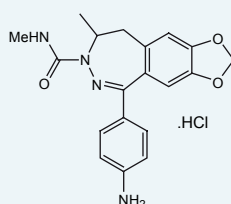
3899	JNJ 303	Potent and selective I <sub>Ks</sub> blocker	10 mg	95 139
			50 mg	379 589
3804	NS 1619	Activator of BK <sub>Ca</sub> channels	10 mg	119 185
2830	PD 118057	Selective hERG K <sup>+</sup> channel activator	10 mg	95 145
			50 mg	395 615
3829	UK 78282 HCl	Blocker of K <sub>v</sub> 1.3 and K <sub>v</sub> 1.4 channels	10 mg	109 175
			50 mg	465 735
3891	VU 590 2HCl	Inhibitor of ROMK and Kir7.1; Kir1.1 channel pore blocker	10 mg	65 95
			50 mg	245 389

## Sodium Channels

		Unit size	£	€
4098	Carbamazepine.....Inhibitor of neuronal voltage-gated Na channels; anticonvulsant....	50 mg	19	29
3865	Licarbazepine.....Active metabolite of oxcarbazepine (Cat. No. 3864).....	10 mg	65	95
		50 mg	245	389
3864	Oxcarbazepine.....Anticonvulsant; inhibits Na <sup>+</sup> channel activity.....	10 mg	49	79
		50 mg	199	319
4023	ProTx II.....Potent and selective Na <sub>v</sub> 1.7 blocker.....	100 µg	79	125
2918	Veratridine.....Voltage-gated Na <sup>+</sup> channel opener.....	10 mg	49	79
		50 mg	205	345

### GYKI 53655, Non-competitive AMPA Receptor Antagonist

**GYKI 53655**  
Cat. No. 2555

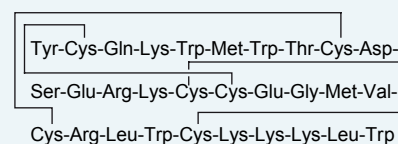


GYKI 53655 is a non-competitive AMPA and kainate receptor antagonist. The compound is an analogue of GYKI 52466 (Cat. No. 1454). GYKI 53655 prolongs the survival time after MgCl<sub>2</sub>-induced global cerebral ischaemia. The compound exhibits anticonvulsant activity and also blocks GluK3 homomeric receptors (IC<sub>50</sub> = 63 µM) and GluK2b(R)/GluK3 heteroreceptors (IC<sub>50</sub> = 32 µM) at high concentrations.

Szabados *et al* (2001) Brain Res.Bull. **55** 387. Perrais *et al* (2009) Neuropharmacology **56** 131.

### ProTx II, Potent and Selective Na<sub>v</sub>1.7 Blocker

**ProTx II**  
Cat. No. 4023



ProTx II is a potent and selective Na<sub>v</sub>1.7 channel blocker (IC<sub>50</sub> = 0.3 nM). The compound shifts activation gating positively and decreases current magnitude. ProTx II displays 100-fold selectivity over other sodium channel subtypes.

Smith *et al* (2007) J.Biol.Chem. **282** 12687. Edgerton *et al* (2008) Toxicon. **52** 489. Schmalhofer *et al* (2008) Mol.Pharmacol. **74** 1476.

## Transient Receptor Potential Channels

3989	AMTB.....TRPM8 blocker.....	10 mg	105	159
		50 mg	449	709
3875	BCTC.....TRPV1 antagonist.....	10 mg	89	135
		50 mg	359	565
4100	HC 067047.....Potent and selective TRPV4 antagonist.....	10 mg	99	155
		50 mg	415	655
3197	Polygodial.....TRPA1 channel activator; analgesic and antifungal.....	10 mg	85	129
		50 mg	349	549
3751	Pyr3.....Selective TRPC3 channel inhibitor.....	10 mg	95	145
		50 mg	395	615
3265	SB 452533.....Potent TRPV1 receptor antagonist.....	10 mg	85	129
		50 mg	349	549
3938	TCS 5861528.....TRPA1 blocker.....	10 mg	89	135
		50 mg	359	565

## Nuclear Receptors

### Androgen receptors

4094	Flutamide.....Non-steroidal androgen receptor antagonist.....	50 mg	25	35
3923	PF 998425.....Potent and selective androgen receptor antagonist.....	10 mg	105	159

### Aryl Hydrocarbon Receptors

3858	CH 223191.....Potent aryl hydrocarbon receptor (AhR) antagonist.....	10 mg	89	135
		50 mg	359	565

## Estrogen Receptors

		Unit size	£	€	
3999	Cyclofenil.....	Selective estrogen receptor modulator (SERM).....	10 mg	49	79
			50 mg	199	319
3928	XCT 790.....	Selective ERR $\alpha$ antagonist/inverse agonist.....	10 mg	95	145
			50 mg	395	615
3975	Zearalenone.....	Estrogen receptor ligand; mycotoxin.....	10 mg	49	79
			50 mg	205	345

## Glucocorticoid Receptors

4116	GSK 9027.....	Glucocorticoid receptor agonist.....	10 mg	115	175
			50 mg	489	765
4115	Mometasone.....	Synthetic corticosteroid; anti-inflammatory agent.....	50 mg	65	85

## PPAR Receptors

4113	Fenofibrate.....	PPAR $\alpha$ agonist.....	50 mg	19	25
3961	GSK 3787.....	Potent and selective PPAR $\delta$ antagonist.....	10 mg	89	135
			50 mg	359	565
4124	Pioglitazone HCl.....	Selective PPAR $\gamma$ agonist; antidiabetic agent.....	10 mg	39	49
			50 mg	129	195
3690	S26948.....	Selective PPAR $\gamma$ agonist; antidiabetic agent.....	10 mg	105	159
			50 mg	449	709

## Retinoic Acid Receptors

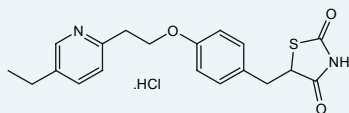
4046	AC 261066.....	RAR $\beta$ 2 agonist.....	10 mg	99	149
			50 mg	415	639
3409	BMS 453.....	RAR $\beta$ agonist; also RAR $\alpha$ and RAR $\gamma$ antagonist.....	10 mg	105	159
4011	EC 23.....	Synthetic retinoid; induces differentiation of stem cells.....	10 mg	89	135
			50 mg	359	565
3509	BMS 493.....	Pan-RAR inverse agonist.....	10 mg	99	155
			50 mg	439	695
3505	BMS 753.....	RAR $\alpha$ -selective agonist.....	10 mg	95	139
			50 mg	379	589
3997	Tazarotene.....	Receptor-selective retinoid; binds RAR- $\beta$ and - $\gamma$ .....	10 mg	95	145
			50 mg	395	615

## Retinoid X Receptors

3302	CD 3254.....	Potent and selective RXR $\alpha$ agonist.....	10 mg	105	159
			50 mg	449	709
3831	LG 100754.....	RXR:PPAR agonist.....	10 mg	119	185
			50 mg	499	785
3411	SR 11237.....	Pan RXR agonist.....	10 mg	99	149
			50 mg	415	639
3303	UVI 3003.....	RXR antagonist.....	10 mg	95	145
			50 mg	395	615

### Pioglitazone, Selective PPAR $\gamma$ Agonist

**Pioglitazone**  
Cat. No. 4124

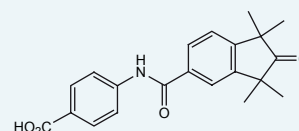


Pioglitazone is a selective PPAR $\gamma$  agonist ( $EC_{50}$  = 0.69  $\mu$ M). The compound is a thiazolidinedione (TZD) derivative and antidiabetic agent that improves insulin sensitivity.

Willson *et al* (1996) *J.Med.Chem.* **39** 665. Sakamoto *et al* (2000) *Biochem. Biophys.Res.Commun.* **278** 704. Smith (2001) *Int.J.Clin.Pract.Suppl.* **121** 13. Momose *et al* (2002) *J.Med.Chem.* **45** 1518.

### BMS 753, RAR $\alpha$ -Selective Agonist

**BMS 753**  
Cat. No. 3505



BMS 753 is a novel synthetic retinoid; the compound is a RAR $\alpha$ -selective antagonist ( $K_i$  = 2nM)

Taneja *et al* (1996) *Proc.Natl.Acad.Sci.USA* **93** 6197. Dilworth *et al* (1999) *Proc. Natl.Acad.Sci.USA* **96** 1995. Gehin *et al* (1999) *Chem.Biol.* **6** 519.

## Other Nuclear Receptors

		Unit size	£	€
3663	GSK 4112	Selective REV-ERB $\alpha$ agonist	10 mg	79 129
			50 mg	339 539
4121	Rifampicin	Pregnane X receptor (PXR) activator; antibiotic	50 mg	25 35

## Transporters

### Ion Pumps/Transporters

4186	UK 5099	Inhibitor of pyruvate transport	10 mg	85 129
			50 mg	349 549

### Multidrug Transporters

4193	CP 100356 HCl	P-gp inhibitor	10 mg	119 195
			50 mg	519 815
4107	Probenecid	MRP inhibitor	50 mg	19 25
4042	PSC 833	Inhibitor of P-gp-mediated MDR	1 mg	115 185
3918	Pyrimethamine	Potent inhibitor of multi-drug and toxin extrusion (MATE) transporters; also inhibits DHFR	50 mg	35 45

### Neurotransmitter Transporters

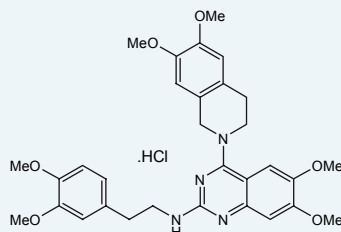
4194	WY 45233 succinate	Dual serotonin/noradrenalin re-uptake inhibitor	10 mg	105 159
			50 mg	449 709

### Other Ion Pumps/Transporters

3878	FFN511	Fluorescent substrate for VMAT2	10 mg	119 205
			50 mg	539 859
3888	VU 0240551	KCC2 inhibitor	10 mg	95 145
			50 mg	395 615

### CP 100356, P-gp Inhibitor

**CP 100356**  
Cat. No. 4193



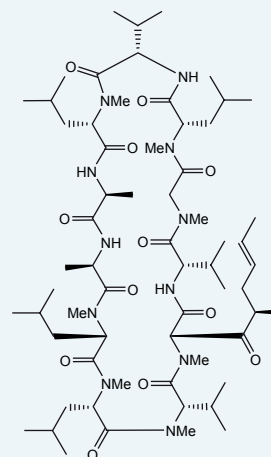
CP 100356 is a high affinity P-glycoprotein (P-gp) inhibitor ( $K_i$  values are 58 and 94 nM for mouse Pgp1a and Pgp1b isoforms). The compound inhibits calcein-AM uptake in MDR1-transfected MDCKII cells ( $IC_{50} = 0.5 \mu\text{M}$ ) and prazosin transport in BCRP-transfected MDCKII cells ( $IC_{50} = 1.5 \mu\text{M}$ ). CP 100356 displays weak or no inhibitory activity against MRP1, OATP1B1 and several major human P450 enzymes ( $IC_{50} > 50 \mu\text{M}$ ).

Taylor *et al* (1999) Br.J.Cancer **81** 783. Wandel *et al* (1999) Cancer Res. **59** 3944. Kalgutkar *et al* (2009) J.Pharm.Sci. **98** 4914.

(Sold for research purposes under agreement from Pfizer Inc.)

### PSC 833, Inhibitor of P-gp-mediated MDR

**PSC 833**  
Cat. No. 4042



PSC 833 is a P-glycoprotein (P-gp) modulator that inhibits P-gp-mediated multidrug-resistance (MDR). The compound reverses resistance to several cytotoxic drugs including mitoxantrone and doxorubicin (resistance factors are 2.0 and 6.5 respectively) in human MDR cancer cell lines. PSC 833 is a non-immunosuppressive analogue of cyclosporin A (Cat. No. 1101).

Song *et al* (1998) J.Pharmacol.Exp.Ther. **287** 963. Goda *et al* (2007) J.Pharmacol.Exp.Ther. **320** 81. Shen *et al* (2008) J.Pharmacol.Exp.Ther. **324** 95. Shen *et al* (2009) J.Pharmacol.Exp.Ther. **330** 423.

Prices are correct at the time of publication. For the latest product information visit [www.tocris.com](http://www.tocris.com).

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