TOCRIS
a bio-techne brand

Immunology Research

Product Listing | Edition 2

False Helleborine
Veratrum album
A source of Resveratrol

Immunology Products For:

- Chemokine and Cytokine Signaling
- Chemotaxis
- Complement System
- Immune Cell Signaling
- Inflammation

Contents

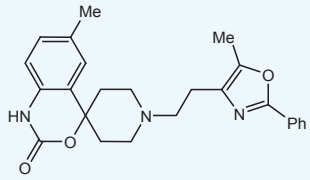
This listing contains over 150 products from Tocris for the study of immunology research, including those that target chemotaxis, the complement system, immune cell signaling and inflammation. Chemokine and cytokine receptor agonists and antagonists are also listed, along with antivirals and immunosuppressants.

Chemokine and Cytokine Signaling

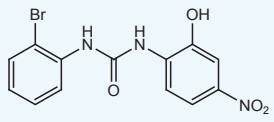
Chemokines are involved in the directed migration of immune cells such as leukocytes, whereas cytokines mediate the inflammatory response, as well as being involved in innate and adaptive immunity. These physiological effects are mediated by chemokine and cytokine receptors respectively.

Category	Cat. No.	Product Name	Description	Unit Size
CC Chemokine Receptors				
Agonists	2565	ZK 756326	Selective, non-peptide CCR8 agonist	10 mg 50 mg
Antagonists	3129	BMS CCR2 22	High affinity, potent CCR2 antagonist	1 mg 10 mg 50 mg
	3496	BX 471	Potent, selective CCR1 antagonist	10 mg 50 mg
	2769	BX 513 hydrochloride	Selective CCR1 antagonist	10 mg 50 mg
	3581	C 021 dihydrochloride	Potent CCR4 antagonist	10 mg 50 mg
	2423	DAPTA	CCR5 antagonist	1 mg
	4306	INCB 3284 dimesylate	Selective CCR2 antagonist	10 mg 50 mg
	2595	J 113863	Potent CCR1 antagonist	1 mg 10 mg 50 mg
	3756	Maraviroc	Selective CCR5 antagonist	10 mg 50 mg
	2089	RS 102895 hydrochloride	CCR2b antagonist	10 mg 50 mg
	2517	RS 504393	Highly selective CCR2 antagonist	10 mg
	3650	SB 328437	Potent and selective CCR3 antagonist	10 mg 50 mg

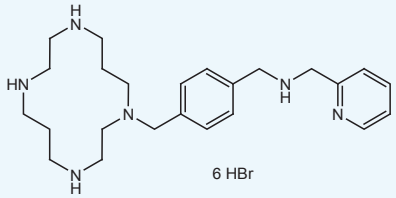
Featured Chemokine Receptor Products



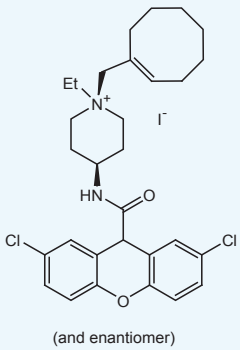
RS 504393 (2517)
Highly selective CCR2 antagonist



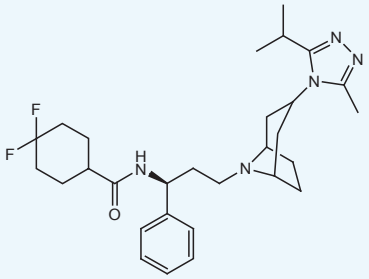
SB 225002 (2725)
Potent and selective CXCR2 antagonist



AMD 3465 hexahydrobromide (4179)
Potent, selective CXCR4 antagonist



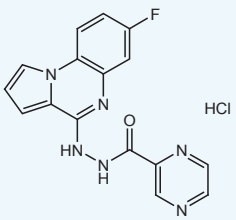
J 113863 (2595)
Potent CCR1 antagonist



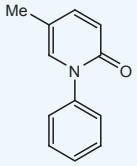
Maraviroc (3756)
Selective CCR5 antagonist

Category	Cat. No.	Product Name	Description	Unit Size
CXC Chemokine Receptors				
Antagonists	3299	AMD 3100 octahydrochloride	Highly selective CXCR4 antagonist	10 mg 50 mg
	4179	AMD 3465 hexahydrobromide	Potent, selective CXCR4 antagonist	10 mg 50 mg
	4487	(±)-AMG 487	CXCR3 antagonist; inhibits cell migration and metastasis	10 mg 50 mg
	4596	IT1t dihydrochloride	Potent CXCR4 antagonist	10 mg 50 mg
	4528	(±)-NBI 74330	Potent and selective CXCR3 antagonist	10 mg
	2725	SB 225002	Potent and selective CXCR2 antagonist	10 mg 50 mg
	2724	SB 265610	Potent CXCR2 antagonist	1 mg 10 mg 50 mg
	4300	TC 14012	CXCR4 antagonist; also CXCR7 agonist	1 mg
Cytokine Receptors				
Antagonists	1793	AF 12198	Potent, selective human type I IL-1 receptor antagonist	1 mg
	1675	YM 90709	IL-5 receptor antagonist	10 mg
Other	2265	Lyn peptide inhibitor	Inhibits Lyn-dependent activities of IL-5 receptor; cell-permeable	1 mg
	5432	R 7050	Inhibitor of TNF-α receptor 1 (TNFR1) signaling	10 mg 50 mg
	1794	Ro 26-4550 trifluoroacetate	Competitive inhibitor of IL-2/IL-2Rα interaction	10 mg
Cytokine Signaling				
Other	2446	AS 101	Immunomodulator; inhibits IL-10 synthesis and potentiates IL-1α, IL-2 and TNF-α release	10 mg 50 mg
	3270	GIT 27	Immunomodulator; reduces production of proinflammatory cytokines	10 mg 50 mg
	3429	4-IPP	Inhibitor of macrophage migration inhibitory factor (MIF); suicide substrate	10 mg 50 mg
	1093	Pirfenidone	Antifibrotic agent; regulates cytokine levels *in vivo*	10 mg 50 mg
	4963	SC 144 hydrochloride	gp130 inhibitor; blocks cytokine-triggered gp130 signaling	10 mg 50 mg
	0652	Thalidomide	TNF-α synthesis inhibitor	100 mg

Featured Cytokine Receptor and Signaling Products



SC 144 hydrochloride (4963)
gp130 inhibitor; blocks cytokine-triggered gp130 signaling



Pirfenidone (1093)
Antifibrotic agent; regulates cytokine levels *in vivo*

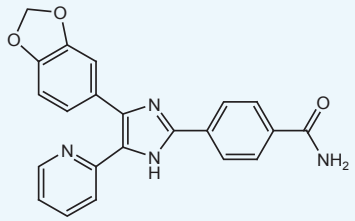
Octadecanoyl-Tyr-Gly-Tyr-Arg-Leu-Arg-Arg-Lys-Trp-Glu-Glu-Lys-Ile-Pro-Asn-Pro-NH_2

Lyn peptide inhibitor (2265)
Inhibits Lyn-dependent activities of IL-5 receptor; cell-permeable

Category	Cat. No.	Product Name	Description	Unit Size
TGF-β Receptors				
Inhibitors	2939	A 83-01	Selective inhibitor of TGF-βRI, ALK4 and ALK7	10 mg 50 mg
	2902	D 4476	TGF-βR1 inhibitor; also CK1 inhibitor	10 mg 50 mg
	3264	GW 788388	Selective inhibitor of TGF-βRI	10 mg 50 mg
	2718	LY 364947	Selective inhibitor of TGF-βRI	1 mg 10 mg
	5288	R 268712	Potent and selective TGF-βRI inhibitor	10 mg 50 mg
	3742	RepSox	Selective inhibitor of TGF-βRI	10 mg 50 mg
	1614	SB 431542	Potent, selective inhibitor of TGF-βRI, ALK4 and ALK7	1 mg 10 mg
	3263	SB 505124	Selective inhibitor of TGF-βRI, ALK4 and ALK7	10 mg 50 mg
	3211	SB 525334	Selective inhibitor of TGF-βRI	10 mg 50 mg
	3269	SD 208	Potent ATP-competitive TGF-βRI inhibitor	10 mg 50 mg

Potent, Selective Inhibitor of TGF-βRI, ALK4 and ALK7

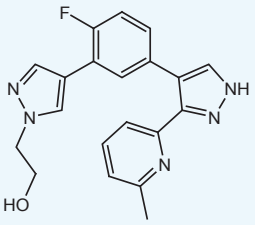
SB 431542 *Cat. No. 1614*



SB 431542 is a potent and selective TGF-β type 1 receptor (ALK5) inhibitor (IC_{50} = 94 nM). The compound also inhibits ALK4 and ALK7. SB 431542 restores NK cell effector function that has been supressed by TGF-β within the tumor microenvironment.

Potent and Selective TGF-βRI Inhibitor

R 268712 *Cat. No. 5288*



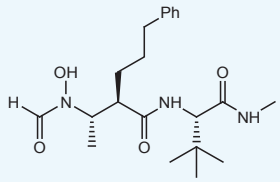
R 268712 is a potent and selective TGF-βR1 inhibitor (IC_{50} = 2.5 nM) that exhibits ~5000-fold selectivity over p38 MAPK. The compound suppresses development of renal fibrosis in a unilateral ureteral obstruction model. R 268712 is orally bioavailable.

Chemotaxis

Chemotaxis plays a critical role in the immune response, including in the directed migration of leukocytes to sites of infection and the trafficking of lymphocytes. Dysregulation of chemotactic responses has been implicated in the pathogenesis of inflammatory diseases, such as asthma and arthritis.

Category	Cat. No.	Product Name	Description	Unit Size
DGK (Diacylglycerol Kinase)				
Inhibitors	2194	R 59-022	DGK inhibitor; induces neutrophil chemotaxis	10 mg 50 mg
Formyl Peptide Receptors (FPRs)				
Agonists	3537	MMK 1	Potent and selective FPR2 agonist	1 mg
	4624	TC-FPR 43	Potent FPR2 agonist; orally bioavailable	10 mg 50 mg
	1800	WKYMVm	FPR1, FPR2 and FPR3 receptor agonist	1 mg
Antagonists	3730	Boc-MLF	FPR1 antagonist	1 mg
	2262	WRW4	Selective FPR2 antagonist	1 mg
Other	5213	LL 37	Induces FPRL-1-mediated chemotaxis of human neutrophils	1 mg
G Proteins (Heterotrimeric)				
Inhibitors	3090	Gallein	Inhibitor of βγ signaling; blocks chemotaxis	50 mg
Matrix Metalloproteases				
Inhibitors	3995	GI 254023X	Selective ADAM10 inhibitor; blocks IL-6R, CX3CL1 and CXCL16 release	1 mg
Phospholipases				
Inhibitors	3600	FIPI	Phospholipase D inhibitor; suppresses membrane ruffling	10 mg 50 mg

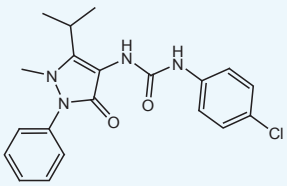
Featured Chemotaxis Products



GI 254023X (3995)
Selective ADAM10 inhibitor; blocks IL-6R, CX3CL1 and CXCL16 release

Leu-Leu-Gly-Asp-Phe-Phe-Arg-Lys-Ser-Lys-Glu-Lys-Ile-Gly-Lys-Glu-Phe-Lys-Arg-Ile-Val-Gln-Arg-Ile-Lys-Asp-Phe-Leu-Arg-Asn-Leu-Val-Pro-Arg-Thr-Glu-Ser

LL 37 (5213)
Induces FPRL-1-mediated chemotaxis of human neutrophils



TC-FPR 43 (4624)
Potent FPR2 agonist; orally bioavailable

Trp-Arg-Trp-Trp-Trp-Trp-NH_2

WRW4 (2262)
Selective FPR2 antagonist

Complement System

The complement system is a biochemical pathway involved in both innate and adaptive immune responses. There are four main functions of the complement system; lysis of microorganisms, promotion of phagocytosis, triggering inflammation and immune clearance.

Category	Cat. No.	Product Name	Description	Unit Size
Complement				
Antagonists	3333	NDT 9513727	Potent, selective human C5a receptor antagonist	10 mg 50 mg
	5196	PMX 205	Potent C5a receptor peptide antagonist	1 mg
Other	2585	Compstatin	C3-binding protein; inhibits complement activation	1 mg
	3796	Compstatin control peptide	Control peptide for Compstatin (Cat. No. 2585)	1 mg

Potent C5a Receptor Peptide Antagonist

PMX 205 *Cat. No. 5196*

Cyclo[N^2-(1-Oxo-3-phenylpropyl)-Orn-Pro-D-Cha-Trp-Arg]

PMX 205 is a potent C5a receptor peptide antagonist (IC_{50} = 31 nM) that ameliorates experimentally-induced colon inflammation in mice. The peptide is orally active and brain penetrant.

Licensing at Tocris

Tocris Bioscience has a long tradition of working with scientists to bring new discoveries out of the laboratory and into the commercial arena. We work in partnership with many scientists within both Universities and pharmaceutical companies to bring life science tools to the global research community.

Tocris policy has always been to never knowingly infringe third party intellectual property. It is our intention to remain a responsible and ethical supplier, and work with the scientific community.

Our Expertise

Our licensing department has significant experience of drafting contracts and has successfully executed licenses with a multitude of licensors, including:

- Large pharmaceutical companies
- Start-up/Spin-out companies
- University Technology Transfer offices
- Individual researchers

Contact Us

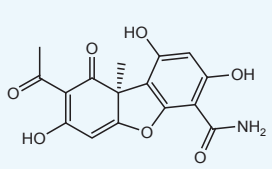
To discuss licensing opportunities, please contact our licensing team at licensing@bio-techne.com

Immune Cell Signaling

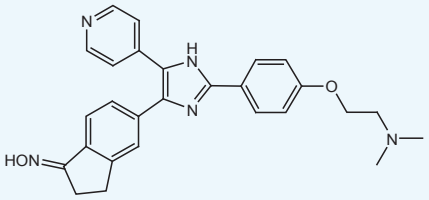
Immune cells are functionally regulated by key signaling pathways, which mediate immune function by enabling a response to various stimuli. This response must be carefully moderated in order to avoid hyperresponsiveness or inadequacy in the presence of foreign antigens.

Category	Cat. No.	Product Name	Description	Unit Size
AP-1 (Activator Protein-1)				
Inhibitors	1989	c-JUN peptide	Peptide inhibitor of JNK/c-Jun interaction	1 mg
	2476	SR 11302	AP-1 inhibitor	10 mg
BTK (Bruton's Tyrosine Kinase)				
Inhibitors	1300	LFM-A13	Potent, selective BTK inhibitor	10 mg 50 mg
	5012	PCI 29732	Potent BTK inhibitor	10 mg 50 mg
ERK (Extracellular Signal-regulated Kinase)				
Inhibitors	4842	BIX 02189	Selective MEK5 and ERK5 inhibitor	10 mg 50 mg
	3706	FR 180204	Selective ERK inhibitor	10 mg 50 mg
	4465	TCS ERK 11e	Potent and selective ERK2 inhibitor	10 mg
	4132	XMD 8-92	Selective ERK5/BMK1 inhibitor	10 mg 50 mg
IKK (IκB Kinase)				
Inhibitors	4547	ACHP	Selective IKKα and IKKβ inhibitor	10 mg
	4857	Amlexanox	Inhibitor of IKKε and TBK1; antiallergic agent	10 mg 50 mg
	4806	BMS 345541	Selective allosteric inhibitor of IKK; anti-inflammatory	10 mg 50 mg
	2539	IKK 16	Selective inhibitor of IKK	10 mg 50 mg
	2611	IMD 0354	Inhibitor of IKKβ	10 mg 50 mg
	4899	ML 120B dihydrochloride	Novel IKK2-selective inhibitor	10 mg 50 mg
	4238	PF 184	Potent and selective IKKβ inhibitor	10 mg
	2559	TPCA-1	Potent, selective inhibitor of IKKβ	10 mg
iNOS (Inducible Nitric Oxide Synthase)				
Inhibitors	1415	1400W dihydrochloride	Potent, highly selective iNOS inhibitor	10 mg 50 mg
	0871	AMT hydrochloride	Potent, selective iNOS inhibitor	10 mg 50 mg
	2866	BYK 191023 dihydrochloride	Potent and selective inhibitor of iNOS	10 mg 50 mg

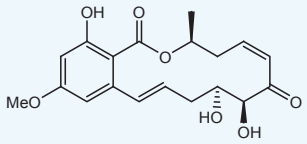
Featured Immune Cell Signaling Products



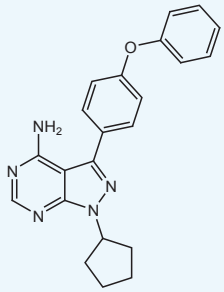
Cercosporamide (4500)
Potent JAK3 inhibitor; also Mnk2 inhibitor



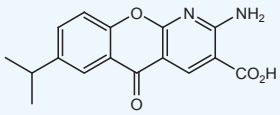
SB 590885 (2650)
Potent B-Raf inhibitor



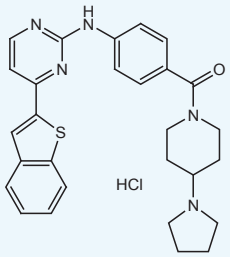
(5Z)-7-Oxozeaenol (3604)
Potent and selective TAK1 MAPKKK inhibitor



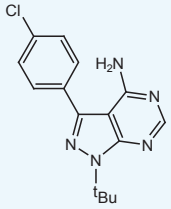
PCI 29732 (5012)
Potent BTK inhibitor



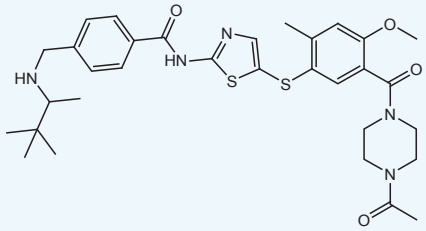
Amlexanox (4857)
Inhibitor of IKKε and TBK1; antiallergic agent



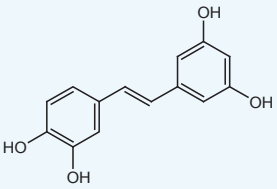
IKK 16 (2539)
Selective inhibitor of IKK



PP 2 (1407)
Potent, selective Src family kinase inhibitor



BMS 509744 (5009)
Potent and selective ITK inhibitor

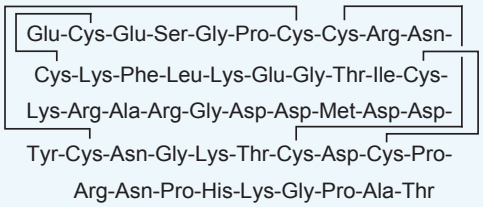


Piceatannol (1554)
Syk and p56^{lck} inhibitor; inhibits TNF-induced NF-κB activation

Category	Cat. No.	Product Name	Description	Unit Size
Integrin Receptors				
Activators	4921	Leukadherin 1	Allosteric activator of CD11b/CD18	10 mg 50 mg
Inhibitors	4228	A 286982	Potent inhibitor of the LFA-1/ICAM-1 interaction	10 mg 50 mg
	5051	BIO 5192	Highly potent and selective inhibitor of $\alpha_4\beta_1$	10 mg 50 mg
	3202	Echistatin, α1 isoform	$\alpha_V\beta_3$ and glycoprotein IIb/IIIa ($\alpha_{IIb}\beta_3$) inhibitor	100 µg
	4664	Obtustatin	Potent and selective $\alpha_1\beta_1$ inhibitor	100 µg
	4744	P11	Potent antagonist of $\alpha_V\beta_3$-vitronectin interaction; antiangiogenic	1 mg
	3498	RGDS peptide	Integrin binding sequence; inhibits integrin receptor function	10 mg
	4227	RWJ 50271	Inhibitor of LFA-1/ICAM mediated cell adhesion	10 mg 50 mg
	4527	TC-I 15	Potent $\alpha_2\beta_1$ inhibitor; displays antithrombotic activity *in vivo*	10 mg 50 mg
ITK (IL-2-inducible Kinase)				
Inhibitors	5009	BMS 509744	Potent and selective ITK inhibitor	10 mg
	4710	PF 06465469	Potent inhibitor of ITK; also inhibits BTK	10 mg 50 mg
JAK (Janus Kinase)				
Inhibitors	4580	Atiprimod dihydrochloride	JAK2 inhibitor	10 mg
	4500	Cercosporamide	Potent JAK3 inhibitor; also Mnk2 inhibitor	500 µg
	4556	CP 690550 citrate	Potent JAK inhibitor	10 mg 50 mg
	3395	Lestaurtinib	JAK2, FLT3 and TrkA inhibitor	1 mg

$\alpha_V\beta_3$ and Glycoprotein IIb/IIIa ($\alpha_{IIb}\beta_3$) Inhibitor

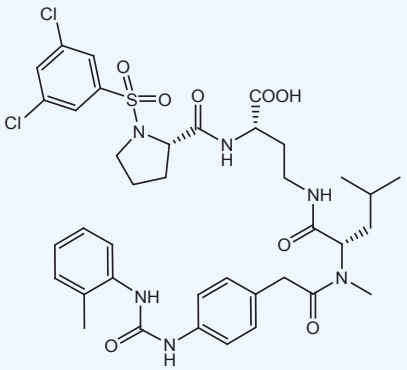
Echistatin, α1 isoform *Cat. No. 3202*



Echistatin, α1 isoform is a potent and irreversible $\alpha_V\beta_3$ integrin antagonist (K_i = 0.27 nM). The compound also prevents platelet aggregation through inhibition of glycoprotein IIb/IIIa ($\alpha_{IIb}\beta_3$) receptors (IC_{50} = 30 nM) *in vitro*.

Highly Potent and Selective Inhibitor of $\alpha_4\beta_1$

BIO 5192 *Cat. No. 5051*

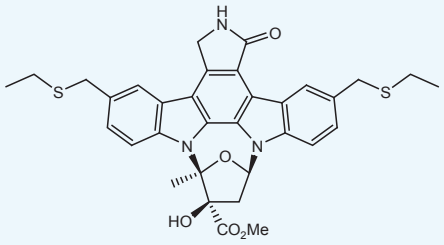


BIO 5192 is a highly potent inhibitor of $\alpha_4\beta_1$ (VLA-4) that is selective over a range of other integrins (IC_{50} values are 1.8, 138, 1053, > 500 and >10,000 nM for $\alpha_4\beta_1$, $\alpha_9\beta_1$, $\alpha_2\beta_1$, $\alpha_4\beta_7$ and $\alpha_{IIb}\beta_3$, respectively). The compound delays paralysis in an experimentally-induced model of autoimmune encephalomyelitis.

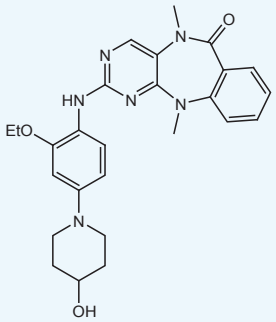
Immune Cell Signaling – continued

Category	Cat. No.	Product Name	Description	Unit Size
JNK (c-Jun N-terminal Kinase)				
Activators	1290	Anisomycin	JNK, SAPK and p38 activator	10 mg 50 mg
Inhibitors	4924	CEP 1347	Inhibitor of JNK signaling	1 mg
	1496	SP 600125	Selective JNK inhibitor	10 mg 50 mg
	2827	TCS JNK 5a	Selective inhibitor of JNK2 and JNK3	10 mg 50 mg
	3222	TCS JNK 6o	Selective JNK inhibitor	10 mg 50 mg
p38 MAPK				
Inhibitors	3920	AMG 548	Potent and selective p38α inhibitor	10 mg
	2999	RWJ 67657	Potent, selective p38α and p38β inhibitor	10 mg
	1264	SB 202190	Potent, selective inhibitor of p38 MAPK	10 mg 50 mg
	1202	SB 203580	Selective inhibitor of p38 MAPK	1 mg 10 mg 50 mg
	1402	SB 203580 hydrochloride	Selective inhibitor of p38 MAPK; water-soluble	10 mg
	1962	SB 239063	Potent, selective p38 MAPK inhibitor; orally active	10 mg
	3528	SCIO 469 hydrochloride	Selective p38 MAPK inhibitor	10 mg 50 mg
Raf Kinase				
Inhibitors	1381	GW 5074	Potent, selective c-Raf1 kinase inhibitor	10 mg 50 mg
	5036	ML 786 dihydrochloride	Potent Raf kinase inhibitor; orally bioavailable	10 mg
	2650	SB 590885	Potent B-Raf inhibitor	10 mg 50 mg

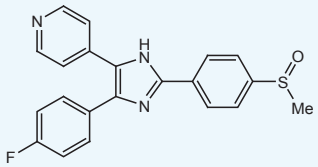
Featured MAPK Inhibitor Products



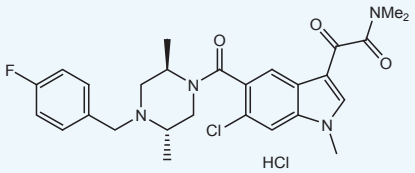
CEP 1347 (4924)
Inhibitor of JNK signaling



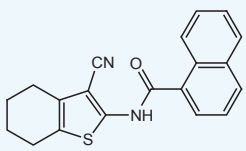
XMD 8-92 (4132)
Selective ERK5/BMK1 inhibitor



SB 203580 (1202)
Selective inhibitor of p38 MAPK



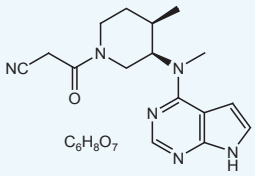
SCIO 469 hydrochloride (3528)
Selective p38 MAPK inhibitor



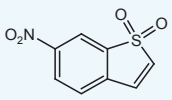
TCS JNK 5a (2827)
Selective inhibitor of JNK2 and JNK3

Category	Cat. No.	Product Name	Description	Unit Size
Src				
Inhibitors	3963	AZM 475271	Src tyrosine kinase inhibitor	10 mg 50 mg
	4660	KB SRC 4	Potent and selective c-Src inhibitor	10 mg 50 mg
	3063	1-Naphthyl PP1	Src family kinase inhibitor; also inhibits c-Abl	10 mg 50 mg
	1407	PP 2	Potent, selective Src family kinase inhibitor	10 mg
	3642	Src I1	Dual site Src kinase inhibitor	10 mg 50 mg
	5413	WH-4-023	Potent and selective Src and Lck inhibitor; also inhibits SIK	10 mg 50 mg
STAT				
Inhibitors	3713	Cryptotanshinone	STAT3 inhibitor; also displays multiple other activities	10 mg 50 mg
	4079	Niclosamide	STAT3 inhibitor; also inhibits mTORC1 signaling	50 mg
	4655	NSC 74859	Selective STAT3 inhibitor	10 mg 50 mg
	2798	Stattic	Selective STAT3 inhibitor	10 mg 50 mg
Syk (Spleen Tyrosine Kinase)				
Inhibitors	2471	ER 27319 maleate	Selective Syk kinase inhibitor	10 mg 50 mg
	2877	MNS	Selective inhibitor of Syk and Src	50 mg
	1554	Piceatannol	Syk and $p56^{lck}$ inhibitor; inhibits TNF-induced NF-κB activation	10 mg
TAK1 (TGF-β-activated Kinase 1)				
Inhibitors	3604	(5*Z*)-7-Oxozeaenol	Potent and selective TAK1 MAPKKK inhibitor	1 mg

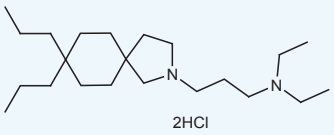
Featured JAK/STAT Signaling Inhibitor Products



CP 690550 citrate (4556)
Potent JAK inhibitor



Stattic (2798)
Selective STAT3 inhibitor



Atiprimod dihydrochloride (4580)
JAK2 inhibitor

Immune Cell Signaling – continued

Category	Cat. No.	Product Name	Description	Unit Size
Toll-like Receptors				
Agonists	3700	Imiquimod	TLR7 agonist	50 mg
	4637	Pam2CSK4	TLR2/6 agonist; induces TNF-α production	1 mg
	4633	Pam3CSK4	TLR1/2 agonist; induces cytokine production	1 mg
	4287	Poly(I:C)	TLR3 agonist	10 mg 50 mg
	4536	Resiquimod	TLR7 agonist	10 mg 50 mg
Inhibitors	5373	C34	TLR4 inhibitor	10 mg 50 mg
	4884	CU CPT 22	Selective TLR1/2 inhibitor	10 mg 50 mg
	4883	CU CPT 4a	Selective TLR3 inhibitor	10 mg 50 mg

TLR1/2 Agonist

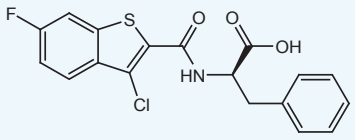
Pam3CSK4 *Cat. No. 4633*

Pam_3-Cys-Ser-Lys-Lys-Lys-Lys

Pam3CSK4 is a TLR1/2 agonist that induces macrophage production of TNF-α and IL-6. The compound also promotes differentiation of naive $CD4^+$ T cells into T_h17 cells.

Selective TLR3 Inhibitor

CU CPT 4a *Cat. No. 4883*



CU CPT 4a is a selective TLR3 inhibitor (IC_{50} = 3.44 μM) that exhibits selectivity for TLR3 over TLR1/2, TLR2/6, TLR4 and TLR7. The compound inhibits TNF-α and IL-1β production from RAW264.7 macrophage cells.

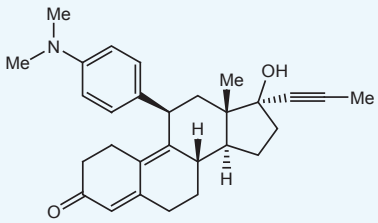
Inflammation

Inflammation is the tissue's immunological response to injury, characterized by mobilization of white blood cells and antibodies, swelling, and fluid accumulation. Inflammatory diseases are often associated with perturbations to the immune system and an automatic immune response.

Category	Cat. No.	Product Name	Description	Unit Size
COX (Cyclooxygenase)				
Inhibitors	4522	Flufenamic acid	Cyclooxygenase inhibitor; NSAID	50 mg
	0942	NS 398	Selective COX-2 inhibitor	10 mg 50 mg
	1418	Resveratrol	Cyclooxygenase inhibitor	100 mg
	1550	SC 560	COX-1 inhibitor	10 mg
	4206	Valdecoxib	Potent and selective COX-2 inhibitor	10 mg 50 mg
Other	4531	NCX 4040	NO-donating aspirin; decreases COX-2 expression	10 mg 50 mg
Glucocorticoid Receptors				
Agonists	3685	Corticosterone	Endogenous glucocorticoid	50 mg
	2007	Fluticasone propionate	High affinity and selective glucocorticoid agonist	10 mg 50 mg
Antagonists	1479	Mifepristone	Glucocorticoid receptor and progesterone receptor antagonist	100 mg
Other	1126	Dexamethasone	Anti-inflammatory glucocorticoid	100 mg
	4093	Hydrocortisone	Adrenal glucocorticoid; immunosuppressant	50 mg

Glucocorticoid Receptor Antagonist

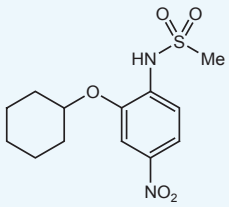
Mifepristone *Cat. No. 1479*



Mifepristone is a selective antagonist at glucocorticoid (GR) and progesterone (PR) receptors. The compound has a higher affinity for GR than dexamethasone (Cat. No. 1126). Mifepristone blocks the anti-inflammatory effect of photoradiation in mice.

Selective COX-2 Inhibitor

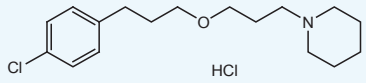
NS 398 *Cat. No. 0942*



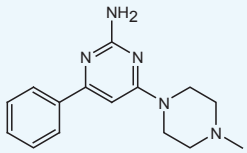
NS 398 is a selective COX-2 inhibitor (IC_{50} values are 3.8 and >100 µM for COX-2 and COX-1 respectively). The compound is a non-ulcerogenic analgesic and anti-inflammatory *in vivo*. NS 398 is orally active.

Category	Cat. No.	Product Name	Description	Unit Size
H_1 and H_2 Histamine Receptors				
Agonists	0646	HTMT dimaleate	H_1 and H_2 agonist	10 mg 50 mg
	2478	2-Pyridylethylamine dihydrochloride	H_1 agonist	50 mg
Antagonists	2429	Fexofenadine hydrochloride	H_1 antagonist; non-sedating antiallergic agent	10 mg 50 mg
	1944	Loratidine	Peripheral H_1 antagonist; antiallergic agent	10 mg 50 mg
H_3 and H_4 Histamine Receptors				
Agonists	0729	Imetit dihydrobromide	Standard H_3 and H_4 agonist ($H_3 > H_4$)	10 mg 50 mg
	0932	Immepip dihydrobromide	Standard H_3 agonist; also H_4 agonist	10 mg 50 mg
	0569	(*R*)-(-)-α-Methylhistamine dihydrobromide	Potent H_3 agonist	10 mg 50 mg
	4769	VUF 10460	Selective H_4 agonist	10 mg 50 mg
Antagonists	3753	A 943931 dihydrochloride	Potent and selective H_4 antagonist	10 mg 50 mg
	3743	BF 2649 hydrochloride	H_3 inverse agonist/antagonist	10 mg 50 mg
	0752	Clobenpropit dihydrobromide	Highly potent H_3 antagonist and H_4 partial agonist	10 mg 50 mg
	4019	JNJ 10181457 dihydrochloride	H_3 antagonist	10 mg 50 mg
	2441	JNJ 10191584 maleate	Selective H_4 antagonist; orally active	10 mg 50 mg

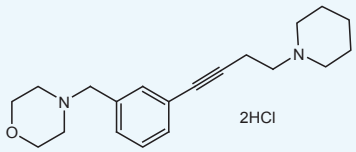
Featured Histamine Receptor Products



BF 2649 hydrochloride (3743)
H_3 inverse agonist/antagonist

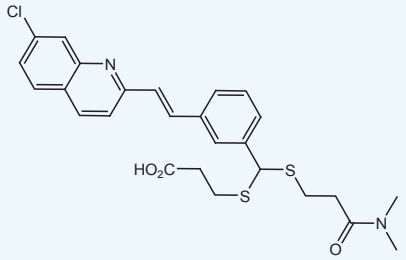


VUF 10460 (4769)
Selective H_4 agonist

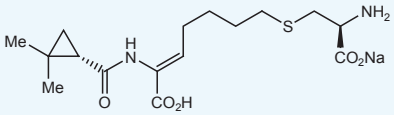


JNJ 10181457 dihydrochloride (4019)
H_3 antagonist

Featured Leukotriene and Related Receptor Products



MK 571 (2338)
Potent $CysLT_1$ (LTD_4) inverse agonist; also MRP1 inhibitor

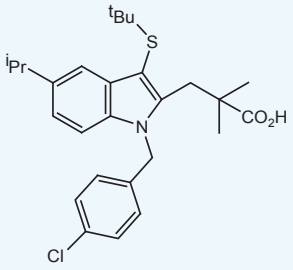


Cilastatin sodium (2709)
Dipeptidase inhibitor

Category	Cat. No.	Product Name	Description	Unit Size
Leukotriene and Related Receptors				
Agonists	2307	Leukotriene B4	BLT_1/BLT_2 receptor agonist; potent chemotactic factor	50 µg
Antagonists	3138	BAY-u 9773	Dual $CysLT_1$ and $CysLT_2$ antagonist	50 µg
	2208	LY 255283	Selective, competitive BLT_2 receptor antagonist	10 mg 50 mg
	2338	MK 571	Potent $CysLT_1$ (LTD_4) inverse agonist; also MRP1 inhibitor	10 mg
	1804	SR 2640 hydrochloride	Potent, selective LTD_4/LTE_4 receptor antagonist	10 mg 50 mg
Other	2709	Cilastatin sodium	Dipeptidase inhibitor	10 mg 50 mg
	0607	17-ODYA	LTB_4 ω-hydroxylase inhibitor	10 mg
LOX (Lipoxygenase)				
Inhibitors	1761	Baicalein	5/12-LOX inhibitor	50 mg
	2850	PD 146176	Selective 15-LOX inhibitor	10 mg 50 mg
	3308	Zileuton	Orally active 5-LOX inhibitor	10 mg 50 mg
Other	1311	MK 886	Inhibitor of 5-lipoxygenase-activating protein (FLAP)	10 mg 50 mg

FLAP Inhibitor

MK 886 *Cat. No. 1311*



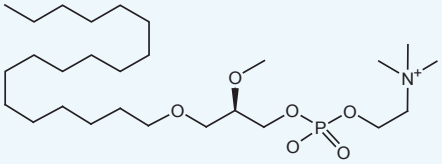
MK 886 is a 5-lipoxygenase-activating protein (FLAP) inhibitor (IC_{50} = 30 nM) that inhibits leukotriene biosynthesis. The compound is also a PPARα antagonist (IC_{50} = 0.5-1 µM) and is orally active.

Inflammation – continued

Category	Cat. No.	Product Name	Description	Unit Size
Platelet-activating Factor (PAF) Receptors				
Agonists	3022	Edelfosine	PAF receptor agonist; also inhibits PI-PLC	10 mg
	2940	PAF (C16)	Endogenous PAF	1 mg
Antagonists	0571	PCA 4248	PAF receptor antagonist	10 mg 50 mg
	2339	WEB 2086	Potent PAF receptor antagonist	1 mg 10 mg

PAF Receptor Agonist

Edelfosine *Cat. No. 3022*



Edelfosine is a PAF receptor agonist that selectively induces apoptosis of tumor cells. The compound also inhibits phosphatidylinositol phospholipase C.

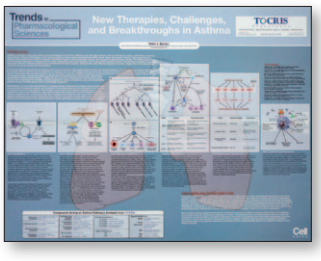
Other Literature from Tocris

Tocris also provides a wide range of scientific literature free of charge, including the following titles:

Pain Research Product Guide
Over 280 Products for Pain Research

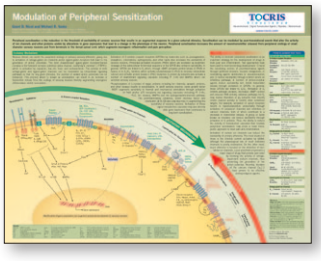
Our pain guide contains a collection of products, alongside supporting information and schematics to illustrate the relevance of each target within the areas of:

- Nociception
- Ion Channels
- G Protein-Coupled Receptors
- Intracellular Signaling



New Therapeutics, Challenges, and Breakthroughs in Asthma
Peter J. Barnes, Imperial College London

Asthma is one of the most common chronic diseases in the world, affecting over 300 million people. This poster highlights key pathways and new therapies used to treat the condition, including those currently in clinical development.

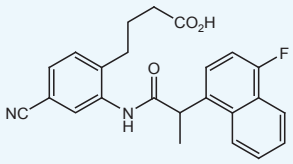


Modulation of Peripheral Sensitization
Grant D. Nicol and Michael R. Vasko, Indiana University

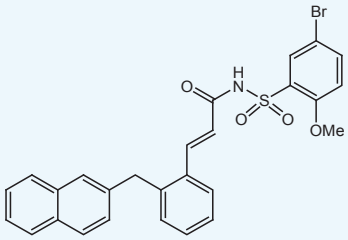
Peripheral sensitization is the reduction in the threshold of excitability of sensory neurons that results in an augmented response to a given external stimulus. This poster outlines the excitatory and inhibitory signaling pathways involved in modulation of peripheral sensitization. The role of ion channels, GPCRs, neurotrophins, and cytokines in sensory neurons are also described.

Category	Cat. No.	Product Name	Description	Unit Size
Prostanoid Receptors				
Agonists	2038	Iloprost	Prostacyclin (PGI_2) analog	1 mg
	3351	NS 304	Selective prostacyclin IP_1 receptor agonist	10 mg 50 mg
	2296	Prostaglandin E2	Major endogenous prostanoid	10 mg
	4214	Prostaglandin F2α	Naturally-occurring prostanoid; potent vasoconstrictor	10 mg
	1932	U 46619	Potent, stable thromboxane A_2 (TP) receptor agonist	1 mg
Antagonists	0671	AH 6809	EP_1 and EP_2 antagonist	10 mg 50 mg
	4668	GW 627368	Selective EP_4 competitive antagonist	10 mg 50 mg
	2514	L-161,982	Selective EP_4 antagonist	10 mg
	3342	L-798,106	Potent and highly selective EP_3 antagonist	10 mg 50 mg
	3565	ONO AE3 208	High affinity and selective EP_4 antagonist	10 mg 50 mg
	4818	PF 04418948	Potent and selective EP_2 antagonist	10 mg 50 mg
	3758	SC 51089	Selective EP_1 antagonist	10 mg 50 mg

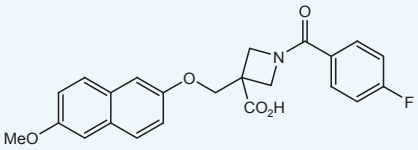
Featured Prostanoid Receptor Products



ONO AE3 208 (3565)
High affinity and selective EP_4 antagonist



L-798,106 (3342)
Potent and highly selective EP_3 antagonist



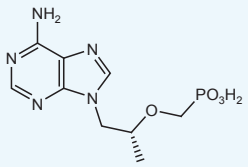
PF 04418948 (4818)
Potent and selective EP_2 antagonist

Other Immunology

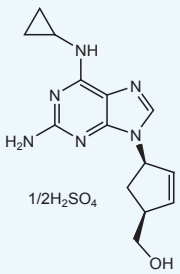
Antivirals are drugs that inhibit viral infection by targeting virus entry, assembly or replication. Viral infections such as HIV and CMV are treated using antivirals. Immunosuppressants are drugs that weaken or suppress the immune system, and can be used in the treatment of autoimmune diseases such as rheumatoid arthritis and lupus.

Category	Cat. No.	Product Name	Description	Unit Size
Antivirals				
Other	4148	Abacavir hemisulfate	Reverse transcriptase inhibitor; antiretroviral	10 mg 50 mg
	1777	Arctigenin	Potent MEK1 inhibitor; antiviral agent	10 mg 50 mg
	2457	Arcyriaflavin A	Antiviral agent; inhibits HCMV replication	10 mg
	4150	Azidothymidine	Selective reverse transcriptase inhibitor; antiretroviral	50 mg
	4536	Resiquimod	Toll-like receptor 7 (TLR7) agonist; antiviral	10 mg 50 mg
	4501	Ribavirin	Antiviral guanosine analog; blocks eIF4E activity	50 mg
	4418	Saquinavir mesylate	HIV protease inhibitor	10 mg 50 mg
	3666	Tenofovir	Selective inhibitor of HIV reverse transcriptase	10 mg 50 mg
Immunosuppressants				
Other	1101	Cyclosporin A	Calcineurin inhibitor	100 mg
	3631	FK 506	Potent calcineurin inhibitor; immunosuppressant	10 mg
	1292	Rapamycin	mTOR inhibitor; immunosuppressant	1 mg
	2305	Tautomycetin	Selective PP1 inhibitor	50 µg
	5069	Teriflunomide	Dihydroorotate dehydrogenase inhibitor	50 mg
	3253	Triptolide	Inhibits RNAPII-mediated transcription; antitumor, anti-inflammatory and immunosuppressive	1 mg 10 mg

Featured Antiviral Products



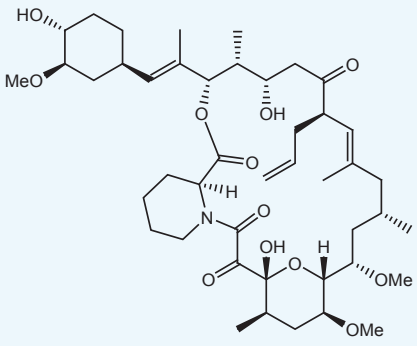
Tenofovir (3666)
Selective inhibitor of HIV reverse transcriptase



Abacavir hemisulfate (4148)
Reverse transcriptase inhibitor; antiretroviral

Potent Calcineurin Inhibitor

FK 506 *Cat. No. 3631*



FK 506 is a potent calcineurin (protein phosphatase 2B) inhibitor that requires FK 506-binding protein 12 (FKBP12) for activity (IC_{50} = 3 nM). The compound inhibits secretion of IL-1, IL-2 (IC_{50} = 1 nM), IL-3, IL-4, IL-6 (IC_{50} = 35 nM), GM-CSF, TNFα (IC_{50} = 10 nM), IFNγ and Myc from activated T cells *in vitro*. FK 506 exhibits immunosuppressive activity *in vivo*.

R&D SYSTEMS

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