

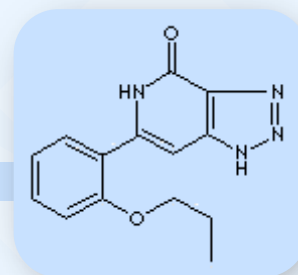
ZAPRINAST

SYNONYMS

1,4-Dihydro-5-(2-propoxyphenyl)-1,2,3-triazolo(4,5-d)pyrimidin-7-one; 2-(2-Propoxyphenyl)-8-aza-6-purinone; 2-(o-Propoxyphenyl)-8-azapurin-6-one; 2-o-Propoxyphenyl-8-azapurin-6-on; 3,6-Dihydro-5-(2-propoxyphenyl)-7H-(1,2,3)triazolo(4,5-d)pyrimidin-7-on; 3,6-Dihydro-5-(o-propoxyphenyl)-7H-v-triazolo(4,5-d)pyrimidin-7-one; 6,7-Dihydro-5-(2-propoxyphenyl)-1H-triazolo(4,5-d)pyrimidin-7-on; 8-Aza-2-(2-propoxyphenyl)-6-purinone; Zaprinastum;

PRODUCT IDENTIFICATION

CAS RN	37762-06-4
EINECS RN	253-655-1
FORMULA	C ₁₃ H ₁₃ N ₅ O ₂
MOL WEIGHT	271.27



PHYSICAL AND CHEMICAL PROPERTIES

PHYSICAL STATE	white to off-white powder
MELTING POINT	
BOILING POINT	
DENSITY	
SOLUBILITY IN WATER	Insoluble
pH	
VAPOR DENSITY	
REFRACTIVE INDEX	
FLASH POINT	

GENERAL DESCRIPTION

Cyclic nucleotide phosphodiesterases (PDEs) catalyze the hydrolysis of cAMP and/or cGMP. They function in conjunction with adenylyl and guanylyl cyclases to regulate the amplitude and duration of cell signaling mechanisms mediated via cAMP and cGMP. They therefore serve to regulate a range of biological responses to first messengers such as light, hormones, neurotransmitters and odorants. Two classes of functional PDEs (which do not share any sequence homology) are recognized: Class II PDEs have to date only been found in lower eukaryotes and are not as well characterized as Class I PDEs. Class I PDEs are found in all eukaryotic cells, either in the cytoplasm or bound to intracellular organelles or membranes. They all contain an approximately 250 amino acid catalytic domain near the C-terminus that is conserved across families within this class. This overview focuses on the Class I PDEs identified in mammalian cells. Sequence analyses suggest that there are at least 11 different families of mammalian PDEs, most of which contain more than one gene product. Furthermore, many of these genes can be alternately spliced in a tissue specific manner to give several different mRNAs/proteins with altered regulatory properties or subcellular localization. PDEs are named to precisely identify the isozyme being referenced. For example, MMPDE4A1 refers to the musculus PDE4 family, gene A, splice variant 1. (source: <http://www.sigmaaldrich.com/>)

Guanosine 3', 5'-cyclic monophosphate (cGMP) acts as a relaxant second messenger in the cerebral vessels. cGMP-specific phosphodiesterase type 5 (PDE5) inhibitor increases intracellular cGMP levels. This study investigated the effect of the PDE5 inhibitor on the ischemic brain. METHODS: Regional cerebral blood flow (rCBF), cGMP concentration, and infarction volume were measured in the rat middle cerebral artery occlusion model. Ten minutes after ischemia, the animals received an intravenous (i.v.) infusion of vehicle (phosphate-buffered saline), PDE5 inhibitor, zaprinast (10 mg/kg), or nitric oxide donor, S-nitroso-N-acetyl-penicillamine (SNAP, 100 microg/kg). rCBF was measured continuously by laser-Doppler flowmetry in the ischemic



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penumbra of the ischemic and contralateral sides under continuous blood pressure monitoring. cGMP concentrations were determined using the enzyme immunoassay and infarct volumes were estimated by 2,3,5-triphenyltetrazolium chloride staining. RESULTS: The administration of zaprinast significantly increased rCBF in the ischemic brain compared with the pre-drug control value despite the decreased mean blood pressure, whereas it did not affect rCBF in the contralateral side. The cGMP concentration was significantly higher in the ischemic cortex compared with the contralateral side. SNAP infusion increased the cGMP concentration in the bilateral cortices to a similar extent. The volume of cerebral infarction was significantly decreased by zaprinast administration. CONCLUSIONS: The PDE5 inhibitor zaprinast may selectively increase CBF in the ischemic brain via increased cGMP levels, thus providing a new strategy against acute cerebral infarction. (source: <http://www.ncbi.nlm.nih.gov/>)

Phosphodiesterase Inhibitors

Product	CAS RN.
Caffeine	58-08-2
Dipyridamole	58-32-2
Theophylline	58-55-9
Papaverine	58-74-2
Papaverine hydrochloride	61-25-6
Chlorpromazine hydrochloride	69-09-0
Theobromine	83-67-0
Aminophylline	317-34-0
Bucladesine	362-74-3
Mesembrine	468-53-1
D-Glaucine	475-81-0
Dyphylline	479-18-5
Butein	487-52-5
Icariin	489-32-7
7-(beta-Hydroxyethyl)theophylline	519-37-9
1,7-Dimethylxanthine	611-59-6
Ophiobolin A	4611-05-6
DL-Glaucine	5630-11-5
Pentoxifylline	6493-05-6
2-Nitro-4-carboxyphenyl-N,N-diphenylcarbamate	10556-88-4
Drotaverine	14009-24-6
Bucladesine sodium	16980-89-5
Wortmannin	19545-26-7
Tofisopam	22345-47-7
Reticulol	26246-41-3
1-Methyl-3-isobutylxanthine	28822-58-4
4-(3-Butoxy-4-methoxybenzyl)-2-imidazolidinone	29925-17-5
1,3-Dipropyl-7-methylxanthine	31542-63-9
Etazolate hydrochloride	35838-58-5
Zaprinast	37762-06-4
Prostaglandin Bx	39306-29-1
Vinpocetine	42971-09-5
(-)-Ethyl apovincamate	42971-12-0
Ibutilast	50847-11-5



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Etazolate	51022-77-6
Doxantrazole	51762-95-9
Dodecylphosphocholine	53949-18-1
Propentofylline	55242-55-2
Oxagrelate	56611-65-5
Denbutylline	57076-71-8
Calmidazolium chloride	57265-65-3
Irsogladine	57381-26-7
(2S,3R)-3-(6-Aminopurin-9-yl)nonan-2-ol hydrochloride	58337-38-5
6-Amino-beta-hexyl-alpha-methyl-9H-purine-9-ethanol	59262-86-1
Inamrinone	60719-84-8
Rolipram	61413-54-5
N-(6-Aminohexyl)-1-naphthalenesulfonamide hydrochloride	61714-25-8
N-(6-Aminohexyl)-5-chloro-1-naphthalenesulfonamide hydrochloride	61714-27-0
Edelfosine	65492-82-2
N-(6-Aminohexyl)-5-chloro-1-naphthalenesulfonamide	65595-90-6
Anagrelide	68475-42-3
Cilostamide	68550-75-4
Doxofylline	69975-86-6
Quazinone	70018-51-8
Sulmazole	73384-60-8
Cilostazol	73963-72-1
Pimobendan	74150-27-9
Manoalide	75088-80-1
Inamrinone lactate	75898-90-7
Enoximone	77671-31-9
8-Methoxymethyl-3-isobutyl-1-methylxanthine	78033-08-6
1-(3-Chlorophenylamino)-4-phenylphthalazine	78351-75-4
Milrinone	78415-72-2
Trequinsin hydrochloride	78416-81-6
N-(6-Aminohexyl)-1-naphthalenesulfonamide	79458-81-4
Trequinsin	79855-88-2
Furafylline	80288-49-9
N-(4-Aminobutyl)-5-chloro-2-naphthalenesulfonamide	81705-04-6
Vesnarinone	81840-15-5
Tricyclodecane-9-yl-xanthogenate	83373-60-8
Imazodan	84243-58-3
Piroximone	84490-12-0
4,5-Dihydro-6-(4-(imidazol-1-yl)phenyl)-5-methyl-3(2H)-pyridazinone	86798-59-6
6-(Bromomethylene)tetrahydro-3-(1-naphthalenyl)-2H-pyran-2-one	88070-98-8
N-(4-Aminobutyl)-5-chloro-2-naphthalenesulfonamide hydrochloride	88519-57-7
N-(4-Aminobutyl)-2-naphthalenesulfonamide hydrochloride	89108-46-3
1,5-Dihydro-7-(1-piperidiny)-imidazo(2,1-b)quinazolin-2(3H)-one	96086-67-8
2-(4-Amylcinnamoyl)amino-4-chlorobenzoic acid	99754-06-0
Lixazinone sulfate	101626-67-9
Zardaverine	101975-10-4



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Olprinone	106730-54-5
Siguazodan	115344-47-3
Torborinone	128667-95-8
Simendan	131741-08-7
Arofyllin	136145-07-8
Sildenafil	139755-83-2
Piclamilast	144035-83-6
Obscurolide A ₁	144397-99-9
Cilomilast	153259-65-5
Roflumilast	162401-32-3
3-(5'-Hydroxymethyl-2'-furyl)-1-benzylindazole	170632-47-0
Tadalafil	171596-29-5
Vardenafil	224785-90-4
Udenafil	268203-93-6
Avanafil	330784-47-9
N,N,2-Trimethyl-5-nitro-benzenesulfonamide	433695-36-4
HT-0712	617720-02-2
Acetildenafil	831217-01-7

STABILITY AND REACTIVITY

STABILITY	Stable under normal conditions.
CONDITIONS OF INSTABILITY	
INCOMPATIBLE MATERIALS	Strong oxidizing agents.
DECOMPOSITION PRODUCTS	Carbon monoxide, Carbon dioxide, Nitrogen oxides.
POLYMERIZATION	Will not occur

SAFETY

HAZARD NOTES	Irritant. Irritating to eyes, respiratory system and skin. Target organ(s): Vascular system. Nerves. In case of contact with eyes, rinse immediately with plenty of water and seek medical advice. Wear suitable protective clothing.
EYE	Cause eye irritation.
SKIN	Cause skin irritation. May be harmful if absorbed through the skin.
INGESTION	May be fatal if swallowed.
INHALATION	Material may be irritating to mucous membranes and upper respiratory tract. May be fatal if inhaled.
CHRONIC NFPA RATING	Health: 1, Flammability: 0, Reactivity: 0

SALES SPECIFICATION

APPEARANCE	white to off-white crystalline powder
ASSAY	98.0% min

TRANSPORT & REGULATORY INFORMATION

UN NO.	
HAZARD CLASS	
PACKING GROUP	



ZAPRINAST

HAZARD SYMBOL	XI
RISK PHRASES	36/37/38
SAFETY PHRASES	26-36

PACKING

PRICE

