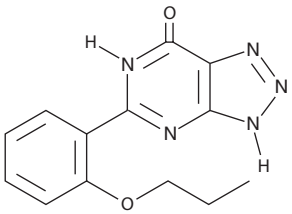
PRODUCT INFORMATION

Zaprinast

Item No. 10010421

CAS Registry No.:	37762-06-4
Formal Name:	3,6-dihydro-5-(2-propoxyphenyl)-7H-1,2,3-triazolo[4,5-d]pyrimidin-7-one
Synonyms:	2-(o-Propoxyphenyl)-8-azapurin-6-one, M&B 22,948
MF:	$C_{13}H_{13}N_5O_2$
FW:	271.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 299 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Zaprinast is supplied as a crystalline solid. A stock solution may be made by dissolving the zaprinast in the solvent of choice, which should be purged with an inert gas. Zaprinast is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of zaprinast in these solvents is approximately 10 and 20 mg/ml, respectively.

Zaprinast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zaprinast should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Zaprinast has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The cyclic nucleotide second messenger guanosine 3'5'-cyclic monophosphate (cGMP) is an important mediator of signal transduction and hence a wide range of cellular processes. It can be generated by soluble guanylyl cyclase in response to binding of nitric oxide and degraded *via* members of the phosphodiesterase (PDE) protein family. Zaprinast, the compound from which sildenafil (Viagra™) was developed, is a cGMP-specific phosphodiesterase inhibitor. It moderately inhibits PDE5 and PDE6 with IC_{50} values of 0.5-0.76 and 0.15 µM, respectively, and weakly inhibits PDE9, PDE10, and PDE11 with IC_{50} values of 35, 22, and 11-33 µM, respectively.[1,2] Zaprinast therefore enhances the vasodilatory effects of nitric oxide in a range of vascular tissues by prolonging the cGMP-mediated activation of cGMP-dependent protein kinase.[2] Zaprinast also activates both the rat and human G protein-coupled receptor, GPR35 with EC_{50} values of 16 nM and 0.84 µM, respectively.[3]

References

1. Nakamizo, T., Kawamata, J., Yoshida, K., *et al.* Phosphodiesterase inhibitors are neuroprotective to cultured spinal motor neurons. *J. Neurosci. Res.* **71(4)**, 485-495 (2003).
2. Gibson, A. Phosphodiesterase 5 inhibitors and nitrergic transmission-from zaprinast to sildenafil. *Eur. J. Pharmacol.* **411(1-2)**, 1-10 (2001).
3. Taniguchi, Y., Tonai-Kachi, H., and Shinjo, K. Zaprinast, a well-known cyclic guanosine monophosphate-specific phosphodiesterase inhibitor, is an agonist for GPR35. *FEBS Lett.* **580(21)**, 5003-5008 (2006).

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