Zaprinast

Cat. No.: HY-B1816

CAS No.: 37762-06-4

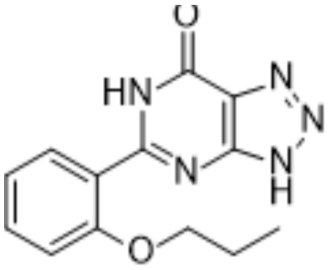
Molecular Formula: $C_{13}H_{13}N_5O_2$

Molecular Weight: 271.27

Target: Phosphodiesterase (PDE); GPR35

Pathway: Metabolic Enzyme/Protease; GPCR/G Protein

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (230.40 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6864 mL	18.4318 mL	36.8636 mL
	5 mM	0.7373 mL	3.6864 mL	7.3727 mL
	10 mM	0.3686 mL	1.8432 mL	3.6864 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (7.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zaprinast (M&B 22948) is a selective inhibitor of cGMP-selective Phosphodiesterase (PDE5). Zaprinast causes a significant increase in cGMP levels in myocytes. Zaprinast is a G protein-coupled receptor 35 (GPR35) agonist which activates rat GPR35 strongly and activates human GPR35 moderately. Zaprinast reduces vessel remodeling through antiproliferative and proapoptotic effects[1][2][3].

IC_{50} & Target

PDE5

In Vitro

Zaprinast (0.1, 0.3, 1, 3, 10, 30 μM) induces intracellular calcium mobilization in the transfectant coexpressing FLAG-hGPR35

and the four exogenous Gα proteins in a concentration-dependent manner in HEK293 cells[2].
Zaprinast (100 μM; 5 min) can promote phosphorylation of five distinct amino acids in the C-terminal tail of human GPR35a in HEK293T cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Zaprinast (3 and 10 mg/kg; i.p.) enhances spatial memory in elevated plus maze (EPM) and diminishes exploratory activity in the Hughes box test[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male inbred BALB/c ByJ mice aged 7 weeks[5]
Dosage:	3 and 10 mg/kg
Administration:	IP; 60 min before the first session
Result:	Significantly decreased second-day latency compared to the control group in the EPM test with 10 mg/kg. Significantly shortened the time spent in the novel side in the Hughes box with 10 mg/kg.

REFERENCES

[1]. Nina Divorty, et al. Agonist-induced phosphorylation of orthologues of the orphan receptor GPR35 functions as an activation sensor. J Biol Chem. 2022 Mar;298(3):101655.

[2]. Furuzan Akar, et al. Zaprinast and rolipram enhances spatial and emotional memory in the elevated plus maze and passive avoidance tests and diminishes exploratory activity in naive mice. Med Sci Monit Basic Res. 2014 Jul 24:20:105-11.

[3]. Choi SH , et al. Zaprinast, an inhibitor of cGMP-selective phosphodiesterases, enhances the secretion of TNF-alpha and IL-1beta and the expression of iNOS and MHC class II molecules in rat microglial cells. J Neurosci Res. 2002 Feb 1;67(3):411-21.

[4]. Taniguchi Y, et al. Zaprinast, a well-known cyclic guanosine monophosphate-specific phosphodiesterase inhibitor, is an agonist for GPR35. FEBS Lett. 2006 Sep 18;580(21):5003-8. Epub 2006 Aug 17.

[5]. Keswani AN , et al The cyclic GMP modulators YC-1 and zaprinast reduce vessel remodeling through antiproliferative and proapoptotic effects. J Cardiovasc Pharmacol Ther. 2009 Jun;14(2):116-24.

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