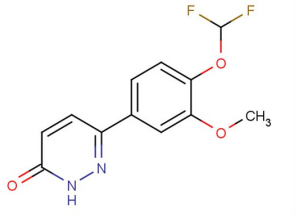
Data Sheet (Cat.No.T17284)

TargetMol
A DRUG SCREENING EXPERT

Zardaverine

Chemical Properties



CAS No.:	101975-10-4
Formula:	C12H10F2N2O3
Molecular Weight:	268.22
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Zardaverine is a newly developed dual-selective PDE3/4 inhibitor (IC50: 0.5 uM and 0.8 uM respectively).
Targets(IC_{50})	Others: None
In vitro	Zardaverine inhibited the cyclic GMP-inhibitable PDE III from human platelets and the rolipram-inhibitable PDE IV from the canine trachea and human polymorphonuclear cells (IC50: 0.58, 0.79 and 0.17 μM, respectively). Zardaverine inhibited the zymosan-induced superoxide anion generation (IC50: 0.40 μM) in human PMN cells. Zardaverine inhibits the ADP-induced aggregation of human platelets (IC50: 1.6 μM). This inhibition was synergistically increased by activators of adenylate cyclase such as PGE1 and forskolin. The pyridazine derivative affected the calmodulin-stimulated PDE I, the cyclic GMP-stimulated PDE II, and the cyclic GMP-specific PDE V only marginally at concentrations up to 100μM. Zardaverine acted in synergy with the adenylate cyclase activators prostaglandin E2 and CG 4203, a prostacyclin analog, and super-additive effects of combinations were observed. Zardaverine and dexamethasone prevent bronchial eosinophilia and neutrophilia with a similar dosage of 30 microM/kg orally, suggesting that this PDE III/IV inhibitor may be useful for both, bronchorelaxation and reduction of inflammation in asthma therapy.

Solubility Information

Solubility	DMSO: 100 mg/mL (372.83 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.728 mL	18.641 mL	37.283 mL
5 mM	0.746 mL	3.728 mL	7.457 mL
10 mM	0.373 mL	1.864 mL	3.728 mL
50 mM	0.075 mL	0.373 mL	0.746 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. R.T. Schermuly, H. Leuchte, H.A. Ghofrani, et al. Zardaverine and aerosolised iloprost in a model of acute respiratoryfailure. ERJ, 2003 ,22 (2): 342-347.

2. D. Ukena, K. Rentz, C. Reiber, et al. Effects of the mixed phosphodiesterase III/IV inhibitor, zardaverine, on airway function in patients with chronic airflow obstruction. Respiratory Medicine.1995, 89 (6) : 441-444.

3. Schade FU, Schudt C. The specific type III and IV phosphodiesterase inhibitor zardaverine suppresses formation of tumor necrosis factor by macrophages. European Journal of Pharmacology.1993, 230(1):9-14.

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