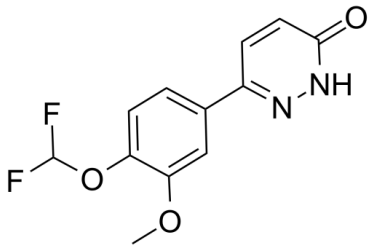
Data Sheet

Product Name:	Zardaverine
Cat. No.:	CS-1011
CAS No.:	101975-10-4
Molecular Formula:	C12H10F2N2O3
Molecular Weight:	268.22
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 28 mg/mL (104.39 mM)



BIOLOGICAL ACTIVITY:

Zardaverine is a newly developed dual-selective PDE3/4 inhibitor with IC50 values of 0.5 uM and 0.8 uM respectively. IC50 value: 0.5 uM (PDE3); 0.8 uM (PDE4) Target: PDE3; PDE4 Zardaverine inhibited the cyclic GMP-inhibitable PDE III from human platelets and the rolipram-inhibitable PDE IV from canine trachea and human polymorphonuclear (PMN) cells with IC50-values of 0.58, 0.79 and 0.17 μ M, respectively. The pyridazinone 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 inhibits the ADP-induced aggregation of human platelets with an IC50 of 1.6 μM. This inhibition was synergistically increased by activators of adenylate cyclase such as PGE1 and forskolin. In human PMN cells, Zardaverine inhibited the zymosan-induced superoxide anion generation with an IC50 of 0.40 μM. Again, this effect was increased by activators of adenylate cyclase. 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 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.

References:

[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.

CAIndexNames:

3(2H)-Pyridazinone, 6-[4-(difluoromethoxy)-3-methoxyphenyl]-

SMILES:

O=C1C=CC(C2=CC=C(OC(F)F)C(OC)=C2)=NN1

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA