

# Zardaverine

**Catalog No: tcsc1011**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

101975-10-4

**Formula:**

$C_{12}H_{10}F_2N_2O_3$

**Pathway:**

Metabolic Enzyme/Protease

**Target:**

Phosphodiesterase (PDE)

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 28$  mg/mL (104.39 mM)

**Observed Molecular Weight:**

268.22

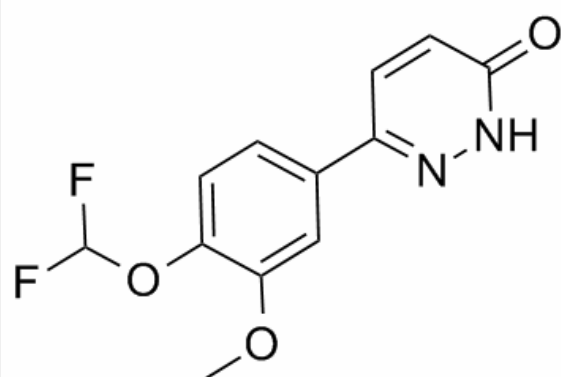
## Product Description

Zardaverine is a newly developed dual-selective PDE3/4 inhibitor with IC50 values of 0.5  $\mu$ M and 0.8  $\mu$ M respectively.

IC50 value: 0.5  $\mu$ M (PDE3); 0.8  $\mu$ M (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 IC<sub>50</sub>-values of 0.58, 0.79 and 0.17  $\mu$ 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 100 $\mu$ M. Zardaverine inhibits the ADP-induced aggregation of human platelets with an IC<sub>50</sub> of 1.6  $\mu$ M. 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 IC<sub>50</sub> of 0.40  $\mu$ M. 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.



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