Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 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 uM and 0.8 uM respectively.

IC50 value: 0.5 uM (PDE3); 0.8 uM (PDE4)

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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 µM, 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.