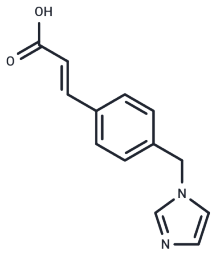
Data Sheet (Cat.No.T6236)

TargetMol

Ozagrel

Chemical Properties



CAS No. : 82571-53-7

Formula: C13H12N2O2

Molecular Weight: 228.25

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Ozagrel (Domenan) is a selective thromboxane A(2) (TXA(2)) synthetase inhibitor with IC50 of 11 nM for rabbit platelet, used for the improvement of postoperative cerebrovascular contraction and accompanying cerebral ischaemia.
Targets(IC50)	Prostaglandin Receptor,Thrombin
In vivo	Ozagrel (3 mg/kg) decreases both the area and volume of the cortical infarction after ischemia-reperfusion of the middle cerebral artery in rat. Ozagrel also has suppressive effects on the neurologic deficits in the microthrombosis rat model. Ozagrel improves the reduced spontaneously locomotor activity and the obstruction of motor coordination in the conscious cerebral ischemia-reperfusion mouse model. Ozagrel prevents oleic acid (OA)-induced thromboxane A(2) generation and subsequently increased total protein concentration and the numbers of macrophages and neutrophils in bronchoalveolar lavage fluid and increases monocyte chemoattractant protein-1 and interleukin-8 mRNA expression in the whole lung of guinea pigs. Ozagrel suppresses the decrease in specific gravity of the brain tissue induced by the occlusion-reperfusion in the conscious cerebral ischemia-reperfusion SHR model, and recovers the postischemic decrease in cortical PO(2) after middle cerebral artery occlusion-reperfusion in cats. Ozagrel administered intravenously 30 min before oleic acid injection prevents the decrease in Pao(2) and pulmonary vascular hyper-permeability in guinea-pigs. Ozagrel also prevents increases in lactate dehydrogenase activity, a measure of lung cell injury, TXB(2) and its weight ratio to 6-keto prostaglandin F(1alpha) in bronchoalveolar lavage fluid in guinea-pigs. Ozagrel also increases the level of 6-keto-PGF(1alpha), a metabolite of prostaglandin I(2) (PGI(2)), in the brain tissue after cerebral ischemia-reperfusion, and the administration of PGI(2) improves the reduced spontaneous locomotor activity in the conscious cerebral ischemia-reperfusion mouse model.
Kinase Assay	In vitro kinase assays: The IC50 values for inhibition of enzyme activity are generated by measuring inhibition of phosphorylation of a peptide substrate. The intracellular kinase domains of EGFR and ErbB2 are purified from a baculovirus expression system. EGFR and ErbB2 reactions are performed in 96-well polystyrene round-bottomed plates in a final volume of 45 µL. Reaction mixtures contain 50 mM 4-morpholinepropanesulfonic acid (pH 7.5), 2 mM MnCl2, 10 µM ATP, 1 µCi of [γ33P] ATP/reaction, 50 µM Peptide A [Biotin-(amino hexonoic acid)-EEEEYFELVAKKK-CONH2], 1 mM dithiothreitol, and 1 µL of DMSO containing serial dilutions of Lapatinib beginning at 10 µM. The reaction is initiated by adding the indicated purified type-1 receptor intracellular domain. The amount of enzyme added is 1 pmol/reaction (20 nM). Reactions are terminated after 10

minutes at 23°C by adding 45 μL of 0.5% phosphoric acid in water. The terminated reaction mix (75 μL) is transferred to phosphocellulose filter plates. The plates are filtered and washed three times with 200 μL of 0.5% phosphoric acid. Scintillation cocktail (50 μL) is added to each well, and the assay is quantified by counting in a Packard Topcount. IC50 values are generated from 10-point dose-response curves.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 2.28 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.3812 mL	21.9058 mL	43.8116 mL
5 mM	0.8762 mL	4.3812 mL	8.7623 mL
10 mM	0.4381 mL	2.1906 mL	4.3812 mL
50 mM	0.0876 mL	0.4381 mL	0.8762 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Ishitsuka Y, et al. J Pharmacol Sci, 2009, 111(2), 211-215.
Imamura T, et al. Arzneimittelforschung, 2003, 53(10), 688-694.
Ichikawa K, et al. Pharmacology, 1999, 59(5), 257-265.
Ishitsuka Y, et al. J Pharm Pharmacol, 2004, 56(4), 513-520.
Naito J, et al. Eur J Pharmacol. 1983, 91(1), 41-48.

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