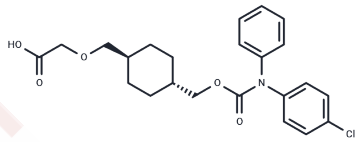
Data Sheet (Cat.No.T4635)

TargetMol

Ralinepag

Chemical Properties



CAS No. : 1187856-49-0

Formula: C23H26ClNO5

Molecular Weight: 431.91

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ralinepag (APD811) is a potent, orally bioavailable agonist of non-prostanoid prostacyclin (IP) receptor, with EC50s of 8.5 nM, 530 nM and 850 nM for human and rat IP receptor and human DP1 receptor, respectively.
Targets(IC50)	Prostaglandin Receptor
In vitro	Ralinepag (5c) has potent receptor binding affinity at prostaglandin receptor, with Kis of 1.2 nM, 3 nM, 76 nM, and 256 nM for monkey, human, rat, and dog IP receptor (ligand, [3H]-iloprost), and 2.6 μM, 9.6 μM, 610 nM, 143 nM, and 678 nM for human DP1, EP1, EP2, EP3v6 and EP4 receptors (ligand, [3H]-PGE2), respectively. Moreover, Ralinepag shows no effect on cytochrome P450 enzymes (IC50 > 50 μM for CYPs 1A2, 2D6, 3A4 2C8, 2C9, and 2C19) or hERG channel functional activity in a patch clamp assay (IC50 > 30 μM). Ralinepag also inhibits the ADP-induced human platelet aggregation, with an IC50 of 38 nM[1].
In vivo	Ralinepag (30 mg/kg, orally) significantly mitigates the increase in pulmonary arterial pressure and the thickening of pulmonary vessel walls in rats induced by monocrotaline (MCT)[1].

Solubility Information

Solubility	DMSO: 55 mg/mL (127.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.63 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3153 mL	11.5765 mL	23.153 mL
5 mM	0.4631 mL	2.3153 mL	4.6306 mL
10 mM	0.2315 mL	1.1576 mL	2.3153 mL
50 mM	0.0463 mL	0.2315 mL	0.4631 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Tran TA, et al. Discovery of 2-(((1r,4r)-4-(((4-Chlorophenyl)(phenyl)carbamoyl)oxy)methyl)cyclohexyl)methoxy) acetate (Ralinepag): An Orally Active Prostacyclin Receptor Agonist for the Treatment of Pulmonary Arterial Hypertension. J Med Chem. 2017 Feb 9;60(3):913-927