Ralinepag

Cat. No.: HY-16751

CAS No.: 1187856-49-0

Molecular Formula: $C_{23}H_{26}ClNO_5$

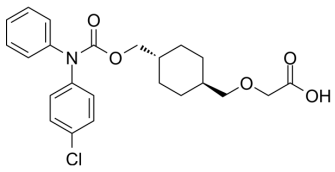
Molecular Weight: 431.91

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (231.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3153 mL	11.5765 mL	23.1530 mL
5 mM	0.4631 mL	2.3153 mL	4.6306 mL
10 mM	0.2315 mL	1.1576 mL	2.3153 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ralinepag is a potent, orally bioavailable and non-prostanoid prostacyclin (IP) receptor agonist, with EC_{50}s of 8.5 nM, 530 nM and 850 nM for human and rat IP receptor and human DP1 receptor, respectively.

IC_{50} & Target

hIP	rIP	hDP1
8.5 nM (EC50)	530 nM (EC50)	850 nM (EC50)

In Vitro	Ralinepag is a potent non-prostanoid prostacyclin receptor agonist, with EC_{50}s of 8.5 nM, 530 nM and 850 nM for human and rat IP receptor and human DP1 receptor, respectively. Ralinepag (5c) has potent receptor binding affinity at prostaglandin receptor, with K_is 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 (IC_{50} > 50 μM for CYPs 1A2, 2D6, 3A4 2C8, 2C9, and 2C19) or hERG channel functional activity in a patch clamp assay (IC_{50} > 30 μM). Ralinepag also inhibits the ADP-induced human platelet aggregation, with an IC_{50} of 38 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ralinepag (30 mg/kg, p.o.) markedly reduces the monocrotaline (MCT)-induced increase in pulmonary arterial pressure and pulmonary vessel wall thickness in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

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