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

Ralinepag

Catalog No: tcsc0012350

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1187856-49-0

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

Pathway:
GPCR/G Protein

Target:
Prostaglandin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : 125 mg/mL (289.41 mM; Need ultrasonic and warming)

Alternative Names:
APD811

Observed Molecular Weight:
431.91

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Product 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.

IC50 & Target: EC50: 8.5 nM (Human IP receptor), 530 nM (Rat IP receptor), 850 nM (Human DP1 receptor)[1]

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, [^{3}H]-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, [^{3}H]-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].

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].