Setipiprant

Cat. No.: HY-16635

CAS No.: 866460-33-5

Molecular Formula: $C_{24}H_{19}FN_2O_3$

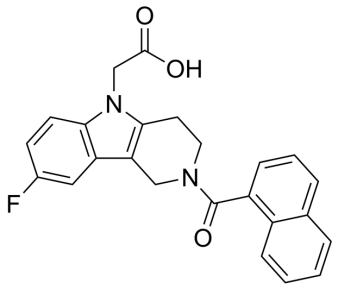
Molecular Weight: 402.42

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 : ≥ 36 mg/mL (89.46 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4850 mL	12.4248 mL	24.8497 mL
5 mM	0.4970 mL	2.4850 mL	4.9699 mL
10 mM	0.2485 mL	1.2425 mL	2.4850 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 (6.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Setipiprant (ACT-129968) is an orally active and selective CRTH2 antagonist. Setipiprant interacts with hCRTH2 receptor with an IC_{50} value of 6 nM. Setipiprant inhibits prostanoid receptors hDP_1 and hEP_2 with IC_{50} values of 1290 and 2600 nM, respectively. Setipiprant can be used for the research of asthma and rhinitis[1].

IC_{50} & Target

hCRTH2	hDP1	EP2
6 nM (IC_{50})	1290 nM (IC_{50})	2600 nM (IC_{50})

In Vitro

Setipiprant (0-10 μM; 90 min) interacts with hCRTH2 receptor in the absence and presence of Human Serum Albumin (HSA) in the assay buffer with IC_{50} values of 6 and 340 nM, respectively[1].

Setipiprant (0-10 μM; 5-20 min) inhibits hCRTH2 receptor based intracellular calcium liberation, intracellular cAMP and shape change of human eosinophils with IC_{50} values of 30, 80 and 235 nM, respectively[1].

Setipiprant (0-10 μM) inhibits prostanoid receptors hDP_1, hEP_2 and hEP_4 with IC_{50} values of 1290, 2600 and ⊠10000 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Pharmacokinetic Properties of Setipiprant in Rats and Dogs[1].

	$AUC_{0\text{-last}}$ (ng•h/mL)	CL (mL/min/kg)	$T_{1/2}$ (hr)	F (%)
Rats IV 2 mg/kg	58500	1.3	6	44
Dogs PO 10 mg/kg	91100			55

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Heinz Fretz, et al. Identification of 2 (2-(1-Naphthoyl)-8-fluoro-3,4-dihydro 1H pyrido[4,3 b]indol-5(2H) yl)acetic Acid (Setipiprant/ACT 129968), a Potent, Selective, and Orally Bioavailable Chemoattractant Receptor-Homologous Molecule Expressed on Th2 Cells (CRTH2) Antagonis. 2013.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA