Emprumapimod

Cat. No.: HY-145564

CAS No.: 765914-60-1

Molecular Formula: $C_{24}H_{29}F_2N_5O_3$

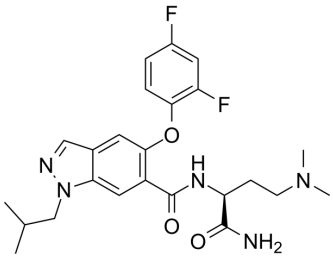
Molecular Weight: 473.52

Target: p38 MAPK

Pathway: MAPK/ERK Pathway

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (211.18 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1118 mL	10.5592 mL	21.1184 mL
	5 mM	0.4224 mL	2.1118 mL	4.2237 mL
	10 mM	0.2112 mL	1.0559 mL	2.1118 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 (5.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Emprumapimod (PF-07265803) is a potent, orally active and selective inhibitor of p38α MAPK directly inhibits LPS-induced IL-6 production from RPMI-8226 cell (IC_{50}=100 pM). Emprumapimod can be used for the research of dilated cardiomyopathy and acute inflammatory pain[1][2].

IC_{50} & Target

p38α

In Vitro

Emprumapimod (ARRY-797) inhibits LPS-induced IL-6 production from RPMI-8226 cell with an IC_{50} value of 100 pM[1].

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

In Vivo

Emprumapimod (ARRY-797) (30 mg/kg; p.o.) inhibits the expression of IL-6 (91%) and TNF-α (95%) in SCID-beige mice, inhibits the phosphorylation of p38 in RPMI-8226 xenografts, inhibits the growth of RPMI-8226 tumour (72%) in multiple myeloma (MM) xenograft models[1].

Emprumapimod (30 mg/kg; p.o.; twice daily for 4 weeks) prevents left ventricular (LV) dilatation and deterioration of fractional shortening (FS) in $Lmna^{H222P/H222P}$ mice[2].

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

Animal Model:	$Lmna^{H222P/H222P}$ mice were[2]
Dosage:	30 mg/kg
Administration:	Administered orally by gavage starting when mice were 16 weeks of age and continuing until 20 weeks of age
Result:	There were significant increases in LVEDD and LVESD as well as a decrease in FS, a parameter directly proportional to the LV ejection fraction.

REFERENCES

[1]. Dale Wright, et al. ARRY-797, a Potent and Selective Inhibitor of p38 Map Kinase, Inhibits LPS-Induced IL-6 and In Vivo Growth of RPMI-8226 Human Multiple Myeloma Cells.

[2]. Antoine Muchir, et al. Abnormal p38α mitogen-activated protein kinase signaling in dilated cardiomyopathy caused by lamin A/C gene mutation. Hum Mol Genet. 2012 Oct 1;21(19):4325-33.

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