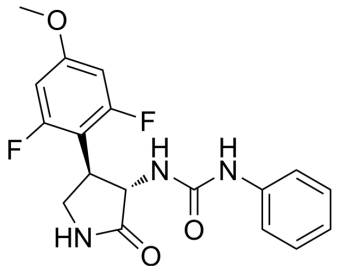
BMS-986235

Cat. No.:	HY-131180
CAS No.:	2253947-47-4
Molecular Formula:	$C_{18}H_{17}F_2N_3O_3$
Molecular Weight:	361.34
Target:	Formyl Peptide Receptor (FPR)
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 (276.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7675 mL	13.8374 mL	27.6748 mL
	5 mM	0.5535 mL	2.7675 mL	5.5350 mL
	10 mM	0.2767 mL	1.3837 mL	2.7675 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 (6.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.2 mg/mL (3.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-986235 (LAR-1219) is a selective, orally active formyl peptide receptor 2 (FPR2) agonist, with EC_{50}s of 0.41 nM and 3.4 nM for hFPR2 and mFPR2, respectively. BMS-986235 has potential for the prevention of heart failure[1].

IC_{50} & Target

EC50: 0.41 nM (human FPR2), 3.4 nM (mouse FPR2), 2800 nM (human FPR1)[1]

In Vitro

BMS-986235 (LAR-1219) inhibits neutrophil chemotaxis and stimulats macrophage phagocytosis, key end points to promote resolution of inflammation[1].

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

In Vivo

BMS-986235 (LAR-1219) (0.3 mg/kg; p.o.; daily for 24 days) can attenuate left ventricle and global cardiac remodeling after left anterior descending (LAD) in mice[1].

BMS-986235 (1 mg/kg; p.o.) treatment shows the C_{max}, $T_{1/2}$, AUC_{0-inf}, and bioavailability (BA) values of 160 nmol/L, 0.68 hours,120 nmol/L•h, and 24%, respectively[1].

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

Animal Model:	Male C57BL/6 mice[1]
Dosage:	0.3 mg/kg
Administration:	P.o.; daily for 24 days
Result:	Left ventricle (LV) chamber remodeling is attenuated after myocardial infarction (MI). Reduced infarct length by 39% relative to vehicle.

Animal Model:	Male mice (BALB/cCrSlc)[1]
Dosage:	1 mg/kg
Administration:	P.o. (Pharmacokinetic Analysis)
Result:	The C_{max}, $T_{1/2}$, AUC_{0-inf}, and bioavailability (BA) values were 160 nmol/L, 0.68 hours, 120 nmol/L•h, and 24%, respectively.

REFERENCES

[1]. Asahina Y, et al. Discovery of BMS-986235/LAR-1219: A Potent Formyl Peptide Receptor 2 (FPR2) Selective Agonist for the Prevention of Heart Failure [published online ahead of print, 2020 May 24]. J Med Chem. 2020;10.1021/acs.jmedchem.9b02101.

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