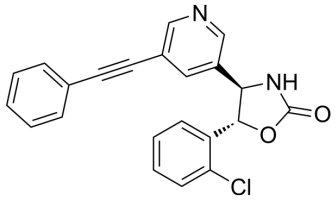
BMS-984923

Cat. No.:	HY-122559
CAS No.:	1375752-78-5
Molecular Formula:	$C_{22}H_{15}ClN_2O_2$
Molecular Weight:	374.82
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (133.40 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6679 mL	13.3397 mL	26.6795 mL
	5 mM	0.5336 mL	2.6679 mL	5.3359 mL
	10 mM	0.2668 mL	1.3340 mL	2.6679 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 (6.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.67 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

BMS-984923, a potent mGluR5 silent allosteric modulator (SAM), with exquisite binding affinity (K_i = 0.6 nM), exhibits good oral bioavailability and BBB penetration. BMS-984923 potently inhibits the PrPC-mGluR5 interaction and prevents pathological Aβo signaling without affecting physiological glutamate signaling[1][2].

In Vivo

BMS-984923 (7.5 mg/kg or 15 mg/kg, oral gavage, once) exhibits good oral bioavailability and BBB penetration[1].

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

Animal Model:	C57Bl6J male mice[1].
Dosage:	7.5 mg/kg or 15 mg/kg (Pharmacokinetic Analysis)

Administration:	Oral gavage, once.
Result:	The plasma concentration exceeded 2 μM at 10 hr. Brain concentrations were nearly as high as plasma concentrations when measured 3 hr after a 7.5 mg/kg oral dose

REFERENCES

[1]. Laura T Haas, et al. Silent Allosteric Modulation of mGluR5 Maintains Glutamate Signaling while Rescuing Alzheimer's Mouse Phenotypes. Cell Rep. 2017 Jul 5;20(1):76-88.

[2]. Hong Huang, et al. Oxazolidinone-based allosteric modulators of mGluR5: Defining molecular switches to create a pharmacological tool box. Bioorg Med Chem Lett. 2016 Sep 1;26(17):4165-9.

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