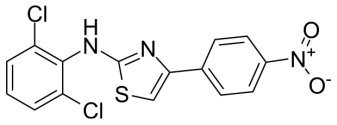
BMS-986121

Cat. No.:	HY-141515
CAS No.:	313671-26-0
Molecular Formula:	$C_{15}H_9Cl_2N_3O_2S$
Molecular Weight:	366.22
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (273.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7306 mL	13.6530 mL	27.3060 mL
	5 mM	0.5461 mL	2.7306 mL	5.4612 mL
	10 mM	0.2731 mL	1.3653 mL	2.7306 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BMS-986121 is a positive allosteric modulator (PAM) of the μ opioid receptor extracted from patent WO2014107344. BMS-986121 is built on a chemical scaffold representing a new chemotype for μ receptor PAMs[1][2][3].

IC_{50} & Target

μ Opioid Receptor/MOR

In Vitro

BMS-986121 (1 μM~1 mM) significantly augments the β-arrestin–recruitment response produced by a low concentration of endomorphin-I (PAM-detection mode). BMS-986121 significantly increases the inhibition of forskolin-stimulated adenylyl cyclase activity produced by a -EC_{10} (30 pM) concentration of endomorphin-I in CHOμ cells. BMS-986121 (100 μM) produces leftward shifts in the potency of endomorphin-I (fourfold) and leu-enkephalin (sixfold), in inhibition of forskolin-stimulated cAMP-accumulation assays in CHO-μ cells[1].

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

REFERENCES

[1]. Burford NT, et al. Discovery of positive allosteric modulators and silent allosteric modulators of the μ-opioid receptor. Proc Natl Acad Sci U S A. 2013;110(26):10830-10835.

[2]. WO2014107344

[3]. Bisignano P, et al. Ligand-Based Discovery of a New Scaffold for Allosteric Modulation of the μ-Opioid Receptor. J Chem Inf Model. 2015;55(9):1836-1843.

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