BMS-779788

Cat. No.: HY-19919

CAS No.: 918348-67-1

Molecular Formula: $C_{28}H_{29}ClN_2O_3S$

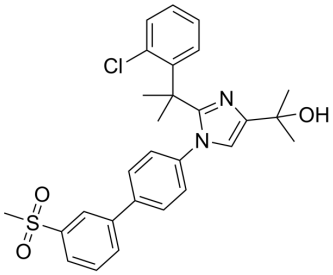
Molecular Weight: 509.06

Target: LXR

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

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 (196.44 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9644 mL	9.8220 mL	19.6440 mL
	5 mM	0.3929 mL	1.9644 mL	3.9288 mL
	10 mM	0.1964 mL	0.9822 mL	1.9644 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 (4.91 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-779788 is a LXR partial agonist with IC_{50} values of 68 nM for LXRα and 14 nM for LXRβ.

IC_{50} & Target

IC50: 68 nM (LXRα); 14 nM (LXRβ)[1]

In Vitro

The LXR selective partial agonist BMS-779788 is identified with potent induction of ATP binding transporters ABCA1 and ABCG1 in human whole blood (EC_{50}=1.2 μM, 55% efficacy)[2].

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

In Vivo

BMS-779788 induces LXR target genes in blood in vivo with an EC_{50}=610 nM, a value similar to its in vitro blood gene induction potency. BMS-779788 is 29- and 12-fold less potent than the full agonist T0901317 in elevating plasma triglyceride

and LDL cholesterol, respectively, with similar results for plasma cholesteryl ester transfer protein and apolipoprotein B[1]. In mice BMS-779788 displays peripheral induction of ABCA1 at 3 and 10 mpk doses with no significant elevation of plasma or hepatic triglycerides at these doses, showing an improved profile compared to a full pan-agonist[2].

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PROTOCOL

Animal Administration [1]

Monkeys: Male cynomolgus monkeys are used in the study. For a single-dose pharmacokinetic (PK)-pharmacodynamic (PD) study, 2 animals each are treated either with vehicle [0.5% carboxymethyl cellulose and 2% Tween 80 in purified water) or 1 mg/kg BMS-779788. For the 7 day PD study, 18 animals are randomized into 6 treatment groups (N=3/group; 3-6 kg) and received the following treatments at 7 AM daily for 7 days by oral gavage: vehicle, 10 mg/kg per day T0901317 and 0.3, 1, 3, or 10 mg/kg per day BMS-779788[1].

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

CUSTOMER VALIDATION

- Radboud University Nijmegen. 2021 Mar.

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REFERENCES

[1]. Kirchgessner TG, et al. Pharmacological characterization of a novel liver X receptor agonist with partial LXRα activity and a favorable window in nonhuman primates. J Pharmacol Exp Ther. 2015 Feb;352(2):305-14.

[2]. Kick E, et al. Liver X receptor (LXR) partial agonists: biaryl pyrazoles and imidazoles displaying a preference for LXRβ. Bioorg Med Chem Lett. 2015 Jan 15;25(2):372-7.

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