上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：BMS-779788
产品别名：EXEL04286652; XL-652; BMS-788

生物活性：

Description	BMS-779788 is a LXR partial agonist with IC_{50} values of 68 nM for LXR*α* and 14 nM for LXR*β*.
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 (EC50=1.2 μM, 55% efficacy)[2].
In Vivo	BMS-779788 induces LXR target genes in blood in vivo with an EC50=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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 31 mg/mL (60.90 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Animal Administration	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].
	[1]. Kirchgessner TG, et al. Pharmacological characterization of a novel liver X receptor agonist with

References	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.