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产品名称：TUG-770
产品别名：TUG-770

生物活性：

Description

TUG-770 is a highly potent free fatty acid receptor 1 (FFA1/GPR40) agonist with EC50 of 6 nM for hFFA1. IC50 Value: 6 nM (hFFA1, EC50) [1] Target: GPR40 in vitro: TUG-770 (Compound 22) displayed excellent physicochemical and in vitro ADME properties, with good aqueous solubility, good chemical stability, low lipophilicity, and decreased plasma protein binding (PPB). TUG-770 furthermore showed excellent stability toward human liver microsomes (HLM), no inhibition of selected CYP-enzymes implicated in drug-drug interactions, no P-glycoprotein (P-gp) inhibition, and good permeability in the Caco-2 cell assay [1]. in vivo: Examination of TUG-770 in an acute intraperitoneal glucose tolerance test (IPGTT) in normal mice revealed a good dose dependent response with maximal reduction in glucose level reached at 50 mg/kg. The effect of TUG-770 was fully sustained after 29 days of daily oral treatment. Additional evaluation of TUG-770 in rats confirmed a significant glucose lowering effect for the high doses already after 10 min and for all doses after 30 min [1]. Clinical trial:

Solvent&Solubility

In Vitro:

DMSO： ≥ 100 mg/mL (325.39 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2539 mL	16.2697 mL	32.5394 mL
	5 mM	0.6508 mL	3.2539 mL	6.5079 mL
	10 mM	0.3254 mL	1.6270 mL	3.2539 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。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (8.13 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.13 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

	Solubility: ≥ 2.5 mg/mL (8.13 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.13 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Christiansen E, Hansen SV, Urban C, Discovery of TUG-770: A Highly Potent Free Fatty Acid Receptor 1 (FFA1/GPR40) Agonist for Treatment of Type 2 Diabetes. ACS Med Chem Lett. 2013 May 9;4(5):441-445. [2]. Urano Y, et al. Comparative hepatic transcriptome analyses revealed possible pathogenic mechanisms of fasiglifam (TAK-875)-induced acute liver injury in mice. Chem Biol Interact. 2018 Sep 20;296:185-197.