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产品名称：AMG 837 (calcium hydrate)
产品别名：AMG 837 calcium hydrate

生物活性：

Description

AMG 837 calcium hydrate is a potent GPR40 agonist(EC50=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents. IC50 value: 13 nM (EC50) [1] Target: GPR40 agonist AMG 837 displayed the expected two-fold increase in potency on GPR4 (EC50 = 13 [±7] nM) compared to the racemic compound and its activity crossed over to the rat and mouse forms of GPR40 (EC50 = 23 and 13 nM, respectively). AMG 837 was found to be a partial agonist on GPR40 with maximal activity 85% of that shown by DHA under our standard assay conditions. AMG 837 is a highly potent stimulator of insulin secretion in MIN6 cells with an EC50 comparable to that seen in the aequorin Ca2+-flux assay. showed no significant activity in cell-based assays against PPARα, δ, and γ. An external panel of 64 receptors also revealed no significant activity with the exception of weak inhibition (IC50 = 3 uM) on the a2-adrenergic receptor. Overall, AMG 837 was both highly potent and selective in vitro.

Solvent&Solubility

In Vitro:

DMSO : ≥ 42 mg/mL (92.22 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1956 mL	10.9782 mL	21.9563 mL
5 mM	0.4391 mL	2.1956 mL	4.3913 mL
10 mM	0.2196 mL	1.0978 mL	2.1956 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 (5.49 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (5.49 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

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% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.49 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.49 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]. Houze JB, et al. AMG 837: a potent, orally bioavailable GPR40 agonist. Bioorg Med Chem Lett. 2012 Jan 15;22(2):1267-70. [2]. Lin DC, et al. AMG 837: a novel GPR40/FFA1 agonist that enhances insulin secretion and lowers glucose levels in rodents. PLoS One. 2011;6(11):e27270.