AMG 837 calcium hydrate

Cat. No.: HY-13967B

CAS No.: 1259389-38-2

Molecular Formula: $C_{26}H_{21}F_3O_3 \cdot {}_1/_2Ca.H_2O$

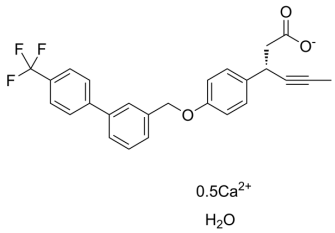
Molecular Weight: 455.45

Target: Free Fatty Acid Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 42 mg/mL (92.22 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Mass / Solvent	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

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 (5.49 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AMG 837 calcium hydrate is a potent, orally bioavailable and partial agonist of GPR40/FFA1. AMG 837 calcium hydrate inhibits specific [^{3}H]AMG 837 binding at the human FFA1 receptor with a pIC_{50} of 8.13. AMG 837 calcium hydrate could enhance insulin secretion and lower glucose levels in rodents[1][2][3]. AMG 837 (calcium hydrate) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

pIC50: 8.13 (FFA1)[3]

In Vitro

AMG 837 (1 nM-10 μM) stimulates insulin secretion in a glucose-dependent manner with an EC_{50} of 142±20 nM on islets isolated from mice[1].

AMG 837 stimulates Ca^{2+} flux with the EC_{50}s of 13.5, 22.6 and 31.7 nM for human, mouse and rat receptors in CHO cells, respectively[1].

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

In Vivo

AMG 837 (0.03-0.3 mg/kg; p.o. once daily for 21 days) reduces glucose levels and increases insulin levels following glucose challenge in vivo[1].

AMG 837 (0.03-0.3 mg/kg; a single p.o.) improves glucose tolerance and enhances insulin secretion in Sprague-Dawley rats[1].

AMG 837 (0.5 mg/kg; p.o.) displays excellent oral bioavailability (F = 84%) and a total plasma C_{max} of 1.4 μM[1].

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

Animal Model:	8-week old Zucker Fatty Rats[1]
Dosage:	0.03, 0.1, 0.3 mg/kg
Administration:	Oral gavage once daily for 21 days
Result:	Decreased glucose AUC values during the glucose tolerance test (GTT) to 7%, 15%, and 25% at 0.03, 0.1 and 0.3 mg/kg, respectively. Increased insulin levels in the mid- and high-dose groups. Not affected body weights during the 21-day treatment.

Animal Model:	8-week old Sprague-Dawley rats[1]
Dosage:	0.03, 0.1, 0.3 mg/kg
Administration:	A single p.o. administration
Result:	Reduced the post-prandial glucose with the half-maximal dose of 0.05 mg/kg.

CUSTOMER VALIDATION

- Biomed Pharmacother. 2023 May.

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REFERENCES

[1]. Daniel CHL, 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.

[2]. Houze JB, et, al. AMG 837: a potent, orally bioavailable GPR40 agonist. Bioorg Med Chem Lett. 2012 Jan 15; 22(2): 1267-70.

[3]. Daniel CHL, et, al. Identification and pharmacological characterization of multiple allosteric binding sites on the free fatty acid 1 receptor. Mol Pharmacol. 2012 Nov;82(5):843-59.

Caution: Product has not been fully validated for medical applications. For research use only.

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