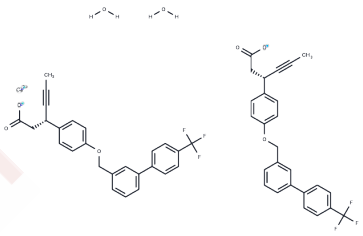
Data Sheet (Cat.No.TQ0020)

TargetMol

AMG 837 calcium hydrate

Chemical Properties



CAS No. : 1259389-38-2

Formula: C52H44CaF6O8

Molecular Weight: 950.97

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AMG 837 calcium hydrate, a potent GPR40 agonist with an EC50 of 13 nM, exhibits high selectivity over GPR41, GPR43, and GPR120 (EC50 > 10,000 nM).
Targets(IC50)	GPCR
In vitro	GPR40 agonist AMG 837 displayed the expected two-fold increase in potency on GPR4 (EC50: 13 nM) compared to the racemic compound and its activity crossed over to the rat and mouse forms of GPR40 (EC50s: 23/13 nM). AMG 837 was a partial agonist on GPR40 with maximal activity 85% of that shown by DHA. An external panel of 64 receptors also revealed no significant activity with the exception of weak inhibition (IC50: 3 μM) on the α2-adrenergic receptor [1].
In vivo	In rats, AMG 837 increases insulin release when glucose levels are elevated [2].

Solubility Information

Solubility	DMSO: 40 mg/mL (42.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0516 mL	5.2578 mL	10.5156 mL
5 mM	0.2103 mL	1.0516 mL	2.1031 mL
10 mM	0.1052 mL	0.5258 mL	1.0516 mL
50 mM	0.021 mL	0.1052 mL	0.2103 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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