

**Product Name** : AMG 837 calcium hydrate

**Synonyms** : —

**Cat No.** : M29595

**CAS Number** : 1259389-38-2

**Molecular Formula** : C<sub>52</sub>H<sub>42</sub>CaF<sub>6</sub>O<sub>7</sub>

**Formula Weight** : 933.0

**Chemical Name** : —

**Description**

AMG 837 calcium hydrate is a potent GPR40 agonist with an EC<sub>50</sub> of 13 nM. AMG 837 calcium hydrate also shows highly selective over GPR41, GPR43, and GPR120 (EC<sub>50</sub> > 10,000 nM). (In Vitro): GPR40 agonist AMG 837 displayed the expected two-fold increase in potency on GPR4 (EC<sub>50</sub>: 13 nM) compared to the racemic compound and its activity crossed over to the rat and mouse forms of GPR40 (EC<sub>50</sub>s: 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 (IC<sub>50</sub>: 3 μM) on the α<sub>2</sub>-adrenergic receptor. (In Vivo): In rats, AMG 837 increases insulin release when glucose levels are elevated. AMG 837 was dosed at 0.03, 0.1 and 0.3 mg/kg by oral gavage daily for 21-days. Thirty minutes following the first dose, an IPGTT was performed. AMG 837 improved glucose levels during the IPGTT (figure 5A) with a decrease in glucose AUC of 17%, 34% (p<0.001), and 39% (p<0.001) at 0.03, 0.1 and 0.3 mg/kg, respectively. This was associated with increased insulin secretion following glucose administration.

**Pathway** : Cell Cycle/DNA Damage

**Target** : GPR

**Receptor** : GPR

**Solubility** : —

**SMILES** : O.[Ca++].CC#CC(CC([O-])=O)c1ccc(OCc2ccccc(c2)-c2ccc(cc2)C(F)(F)F)cc1.CC#CC(CC([O-])=O)c1ccc(OCc2ccccc(c2)-c2ccc(cc2)C(F)(F)F)cc1

**Storage** : (-20°C)

**Stability** : ≥ 2 years

**Reference** :