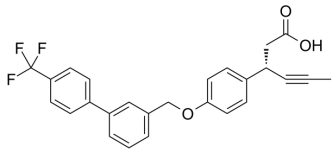
AMG 837

Cat. No.:	HY-13967
CAS No.:	865231-46-5
Molecular Formula:	$C_{26}H_{21}F_3O_3$
Molecular Weight:	438.44
Target:	Free Fatty Acid Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

AMG 837 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 agonistAMG 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. showedno 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 potentand selective in vitro.

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.

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