Product Data Sheet

Product Name: AMG 837
Cat. No.: GC19030

Chemical Properties

Cas. No.	865231-46-5		
SMILES	OC(C[C@H](C#CC)C1=CC=C(C=C1)OCC2=CC=CC(C3=CC=C(C(F)(F)F)C=C3)=C2)=O		
Formula	$C_{26}H_{21}F_3O_3$	M.Wt	438.44
Solubility	DMSO : ≥ 42 mg/mL (92.22 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AMG 837		

Background

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.

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[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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