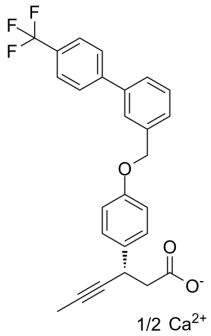
AMG 837 hemicalcium

Cat. No.:	HY-129707
CAS No.:	1291087-14-3
Molecular Formula:	$C_{26}H_{21}F_3O_3.1/2Ca$
Molecular Weight:	457.48
Target:	GPR40
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AMG 837 hemicalcium is a potent, orally bioavailable and partial agonist of GPR40/FFA1. AMG 837 hemicalcium inhibits specific [^{3}H]AMG 837 binding at the human FFA1 receptor with a pIC_{50} of 8.13. AMG 837 hemicalcium could enhance insulin secretion and lower glucose levels in rodents[1][2][3].
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; a single p.o.) improves glucose tolerance and enhances insulin secretion in Sprague-Dawley rats[1]. 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 (0.5 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.

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.

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