GPR40

Free fatty acid receptor 1; FFAR1; FFA1; G-protein-coupled receptor 40

GPR40 (Free fatty acid receptor 1, FFA1) is a G-protein-coupled receptor primarily expressed in pancreatic islets β-cells and enteroendocrine L-cells. GPR40 possesses the ability to modulate several metabolic defects when activated. Medium- to long-chain fatty acids bind to and elicit GPR40 to increase insulin secretion from β-cells and increased secretion of the gut hormones, glucagon-like peptide 1 (GLP-1) and glucose-dependent insulinotropic peptide (GIP).

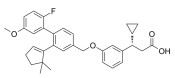
GPR40 has been found to couple to G_q protein, leading to the activation of phospholipase C and subsequent increases in the intracellular Ca^{2+} level. Activation of GPR40 by partial agonists elicits insulin secretion only in the presence of elevated blood glucose levels, minimizing the risk of hypoglycemia. GPR40 has emerged as an attractive target for the treatment of type 2 diabetes mellitus.

GPR40 Agonists, Antagonists & Activators

AM-1638

Cat. No.: HY-13467

AM-1638 is a potent and orally bioavailable **GPR40/FFA1** full agonist with an EC_{50} of 0.16 μM.

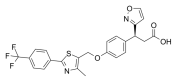


Purity: 99.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AM-4668

Cat. No.: HY-12585

AM-4668 is a **GPR40** agonist for type 2 diabetes. EC_{50}s of 3.6 nM and 36 nM for GPR40 in A9 cells (GPR40 IP3 assay) and CHO cells (GPR40 aequorin assay), respectively.

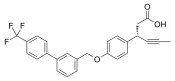


Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

AMG 837

Cat. No.: HY-13967

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.

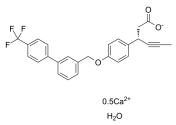


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AMG 837 calcium hydrate

Cat. No.: HY-13967B

AMG 837 calcium hydrate is a potent, orally bioavailable and partial agonist of **GPR40/FFA1**. AMG 837 calcium hydrate inhibits specific [^{3}H]AMG 837 binding at the human FFA1 receptor with a pIC_{50} of 8.13.

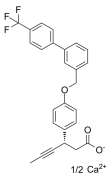


Purity: 97.23%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AMG 837 hemicalcium

Cat. No.: HY-129707

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.

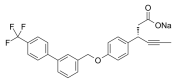


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AMG 837 sodium salt

Cat. No.: HY-13967A

AMG 837 sodium salt is a potent GPR40 agonist(EC50=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.

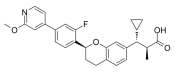


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AP5

Cat. No.: HY-112603

AP5 is a potent, orlly active, and selective **GPR40 receptor** agonist with a positive allosteric modulation of endogenous ligand (AgoPAM).

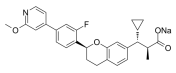


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AP5 sodium

Cat. No.: HY-112603A

AP5 sodium is a potent, orall active, and selective **GPR40 receptor** agonist with a positive allosteric modulation of endogenous ligand (AgoPAM).

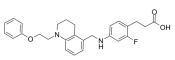


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AS2034178 free base

Cat. No.: HY-P1124

AS2034178 free base, a specific and orally active **GPR40** agonist, exhibits glucose-dependent insulin secretion enhancement. AS2034178 free base has potential for type 2 diabetes mellitus research.

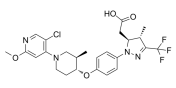


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BMS-986118

Cat. No.: HY-12413A

BMS-986118 is a potent, orally active, and selective **GPR40** agonist with an EC_{50} of 0.07 μM. BMS-986118 has dual insulinotropic and GLP-1 secretory effects, resulting in robust plasma glucose lowering effects in acute animal models.

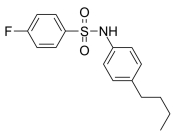


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DC260126

Cat. No.: HY-101906

DC260126 is a potent antagonist of **GPR40 (FFAR1)**. DC260126 dose-dependently inhibits GPR40-mediated Ca^{2+} elevations stimulated by linoleic acid, oleic acid, palmitoleic acid and lauric acid (IC_{50}: 6.28, 5.96, 7.07, 4.58 μM, respectively).



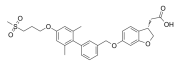
Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Fasiglifam

(TAK-875)

Cat. No.: HY-10480

Fasiglifam (TAK-875) is a potent, selective and orally bioavailable **GPR40** agonist with EC_{50} of 72 nM.



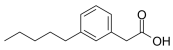
Purity: 98.94%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Fezagepras

(Setogepram; PBI-4050)

Cat. No.: HY-100775A

Fezagepras (Setogepram) acts as an orally active agonist for **GPR40** and as an antagonist or inverse agonist for **GPR84**. Fezagepras decreases renal, liver and pancreatic fibrosis. Fezagepras exerts anti-fibrotic, anti-inflammatory and anti-proliferative actions.



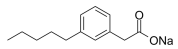
Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

Fezagepras sodium

(Setogepram sodium; PBI-4050 sodium)

Cat. No.: HY-100775

Fezagepras (Setogepram) sodium acts as an orally active agonist for **GPR40** and as an antagonist or inverse agonist for **GPR84**. Fezagepras sodium decreases renal, liver and pancreatic fibrosis. Fezagepras sodium exerts anti-fibrotic, anti-inflammatory and anti-proliferative actions.

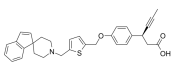


Purity: 99.65%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GPR40 Activator 1

Cat. No.: HY-13971

GPR40 Activator 1 is a potent GPR40 activator for treatment of type 2 diabetes. IC50 value: Target: GPR40 Preparation of spiropiperidine derivatives for use as antidiabetic agents By Hamdouchi, Chafiq; Lineswala, Jayana Pankaj; Maiti, Pranab From PCT Int. Appl.

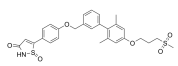


Purity: 98.81%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

GPR40 Activator 2

Cat. No.: HY-12647

GPR40 Activator 2 is a potent GPR40 activator from patents WO 2012147516 A1, WO 2012046869A1 and WO 2011078371 A1.

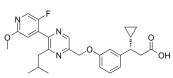


Purity: 99.63%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

GPR40 agonist 1

Cat. No.: HY-111359

GPR40 agonist 1 is a potent and novel **GPR40** full agonist with an EC_{50} of 2 nM and 17 nM for hGPR40 and rGPR40, respectively.

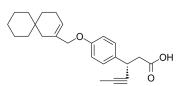


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GPR40 Agonist 2

Cat. No.: HY-U00395

GPR40 Agonist 2 is a **GPR40** agonist that can be used in the research of diabetes, extracted from patent WO2009054479A1.

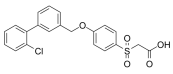


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GPR40 agonist 4

Cat. No.: HY-103083

GPR40 agonist 4 is a potent **free fatty acid receptor 1** (**FFA1/ GPR40**) agonist with a pEC_{50} of 7.54.

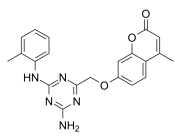


Purity: 98.69%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GPR40/FFAR1 modulator 1

Cat. No.: HY-111763

GPR40/FFAR1 modulator 1 is an agonist and an allosteric modulator for **Gq-coupled free fatty acid receptor 1 (GPR40/FFAR1)**.

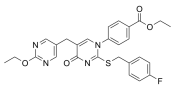


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

GW-1100

Cat. No.: HY-50691

GW-1100 is a selective **GPR40** antagonist with a **pIC_{50}** of 6.9.

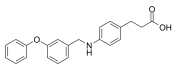


Purity: 97.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

GW9508

Cat. No.: HY-15589

GW9508 is a potent and selective **G protein-coupled receptors FFA1 (GPR40)** and **GPR120** agonist with **pEC_{50}**s of 7.32 and 5.46, respectively. GW9508 shows ~100-fold selectivity for **GPR40** over **GPR120**.

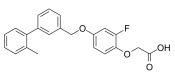


Purity: 99.64%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

HWL-088

Cat. No.: HY-130120

HWL-088 is a highly potent and orally active **free fatty acid receptor 1 (FFA1/GPR40)** agonist (**EC_{50}** of 18.9 nM) with moderate **PPARδ** activity (**EC_{50}** of 570.9 nM) . HWL-088 improves glucose and lipid metabolism, and has anti-diabetic effects.

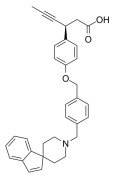


Purity: 98.80%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

LY2881835

Cat. No.: HY-108020

LY2881835 is a potent and selective agonist of G protein-coupled receptor 40 (**GPR40**). LY2881835 has efficacious and durable dose-dependent reductions in glucose levels along with significant increases in insulin and GLP-1 secretion.

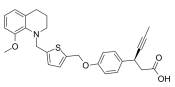


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

LY2922470

Cat. No.: HY-19835

LY2922470 is a potent, selective and orally available agonist of the **G protein-coupled receptor 40 (GPR40)**, with **EC_{50}**s of 7 nM, 1 nM and 3 nM for human GPR40, mouse GPR40 and rat GPR40, respectively.

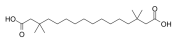


Purity: 99.87%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

MEDICA16

Cat. No.: HY-P1123

MEDICA16, an **ATP-citrate lyase** inhibitor, significantly reduces intracellular TG content in gastrocnemius muscle, and this reduction is accompanied by an increase in insulin sensitivity. MEDICA16 is a selective agonist for **GPR40** as well as selective partial agonists for **GPR120**.

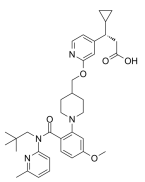


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg

SCO-267

Cat. No.: HY-132265

SCO-267 is an allosteric **GPR40** full agonist. SCO-267 can be used for the research of chronic diseases including diabetes.

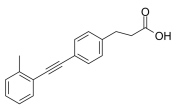


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

TUG-424

Cat. No.: HY-14363

TUG-424 is a potent and selective **free fatty acid receptor 1 (FFA1/GPR40)** agonist with an **EC_{50}** of 32 nM. TUG-424 significantly increases glucose-stimulated insulin secretion at 100 nM. TUG-424 may serve to explore the role of FFA1 in metabolic diseases such as diabetes or obesity.

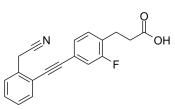


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

TUG-770

Cat. No.: HY-15697

TUG-770 is a potent, selective and orally active **GPR40/FFA1** agonist with an **EC_{50}** of 6 nM for **human FFA1**. TUG-770 shows a high selectivity for **FFA1** over FFA2, FFA3, FFA4, PPARγ, other receptors, transporters, and enzymes. TUG-770 can be uesd for type 2 diabetes research.

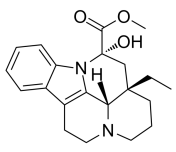


Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Vincamine

Cat. No.: HY-B1021

Vincamine is a monoterpenoid indole alkaloid extracted from the Madagascar periwinkle. Vincamine is a peripheral **vasodilator** and exerts a selective vasoregulator action on the brain microcapilar circulation.



Purity: 99.76%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg