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Inhibitors, Agonists, Screening Libraries

# GPR40

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

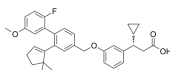
GPR40 (Free fatty acid receptor 1, FFA1) is a class A G-protein coupled receptor that in humans is encoded by the FFAR1 gene. It is strongly expressed in the cells of the pancreas and to a lesser extent in the brain. This membrane protein binds free fatty acids, acting as a nutrient sensor for regulating energy homeostasis. GPR40 is activated by medium to long chain fatty acids. GPR40 is most strongly activated by eicosatrienoic acid, but has been found to be activated by fatty acids as small as 10 carbons long. For saturated fatty acids the level of activation is dependent on the length of the carbon chain, which is not true for unsaturated fatty acids. It has been found that three hydrophilic residues (arginine-183, asparagine-244, and arginine-258) anchor the carboxylate group of a fatty acid, which activates GPR40.

## 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<sub>50</sub> of 0.16 μM.

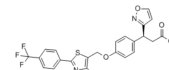


**Purity:** 99.42%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### AM-4668

Cat. No.: HY-12585

AM-4668 is a GPR40 agonist for type 2 diabetes. EC<sub>50</sub>s of 3.6 nM and 36 nM for GPR40 in A9 cells (GPR40 IP3 assay) and CHO cells (GPR40 aequorin assay), respectively.

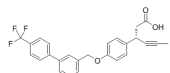


**Purity:** >99.0%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg

### AMG 837

Cat. No.: HY-13967

AMG 837 is a potent GPR40 agonist (EC<sub>50</sub>=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.

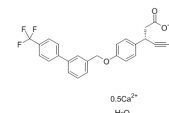


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AMG 837 calcium hydrate

Cat. No.: HY-13967B

AMG 837 calcium hydrate is a potent GPR40 agonist (EC<sub>50</sub>=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.

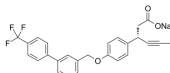


**Purity:** 97.23%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### AMG 837 sodium salt

Cat. No.: HY-13967A

AMG 837 sodium salt is a potent GPR40 agonist (EC<sub>50</sub>=13 nM) with a superior pharmacokinetic profile and robust glucose-dependent stimulation of insulin secretion in rodents.

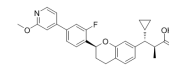


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AP5

Cat. No.: HY-112603

AP5 exhibits potent and selective agonism for the GPR40 receptor with positive allosteric modulation of endogenous ligands (AgoPAM). AP5 demonstrates a rat hIP1 EC<sub>50</sub> of 0.49±0.28 nM against the GPR40 receptor.

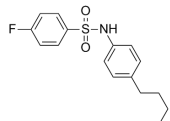


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### DC260126

Cat. No.: HY-101906

DC260126, a small-molecule antagonist of GPR40.

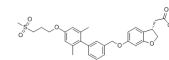


**Purity:** 99.74%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Fasiglifam (TAK-875)

Cat. No.: HY-10480

Fasiglifam (TAK-875) is a potent, selective and orally bioavailable GPR40 agonist with EC<sub>50</sub> of 72 nM.

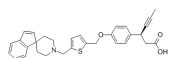


**Purity:** 98.94%  
**Clinical Data:** Phase 3  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### GPR40 Activator 1

Cat. No.: HY-13971

GPR40 Activator 1 is a potent GPR40 activator for treatment of type 2 diabetes.

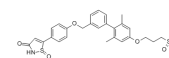


**Purity:** 98.81%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg

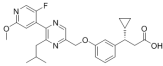
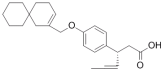
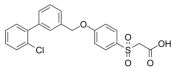
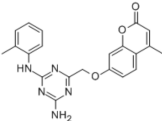
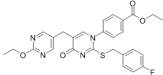
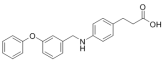
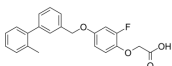
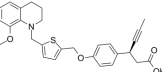
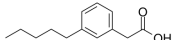
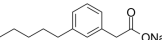
### GPR40 Activator 2

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

<p><b>GPR40 agonist 1</b></p> <p style="text-align: right;">Cat. No.: HY-111359</p> <p>GPR40 agonist 1 is a potent and novel <b>GPR40</b> full agonist with an <math>EC_{50}</math> of 2 nM and 17 nM for hGPR40 and rGPR40, respectively.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p><b>GPR40 Agonist 2</b></p> <p style="text-align: right;">Cat. No.: HY-U00395</p> <p>GPR40 Agonist 2 is a <b>GPR40</b> agonist that can be used in the research of diabetes, extracted from patent WO2009054479A1.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>GPR40 agonist 4</b></p> <p style="text-align: right;">Cat. No.: HY-103083</p> <p>GPR40 agonist 4 is a potent <b>free fatty acid receptor 1 (FFA1/ GPR40)</b> agonist with a <math>pEC_{50}</math> of 7.54.</p>  <p><b>Purity:</b> 98.97%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p><b>GPR40/FFAR1 modulator 1</b></p> <p style="text-align: right;">Cat. No.: HY-111763</p> <p>GPR40/FFAR1 modulator 1 is an agonist and an allosteric modulator for <b>Gq-coupled free fatty acid receptor 1 (GPR40/FFAR1)</b>.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>GW-1100</b></p> <p style="text-align: right;">Cat. No.: HY-50691</p> <p>GW-1100 is a selective <b>GPR40</b> antagonist with a <math>pIC_{50}</math> of 6.9.</p>  <p><b>Purity:</b> &gt;97.0%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p><b>GW9508</b></p> <p style="text-align: right;">Cat. No.: HY-15589</p> <p>GW9508 is a potent and selective <b>G protein-coupled receptors FFA1 (GPR40)</b> and <b>GPR120</b> agonist with <math>pEC_{50}</math>s of 7.32 and 5.46, respectively. GW9508 shows ~100-fold selectivity for <b>GPR40</b> over <b>GPR120</b>.</p>  <p><b>Purity:</b> 99.64%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>HWL-088</b></p> <p style="text-align: right;">Cat. No.: HY-130120</p> <p>HWL-088 (compound 7) is a highly potent <b>free fatty acid receptor 1 (FFA1/GPR40)</b> agonist bearing a phenoxyacetic acid scaffold. HWL-088 significantly improves glucose tolerance in normal and diabetic models.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p><b>LY2922470</b></p> <p style="text-align: right;">Cat. No.: HY-19835</p> <p>LY2922470 is a potent, selective and orally available agonist of the <b>G protein-coupled receptor 40 (GPR40)</b>, with <math>EC_{50}</math>s of 7 nM, 1 nM and 3 nM for human GPR40, mouse GPR40 and rat GPR40, respectively.</p>  <p><b>Purity:</b> 99.87%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Setogepram (PBI-4050)</b></p> <p style="text-align: right;">Cat. No.: HY-100775A</p> <p>Setogepram (PBI-4050) acts as an orally active agonist for <b>GPR40</b> and as an antagonist or inverse agonist for <b>GPR84</b>. Setogepram (PBI-4050) decreases renal, liver and pancreatic fibrosis. Setogepram (PBI-4050) exerts anti-fibrotic, anti-inflammatory and anti-proliferative actions.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p><b>Setogepram sodium salt (PBI-4050 sodium salt)</b></p> <p style="text-align: right;">Cat. No.: HY-100775</p> <p>Setogepram sodium salt (PBI-4050 sodium salt) acts as an orally active agonist for <b>GPR40</b> and as an antagonist or inverse agonist for <b>GPR84</b>. Setogepram sodium salt decreases renal, liver and pancreatic fibrosis.</p>  <p><b>Purity:</b> 99.65%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

### TUG-424

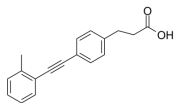
Cat. No.: HY-14363

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 highly potent free fatty acid receptor 1 (FFA1/GPR40) agonist with  $EC_{50}$  of 6 nM for hFFA1.

**Purity:** 98.52%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

