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Inhibitors, Agonists, Screening Libraries


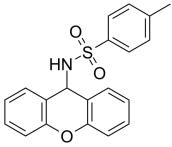
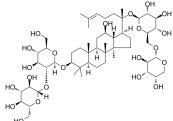
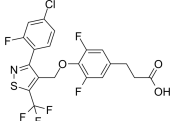
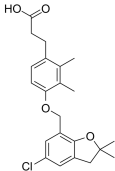
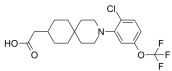
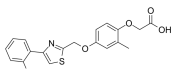
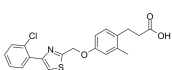
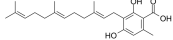
GPR120

G-protein coupled receptor 120

GPR120 (Free fatty acid receptor 4, FFA4) is a G protein-coupled receptor that acts as a sensor of long-chain fatty acids, modulates metabolism, and whose dysfunction participates in endocrine disturbances. In humans, two isoforms, long and short, of GPR120 receptors have been reported. Human GPR120 receptors couples with $G\alpha_q/11$ and activates phospholipase C, leading to the hydrolysis of phosphatidylinositol 4, 5-bisphosphate, generating inositol trisphosphate and diacylglycerol. Inositol trisphosphate triggers calcium release from intracellular stores, whereas diacylglycerol, together with calcium, activates protein kinase C.

GPR120 has been shown to be densely expressed in human lungs and colon, as well as in adipocytes and macrophages, where it recognizes long-chained FFAs including palmitic acid, oleic acid, myristic acid, and importantly, the family of polyunsaturated omega-3 fatty acids, including α -linolenic acid (ALA), eicosapentaenoic acid (EPA), and docosahexaenoic acid (DHA). Agonism of GPR120 has been shown to mediate endocrine processes including secretion of glucagon-like peptide-1 (GLP-1) and cholecystokinin from intestinal enteroendocrine cells, inhibition of ghrelin secretion from gastric ghrelin cells, and regulation of adipocyte differentiation. GPR120 agonism has been shown to modulate numerous anti-inflammatory and insulin-sensitizing effects including suppression of TNF- α and IL-6 secretion from macrophages and enhancement of GLUT4 translocation and glucose uptake in adipocytes. GPR120 is a potential novel therapeutic target for the treatment of type 2 diabetes mellitus (T2DM).

GPR120 Agonists, Antagonists, Activators & Modulators

<p>13Z,16Z-Docosadienoic acid</p> <p>Cat. No.: HY-114610</p> <p>13Z,16Z-Docosadienoic acid, a ω-6 polyunsaturated fatty acid, possesses anti-borreliae effect. 13Z,16Z-Docosadienoic acid, as a long-chain fatty acid (LCFA), is a free fatty acid receptor 4 (FFAR4 or GPR120, a LCFA receptor) agonist.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 	<p>AH-7614</p> <p>Cat. No.: HY-19996</p> <p>AH-7614 is a potent and selective FFA4 (GPR120) antagonist, with pIC_{50}s of 7.1, 8.1, and 8.1 for human, mouse, and rat FFA4, respectively. AH-7614 has selectivity for FFA4 over FFA1 ($pIC_{50} < 4.6$).</p> <p>Purity: 99.64% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p>Ginsenoside Rb2 (Ginsenoside C)</p> <p>Cat. No.: HY-N0040</p> <p>Ginsenoside Rb2 is one of the main bioactive components of ginseng extracts. Rb2 can upregulate GPR120 gene expression. Ginsenoside Rb2 has antiviral effects.</p> <p>Purity: 98.26% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg</p> 	<p>GPR120 Agonist 1</p> <p>Cat. No.: HY-108711</p> <p>GPR120 Agonist 1 is a potent and selective GPR120 agonist, and possesses promising antidiabetic effect and good safety profile to be a development candidate.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 
<p>GPR120 Agonist 2</p> <p>Cat. No.: HY-111353</p> <p>GPR120 Agonist 2 is a GPR120 agonist extracted from patent US 20110313003 A1, example 209.</p> <p>Purity: 99.07% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>GPR120 Agonist 3</p> <p>Cat. No.: HY-101492</p> <p>GPR120 Agonist 3 is a selective Gpr120 agonist with a $\log EC_{50}$ of -7.62.</p> <p>Purity: 99.42% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p>GPR120 modulator 1</p> <p>Cat. No.: HY-50162</p> <p>GPR120 modulator 1 is a G protein coupled receptor 120 (GPR120) modulator extracted from patent US8394841B2, compound example F1. GPR120 modulator 1 can be used for the research of diseases associated with abnormal or deregulated GPR120, such as diabetes.</p> <p>Purity: 98.62% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p> 	<p>GPR120 modulator 2</p> <p>Cat. No.: HY-50172</p> <p>GPR120 modulator 2 is a G protein coupled receptor 120 (GPR120) modulator extracted from patent US8394841B2, compound example F13. GPR120 modulator 2 can be used for the research of diseases associated with abnormal or deregulated GPR120, such as diabetes.</p> <p>Purity: 97.25% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p> 
<p>Grifolic acid</p> <p>Cat. No.: HY-N3977</p> <p>Grifolic acid is a phenolic compound that is first extracted from the mushroom Albatrellus confluens. Grifolic acid acts as an agonist of the free fatty acid receptor (FFAR4/GPR120).</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 1 mg</p> 	<p>GSK137647A (GSK 137647)</p> <p>Cat. No.: HY-19995</p> <p>GSK137647A is a selective FFA4 agonist, with pEC_{50} of 6.3, 6.2, and 6.1 for human, Mouse and Rat FFA4, respectively.</p> <p>Purity: 99.51% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 