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Inhibitors, Agonists, Screening Libraries

GPR119

G protein coupled receptor 119

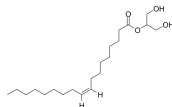
GPR119 (G protein-coupled receptor 119) is a G protein-coupled receptor that in humans is encoded by the GPR119 gene. GPR119, along with GPR55 and GPR18, have been implicated as novel cannabinoid receptors. GPR119 is expressed predominantly in the pancreas and gastrointestinal tract in rodents and humans, as well as in the brain in rodents. Activation of the receptor has been shown to cause a reduction in food intake and body weight gain in rats. GPR119 has also been shown to regulate incretin and insulin hormone secretion. As a result, new drugs acting on the receptor have been suggested as novel treatments for obesity and diabetes.

GPR119 Agonists

2-Oleoylglycerol

Cat. No.: HY-W011121

2-Oleoylglycerol is a dietary naturally occurring lipid. 2-Oleoylglycerol is a **GPR119** agonist, with an EC_{50} of 2.5 μ M for human GPR119 in transiently transfected COS-7 cells. 2-Oleoylglycerol stimulates glucagon-like peptide-1 (GLP-1) secretion in vivo.



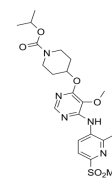
Purity: \geq 98.0%
Clinical Data: No Development Reported
Size: 5 mg (28 mM * 500 μ L in Ethanol),

APD597

(JNJ-38431055)

Cat. No.: HY-15566

APD597 is a GPR119 agonist intended for the treatment of type 2 diabetes, with EC_{50} of 46 nM for hGPR119. IC50 value: 46 nM (EC_{50}) Target: hGPR119 The design and synthesis of a second generation GPR119-agonist clinical candidate for the treatment of diabetes is described.

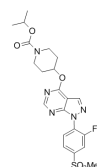


Purity: 99.97%
Clinical Data: Phase 1
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

APD668

Cat. No.: HY-15565

APD668 is a potent, selective and orally active agonist of **G-protein coupled receptor GPR119**, with EC_{50} s of 2.7 nM and 33 nM for hGPR119 and rGPR119, respectively.

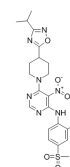


Purity: 99.71%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AR 231453

Cat. No.: HY-15564

AR 231453 is a potent, specific and orally available **GPR119** agonist. AR 231453 can stimulate β -cell replication and improve islet graft function s.

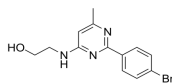


Purity: 99.84%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg

AS1269574

Cat. No.: HY-107535

AS1269574 is a potent, orally available **GPR119** agonist, with an EC_{50} of 2.5 μ M in HEK293 cells expressing human GPR119. AS1269574 activates TRPA1 cation channels to stimulate glucagon-like peptide-1 (GLP-1) secretion.

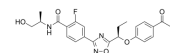


Purity: 98.76%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Firuglipel

Cat. No.: HY-109032

Firuglipel (DS-8500a) is an orally available, potent and selective **GPR119** agonist.

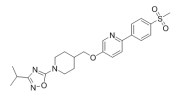


Purity: $>$ 98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK1292263

Cat. No.: HY-12066

GSK-1292263 is an orally available **GPR119** agonist with pEC_{50} s of 6.9 and 6.7 for human and rat GPR119, respectively. GSK-1292263 can be used for the research of type 2 diabetes mellitus (T2DM).

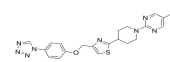


Purity: 99.71%
Clinical Data: Phase 2
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

MBX-2982

Cat. No.: HY-15291

MBX-2982 is a selective, orally-available G protein-coupled receptor 119 (**GPR119**) agonist.

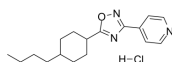


Purity: 99.54%
Clinical Data: Phase 2
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg

PSN 375963 hydrochloride

Cat. No.: HY-108258A

PSN 375963 hydrochloride is a potent **GPR119** agonist, with EC_{50} s of 8.4 and 7.9 μ M for human and mouse GPR119, respectively. PSN 375963 hydrochloride shows similar potency to the endogenous agonist oleoylethanolamide (OEA).

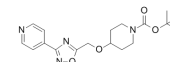


Purity: $>$ 98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PSN632408

Cat. No.: HY-16673

PSN632408, a selective, orally active **GPR119** agonist, shows similar potency to OEA at both recombinant mouse and human GPR119 receptors (EC_{50} =5.6 and 7.9 μ M, respectively). PSN632408 can stimulate β -cell replication and improve islet graft function.



Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg