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# GPR119

## G protein coupled receptor 119

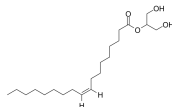
G protein-coupled receptor 119 (GPR119) is a member of the class A (rhodopsin-type) GPCR family, which is highly expressed on only a limited number of tissues, such as pancreatic  $\beta$ -cells and enteroendocrine cells of the gastrointestinal tract in humans. The activation of GPR119 has the stimulatory effects of glucose-dependent insulin secretion in pancreatic  $\beta$ -cells as well as intestinal secretion of incretin hormones including glucose-dependent insulinotropic peptide (GIP) and glucagon-like peptide 1 (GLP-1). Taken together, these effects represented a potential mechanism for modulation of glucose homeostasis and an attractive approach to the treatment of type 2 diabetes mellitus (T2DM). GPR119 can be activated by oleoylethanolamide and several other endogenous lipids containing oleic acid: these include N-oleoyl-dopamine, 1-oleoyl-lysophosphatidylcholine, generated in the tissue, and 2-oleoyl glycerol generated in the gut lumen.

## 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  $\mu$ M for human GPR119 in transiently transfected COS-7 cells. 2-Oleoylglycerol stimulates glucagon-like peptide-1 (GLP-1) secretion in vivo.



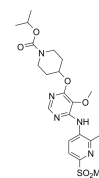
**Purity:** ≥98.0%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg (28 mM \* 500  $\mu$ L in Ethanol)

### 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 (EC50) Target: hGPR119 The design and synthesis of a second generation GPR119-agonist clinical candidate for the treatment of diabetes is described.

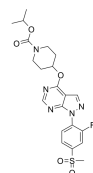


**Purity:** 99.97%  
**Clinical Data:** Phase 1  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### 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.

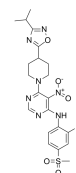


**Purity:** 99.71%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### AR 231453

Cat. No.: HY-15564

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

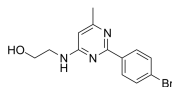


**Purity:** 99.84%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

### AS1269574

Cat. No.: HY-107535

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

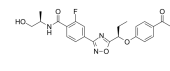


**Purity:** 98.76%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Firuglipel

Cat. No.: HY-109032

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

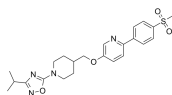


**Purity:** 99.21%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### 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).

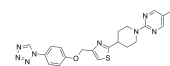


**Purity:** 99.71%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### MBX-2982

Cat. No.: HY-15291

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

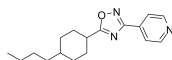


**Purity:** 99.54%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### PSN 375963

Cat. No.: HY-108258

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

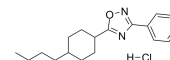


**Purity:** 98.46%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### 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  $\mu$ M for human and mouse GPR119, respectively. PSN 375963 hydrochloride shows similar potency to the endogenous agonist oleylethanolamide (OEA).

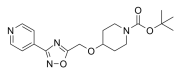


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

**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  $\mu$ M, respectively). PSN632408 can stimulate  $\beta$ -cell replication and improve islet graft function.



**Purity:** 99.64%

**Clinical Data:** No Development Reported

**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg