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Inhibitors, Screening Libraries, Proteins

GPR84

G protein coupled receptor 84

GPR84 is a G protein-coupled receptor for medium-chain fatty acids. GPR84 is a receptor for free fatty acids and can be potently activated by saturated medium-chain free fatty acids (MCFAs) like decanoic acid, undecanoic acid and lauric acid. GPR84, a receptor for medium-length free fatty acids is upregulated on protein level in LPS activated tolerant CD14⁺ monocytes and THP-1 cells.

GPR84 is activated by MCFAs with the hydroxyl group at the 2- or 3-position more effectively than nonhydroxylated MCFAs. GPR84 is now considered to be a member of FFA-sensing GPCRs. MCFAs with carbon chain lengths of 9–14 activate GPR84, coupling primarily to a pertussis toxin (PTX)-sensitive G_{i/o} pathway. GPR84 should be a proinflammatory receptor and may be a novel, attractive target for treating chronic low grade inflammation associated-diseases.

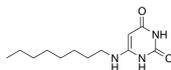
GPR84 Agonists & Antagonists

6-OAU

(GTPL5846)

Cat. No.: HY-12764

6-OAU(GTPL5846; 6-n-octylaminouracil) is a surrogate agonist of GPR84; activates human GPR84 in the presence of Gqi5 chimera in HEK293 cells with an EC50 of 105 nM in the PI assay.



Purity: 99.94%

Clinical Data: No Development Reported

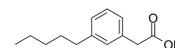
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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

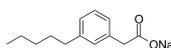
Size: 1 mg, 5 mg

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%

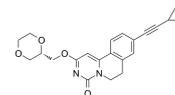
Clinical Data: Phase 3

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

GLPG1205

Cat. No.: HY-135303

GLPG1205 is potent, selective and orally active GPR84 (a G-protein-coupled receptor) antagonist with a favorable PK/PD profile. GLPG1205 has anti-inflammatory activity and is used for the treatment of pulmonary fibrosis.



Purity: 99.66%

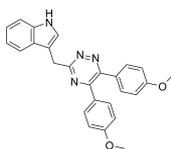
Clinical Data: Phase 2

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

GPR84 antagonist 1

Cat. No.: HY-139675

GPR84 antagonist 1 is a high affinity and highly selective competitive antagonist of human GPR84.



Purity: 99.21%

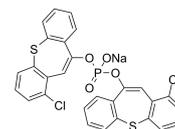
Clinical Data: No Development Reported

Size: 5 mg, 10 mg

GPR84 antagonist 2

Cat. No.: HY-145697

GPR84 antagonist 2 (compound 33) is a potent, selective, and orally active GPR84 antagonist (IC₅₀=8.95 nM). GPR84 antagonist 2 shows improved potency in the calcium mobilization assay and the ability to inhibit the chemotaxis of neutrophils and macrophages upon GPR84 activation.



Purity: >98%

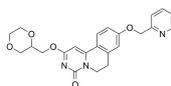
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

GPR84 antagonist 8

Cat. No.: HY-112562

GPR84 antagonist 8 is a selective GPR84 antagonist.



Purity: 99.85%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg