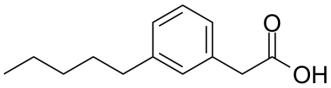
Fezagepras

Cat. No.:	HY-100775A
CAS No.:	1002101-19-0
Molecular Formula:	$C_{13}H_{18}O_2$
Molecular Weight:	206.28
Target:	GPR40; GPR84
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Fezagepras (Setogepram) acts as an orally active agonist for GPR40 and as an antagonist or inverse agonist for GPR84[1]. Fezagepras decreases renal, liver and pancreatic fibrosis[1][2]. Fezagepras exerts anti-fibrotic, anti-inflammatory and anti-proliferative actions[2].

IC_{50} & Target

GPR40, GPR84[1]

In Vitro

Fezagepras (500 μM; 24 hours) inhibits TGF-β (10 ng/mL)-activated human hepatic stellate cells (HSCs) proliferation[2].
Fezagepras (250 or 500 μM; 24hours) dose-dependently arrests HSCs at the G0/G1 phase without inducing apoptosis[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	HSCs
Concentration:	250 or 500 μM
Incubation Time:	24 hours
Result:	Inhibited TGF-β-activated HSC proliferation. TGF-β (10 ng/mL) increased HSC proliferation by 10%.

Cell Cycle Analysis[2]

Cell Line:	HSCs
Concentration:	250 μM, 500 μM
Incubation Time:	24 hours
Result:	Inhibited cell cycle progression.

In Vivo

Fezagepras (100 mg/kg/day; gavage from 8-20 weeks of age) markedly decreases hyperglycemia and markedly improvea glucose tolerance in type 2 diabetes $eNOS^{-/-}$db/db mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Type 2 diabetes eNOS$^{-/-}$db/db mice[1]
Dosage:	100 mg/kg/day
Administration:	Given via daily gavage from 8-20 weeks
Result:	Compared with vehicle-treated mice, hyperglycemia was markedly decreased, and glucose tolerance was markedly improved.

REFERENCES

[1]. Li Y, et al. Fatty acid receptor modulator PBI-4050 inhibits kidney fibrosis and improves glycemic control. JCI Insight. 2018 May 17;3(10). pii: 120365.

[2]. Grouix B, et al. PBI-4050 Reduces Stellate Cell Activation and Liver Fibrosis through Modulation of Intracellular ATP Levels and the Liver Kinase B1/AMP-Activated Protein Kinase/Mammalian Target of Rapamycin Pathway. J Pharmacol Exp Ther. 2018 Oct;367(1):71-81.

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