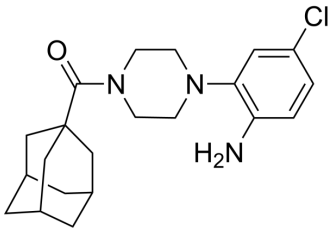
FXR agonist 4

Cat. No.:	HY-151959
Molecular Formula:	$C_{21}H_{28}ClN_3O$
Molecular Weight:	373.92
Target:	FXR
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

FXR agonist 4 (compound 10a) is an agonist of farnesoid X receptor (FXR) with an EC_{50} value of 1.05 μM. FXR agonist 4 effectively improves hyperlipidemia, hepatic steatosis, insulin resistance and hepatic inflammation in DIO mice. FXR agonist 4 can be used for the research of non-alcoholic fatty liver disease (NAFLD)[1].

In Vitro

FXR agonist 4 (10 nM-10 μM) shows FXR agonistic activity with an EC_{50} value of 1.05 μM in HEK293T cells[1].
FXR agonist 4 (1 nM-10 μM) dose-dependently increarses steroid receptor coactivator (SRC)-2 recruitment with an EC_{50} value of 1.04 μM[1].
FXR agonist 4 (0.1 nM-10 μM) activates FXR in cells with fatty accumulation[1].
FXR agonist 4 (10-50 μM; 48 h) is not toxic to HepG2 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	HepG2 cell line
Concentration:	10, 30 and 50 μM
Incubation Time:	48 hours
Result:	Showed no toxic effects to HepG2 cells at the testing dose up to 50 μM.

In Vivo

FXR agonist 4 (100 mg/kg; oral administration, once) improves hyperlipidemia, hepatic steatosis, insulin resistance and hepatic inflammation in DIO mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	High fat diet (HFD)-induced C57BL/6J obese (DIO) mice[1]
Dosage:	100 mg/kg
Administration:	Oral administration; 100 mg/kg once
Result:	Decreased blood triglyceride, total cholesterol and low-density lipoprotein cholesterol levels of DIO mice after treatment for 3 week. Significantly decreased the serum alanine aminotransferase (ALT) level and promoted cholesterol excretion after treatment for 45

	days. Increased the expression of Srebp1c, stearoyl-CoA desaturase 1 (Scd1), fatty acid synthetase (Fasn), Diac ylgycerol Acyltransferase 2 (Dgat2), 3 Hydroxy-3-methylglutaryl Coenzyme A Reductase (Hmgcr) and sterol regulatory element binding protein 2 (Srebp2). Improved insulin sensitivity of DIO mice. Reduced mRNA levels of interleukin 1 beta (Il-1β), Il5, Il6, cluster of differentiation 36 (Cd36), inducible nitric oxide synthase (iNOS) and mouse EGF-like module-containing mucin-like hormone receptor-like 1 (F4/80).

REFERENCES

[1]. Qin T, et al. Structural optimization and biological evaluation of 1-adamantylcarbonyl-4-phenylpiperazine derivatives as FXR agonists for NAFLD. Eur J Med Chem. 2023 Jan 5;245(Pt 1):114903.

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