PPAR agonist 4

Cat. No.: HY-163443

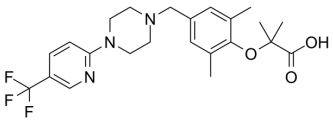
Molecular Formula: $C_{23}H_{28}F_3N_3O_3$

Molecular Weight: 451.48

Target: PPAR

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PPAR agonist 4 (Compound 12) is an orally active agonist for peroxisome proliferator-activated receptor (PPAR), which activates PPARα, PPARδ and PPARγ with EC_{50}s of 0.7, 0.7 and 1.8 μM, respectively. PPAR agonist 4 exhibits anti-liver fibrosis efficacy[1].

IC_{50} & Target

PPARα	PPARδ	PPARγ
0.7 μM (EC50)	0.7 μM (EC50)	1.8 μM (EC50)

In Vitro

PPAR agonist 4 (3-10 μM) dose-dependently upregulates expressions of PPARα/δ target genes CPT1A, PDK4 and ANGPTL4 in HepG2 cells and PPARγ target genes CD36 and FABP4 in HEK293 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	HepG2 and HEK293
Concentration:	3-10 μM
Incubation Time:	12 h
Result:	Upregulated levels of CPT1A, PDK4 and ANGPTL4, downregulated levels of CD36 and FABP4.

In Vivo

PPAR agonist 4 (3-30 mg/kg, p.o. for 3 weeks) ameliorates collagen deposition and suppressees inflammatory cell infiltration in the CCl_4-induced liver fibrosis mouse model[1].

Pharmacokinetic Analysis of PPAR agonist 4 in Sprague-Dawley rats[1]

route	Dose (mg/kg)	$T_{1/2}$ (h)	MRT (h)	C_{max} (μM)	AUC_{0-t} (h·μM)	F (%)
i.v.	2	9.7	6.8	12.2	59.8	-
p.o.	10	17.0	10.1	15.9	274.5	91.8

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CCL_4-induced liver fibrosis in C57BL/6 mice[1]
Dosage:	3-30 mg/kg
Administration:	p.o., once a day for 3 weeks
Result:	Reduced levels of collagen and α-SMA, reduced accumulation of collagen and inflammatory cell infiltration in the portal area. Upregulated the expression of PPARs target genes (Cpt1a, Cpt2, Angptl4 and Cd36).

REFERENCES

[1]. Sun G, et al., Design, synthesis, and biological evaluation of piperazine derivatives as pan-PPARs agonists for the treatment of liver fibrosis. Eur J Med Chem. 2024 Apr 5;269:116344.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA