CP-868388 free base

Cat. No.: HY-116699

CAS No.: 702681-67-2

Molecular Formula: $C_{26}H_{33}NO_5$

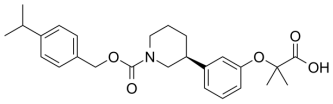
Molecular Weight: 439.54

Target: PPAR

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (284.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2751 mL	11.3755 mL	22.7511 mL
	5 mM	0.4550 mL	2.2751 mL	4.5502 mL
	10 mM	0.2275 mL	1.1376 mL	2.2751 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CP-868388 free base is a potent, selective and orally active PPARα agonist with a K_i value of 10.8 nM. CP-868388 free base has little or no affinity for PPARβ (K_i of 3.47 μM) and PPARγ. CP-868388 free base has hypolipidemic and anti-inflammatory actions[1].

IC_{50} & Target

hPPARα	hPPARγ
10.8 nM (Ki)	3.47 μM (Ki)

In Vitro

CP-868388 (0-1 mM) displays robust and dose-dependent recruitment of SRC-1 (EC_{50} of 4.7 nM) and PGC-1α peptide[1].

CP-868388 demonstrate robust and selective transcriptional activation of PPARα with an EC_{50} of 18 nM in HepG2 cells[1].

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

In Vivo

CP-868388 (0-3 mg/kg; oral gavage; once daily; for 2 days; male B6/CBF1J mice) treatment shows a robust and highly significant decrease in circulating plasma triglycerides. Triglyceride lowering is dose-dependent with the greatest efficacy achieved at the 3.0 mg/kg dose, with triglyceride decreases of ~50%[1].

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

Animal Model:	Male B6/CBF1J mice[1]
Dosage:	0 mg/kg, 0.3 mg/kg, 1 mg/kg, 3 mg/kg
Administration:	Oral gavage; once daily; for 2 days
Result:	Demonstrated a robust and highly significant decrease in circulating plasma triglycerides.

REFERENCES

[1]. Christopher D Kane, et al. Molecular characterization of novel and selective peroxisome proliferator-activated receptor alpha agonists with robust hypolipidemic activity in vivo. Mol Pharmacol. 2009 Feb;75(2):296-306.

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