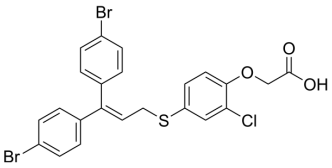
PPARδ agonist 10

Cat. No.:	HY-121542
CAS No.:	685139-10-0
Molecular Formula:	$C_{23}H_{17}Br_2ClO_3S$
Molecular Weight:	568.71
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

PPARδ agonist 10 (compound 7) is an orally active, selective, and partial agonist of PPARδ, with EC_{50} values of 0.053 μM and 0.30 μM for hPPARδ(LBD)-GAL4 and mPPARδ, respectively. PPARδ agonist 10 is a partial PPARδ agonist in transactivation assay but a full agonist on free fatty acids (FFA) oxidation in muscle cells both in vitro and in vivo. PPARδ agonist 10 can be used for dyslipidemia research[1].

IC_{50} & Target

PPARδ	PPARδ
0.053 μM (EC50, hPPARδ)	0.30 μM (EC50, mPPARδ)

In Vitro

PPARδ agonist 10 (compound 7) increases fatty acid oxidation in rat L6 muscle cells, with EC_{50} of 30 nM[1].

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

In Vivo

PPARδ agonist 10 (compound 7) changes plasma lipid parameters in a dose-dependent manner to a less atherogenic profile [1].

PPARδ agonist 10 (5-20 mg/kg, PO, once daily) shows good oral pharmacokinetic properties in rat[1].

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

Animal Model:	ApoB100/CETP-Tgn mice (female, 9-11 weeks old, with a mean body weight of 19.2 g±1.4, n=8/group, were fed a high fat diet)[1]
Dosage:	5, 10, and 20 mg/kg
Administration:	PO, once daily, for 6 weeks
Result:	Changed plasma lipid parameters in a dose-dependent manner to a less atherogenic profile, with increased HDL and decreased LDL and TG. HbA1c levels were lowered.

Animal Model:	Male SD rats[1]
Dosage:	1.06 mg/kg (IV)/2.06 mg/kg (PO)
Administration:	p.o. and i.v., single (Pharmacokinetic Analysis)

Result: Pharmacokinetic Parameters of PPARδ agonist 10 in male Sprague-Dawley rats[1].

	IV (1.06 mg/kg)	PO (2.06 mg/kg)
C_{max} (ng/mL)		508
AUC_{0-24} (ng/mL·min)		79294
$t_{1/2}$ (min)		59
CL (mL/min/kg)	11.9	
Vd, ss (L/kg)	0.3	
F (%)		46%

REFERENCES

[1]. Sauerberg P, et al. Identification and synthesis of a novel selective partial PPARdelta agonist with full efficacy on lipid metabolism in vitro and in vivo. J Med Chem. 2007 Apr 5;50(7):1495-503.

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