PPARγ phosphorylation inhibitor 1

Cat. No.: HY-147705

CAS No.: 2882975-84-8

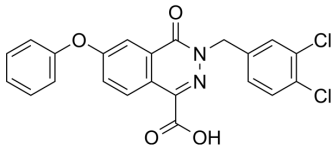
Molecular Formula: $C_{22}H_{14}Cl_2N_2O_4$

Molecular Weight: 441.26

Target: PPAR

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

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



BIOLOGICAL ACTIVITY

Description

PPARγ phosphorylation inhibitor 1 (Compound 10) is a potent PPARγ binder with the IC_{50} of 24 nM. PPARγ phosphorylation inhibitor 1 inhibits CDK5-mediated phosphorylation of PPARγ Ser273 with the IC_{50} of 160 nM. PPARγ phosphorylation inhibitor 1 displays negligible PPARγ agonism in a reporter gene assay. Antidiabetic effects[1].

IC_{50} & Target

PPARγ
24 nM (IC_{50})

In Vivo

PPARγ phosphorylation inhibitor 1 (compound 10) (100 μM/kg; i.g.; lean C57/BL6 mice) has a PK profile amenable to in vivo administration[1].
PPARγ phosphorylation inhibitor 1 (compound 10) (10-100 μM/kg; i.g.; daily, for 7 days) demonstrates a modest improvement of insulin sensitivity in ob/ob mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Lean C57/BL6 mice[1]
Dosage:	100 μM/kg
Administration:	Oral gavage
Result:	Exhibited good pharmacokinetic profiles with oral bioavailability (109%), C_{max} (167 μM) and $t_{1/2}$ (5 h).

Animal Model:	ob/ob mouse model of diabetes[1]
Dosage:	10 and 100 μM/kg
Administration:	Oral gavage; Daily, for 7 days
Result:	Improved insulin sensitivity and did not impact the body weight.

REFERENCES

[1]. Gavin O'Mahony, et al. Discovery by Virtual Screening of an Inhibitor of CDK5-Mediated PPARγ Phosphorylation. ACS Med Chem Lett. 2022 Mar 11;13(4):681-686.

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