Chiglitazar

Cat. No.: HY-106266

CAS No.: 743438-45-1

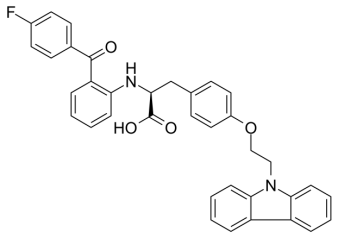
Molecular Formula: $C_{36}H_{29}FN_2O_4$

Molecular Weight: 572.62

Target: PPAR

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

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (174.64 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7464 mL	8.7318 mL	17.4636 mL
	5 mM	0.3493 mL	1.7464 mL	3.4927 mL
	10 mM	0.1746 mL	0.8732 mL	1.7464 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Chiglitazar (Carfloglitazar) is a PPARα/γ dual agonist, with EC_{50}s of 1.2, 0.08, 1.7 μM for PPARα, PPARγ and PPARδ, respectively.

IC_{50} & Target

PPARα	PPARγ	PPARδ
1.8 μM (EC50)	0.08 μM (EC50)	1.7 μM (EC50)

In Vitro

Comparative dose-response study of Chiglitazar is performed with rosiglitazone and pioglitazone for PPARγ, and WY14643 for PPARα. Chiglitazar shows significant activation of both the isoforms. Chiglitazar shows weaker PPARγ activating activity than rosiglitazone, but stronger than pioglitazone. In terms of PPARα activation, Chiglitazar shows more potent activity than rosiglitazone, pioglitazone, or WY14643 which is a selective PPARα agonist[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

After insulin injection, plasma glucose levels in the MSG rats treated with Chiglitazar or rosiglitazone are significantly

reduced compared with the control group treated with vehicle at all time points. Fasting PI levels are lower in animals treated with Chiglitazar and rosiglitazone than control. The ISIs of MSG obese rats treated with chiglitazar and rosiglitazone are significantly higher than control. Furthermore, Chiglitazar ameliorates the HOMA indices. For IPGTT, at the 30 min after glucose loading, the glucose values in the 5 and 10 mg /kg Chiglitazar and rosiglitazone-treatment groups are significantly lower than those in the vehicle treatment group. The integrated for the glucose response during the IPGTT in the treatment groups are significantly less than those in the control groups[1].

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PROTOCOL

Animal Administration [1]

Rats[1]

MSG obese rats (6 months old) are sorted into five treatment groups (n=10 each, male and female in half) based on decreased blood glucose in the insulin tolerance test, glucose levels, blood total triglyceride (TG), total cholesterol (TCHO), and initial body weight. From the next day, MSG obese rats receive single daily oral treatment with Chiglitazar (5, 10, and 20 mg kg^{-1} day^{-1}, respectively), rosiglitazone (5 mg kg^{-1} day^{-1}) or vehicle (water, 0.05% Tween 80) for 40 days. Normal wistar rats (n=10) serve as a normal group are treated with vehicle[1].

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

REFERENCES

[1]. Li PP, et al. The PPARalpha/gamma dual agonist chiglitazar improves insulin resistance and dyslipidemia in MSG obese rats. Br J Pharmacol. 2006 Jul;148(5):610-8.

[2]. He BK, et al. In Vitro and In Vivo Characterizations of Chiglitazar, a Newly Identified PPAR Pan-Agonist. PPAR Res. 2012;2012:546548.

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