CL 316243

Cat. No.: HY-116771A

CAS No.: 138908-40-4

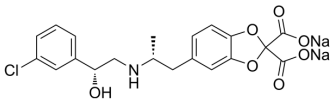
Molecular Formula: $C_{20}H_{18}ClNNa_2O_7$

Molecular Weight: 466

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 11.79 mg/mL (25.30 mM; ultrasonic and warming and adjust pH to 11 with NaOH and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1459 mL	10.7296 mL	21.4592 mL
5 mM	0.4292 mL	2.1459 mL	4.2918 mL
10 mM	0.2146 mL	1.0730 mL	2.1459 mL

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

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (214.59 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

CL316243 is a highly potent selective β3-adrenoceptor agonist with a EC_{50} of 3 nM, but is an extremely poor to β1/2-receptors[1].CL316243 is a effective stimulant of adipocyte lipolysis and increases brown adipose tissue thermogenesis and metabolic rate[2]. CL316243 has the potential for the treatment obesity, diabetes and urge urinary incontinence[3].

IC_{50} & Target

β adrenergic receptor

In Vitro

CL 316243 displays binding affinities with IC_{50} values of 0.6 μM and 1 μM for rat heart and rat soleus muscle respectively[1].
CL 316243 inhibits spontaneously contracting, isolated rat detrusor strips in a concentration dependent manner with a mean concentration inhibiting 50% of maximal response of 2.65 nM[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

CL316243 disodium (subcutaneously injection; 0.1 mg/kg/day; once a day; 1 weeks) elevates the mRNA and protein expression levels of UCP1 in BAT, irrespective of diet[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6J mice fed with high-fat diets (HFD; 45%-kcal fat) or a control diet (ND; 10%-kcal fat) for 14 weeks[2]
Dosage:	0.1 mg/kg/day
Administration:	once a day; 1 weeks
Result:	Exhibited a premium effect of obesity in mice.

CUSTOMER VALIDATION

- Redox Biol. 2024 Feb, 69, 103013.
- Food Res Int. 2022: 112198.
- Mol Metab. 2021 Dec 22;101423.
- Phytomedicine. 2022: 154563.
- Diabetes. 2023 Feb 22;db220680.

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REFERENCES

[1]. Bloom JD, et al. Disodium (R,R)-5-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]-amino] propyl]-1,3-benzodioxole-2,2-dicarboxylate (CL 316,243). A potent beta-adrenergic agonist virtually specific for beta 3 receptors. A promising antidiabetic and antiobesity agent.J Med Chem. 1992 Aug 7;35(16):3081-4.

[2]. Shin W, et al. Impaired adrenergic agonist-dependent beige adipocyte induction in obese mice.J Vet Med Sci. 2019 Jun 6;81(6):799-807.

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