CL 316243

Cat. No.: HY-116771A

CAS No.: 138908-40-4

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

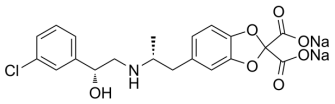
Molecular Weight: 465.79

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : 83.33 mg/mL (178.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1469 mL	10.7345 mL	21.4689 mL
	5 mM	0.4294 mL	2.1469 mL	4.2938 mL
	10 mM	0.2147 mL	1.0734 mL	2.1469 mL

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

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

EC50: 3 nM (β3-adrenoceptor)[1]

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.

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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