THIQ

Cat. No.: HY-10624

CAS No.: 312637-48-2

Molecular Formula: $C_{33}H_{41}ClN_6O_2$

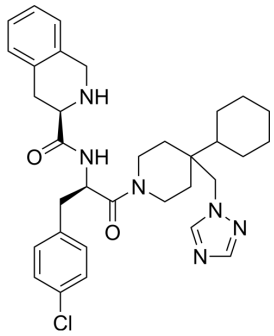
Molecular Weight: 589.17

Target: Melanocortin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (424.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6973 mL	8.4865 mL	16.9730 mL
	5 mM	0.3395 mL	1.6973 mL	3.3946 mL
	10 mM	0.1697 mL	0.8487 mL	1.6973 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: ≥ 2.08 mg/mL (3.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

THIQ is the first selective agonist of the melanocortin-4 receptor (MC4R), with high affinity and potency for hMC4R (IC_{50}=1.2 nM, EC_{50}=2.1 nM) and rMC4R (IC_{50}=0.6 nM, EC_{50}=2.9 nM). THIQ maintains low potency at MC1R, MC3R and MC5R. THIQ plays a role in eliciting erectile activity in rodents. THIQ acts as a pharmacoperone of the MC4R rescuing the cell surface expression and signaling of some intracellularly retained MC4R mutants[1][2].

IC_{50} & Target

MC4R

In Vitro

THIQ maintains low potency at human MC1R, MC3R and MC5R with IC_{50}s of 2067, 761, 326 nM and EC_{50}s of 2850, 2487, 737 nM, resepectively. THIQ maintains low potency at rat MC3R and MC5R with IC_{50}s 1883 and 1575 nM, and EC_{50}s of 1325 and >3000 nM, respectively[1].

	THIQ (10 μM; 24 hours) decreases the signal intensity of WT MC4R by approximately 50% whereas increases that of three mutants (N62S, C84R, and C271Y) in HEK293 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	THIQ (0.3-10 mg/kg; i.v.) dose-dependently increases erections (ED_{50}=0.87 mg/kg) in sexually mature male Sprague Dawley rats. The maximal increase in the number of erections (60%) is detected at 5 mg/kg but was not significantly different from that produced by 1 mg/kg. THIQ (20 mg/kg; p.o.) also produces statistically significant increases in erectile responses with a mean increase of 31±4%[1]. THIQ treatment shows the $t_{1/2}$ is 0.6 hours in Sprague-Dawley rats (1 mg/kg, i.v. and 10 mg/kg, p.o.)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Pathol Res Pract. 27 November 2021, 153717.

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REFERENCES

[1]. Sebhat IK, et al. Design and pharmacology of N-[(3R)-1,2,3,4-tetrahydroisoquinolinium- 3-ylcarbonyl]-(1R)-1-(4-chlorobenzyl)- 2-[4-cyclohexyl-4-(1H-1,2,4-triazol- 1-ylmethyl)piperidin-1-yl]-2-oxoethylamine (1), a potent, selective, melanocortin subtype-4 receptor agonist. J Med Chem. 2002 Oct 10;45(21):4589-93.

[2]. Huang H, et al. A small molecule agonist THIQ as a novel pharmacoperone for intracellularly retainedmelanocortin-4 receptor mutants. Int J Biol Sci. 2014 Jul 20;10(8):817-24.

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