Quipazine dimaleate

Cat. No.: HY-101046

CAS No.: 150323-78-7

Molecular Formula: $C_{21}H_{23}N_3O_8$

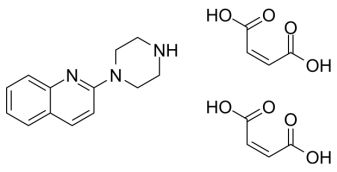
Molecular Weight: 445.42

Target: 5-HT Receptor; SARS-CoV

Pathway: GPCR/G Protein; Neuronal Signaling; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (561.27 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2451 mL	11.2254 mL	22.4507 mL
	5 mM	0.4490 mL	2.2451 mL	4.4901 mL
	10 mM	0.2245 mL	1.1225 mL	2.2451 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Quipazine dimaleate is a 5-HT agonist with a K_i value of 1.4 nM for displaces [3H]GR65630 from 5-HT3R in rat. Quipazine dimaleate shows antiviral activity against SARS-CoV-2 with an EC_{50} of 31.64 μM. Quipazine dimaleate behaves as a 5-HT3R antagonist in peripheral models. Quipazine dimaleate can be used for neurological disease research[1][2][3][4].

In Vitro

Quipazine dimaleate shows binding efficacy to 5-HT1 and 5-HT2 with K_i values of 230 nM[3].
Quipazine dimaleate displaces [3H]GR65630 from 5-HT3R in rat entorhinal cortex with a K_i value of 1.4 nM[3].
Quipazine dimaleate shows antagonistic properties on the rat vagus nerve with pIC_{50} value of 6.1, 6.49 and 6.17 for 5-HT2, 5-HT1 and inhibition of 5-HT release[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Quipazine dimaleate (2.5, 5 and 7.5 mg/kg, one dose for once; i.p.) affects dietary self-selection of different macronutrient diets in male and female rats[1].

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

Animal Model:	Male and female Wistar rats feed with different sources of the three macronutrients (Group 1: casein, corn starch, safflower oil. Group 2: egg protein, corn starch/sucrose, lard. Group S: casein hydrolysate, maltose dextrin, butter.)[1]
Dosage:	2.5, 5 and 7.5 mg/kg
Administration:	Intraperitoneal injection; 2.5, 5 and 7.5 mg/kg, one dose for once
Result:	Increased water intake and food intake of male rats from Group S, and reduced food intake of female rats from Group 1 and Group 2s at 2h post-injection. Reduced food intake in female rats from Group 2, reduced protein intake in female rats from Group 1.

REFERENCES

[1]. Mok E, et al. Effect of quipazine, a selective 5-HT3 agonist, on dietary self-selection of different macronutrient diets in male and female rats. Appetite. 2000 Jun;34(3):313-25.

[2]. Günther S, et al. X-ray screening identifies active site and allosteric inhibitors of SARS-CoV-2 main protease. Science. 2021 May 7;372(6542):642-646.

[3]. Glennon RA, et al. 5-HT1 and 5-HT2 binding characteristics of some quipazine analogues. J Med Chem. 1986 Nov;29(11):2375-80.

[4]. Ireland SJ, Tyers MB. Pharmacological characterization of 5-hydroxytryptamine-induced depolarization of the rat isolated vagus nerve. Br J Pharmacol. 1987 Jan;90(1):229-38.

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