Niaprazine

Cat. No.: HY-105542

CAS No.: 27367-90-4

Molecular Formula: $C_{20}H_{25}FN_4O$

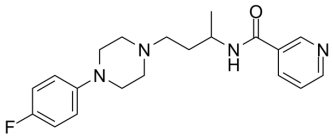
Molecular Weight: 356.44

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

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 : 100 mg/mL (280.55 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8055 mL	14.0276 mL	28.0552 mL
	5 mM	0.5611 mL	2.8055 mL	5.6110 mL
	10 mM	0.2806 mL	1.4028 mL	2.8055 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: ≥ 0.83 mg/mL (2.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.83 mg/mL (2.33 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (2.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Niaprazine is a histamine H1-receptor antagonist. Niaprazine has antihistamine and antiserotonin activities and can be used for sleep disorder research[1][2].

In Vitro

Niaprazine exhibits a low affinity for the vesicular monoamine transporter and for D2, α2, β, H1 and mAch receptors. Niaprazine, particularly the (+)stereoisomer, has a higher affinity for α1 (Ki = 77 nM) and 5-HT2 (Ki = 25 nM) binding sites, but is poorly recognized by 5-HT1A and 5-HT1B binding sites[2].

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

In Vivo

Niaprazine (60 mg/kg; i.p.; once) treatment increases rat brain 5-hydroxyindole acetic acid (5-HIAA) concentrations 30 min after treatment, and reduced them at 3-8 hr after treatment. Niaprazine also produces a short-lasting depletion of rat brain noradrenaline (NA) and dopamine (DA)[3].

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

Animal Model:	Male Sprague-Dawley rats (150-200 g)[3]
Dosage:	60 mg/kg
Administration:	Intraperitoneal injection; once
Result:	Increased rat brain 5-hydroxyindole acetic acid (5-HIAA) concentrations 30 min after treatment, and reduced them at 3-8 hr after treatment.

REFERENCES

[1]. D Scherman, et al. Molecular pharmacology of niaprazine. Prog Neuropsychopharmacol Biol Psychiatry. 1988;12(6):989-1001.

[2]. P G Rossi, et al. Niaprazine in the treatment of autistic disorder. J Child Neurol. 1999 Aug;14(8):547-50.

[3]. P E Keane, et al. The effect of niaprazine on the turnover of 5-hydroxytryptamine in the rat brain. Neuropharmacology. 1982 Feb;21(2):163-9.

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