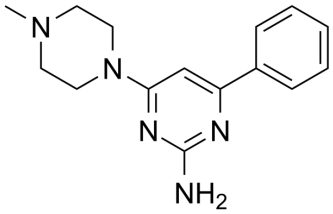
VUF10460

Cat. No.:	HY-101420
CAS No.:	1028327-66-3
Molecular Formula:	$C_{15}H_{19}N_5$
Molecular Weight:	269.34
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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (371.28 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7128 mL	18.5639 mL	37.1278 mL
5 mM	0.7426 mL	3.7128 mL	7.4256 mL
10 mM	0.3713 mL	1.8564 mL	3.7128 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: ≥ 2.5 mg/mL (9.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	VUF10460 is a non-imidazole histamine H4 receptor agonist; binds to rat H4 receptor with a pK_i of 7.46.
IC_{50} & Target	pKi: 7.46 (H4)[1]
In Vitro	UF10460 binds to rat H3 and H4 receptor with pK_i values of 5.75, and 7.46, respectively. VUF10460 displays approximately a 50-fold selectivity for the rat H4 receptor over the H3 receptor[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	HCl-induced rat gastric lesions is significantly enhanced by the H4 receptor agonists VUF10460. This effect is not modified by H4 receptor antagonist JNJ7777120[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: VUF10460 is dissolved in 100% DMSO. Gastric lesions are induced in 24 h fasted rats by a single intragastric administration of 0.6 N HCl (5mL/kg volume). Drugs under study are administered subcutaneously 30 min before HCl. Rats are randomly divided to receive single doses (10 and/or 30 mg/kg) of immethridine, methimepip, immepip, VUF8430, VUF10460 or the vehicle, in a 1 mL/kg volume[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Coruzzi G, et al. Selective histamine H3 and H4 receptor agonists exert opposite effects against the gastric lesions induced by HCl in the rat stomach. Eur J Pharmacol. 2011 Nov 1;669(1-3):121-7.

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