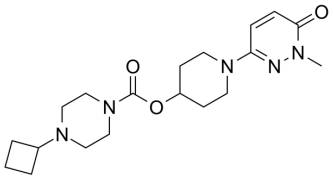
LML134

Cat. No.:	HY-128656
CAS No.:	1542135-76-1
Molecular Formula:	$C_{19}H_{29}N_5O_3$
Molecular Weight:	375.47
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 : 12.5 mg/mL (33.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6633 mL	13.3166 mL	26.6333 mL
	5 mM	0.5327 mL	2.6633 mL	5.3267 mL
	10 mM	0.2663 mL	1.3317 mL	2.6633 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: ≥ 1.25 mg/mL (3.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LML134 (compound 18b) is an orally active and high selective Histamine 3 receptor (H3R) inverse agonist with K_is of 0.3 nM and 12 nM for hH3R cAMP and hH3R bdg. LML134 penetrates the brain rapidly, leading to high H3R occupancy, and disengages its target with a fast kinetic profile. LML134 has the potential for excessive sleep disorders[1].

IC_{50} & Target

H_3 receptor

In Vivo

LML134 (compound 18b) (oral; 10 mg/kg) indicates rapid oral absorption, with a T_{max} of 0.5 hours, $t_{1/2}$ of 1.54 hours and a

fraction absorbed of 44%, as well as a rapid clearance in male Sprague-Dawley rats[1].

LML134 (i.v.; 1 mg/kg) has $t_{1/2}$ of 0.44 hours, CL of 28 mL/min/kg and the low plasma protein binding in male Sprague-Dawley rat (Fu =39.0%)[1].

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

REFERENCES

[1]. Troxler T, et al. The Discovery of LML134, a Histamine H3 Receptor Inverse Agonist for the Clinical Treatment of Excessive Sleep Disorders. ChemMedChem. 2019 Jul 3;14(13):1238-1247.

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