

Product Data Sheet

Product Name: LML134
Cat. No.: GC38450

Chemical Properties

Cas. No. 1542135-76-1

SMILES O=C(OC1CCN(C2=NN(C)C(C=C2)=O)CC1)N3CCN(C4CCC4)CC3

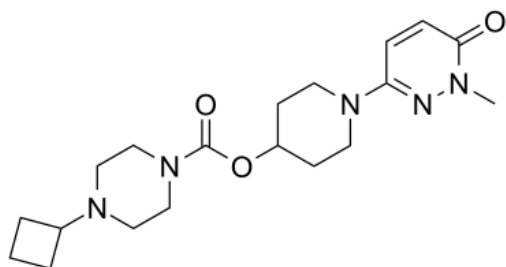
Formula $C_{19}H_{29}N_5O_3$ M.Wt 375.47

Solubility DMSO: 12.5 mg/mL (33.29 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

LML134 (compound 18b) is an orally active and high selective Histamine 3 receptor (H3R) inverse

Caution: Product has not been fully validated for medical applications. For research use only.

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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 is for the treatment of excessive sleep disorders[1].

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 ($F_u = 39.0\%$)[1].

[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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