

Product Data Sheet

Product Name: H3R antagonist 1

Cat. No.: GC72831

Chemical Properties

Cas. No. 1448422-61-4

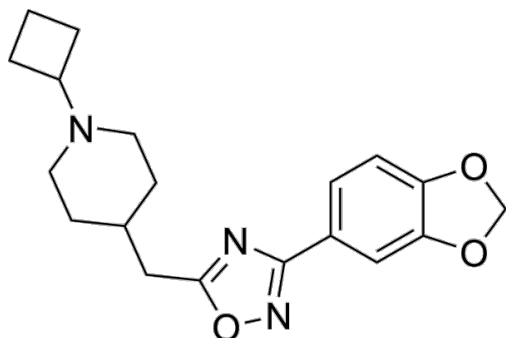
Formula $C_{19}H_{23}N_3O_3$ M.Wt 341.4

Solubility DMSO : 100 mg/mL (292.91 mM; Need ultrasonic) Storage 4°C, sealed storage, away from moisture

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

H3R-IN-1 is a histamine receptor 3 (H3R) inverse agonist extracted from patent WO2013107336A1,

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compound example 2.

Treatment with H3R-IN-1, which is a H3R inverse agonist, promotes oligodendrocyte precursor cell (OPC) differentiation in a dose-dependent manner, at EC₅₀=25 nM. Western blot reveals a significant increase in expression levels of two markers of mature oligodendrocytes, myelin-associated glycoprotein (MAG) and myeline basic protein (MBP) in differentiating oligodendrocytes after treatment with H3R-IN-1, which suggests that treatment with H3R-IN-1 drives more OPCs to differentiate. H3R-IN-1 increases the Forskolin-stimulated cAMP level in the primary oligodendrocyte precursor cells in a dose-dependent manner[1].

The ability of H3R-IN-1 to enhance in vivo remyelination is determined with the Cuprizone/Rapamycin-induced demyelination model. Mice are treated with Cuprizone diet combined with intraperitoneal injections of Rapamycin for 5 weeks followed by 9 days of compound administration. Cuprizone diet plus intraperitoneal injections of Rapamycin induced severe demyelination in both corpus callosum and cortex and treatment with H3R-IN-1 (30 mg/kg, 9 days) significantly increases density of myelin specific Black-gold II staining in the lesion of corpus callosum and cortex in forebrain, compared to vehicle control group[1].

References:

[1]. WANG, Rong, et al. THERAPEUTIC USES. WO2013107336A1.

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