# Product Data Sheet

Product Name: H3R-IN-1 Hydrochloride
Cat. No.: GC34338

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | | | |
| SMILES | [H]Cl.N1(C2CCC2)CCC(CC3=NC(C4=CC=C(OCO5)C5=C4)=NO3)CC1 | | |
| Formula | $C_{19}H_{24}ClN_3O_3$ | M.Wt | 377.87 |
| Solubility | DMSO : 25 mg/mL (66.16 mM) | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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 | H3R-IN-1 Hydrochloride | | |

## Protocol

**Animal experiment:**

Mice[1]The C57BL/6 mice at age of 8 weeks are fed with powder mouse food mixed freshly with 0.2% Cuprizone (w/w) and receive daily intraperitoneal injection of Rapamycin (10 mg/kg body weight) for 5 weeks to induce demyelination, then animals are allowed to recover (removal of Cuprizone from the diet and Rapamycin injection) and administrated with H3R-IN-1, at 30 mg/kg body weight orally, b.i.d. for an additional 9 days prior to sacrifice. The brain samples are collected for pathologic analysis[1].


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References:

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

## Background

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

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