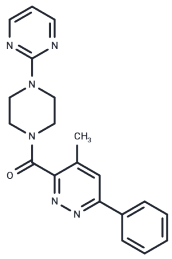
# Data Sheet (Cat.No.T4450)

TargetMol

## GIBH-130

### Chemical Properties



CAS No. : 1252608-59-5

Formula: C20H20N6O

Molecular Weight: 360.41

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

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|---|---|
| Description | GIBH-130 markedly inhibits the IL-1β secretion by activated microglia (IC50: 3.4 nM). GIBH-130 is an effective inhibitor of neuroinflammation. |
| Targets(IC50) | IL Receptor,Interleukin,TNF |
| In vitro | GIBH-130 is a novel anti-neuroinflammatory agent that is identified through microglia-based phenotypic screenings. GIBH-130 (IC50 3.4 nM) is identified in screenings as one of the most effective inhibitors with an acceptable half-life. Pretreatment of microglia with GIBH-130 significantly reduces the production of these factors in response to Lipopolysaccharides (LPS) stimulation, and the extent of the reduction is dependent on the concentrations of GIBH-130. GIBH-130 has weak inhibition for NO (IC50: 46.24 μM) and TNF-α (IC50: 40.82 μM). Notably, pretreatment with GIBH-130 significantly suppresses the IL-1β secretion by activated microglia (IC50: 3.4 nM). The inhibitory efficiency of GIBH-130 (20 nM) is comparable to 20 μM minocycline against IL-1β release. IL-1β is one of the major cytokines during the neuroinflammatory progression of the AD [1]. |
| In vivo | In both β amyloid-induced and APP/PS1 double transgenic Alzheimer's murine models, GIBH-130 (0.25 mg/kg) has comparable in vivo efficacy of cognitive impairment relief to donepezil and memantine respectively. As a potential drug candidate targeting in CNS, GIBH-130 is found to be orally bioavailable in rats, with 74.91% bioavailability and 4.32 h half-life. In addition, GIBH-130 displays good penetration ability across blood-brain barrier (AUCBrain/Plasma=0.21)[1]. |

### Solubility Information

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|---|---|
| Solubility | H2O: Insoluble,<br>DMSO: 27.5 mg/mL (76.3 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.55 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.7746 mL | 13.8731 mL | 27.7462 mL |
| 5 mM | 0.5549 mL | 2.7746 mL | 5.5492 mL |
| 10 mM | 0.2775 mL | 1.3873 mL | 2.7746 mL |
| 50 mM | 0.0555 mL | 0.2775 mL | 0.5549 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zhou W, Zhong G, Fu S, et al. Microglia-Based Phenotypic Screening Identifies a Novel Inhibitor of Neuroinflammation Effective in Alzheimer's Disease Models[J]. Acs Chemical Neuroscience, 2016, 7(11):1499-1507.