

Catalog Number: CM04739

## 产品信息

**Catalog Number:**  
CM04739

**CAS号:**  
1252608-59-5

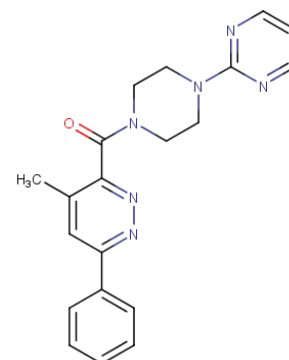
**分子式:**  
C<sub>20</sub>H<sub>20</sub>N<sub>6</sub>O

**主要靶点:**  
IL Receptor|Interleukin|TNF

**主要通路:**  
免疫与炎症|凋亡

**分子量:**  
360.41

**溶解度:**  
H<sub>2</sub>O: Insoluble, DMSO: 10 mM



## 靶点活性

TNF- $\alpha$ : 40.82  $\mu$  M | NO: 46.24  $\mu$  M | IL-1 $\beta$ : 3.4 nM

## 体外活性

GIBH-130 is a novel anti-neuroinflammatory agent that is identified through microglia-based phenotypic screenings. GIBH-130 (IC<sub>50</sub> 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 (IC<sub>50</sub>: 46.24  $\mu$  M) and TNF- $\alpha$  (IC<sub>50</sub>: 40.82  $\mu$  M). Notably, pretreatment with GIBH-130 significantly suppresses the IL-1 $\beta$  secretion by activated microglia (IC<sub>50</sub>: 3.4 nM). The inhibitory efficiency of GIBH-130 (20 nM) is comparable to 20  $\mu$  M minocycline against IL-1 $\beta$  release. IL-1 $\beta$  is one of the major cytokines during the neuroinflammatory progression of the AD [1].

## 体内活性

In both  $\beta$  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 (AUC<sub>Brain/Plasma</sub>=0.21)[1].

## 描述

GIBH-130 markedly inhibits the IL-1 $\beta$  secretion by activated microglia (IC<sub>50</sub>: 3.4 nM). GIBH-130 is an effective inhibitor of neuroinflammation.

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years