

GSK-1482160

## Chemical Properties

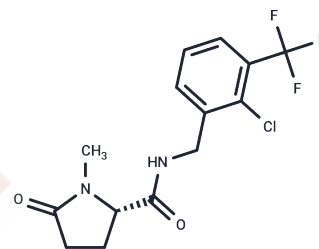
CAS No. : 1001389-72-5

Formula: C<sub>14</sub>H<sub>14</sub>ClF<sub>3</sub>N<sub>2</sub>O<sub>2</sub>

Molecular Weight: 334.72

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

Description	GSK-1482160 is a CNS-penetrant negative allosteric modulator of P2X7 receptor with oral activity. The P2X7 receptor is involved in the production of pro-inflammatory cytokines by central and peripheral immune cells, so GSK-1482160 has the potential to study inflammatory diseases. GSK-1482160 shows excellent in vitro potency (in functional and electrophysiological assays at recombinant and naive P2X7 receptors across multiple species including humans) and cross-target selectivity.
Targets(IC50)	P2X Receptor
In vitro	ATP-induced secretion of tau-containing exosome was significantly suppressed by GSK-1482160 treatment from primary murine microglia, but not from neurons or astrocytes [2].
In vivo	Oral administration of GSK-1482160 significantly reduced accumulation of MC1+ and Alz50+ misfolded tau in hippocampal regions, which was accompanied with reduced accumulation of Tsg101, an exosome marker, in hippocampal neurons. GSK-1482160 also significantly increased accumulation of Tsg101 and CD81 in microglia in vivo, suggesting its suppression of P2RX7-induced exosome secretion from microglia [2].

## Solubility Information

Solubility	DMSO: 90 mg/mL (268.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.9876 mL	14.9379 mL	29.8757 mL
5 mM	0.5975 mL	2.9876 mL	5.9751 mL
10 mM	0.2988 mL	1.4938 mL	2.9876 mL
50 mM	0.0598 mL	0.2988 mL	0.5975 mL

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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

Ali Z, et al. Pharmacokinetic and pharmacodynamic profiling of a P2X7 receptor allosteric modulator GSK1482160 in healthy human subjects. *Br J Clin Pharmacol*. 2013 Jan;75(1):197-207.

Ruan Z, et al. P2RX7 inhibitor suppresses exosome secretion and disease phenotype in P301S tau transgenic mice. *Mol Neurodegener*. 2020 Aug 18;15(1):47.

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Tel:781-999-4286 E\_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481