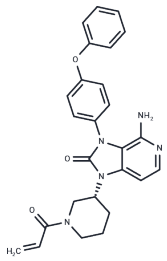
Data Sheet (Cat.No.T9125)

TargetMol

Tolebrutinib

Chemical Properties



CAS No. : 1971920-73-6

Formula: C26H25N5O3

Molecular Weight: 455.51

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	Tolebrutinib (PRN2246) is a potent, selective, orally active and brain-penetrant Bruton tyrosine kinase (BTK) inhibitor(IC50s of 0.4 and 0.7 nM in Ramos B cells and in HMC microglia cells, respectively). It exhibits efficacy in central nervous system immunity. Tolebrutinib can be used for the research of multiple sclerosis (MS).
Targets(IC50)	BTK
In vitro	PRN2246 inhibits microglial FcγR activation through durable occupancy of BTK, with an IC50 of 157 nM.?PRN2246 blocks the BCR-mediated activation (IC50=10 nM) and Fc receptor activation (IC50=166 and 9.6 nM for FcεR and FcγR, repectively) of immune cells [1].
In vivo	PRN2246 produces dose-dependent protection from in myelin oligodendrocyte glycoprotein (MOG)-induced experimental autoimmune encephalomyelitis (EAE) model [1]

Solubility Information

Solubility	DMSO: 122.5 mg/mL (268.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.78 mM),Sonication is recommended. *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	2.1953 mL	10.9767 mL	21.9534 mL
5 mM	0.4391 mL	2.1953 mL	4.3907 mL
10 mM	0.2195 mL	1.0977 mL	2.1953 mL
50 mM	0.0439 mL	0.2195 mL	0.4391 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

Reich DS, et al. Safety and efficacy of tolebrutinib, an oral brain-penetrant BTK inhibitor, in relapsing multiple sclerosis: a phase 2b, randomised, double-blind, placebo-controlled trial. Lancet Neurol. 2021 Sep;20(9):729-738.
Kenneth Dahl, et al. Radiosynthesis of a Bruton's tyrosine kinase inhibitor, [11 C]Tolebrutinib, via palladium-NiXantphos-mediated carbonylation J Labelled Comp Radiopharm. 2020 Sep;63(11):482-487.