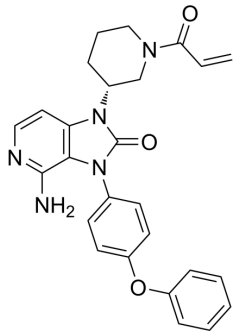
Tolebrutinib

Cat. No.:	HY-109192
CAS No.:	1971920-73-6
Molecular Formula:	$C_{26}H_{25}N_5O_3$
Molecular Weight:	455.51
Target:	Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (219.53 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tolebrutinib (SAR442168) is a potent, selective, orally active and brain-penetrant inhibitor of Bruton tyrosine kinase (BTK), with IC_{50}s of 0.4 and 0.7 nM in Ramos B cells and in HMC microglia cells, respectively. Tolebrutinib exhibits efficacy in central nervous system immunity. Tolebrutinib can be used for the research of multiple sclerosis (MS)[1][2].

IC_{50} & Target

IC50: 0.7 nM (BTK; in HMC microglia cells)[2]

In Vitro

PRN2246 blocks the BCR-mediated activation (IC_{50}=10 nM) and Fc receptor activation (IC_{50}=166 and 9.6 nM for FcεR and Fcγ

	R, repectively) of immune cells[2]. ?PRN2246 inhibits microglial FcγR activation through durable occupancy of BTK, with an IC_{50} of 157 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PRN2246 (1-5 mg/kg; p.o. q.d. for 28 d) produces dose-dependent protection from in myelin oligodendrocyte glycoprotein (MOG)-induced experimental autoimmune encephalomyelitis (EAE) model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Research Square Preprint. 2023 Aug 29.
- J Immunol Sci. March 31, 2022.

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REFERENCES

[1]. Dahl K, 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.

[2]. Francesco MR, et, al. PRN2246, a potent and selective blood brain barrier penetrating BTK inhibitor, exhibits efficacy in central nervous system immunity. Multiple Sclerosis Journal. 2017;Poster Session 2:P989.

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