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Zuschläge

- Mindermengenzuschlag
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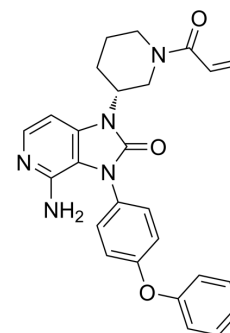
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Tolebrutinib

Cat. No.:	HY-109192		
CAS No.:	1971920-73-6		
Molecular Formula:	C ₂₆ H ₂₅ N ₅ O 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)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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]} .
IC₅₀ & Target	IC ₅₀ : 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, respectively) of immune cells^[2].

?PRN2246 inhibits microglial FcγR activation through durable occupancy of BTK, with an IC₅₀ 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, [¹¹C]Tolbrutinib, 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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