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Zuschläge

- Mindermengenzuschlag
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- Gefahrgutzuschlag
- Expressversand

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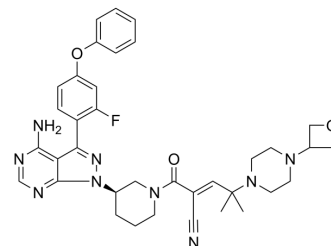
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Rilzabrutinib

Cat. No.:	HY-112166
CAS No.:	1575596-29-0
Molecular Formula:	C ₃₆ H ₄₀ FN ₉ O ₃
Molecular Weight:	665.76
Target:	Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 130 mg/mL (195.27 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.5020 mL	7.5102 mL	15.0204 mL
	5 mM		0.3004 mL	1.5020 mL	3.0041 mL
	10 mM		0.1502 mL	0.7510 mL	1.5020 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (3.12 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (3.12 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (3.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rilzabrutinib (PRN1008) is a reversible covalent, selective and oral active inhibitor of Bruton's Tyrosine Kinase (BTK), with an IC₅₀ of 1.3 nM.

IC₅₀ & Target

BTK 1.3 nM (IC ₅₀)	BMX 1.0 nM (IC ₅₀)	ITK 440 nM (IC ₅₀)	TEC 0.8 nM (IC ₅₀)
RLK	BLK	EGFR	ERBB2

	1.2 nM (IC ₅₀)	6.3 nM (IC ₅₀)	520 nM (IC ₅₀)	3900 nM (IC ₅₀)
	ERBB4 11.3 nM (IC ₅₀)			
In Vitro	<p>Rilzabrutinib is a reversible covalent inhibitor of Bruton's Tyrosine Kinase (BTK), with an IC₅₀ of 1.3±0.5 nM. Rilzabrutinib is also found to be highly selectively when tested in a panel of 251 other kinases. Cysteine targeting of BTK by Rilzabrutinib results in a slow off-rate demonstrated by retention of 79±2% of binding to BTK in PBMC 18 hours after washing away the compound in vitro. The covalent cysteine binding is completely reversible after denaturation of the target. Anti-IgM induces human B cell proliferation (10% serum) and B cell CD69 expression are inhibited by Rilzabrutinib with IC₅₀ of 5±2.4 nM and 123±38 nM, respectively^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
In Vivo	<p>In vivo Rilzabrutinib demonstrates enduring pharmacodynamic effects after the compound has cleared from circulation, consistent with extended target residence time. Rilzabrutinib also reverses and completely suppresses collagen-induced arthritis in rats in a dose dependent manner which allows correlation of target occupancy and disease modification^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

REFERENCES

[1]. Smith PF, et al. A phase I trial of PRN1008, a novel reversible covalent inhibitor of Bruton's tyrosine kinase, in healthy volunteers. Br J Clin Pharmacol. 2017 Nov;83(11):2367-2376.

[2]. Hill RJ, Bradshaw JM, Bisconte A, Tam D, Owens TD, Brameld KA, Smith PF, Funk JO, Goldstein DM, Nunn PA. Preclinical Characterization of PRN1008, a Novel Reversible Covalent Inhibitor of BTK that Shows Efficacy in a RAT Model of Collagen-Induced Arthritis

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

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