

Product Datasheet

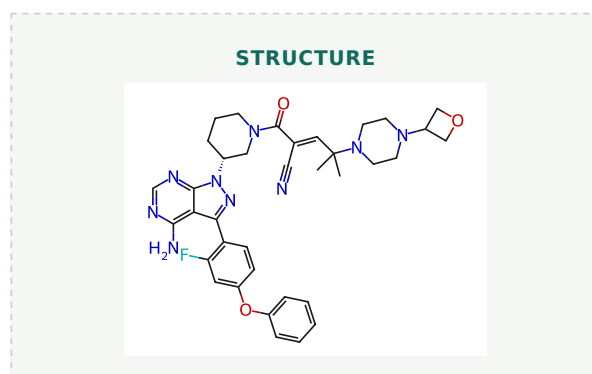
PRN1008

Catalog No. **BP-01901** · CAS **1575596-29-0** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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Target Btk	Research area Metabolic Disease; Cancer
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Molecular formula	C₃₆H₄₀FN₉O₃
Molecular weight	665.7597



DESCRIPTION

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

BIOLOGICAL ACTIVITY

In Vitro

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.

In Vivo

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.

SOLUBILITY

Soluble in DMSO

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. *Annals of the Rheumatic Diseases* 2015; 74(Suppl 2): 216.

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Lot CoA and SDS are separate documents.