Product Datasheet

BMS-986195

Catalog No. **BP-01948** · CAS **1912445-55-6** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-02**

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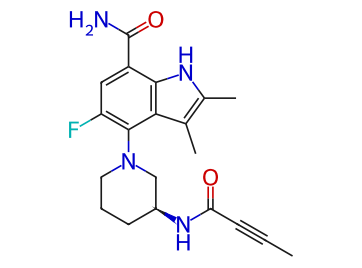
Target	Research area
Btk	**Cancer**

Molecular formula	$C_{20}H_{23}FN_4O_2$
Molecular weight	**370.4206**

STRUCTURE



DESCRIPTION

Branebrutinib (BMS-986195) is a highly potent, selective covalent, irreversible inhibitor of Bruton's tyrosine kinase (BTK), with an IC50 of 0.1 nM.

BIOLOGICAL ACTIVITY

In Vitro

BMS-986195 is a potent and highly selective inhibitor of BTK, which acts by covalently modifying an active-site cysteine residue. BMS-986195 is more than 5000-fold selective for BTK over all kinases outside of the Tec family, and selectivity ranges from 9- to 1010-fold within the Tec family. BMS-986195 inactivates BTK in human whole blood with a rapid rate of inactivation (3.5×10-4nM-1 min-1) and potently inhibits antigen-dependent interleukin-6 production, CD86 expression and proliferation in B cells (IC50<1 nM) without effect on antigen-independent measures in the same cells. A similar potency is measured against FcγR-dependent TNF-α production in human cells[1].

In Vivo

In mice, BMS-986195 demonstrates robust efficacy in murine models of RA including CIA and CAIA, protecting against clinically evident disease, histologic joint damage and bone mineral density loss. In both mice and monkeys, maximal efficacy is observed at doses ≤0.5 mg/kg PO QD, which achieves ≥95% inactivation of BTK in vivo. At similar doses, BMS-986195 is also highly protective against nephritis in the NZB/W mouse model of lupus. To investigate the dynamics of BTK inactivation and resynthesis of BTK, cynomolgus monkeys are given single or multiple doses of BMS-986195. 100% peak inactivation of BTK is obtained with a single administration of BMS-986195 at 0.5 mg/kg PO[1].

SOLUBILITY

Soluble in DMSO : >50 mg/mL (400 mM)

REFERENCES

[1]. JR Burke, et al. BMS-986195 Is a Highly Selective and Rapidly Acting Covalent Inhibitor of Bruton’s Tyrosine Kinase with Robust Efficacy at Low Doses in Preclinical Models of RA and Lupus Nephritis. 2017 ACR/ARHP Annual Meeting, September 18, 2017.

[2]. Watterson, Scott H et al. Discovery of Branebrutinib (BMS-986195): A Strategy for Identifying a Highly Potent and Selective Covalent Inhibitor Providing Rapid in Vivo Inactivation of Bruton's Tyrosine Kinase (BTK). Journal of medicinal chemistry vol. 62,7 (2019): 3228-3250.