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Lieferung & Zahlungsart

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Zuschläge

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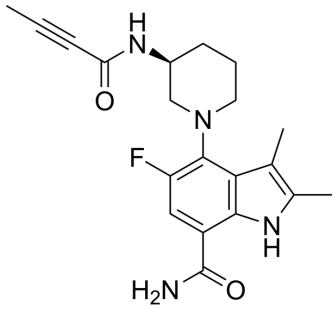
Branebrutinib



Cat. No.:	HY-112161
CAS No.:	1912445-55-6
Molecular Formula:	$C_{20}H_{23}FN_4O_2$
Molecular Weight:	370.42
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 : ≥ 150 mg/mL (404.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6996 mL	13.4982 mL	26.9964 mL
5 mM	0.5399 mL	2.6996 mL	5.3993 mL
10 mM	0.2700 mL	1.3498 mL	2.6996 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: ≥ 3.75 mg/mL (10.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.75 mg/mL (10.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Branebrutinib (BMS-986195) is a highly potent, selective covalent, irreversible inhibitor of Bruton's tyrosine kinase (BTK), with an IC_{50} of 0.1 nM[1][2]. Branebrutinib is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

IC50: 0.1 nM (BTK)[1].

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 ($3.5\times10^{-4}nM^{-1}\bullet min^{-1}$) and potently inhibits antigen-dependent interleukin-6 production, CD86 expression and proliferation in B cells (IC_{50}<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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Research Square Print. 2023 Feb 28.

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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 SH, 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). J Med Chem. 2019 Apr 11;62(7):3228-3250.

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