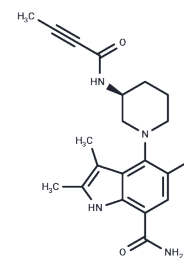


Branebrutinib

Chemical Properties

CAS No. :	1912445-55-6
Formula:	C ₂₀ H ₂₃ FN ₄ O ₂
Molecular Weight:	370.42
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Branebrutinib (BMS986195) is a potent, covalent inhibitor of Bruton's tyrosine kinase (BTK), >5,000-fold selective over all kinases outside of the Tec family (IC ₅₀ <1 nM for BTK).
Targets(IC ₅₀)	BTK
In vitro	Branebrutinib inactivated BTK in human whole blood with a rapid rate of inactivation (3.5×10 ⁻⁴ /nM/min) and potently inhibited 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 was measured against FcγR-dependent TNF-α production in human cells.
In vivo	In mice, a dose as low as 0.5 mg/kg, taken orally (PO) daily (QD), resulted in peak BTK inactivation of 98% after only the second dose. BTK was inactivated to similar levels in whole blood, lymph nodes and spleen in a dose-dependent manner. Branebrutinib demonstrated 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 models, maximal efficacy was observed at doses ≤0.5 mg/kg PO QD, which achieved ≥95% inactivation of BTK in vivo.

Solubility Information

Solubility	DMSO: 100 mg/mL (269.96 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (8.91 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6996 mL	13.4982 mL	26.9964 mL
5 mM	0.5399 mL	2.6996 mL	5.3993 mL
10 mM	0.270 mL	1.3498 mL	2.6996 mL
50 mM	0.054 mL	0.270 mL	0.5399 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481