Branebrutinib

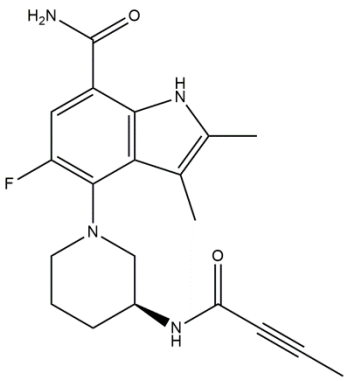
03/20

ALTERNATE NAMES: BMS-986195; 4-[(3S)-3-(but-2-ynoylamino)piperidin-1-yl]-5-fluoro-2,3-dimethyl-1H-indole-7-carboxamide

CATALOG #:

B2998-1	1 mg
B2998-5	5 mg

STRUCTURE:



MOLECULAR FORMULA: $C_{20}H_{23}FN_4O_2$

MOLECULAR WEIGHT: 370.42

CAS NUMBER: 1912445-55-6

APPEARANCE: White to off-White Solid Powder

PURITY: >98%

SOLUBILITY: ~35 mg/ml in DMSO

DESCRIPTION: Branebrutinib is a potent covalent, irreversible inhibitor of Bruton's tyrosine kinase (BTK). BTK is a non-receptor tyrosine kinase. It is a member of the Tec family of kinases and is essential for B cell receptor (BCR) mediated signaling. Branebrutinib inhibits BTK with IC_{50} values of 0.1 nM, 0.9 nM, 1.5 nM and 5 nM for BTK, TEC, BMX and TXK respectively. It inactivates BTK in the human whole blood assay rapidly at a rate of 3.5×10^{-4} $nM^{-1}min^{-1}$. Branebrutinib inhibits signaling and functional end points, including calcium flux (IC_{50} = 7 nM), production of cytokines, proliferation, and surface expression of the costimulatory molecule CD86 (IC_{50} values < 1 nM) in B cells stimulated through the B cell receptor.

STORAGE TEMPERATURE: -20ºC. Store in the dark. Product is light sensitive. Protect from air. Store under desiccating conditions.

HANDLING: Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

REFERENCE: Watterson, S.H., Liu, Q., Beaudoin Bertrand, M., 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. 62(7):3228-3250 (2019).

RELATED PRODUCTS:

Evobrutinib (Cat. No. B2379)
BMS-986142 (Cat. No. B2420)
BTK Inhibitor II (Cat. No. B2453)
Zanubrutinib (Cat. No. B2512)
Tirabrutinib hydrochloride (Cat. No. B2556)

DISCLAIMER: ***FOR RESEARCH USE ONLY! Not to be used on humans.***