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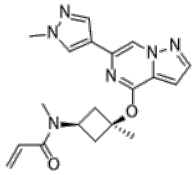
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BIIB129
Cat. No.	:	PC-22306
CAS No.	:	2770960-52-4
Molecular Formula	:	$C_{19}H_{22}N_6O_2$
Molecular Weight	:	366.43
Target	:	BTK
Solubility	:	10 mM in DMSO



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Biological Activity

BIIB129 (BIIB-129) is a potent, selective, brain-penetrant and covalent inhibitor of **BTK** with binding KD of 0.63 nM, covently targets side chain nitrogen of Asn484 in BTK.
BIIB129 inhibits CD69 expression on CD19+ B cells in human whole blood upon stimulation with anti-IgD with IC50 of 79 nM.
BIIB129 potently inhibits TMD8 B cell proliferation with IC50 of 0.82 nM.
BIIB129 has high selectivity scores (S(10)-score = 0.025 in a selectivity panel of 403 kinases.
BIIB129 has sufficient bioavailability in rats and cyno, and PKPB modeling suggested low projected total human doses to cover the IC90 in the brain over the dosing interval (20 and 40 mg BID, respectively).
BIIB129 demonstrates BTK-dependent in vivo efficacy in the CNS in two distinct preclinical models by inhibiting both TMD8 B cells transplanted in the CNS of immunodeficient mice and microglial activity in an anti-MOG mouse model.

References

Himmelbauer MK, et al. ***J Med Chem.*** 2024 May 7. doi: 10.1021/acs.jmedchem.4c00220.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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