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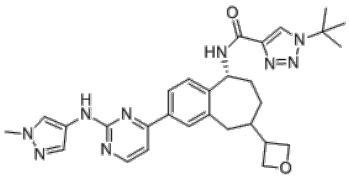
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BIIB091
Cat. No.	:	PC-72229
CAS No.	:	2247614-80-6
Molecular Formula	:	$C_{29}H_{35}N_9O_2$
Molecular Weight	:	541.66
Target	:	BTK
Solubility	:	10 mM in DMSO (5.4 mg/mL)



Biological Activity

BIIB091 (BIIB 091) is a novel potent, selective, reversible **BTK** inhibitor with IC50 of 0.45 nM in enzymatic assays.
BIIB091 demonstrated > 500-fold selectivity for BTK relative to all other kinases from a panel of >400 individual kinases evaluated in the DiscoverX KINOMEscan.
BIIB091 inhibited CD69 induction in anti-BCR-stimulated B cells in a dose-dependent manner in PBMCs (IC50=5.4 nM) and in mouse splenocytes (IC50=16 nM).
BIIB091 blocks B-cell proliferation and antigen-presenting functions in vitro and the associated B-cell activation transcriptional programme, effectively blocks BCR-mediated antigen presentation to T cells and blocks myeloid cell functions in vitro.
BIIB091 blocks B-cell activation and differentiation into antibody-secreting and germinal center B cells in vivo, also demonstrates complete inhibition of B-cell activation over the dosing interval in a human phase 1 trial.

References

Hopkins BT, et al. ***J Med Chem.*** 2022 Jan 27;65(2):1206-1224.

Bame E, et al. ***Clin Transl Immunology.*** 2021 Jun 14;10(6):e1295.

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