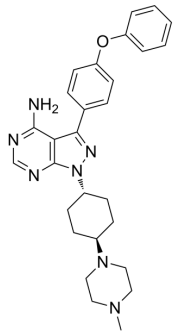
KIN-8194

Cat. No.:	HY-15805
CAS No.:	330786-01-1
Molecular Formula:	$C_{28}H_{33}N_7O$
Molecular Weight:	483.61
Target:	Src; Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	KIN-8194 is an orally active dual inhibitor of HCK and BTK, with IC_{50} values of 0.915 and <0.495 nM, respectively. KIN-8194 impairs growth and integrin-mediated adhesion of BTKi-resistant mantle cell lymphoma (MCL). KIN-8194 overcomes ibrutinib (HY-10997) resistance with a survival benefit in TMD-8 ABC DLBCL xenografted mice[1][2].
In Vitro	KIN-8194 (0-1 μM, 7 days) inhibits the growth of MCL cell lines (Maver-1, JeKo-1, Mino, Rec-1 and Granta-519) and primary cells[1]. KIN-8194 (0-1 μM, 7 days) reduces MCL cell lines proliferation through HCK inhibition[1]. KIN-8194 (100 nM, 6 h) inhibits the AKT-S6 signaling pathway in Maver-1 and Granta-519 cells in an HCK-dependent manner [1]. KIN-8194 (0-1 μM, 30 min) inhibits adhesion of MCL cells (JeKo-1 and Granta-519) to fibronectin or stromal cells in an HCK-dependent manner[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KIN-8194 (12.5-50 mg/kg, p.o., once time) blocks pHCK and pBTK in a dose-dependent manner in MYD88-mutated TMD-8 ABC DLBCL xenograft mouse model[2]. KIN-8194 (50 mg/kg, p.o., daily, 6 weeks) inhibits tumor growth in MYD88-mutated TMD-8 ABC DLBCL xenograft mouse model[2]. KIN-8194 (30 mg/kg, p.o., daily, 22 days) combined with Venetoclax (HY-15531) prolongs the survival of ibrutinib-resistant $BTK^{Cys481Ser}$ TMD-8 cells xenograft mice median survival time[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lantermans HC, et al. The dual HCK/BTK inhibitor KIN-8194 impairs growth and integrin-mediated adhesion of BTKi-resistant mantle cell lymphoma. Leukemia. 2024 Mar 7.

[2]. Yang G, et al. The HCK/BTK inhibitor KIN-8194 is active in MYD88-driven lymphomas and overcomes mutated BTKCys481 ibrutinib resistance. Blood. 2021 Nov 18;138(20):1966-1979.

Caution: Product has not been fully validated for medical applications. For research use only.

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