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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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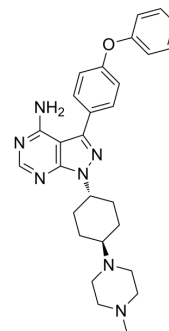
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KIN-8194

Cat. No.:	HY-15805		
CAS No.:	330786-01-1		
Molecular Formula:	C ₂₈ H ₃₃ N ₇ O		
Molecular Weight:	483.61		
Target:	Src; Btk		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



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	<p>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].</p> <p>KIN-8194 (0-1 μM, 7 days) reduces MCL cell lines proliferation through HCK inhibition^[1].</p> <p>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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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].</p> <p>KIN-8194 (50 mg/kg, p.o., daily, 6 weeks) inhibits tumor growth in MYD88-mutated TMD-8 ABC DLBCL xenograft mouse model^[2].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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 BTK^{Cys481Ser} 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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