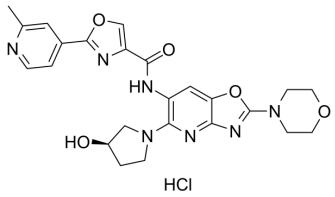
Emavusertib hydrochloride

Cat. No.:	HY-135317B
CAS No.:	2376399-42-5
Molecular Formula:	$C_{24}H_{26}ClN_7O_5$
Molecular Weight:	527.96
Target:	IRAK; FLT3
Pathway:	Immunology/Inflammation; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Emavusertib (CA-4948) hydrochloride is a selective, potent and orally active IRAK4/FLT3 inhibitor. Emavusertib hydrochloride has an IC_{50} of 57 nM for IRAK4 in a FRET kinase assay. Emavusertib hydrochloride shows anti-tumor activity[1][2][3].
IC_{50} & Target	IRAK4 57 nM (IC_{50})
In Vitro	Emavusertib exhibits >350-fold higher binding affinity for IRAK-4 than that observed for IRAKs 1, 2 and 3[3]. Emavusertib (10 μM, 72 h) decreases the percentage of proliferating cells and induces a moderate increase in the sub-G0 fraction in marginal zone lymphomas (MZL) cell lines[3]. Emavusertib (10 μM, 72 h) induces a significant increase in the apoptotic cell population of MZL cells, particularly when combined with Ibrutinib (HY-10997) compared to ibrutinib and emavusertib alone[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Emavusertib (25-150 mg/kg, Orally, once daily, for 14 consecutive days) induces tumor growth inhibition in mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice bearing OCI-LY10 tumors[3]
Dosage:	25, 50, or 150 mg/kg (once daily), 12.5, 25, or 50 mg/kg (twice daily)
Administration:	Orally, once daily or twice daily, for 14 consecutive days
Result:	Induced tumor growth inhibition. Emavusertib administered as a twice-daily divided dose was equivalent to the corresponding once-daily dose with regards to antitumor activity, i.e., 12.5 mg/kg BID versus 25 mg/kg QD.

CUSTOMER VALIDATION

- Blood. 2023 May 12;blood.2022018718.

- Front Immunol. 09 March 2021.

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REFERENCES

[1]. Wiese MD, et al. Investigational IRAK-4 inhibitors for the treatment of rheumatoid arthritis. Expert Opin Investig Drugs. 2020 Apr 17:1-8.

[2]. Guidetti F, et al. Targeting IRAK4 with Emavusertib in Lymphoma Models with Secondary Resistance to PI3K and BTK Inhibitors. J Clin Med. 2023 Jan 4;12(2):399.

[3]. Parrondo RD, et al. IRAK-4 inhibition: emavusertib for the treatment of lymphoid and myeloid malignancies. Front Immunol. 2023 Oct 26;14:1239082.

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