Rineterkib hydrochloride

Cat. No.: HY-114491A

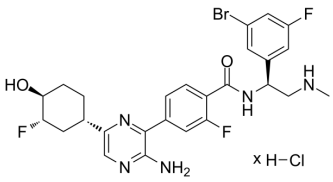
CAS No.: 1715025-34-5

Molecular Formula: $C_{26}H_{28}BrClF_3N_5O_2$

Target: ERK; Raf

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 220 mg/mL (Need ultrasonic)
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 5.5 mg/mL (Infinity mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 5.5 mg/mL (Infinity mM); Clear solution 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5.5 mg/mL (Infinity mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rineterkib hydrochloride (compound B) is an orally available ERK1 and ERK2 inhibitor in the treatment of a proliferative disease characterized by activating mutations in the MAPK pathway. The activity is particularly related to the treatment of KRAS-mutant NSCLC, BRAF-mutant NSCLC, KRAS-mutant pancreatic cancer, KRAS-mutant colorectal cancer (CRC) and KRAS-mutant ovarian cancer. Rineterkib hydrochloride can also inhibit RAF[1][2].

In Vivo

ERK-IN-1 (compound B) (50, 75 mg/kg, p.o., qd/q2d, 27 days) treatment significantly reduces the tumor volume in the Calu-6 human NSCLC subcutaneous tumor xenograft model in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Calu-6 NSCLC xenograft tumor models in mice[1].
Dosage:	50, 75 mg/kg.
Administration:	Orally either daily (qd) or every other day (q2d) for 27 days.
Result:	Significantly reduced the tumor volume.

REFERENCES

[1]. Song Y, et al. Targeting RAS-RAF-MEK-ERK signaling pathway in human cancer: current status in clinical trials. Genes & Diseases, 2022.

[2]. CAPONIGRO, et al. THERAPEUTIC COMBINATIONS COMPRISING A RAF INHIBITOR AND A ERK INHIBITOR. WO2018051306A1.

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