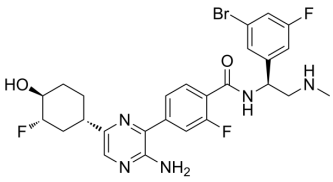
Rineterkib

Cat. No.:	HY-114491
CAS No.:	1715025-32-3
Molecular Formula:	$C_{26}H_{27}BrF_3N_5O_2$
Molecular Weight:	578.42
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 : 200 mg/mL (345.77 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7288 mL	8.6442 mL	17.2885 mL
	5 mM	0.3458 mL	1.7288 mL	3.4577 mL
	10 mM	0.1729 mL	0.8644 mL	1.7288 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (8.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rineterkib (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].

IC_{50} & Target	ERK1	ERK2	RAF

In Vivo

Rineterkib (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.

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

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