Sotorasib racemate

Cat. No.: HY-114277A

CAS No.: 2252403-56-6

Molecular Formula: $C_{30}H_{30}F_2N_6O_3$

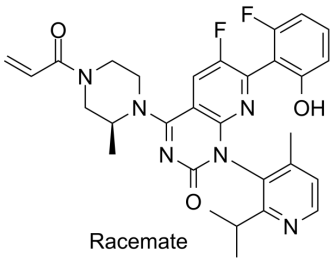
Molecular Weight: 560.59

Target: Ras; p38 MAPK

Pathway: GPCR/G Protein; MAPK/ERK Pathway

Storage: -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



Racemate

SOLVENT & SOLUBILITY

In Vitro

DMSO : 3.85 mg/mL (6.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7838 mL	8.9192 mL	17.8383 mL
5 mM	0.3568 mL	1.7838 mL	3.5677 mL
10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Sotorasib racemate (Compound A) is an orally active racemate of Sotorasib (HY-114277), a covalent inhibitor of KRAS G12C mutant which induces adaptive feedback activation of MAPK pathway. Sotorasib racemate also exerts inhibitor activity against KRAS G12C induced cancer and can be applied to cancer research[1].

IC_{50} & Target

KRas G12C

In Vivo

Sotorasib racemate (30-100 mg/kg, p.o., QD for 21 d) suppresses NCI-H358 tumor growth in a dose-dependent manner in tumor xenograft mice[1].

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

Animal Model:	Female NOD/SCID mice, tumor xenograft model of NCI-H358 cells which harbor KRAS G12C (human NSCLC cell)[1]
Dosage:	10, 30, 100 mg/kg
Administration:	Oral gavage (p.o.), QD for 21 d

Result:	Suppressed the growth of the NCI-H358 tumors in a dose-dependent manner, observed significant tumor regression at 100 mg/kg and 30 mg/kg with tumor growth inhibition of 137% and 126%, respectively.

REFERENCES

[1]. Beltran, P, et al. Combination therapy comprising substituted pyrimidin-4(3H)-ones and sotorasib for treating cancer in subjects with KRAS gene mutations. International, WO 2023/056037 A1.2023-04-06.

[2]. Karen Rex, et al. Abstract 3090: In vivo characterization of AMG 510 - a potent and selective KRASG12Ccovalent small molecule inhibitor in preclinical KRASG12Ccancer models. Experimental and Molecular Therapeutics.

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