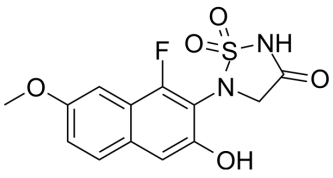
Tegeprotafib

Cat. No.:	HY-153446
CAS No.:	2407610-46-0
Molecular Formula:	$C_{13}H_{11}FN_2O_5S$
Molecular Weight:	326.3
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (306.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0647 mL	15.3233 mL	30.6466 mL
	5 mM	0.6129 mL	3.0647 mL	6.1293 mL
	10 mM	0.3065 mL	1.5323 mL	3.0647 mL

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

BIOLOGICAL ACTIVITY

Description

Tegeprotafib (PTPN2/1-IN-1) (Compound 124) is an orally active PTPN1 and PTPN2 inhibitor with IC_{50}s of 4.4 nM and 1-10 nM against PTPN2 and PTP1B, respectively[1].

IC_{50} & Target

IC50: 4.4 nM (PTPN2), 1-10 nM (PTP1B)[1]

In Vitro

Tegeprotafib (Compound 124; 5 days) inhibits IFNγ (0.5 ng/mL) induced B16F10 cellular growth with a percent growth inhibition of 60-90% at 33 μM[1].

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

In Vivo

Tegeprotafib (Compound 124; 300 mg/kg; oral; BID for 21 days) shows antitumor activity in mice[1].

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

Animal Model:	Female C57B1/6 mice, MC-38 tumor model[1]
Dosage:	300 mg/kg/dose

Administration:	Oral, twice a day (BID) at 7am and 5pm for 21 days
Result:	Within 7-10 days of treatment, apparent tumor stasis and shrinkage was observed. 50% of mice achieved complete cures, and an overall TGI_{MEX} was 75%. The frequency of functional, granzyme B (GzB) producing cells within the cytotoxic CD8+ T population in the spleen was 2.9-fold increased over vehicle control treated animals. Increased IP10 levels in plasma.

REFERENCES

[1]. Elliot FARNEY, et al. Protein tyrosine phosphatase inhibitors and methods of use thereof. Patent WO2019246513A1.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA