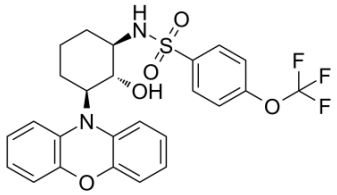
DT-061

Cat. No.:	HY-112929
CAS No.:	1809427-19-7
Molecular Formula:	$C_{25}H_{23}F_3N_2O_5S$
Molecular Weight:	520.52
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (240.14 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9212 mL	9.6058 mL	19.2116 mL
	5 mM	0.3842 mL	1.9212 mL	3.8423 mL
	10 mM	0.1921 mL	0.9606 mL	1.9212 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: ≥ 2.08 mg/mL (4.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.00 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DT-061 is an orally bioavailable activator of protein phosphatase 2A (PP2A) and could be applied in the therapy of KRAS-mutant and MYC-driven tumorigenesis[1].

IC_{50} & Target

PP2A[1].

In Vivo

DT-061 (5 mg/kg, oral gavage, 4 weeks) shows single-agent activity in inhibiting H358 or H441 xenograft growth. Additionally, the combination of DT-061 and AZD6244 is more significantly efficient[1].

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

Animal Model:	6- to 8-week-old male BALB/c nu/nu mice injected with H441 cells (5×10^6)[1].
Dosage:	5 mg/kg.
Administration:	Oral gavage for 4 weeks.
Result:	Showed activity in inhibiting tumor growth.

CUSTOMER VALIDATION

- Mol Cancer Ther. 2021 Apr;20(4):676-690.
- J Biol Chem. 2020 Mar 27;295(13):4194-4211.
- Ann Transl Med. 2021 Mar;9(6):446.
- Biochem Biophys Res Commun. 2021 Mar 16;552:23-29.

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REFERENCES

[1]. Kauko O, et al. PP2A inhibition is a druggable MEK inhibitor resistance mechanism in KRAS-mutant lung cancer cells. Sci Transl Med. 2018 Jul 18;10(450). pii: eaaq1093.

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