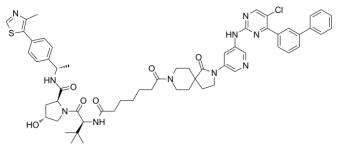
TMX-4153

Cat. No.:	HY-153119
CAS No.:	2867519-91-1
Molecular Formula:	$C_{59}H_{67}ClN_{10}O_6S$
Molecular Weight:	1079.74
Target:	Ligands for Target Protein for PROTAC
Pathway:	PROTAC
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (92.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9261 mL	4.6307 mL	9.2615 mL
	5 mM	0.1852 mL	0.9261 mL	1.8523 mL
	10 mM	0.0926 mL	0.4631 mL	0.9261 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: 2.5 mg/mL (2.32 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.32 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (2.32 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

TMX-4153 is a bivalent degrader. TMX-4153 rapidly and selectively degrades endogenous PIP4K2C by recruiting the von Hippel-Lindau (VHL) E3 ligase complex, with a K_D value of 42 nM. TMX-4153 can be used to synthesize PROTAC[1].

IC_{50} & Target

PIP4K2C[1].

In Vitro

TMX-4153 (0.01, 0.05, 0.1, 0.5, 1 μM; 6 h) can selectively degrades PIP4K2C in MOLT4 cells[1].

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

Western Blot Analysis[1]

Cell Line:	MOLT4 cells
Concentration:	0.01, 0.05, 0.1, 0.5, 1 μM
Incubation Time:	6 h
Result:	Selectively degraded PIP4K2C with the maximal level of degradation (D_{max}) value of 91% at 1 μM and half-maximal degradation concentration (DC_{50}) value of 24 nM.

REFERENCES

[1]. Teng M, et al. Targeting the Dark Lipid Kinase PIP4K2C with a Potent and Selective Binder and Degrader. Angew Chem Int Ed Engl. 2023 Apr 24;62(18):e202302364.

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