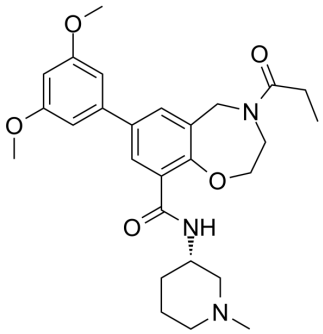
TPOP146

Cat. No.:	HY-100697
CAS No.:	2018300-62-2
Molecular Formula:	$C_{27}H_{35}N_3O_5$
Molecular Weight:	481.58
Target:	Epigenetic Reader Domain; Histone Acetyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 21.43 mg/mL (44.50 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0765 mL	10.3825 mL	20.7650 mL
	5 mM	0.4153 mL	2.0765 mL	4.1530 mL
	10 mM	0.2076 mL	1.0382 mL	2.0765 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: 2.14 mg/mL (4.44 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.14 mg/mL (4.44 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.14 mg/mL (4.44 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

TPOP146 is a selective CBP/P300 benzoxazepine bromodomain inhibitor with K_d values of 134 nM and 5.02 μM for CBP and BRD4.

IC_{50} & Target

IC50: 134 nM (CBP); 5.02 μM (BRD4)[1]

In Vitro

Exposure to 1 μM TPOP146 results in a significant decrease of recovery half-life that is comparable to the construct that contained the bromodomain inactivating mutation N1168F, demonstrating that TPOP146 targets the CBP bromodomain in

the nucleus and is capable of competing with acetyl-lysine mediated interactions of the CBP bromodomain in cellular environments[1].

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

PROTOCOL

Kinase Assay [1]

Proteins are buffered in 10 mM HEPES, pH 7.5, 500 mM NaCl and assayed in a 96-well plate at a final concentration of 2 μM in 20 μL volume. Compounds (TPOP146) are added at a final concentration of 10 μM. SYPRO Orange (Molecular Probes) is added as a fluorescence probe at a dilution of 1:1000. Excitation and emission filters for the SYPRO Orange dye are set to 465 and 590 nm, respectively. The temperature is raised with a step of 3°C per minute from 25 to 96°C, and fluorescence readings are taken at each interval[1].

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

CUSTOMER VALIDATION

- EBioMedicine. 2022 Apr;78:103970.
- EMBO Rep. 2020 Mar 4;21(3):e48328.

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REFERENCES

[1]. Popp TA, et al. Development of Selective CBP/P300 Benzoxazepine Bromodomain Inhibitors. J Med Chem. 2016 Oct 13;59(19):8889-8912.

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