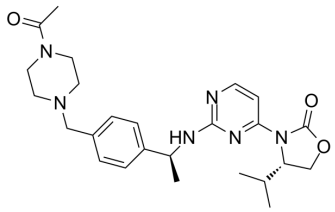
Mutant IDH1 inhibitor

Cat. No.:	HY-13972
CAS No.:	1429180-08-4
Molecular Formula:	$C_{25}H_{34}N_6O_3$
Molecular Weight:	466.58
Target:	Isocitrate Dehydrogenase (IDH)
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 34 mg/mL (72.87 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1433 mL	10.7163 mL	21.4326 mL
5 mM	0.4287 mL	2.1433 mL	4.2865 mL
10 mM	0.2143 mL	1.0716 mL	2.1433 mL

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

BIOLOGICAL ACTIVITY

Description

Mutant IDH1 inhibitor is a potent mutant IDH1 R132H inhibitor with IC_{50} of < 72 nM.

IC_{50} & Target

IC50: < 72 nM (mutant IDH1 R132H)

In Vitro

Mutant IDH1 inhibitor is a potent IDH1 R132H inhibitor, and used for the treatment of diseases or disorders associated with such mutant IDH proteins including, but not limited to, cell-proliferation disorders, such as cancer[1].

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

PROTOCOL

Cell Assay [1]

Day 1: cells are seeded in 384-well plates in triplicates for both the cell proliferation and 2HG assay, and incubated at 37°C, 95% Rh, 5% CO_2 overnight. Day 2: compounds are serially diluted 1 :3 (10 point dilution from 10 mM solutions in DMSO) and

delivered to the cell assay plates via acoustic dispenser, with final concentration ranging from 30 μM to 1.5 nM. The plates are returned to the incubator after treatment and incubated for 48 hours. Day 4 Proliferation assay: CTG is added to the assay plates and luminescence signal is read on the plate reader. Day 4 2HG assay : Extraction sample preparation consisted of aspirating all media from the assay plates, adding 70 μL of 90% methanol in water, dry ice incubation for 15 minutes, centrifuging at 2000 rpm for 30 min to ensure all particulates have settled, and transferring 30 μL of the supernatant into LC-MS ready plates. LC-MS analysis follows.

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CUSTOMER VALIDATION

- J Med Chem. 2023 Mar 23.
- Sci Rep. 2017 Oct 6;7(1):12758.

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REFERENCES

[1]. 3-Pyrimidin-4-yl-oxazolidin-2-ones as inhibitors of mutant IDH and their preparation. Patent WO 2013046136 A1 20130404

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