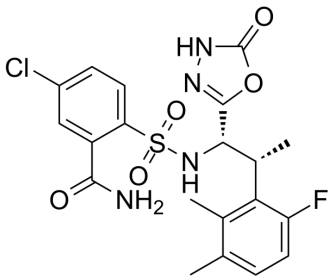
TAS1553

Cat. No.:	HY-150785
CAS No.:	2166023-31-8
Molecular Formula:	$C_{20}H_{20}ClFN_4O_5S$
Molecular Weight:	482.91
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (207.08 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0708 mL	10.3539 mL	20.7078 mL
	5 mM	0.4142 mL	2.0708 mL	4.1416 mL
	10 mM	0.2071 mL	1.0354 mL	2.0708 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 (5.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAS1553 is a potent, orally active protein–protein interaction (PPI) inhibitor with an IC_{50} values of 0.0396 μM. TAS1553 inhibits DNA replication and reduces intracellular dATP pool. TAS1553 induces apoptosis. TAS1553 can be used for cancer research[1].

In Vitro

TAS1553 (0.001-1 μM) inhibits the enzymatic activity of RNR in a dose-dependent manner[1].
TAS1553 (3 d) has anti-proliferative activity against both solid and hematological human cancer cell lines and the GI_{50} values ranged from 0.228 to 4.15 μM[1].
TAS1553 (1-10 μM; 0-2 h; HCC38 and MV-4-11 cells) reduces intracellular dATP pool in a dose- and time-dependent manner, which is a critical metabolite for DNA replication[1].
TAS1553 (0-10 μM; 0-24 h; HCC38 and MV-4-11 cells) induces the replication stress and apoptosis in a dose- and time-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	HCC38 and MV-4-11 cells
Concentration:	0, 0.1, 0.3, 1, 3 and 10 μM
Incubation Time:	0, 1, 2, 4, 8 and 24 hours
Result:	Increased the expression of Ser345, Ser4, Ser8 and Thr21 phosphorylation. Increaed the levels cleaved PARP and cleaved caspase-3.

In Vivo

TAS1553 (25-200 mg/kg; p.o.; for 24 h; female F344/NJcl-rnu/rnu rats and BALB/cAJcl-nu/nu mice) has RNR inhibition effect in vivo[1].

TAS1553 (50-200 mg/kg; p.o.; daily, for 15 d; female F344/NJcl-rnu/rnu rats and BALB/cAJcl-nu/nu mice) has antitumor activity in vivo[1].

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

Animal Model:	Female F344/NJcl-rnu/rnu rats and BALB/cAJcl-nu/nu mice[1]
Dosage:	25, 50, 100 and 200 mg/kg
Administration:	Oral administration; for 24hours
Result:	Reduces intracellular dATP pool and induces the replication stress and apoptosis.

Animal Model:	Female F344/NJcl-rnu/rnu rats and BALB/cAJcl-nu/nu mice[1]
Dosage:	50, 100 and 200 mg/kg
Administration:	Oral administration; daily, for 15 days
Result:	Inhibited tumor growth in the treated group/control group (T/C) were 52.0 (50 mg/kg), 45.0 (100 mg/kg) and 29.4% (200 mg/kg), respectively.

REFERENCES

[1]. Ueno H, et, al. TAS1553, a small molecule subunit interaction inhibitor of ribonucleotide reductase, exhibits antitumor activity by causing DNA replication stress. Commun Biol. 2022 Jun 9;5(1):571.

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