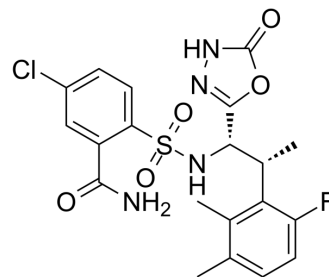


## TAS1553

<b>Cat. No.:</b>	HY-150785		
<b>CAS No.:</b>	2166023-31-8		
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>20</sub> ClFN <sub>4</sub> O <sub>5</sub> S		
<b>Molecular Weight:</b>	482.91		
<b>Target:</b>	Others		
<b>Pathway:</b>	Others		
<b>Storage:</b>	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (207.08 mM; Need ultrasonic)			
		<b>Solvent</b>	<b>Mass</b>	
		<b>Concentration</b>	<b>1 mg</b>	<b>5 mg</b>
	<b>Preparing Stock Solutions</b>	<b>1 mM</b>	2.0708 mL	10.3539 mL
		<b>5 mM</b>	0.4142 mL	2.0708 mL
		<b>10 mM</b>	0.2071 mL	1.0354 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	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

<b>Description</b>	TAS1553 is a potent, orally active protein-protein interaction (PPI) inhibitor with an IC <sub>50</sub> values of 0.0396 μM. TAS1553 inhibits DNA replication and reduces intracellular dATP pool. TAS1553 induces apoptosis. TAS1553 can be used for cancer research <sup>[1]</sup> .
<b>In Vitro</b>	TAS1553 (0.001-1 μM) inhibits the enzymatic activity of RNR in a dose-dependent manner <sup>[1]</sup> . TAS1553 (3 d) has anti-proliferative activity against both solid and hematological human cancer cell lines and the GI <sub>50</sub> values ranged from 0.228 to 4.15 μM <sup>[1]</sup> . 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 <sup>[1]</sup> . 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 <sup>[1]</sup> .

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

#### Western Blot Analysis<sup>[1]</sup>

Cell Line:	HCC38 and MV-4-11 cells
Concentration:	0, 0.1, 0.3, 1, 3 and 10 $\mu$ M
Incubation Time:	0, 1, 2, 4, 8 and 24 hours
Result:	Increased the expression of Ser345, Ser4, Ser8 and Thr21 phosphorylation. Increased 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<sup>[1]</sup>.

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<sup>[1]</sup>.

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 <sup>[1]</sup>
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 <sup>[1]</sup>
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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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