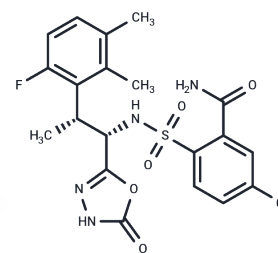


TAS1553

Chemical Properties

CAS No. : 2166023-31-8
 Formula: C₂₀H₂₀ClFN₄O₅
 Molecular Weight: 482.91
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	TAS1553 is a highly effective, orally bioavailable protein-protein interaction (PPI) inhibitor with an IC ₅₀ value of 0.0396 μM. It effectively hinders DNA replication and diminishes the intracellular dATP pool. Moreover, TAS1553 induces apoptosis, making it a valuable compound in cancer research [1].
Targets(IC50)	Apoptosis,Others
In vitro	TAS1553, within a concentration range of 0.001-1 μM, inhibits the enzymatic activity of RNR dose-dependently. At a concentration administered for three days, TAS1553 exhibits anti-proliferative effects against various solid and hematological human cancer cell lines, with GI ₅₀ values spanning from 0.228 to 4.15 μM. In experiments involving HCC38 and MV-4-11 cells, TAS1553 (1-10 μM; over 0-2 hours) significantly decreases the intracellular dATP pool, essential for DNA replication, in both a dose- and time-dependent manner. Furthermore, at concentrations ranging from 0 to 10 μM and over a period of 0 to 24 hours, TAS1553 induces replication stress and apoptosis in HCC38 and MV-4-11 cells, showcasing a dependence on both dosage and time. Western Blot Analysis reveals that, across varying concentrations (0, 0.1, 0.3, 1, 3, and 10 μM) and incubation times (0, 1, 2, 4, 8, and 24 hours), there is an increase in the phosphorylation of Ser345, Ser4, Ser8, and Thr21. Additionally, these conditions result in the increased levels of cleaved PARP and cleaved caspase-3, signaling enhanced apoptosis in the tested cell lines.
In vivo	TAS1553, administered orally at doses ranging from 25-200 mg/kg for 24 hours, exhibits ribonucleotide reductase (RNR) inhibitory effects in female F344/NJcl-rnu/rnu rats and BALB/cAJcl-nu/nu mice, as evidenced by reduced intracellular dATP pools, induction of replication stress, and apoptosis [1]. Further, when given daily at dosages of 50-200 mg/kg for 15 days, it demonstrates significant antitumor activity, with tumor growth inhibition rates in the treated versus control group being 52.0% at 50 mg/kg, 45.0% at 100 mg/kg, and 29.4% at 200 mg/kg, respectively [1]. These findings underline the compound's potential in cancer therapeutics through both its inhibitory action on RNR and its capacity to reduce tumor growth effectively in vivo.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 90 mg/mL (186.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.83 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0414 mL	0.2071 mL	0.4142 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

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