

NCT-503

## Chemical Properties

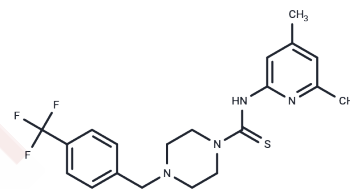
CAS No. : 1916571-90-8

Formula: C<sub>20</sub>H<sub>23</sub>F<sub>3</sub>N<sub>4</sub>S

Molecular Weight: 408.48

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## Biological Description

Description	NCT-503 is a phosphoglycerate dehydrogenase (PHGDH) inhibitor (IC <sub>50</sub> =2.5 μM) with no activity against other dehydrogenases. NCT-503 has antitumor activity and inhibits the growth of PHGDH-dependent tumors.
Targets(IC <sub>50</sub> )	FLT,Dehydrogenase,TAM Receptor
In vitro	<p><b>METHODS:</b> Mouse bone marrow cells (BMC) transformed with MLL-AF9 and Hoxa9/Meis1 (HM-2) were treated with MI-503 (0-5 μM) for 7 days, and viability was measured by MTT assay.</p> <p><b>RESULTS:</b> Treatment of MLL-AF9 oncogene-transformed BMC with MI-503 resulted in significant growth inhibition, with a GI<sub>50</sub> value of 0.22 μM measured after 7 days of treatment.[1]</p> <p><b>METHODS:</b> AGS cells overexpressing wild-type menin and three mutant proteins were treated with MI-503 (1 μmol/L) for 48 h. The expression levels of target proteins were detected by Western Blot.</p> <p><b>RESULTS:</b> MI-503 increased the expression of nuclear proteins, with the greatest effect observed in R516fs and E235K mutants. [2]</p>
In vivo	<p><b>METHODS:</b> To test the in vivo antitumor activity, MI-503 (60 mg/kg, 25% DMSO+25% PEG400+50% PBS) was administered intraperitoneally to BALB/c nude mice bearing MV4;11 xenografts once a day for 20 days.</p> <p><b>RESULTS:</b> MI-503 strongly inhibited tumor growth, and treatment with MI-503 resulted in more than 80% reduction of MV4;11, and complete regression of tumor volume and tumor in two mice. [1]</p>
Animal Research	<p>Animal Models: NOD.SCID mice bearing MDA-MB-231 and MDA-MB-468 orthotropic xenografts</p> <p>Formulation: 5% ethanol, 35% PEG 300, and 60% of an aqueous 30% hydroxypropyl-β-cyclodextrin solution</p> <p>Dosages: 40 mg/kg</p> <p>Administration: i.p.(Only for Reference)</p>

## Solubility Information

Solubility	<p>H<sub>2</sub>O: &lt; 1 mg/mL (insoluble or slightly soluble),</p> <p>10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1.83 mg/mL (4.48 mM),Solution.</p> <p>Ethanol: 75 mg/mL (183.61 mM),Sonication is recommended.</p> <p>DMSO: 18.33 mg/mL (44.87 mM),Sonication is recommended.</p> <p>(&lt; 1 mg/ml refers to the product slightly soluble or insoluble)</p>
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## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4481 mL	12.2405 mL	24.481 mL
5 mM	0.4896 mL	2.4481 mL	4.8962 mL
10 mM	0.2448 mL	1.2241 mL	2.4481 mL
50 mM	0.049 mL	0.2448 mL	0.4896 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.

## Reference

- Pacold ME, et al. A PHGDH inhibitor reveals coordination of serine synthesis and one-carbon unit fate. Nat Chem Biol. 2016 Jun;12(6):452-8. doi: 10.1038/nchembio.2070. Epub 2016 Apr 25. Erratum in: Nat Chem Biol. 2016 Jul 19; 12(8):656.
- Chen H, Liu C, Wang Q, et al. Renal UTX-PHGDH-serine axis regulates metabolic disorders in the kidney and liver. Nature Communications. 2022, 13(1): 1-19
- Arlt B, et al. Inhibiting PHGDH with NCT-503 reroutes glucose-derived carbons into the TCA cycle, independently of its on-target effect. J Enzyme Inhib Med Chem. 2021 Dec;36(1):1282-1289.

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