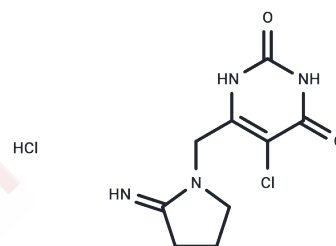


Tipiracil hydrochloride

Chemical Properties

CAS No. :	183204-72-0
Formula:	C ₉ H ₁₂ Cl ₂ N ₄ O ₂
Molecular Weight:	279.12
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	Tipiracil hydrochloride (MA-1 hydrochloride) is a thymidine phosphorylase inhibitor (TPI). Tipiracil hydrochloride is one of the active components in TAS-102, which is an anticancer drug candidate currently in clinical trials.
Targets(IC50)	Nucleoside Antimetabolite/Analog
In vitro	Tipiracil has an antiangiogenic effect that also inhibits proliferation of endothelial cells [1]. Tipiracil is a potent inhibitor of thymidine phosphorylase, which is the enzyme that degrades FTD[2].
Kinase Assay	In vitro kinase inhibition assays: Kinase activity for PI3K isoforms is measured as the percentage of ATP consumed following the kinase reaction using luciferase-luciferin-coupled chemiluminescence, with ATP concentrations approximately equal to the Km for each respective kinase. Kinase reactions are initiated by combining test compounds, ATP and kinase in a 20 µL volume. PI3K α , PI3K β , PI3K γ , and PI3K δ final enzyme concentrations are 0.5, 8, 20, and 2 nM, respectively. Of note, 0.5 µL dimethyl sulfoxide (DMSO) containing varying concentrations of the test compound is mixed with 10 µL enzyme solution (2 \times concentration). Kinase reactions are initiated by the addition of 10 µL of liver phosphatidylinositol and ATP solution (2 \times concentration). Assay concentrations for VPS34, ATP, and phosphatidylinositol are 40 nM, 1 µM, and 5 µM, respectively

Solubility Information

Solubility	H ₂ O: 50 mg/mL (179.13 mM),Sonication is recommended. DMSO: 9.09 mg/mL (32.57 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5827 mL	17.9134 mL	35.8269 mL
5 mM	0.7165 mL	3.5827 mL	7.1654 mL
10 mM	0.3583 mL	1.7913 mL	3.5827 mL
50 mM	0.0717 mL	0.3583 mL	0.7165 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

Peters GJ, et al. TAS-102: more than an antimetabolite. *Lancet Oncol.* 2012 Dec;13(12):e518-9.

Belcher A, Zulfiker A H M, Li O Q, et al. Targeting Thymidine Phosphorylase With Tipiracil Hydrochloride Attenuates Thrombosis Without Increasing Risk of Bleeding in Mice. *Arteriosclerosis, Thrombosis, and Vascular Biology.* 2020: ATVBAHA. 120.315109.

Yoshino T, et al. TAS-102 monotherapy for pretreated metastatic colorectal cancer: a double-blind, randomised, placebo-controlled phase 2 trial. *Lancet Oncol.* 2012 Oct;13(10):1993-12001.

Belcher A, Zulfiker A H M, Li O Q, et al. Targeting Thymidine Phosphorylase With Tipiracil Hydrochloride Attenuates Thrombosis Without Increasing Risk of Bleeding in Mice[J]. *Arteriosclerosis, Thrombosis, and Vascular Biology.* 2020: ATVBAHA. 120.315109.

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