

Antifolate C2

Chemical Properties

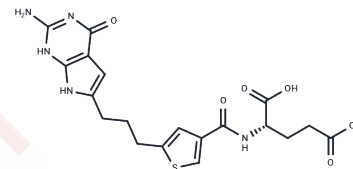
CAS No. : 1286279-90-0

Formula: C₁₉H₂₁N₅O₆S

Molecular Weight: 447.46

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	Antifolate C2 (AGF 154) has antitumor activity with an IC of 0.14 nM measured in a growth inhibition assay of human tumor cells. Antifolate C2 can be used for the study of cancer and autoimmune diseases.
Targets(IC50)	Apoptosis, Others, Antifolate
In vivo	Antifolate C2 (AGF154) was synthesized as a potential antitumor agent. AGF154 inhibited the proliferation of Chinese hamster ovary (CHO) sublines expressing folate receptors (FRs) α or β (IC ₅₀ s < 1 nM) or the proton-coupled folate transporter (PCFT) (IC ₅₀ < 7 nM). AGF154 inhibited KB, IGROV1, and SKOV3 human tumor cells at subnanomolar concentrations, reflecting both FR α and PCFT uptake. AGF154 inhibited glycinamide ribonucleotide formyltransferase (GARFTase). In severe combined immunodeficient mice bearing SKOV3 tumors, AGF154 was efficacious. The selectivity of AGF154 for PCFT and for FR α and β over the ubiquitously expressed reduced folate carrier is a paradigm for selective tumor targeting.[1]

Solubility Information

Solubility	DMSO: 55 mg/mL (122.92 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	2.2348 mL	11.1742 mL	22.3484 mL
5 mM	0.447 mL	2.2348 mL	4.4697 mL
10 mM	0.2235 mL	1.1174 mL	2.2348 mL
50 mM	0.0447 mL	0.2235 mL	0.447 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

Wang L, et al. 6-Substituted Pyrrolo[2,3-d]pyrimidine Thienoyl Regioisomers as Targeted Antifolates for Folate Receptor α and the Proton-Coupled Folate Transporter in Human Tumors. *J Med Chem.* 2015 Sep 10;58(17):6938-59.

Hou Z, et al. Dual Targeting of Epithelial Ovarian Cancer Via Folate Receptor α and the Proton-Coupled Folate Transporter with 6-Substituted Pyrrolo[2,3-d]pyrimidine Antifolates. *Mol Cancer Ther.* 2017 May;16(5):819-830.

Wilson M R, et al. Targeted therapy of non-small cell lung cancer (NSCLC) by novel 6-pyrrolo [2, 3-d] pyrimidine thienoyl antifolates with selective transport by the proton-coupled folate transporter (PCFT). *Cancer Research.* 2015, 75(15_Supplement): 5403-5403.

Matherly LH, et al. Biology and therapeutic applications of the proton-coupled folate transporter. *Expert Opin Drug Metab Toxicol.* 2022 Oct;18(10):695-706.

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