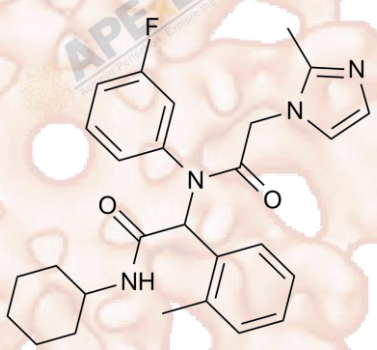
Product Data Sheet

AGI-5198

Cat. No.:	A4339
CAS No.:	1355326-35-0
Formula:	C27H31FN4O2
M.Wt:	462.56
Synonyms:	AGI5198, AGI 5198
Target:	Metabolism
Pathway:	Dehydrogenase
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾23.15 mg/mL in DMSO; insoluble in H2O; ⩾18.17 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.1619 mL	10.8094 mL	21.6188 mL
5 mM	0.4324 mL	2.1619 mL	4.3238 mL
10 mM	0.2162 mL	1.0809 mL	2.1619 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	IDH1 inhibitor against R132 mutant,selective and cell-permeable
IC_{50} & Target	0.07 μM (R132H-IDH1), 0.16 μM (R132C-IDH1)

In Vitro

Cell Viability Assay

Cell Line:	TS603 glioma cells with an endogenous heterozygous R132H-IDH1 mutation
Preparation method:	The solubility of this compound in DMSO is >23.2mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	20-3000 nM; 2 days

	Applications:	In R132H-IDH1 mutant TS603 glioma cells, AGI-5198 inhibited R-2HG production and impaired soft-agar colony formation of IDH1-mutant TS603 glioma cells.
In Vivo	**Animal experiment**	
	Animal models:	mice with established R132H-IDH1 glioma xenografts
	Dosage form:	450 mg/kg; orally administered; 3 weeks of daily treatment
	Applications:	In mice with established R132H-IDH1 glioma xenografts, AGI-5198 (450 mg/kg) caused 50 to 60% growth inhibition. AGI-5198 was tolerated well with no signs of toxicity. The growth-inhibitory effects of AGI-5198 were primarily due to impaired tumor cell proliferation rather than induction of apoptotic cell death.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Yamashita AS, da Costa Rosa M, Borodovsky A, et al."Demethylation and epigenetic modification with 5-Azacytidine reduces IDH1 mutant glioma growth in combination with Temozolomide." Neuro Oncol. 2018 Sep 3.PMID:30184215

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References

[1] Dan Rohle, Janeta Popovici-Muller, Nicolaos Palaskas, Sevin Turcan, Christian Grommes, Carl Campos, Jennifer Tsoi, Owen Clark, Barbara Oldrini, Evangelia Komisopoulou, Kaiko Kunii, Alicia Pedraza, Stefanie Schalm, Lee Silverman, Alexandra Miller, Fang Wang, Hua Yang, Yue Chen, Andrew Kernytsky, Marc K. Rosenblum, Wei Liu, Scott A. Biller, Shinsan M. Su, Cameron W. Brennan, Timothy A. Chan, Thomas G. Graeber, Katharine E. Yen, Ingo K. Mellinghoff. An Inhibitor of Mutant IDH1 Delays Growth and Promotes Differentiation of Glioma Cells. Science 340, 626 (2013).

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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