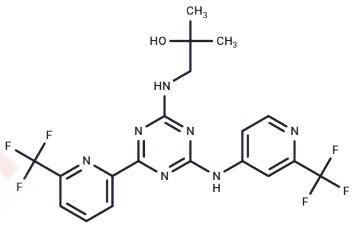
Data Sheet (Cat.No.T2346)

TargetMol

Enasidenib

Chemical Properties



CAS No. : 1446502-11-9

Formula: C19H17F6N7O

Molecular Weight: 473.38

Storage: Store at low temperature,Keep away from moisture
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	Enasidenib (AG-221) is an orally available inhibitor of specific mutant forms of the mitochondrial enzyme isocitrate dehydrogenase type 2 (IDH2), with potential antineoplastic activity.
Targets(IC50)	Dehydrogenase,Isocitrate Dehydrogenase (IDH)
In vitro	The compound has been demonstrated to reduce 2-HG levels by >90% and reverse histone and deoxyribonucleic acid (DNA) hypermethylation in vitro, and to induce differentiation in leukemia cell models[2].
In vivo	Enasidenib is able to potently reduce 2HG found in the bone marrow, plasma and urine of engrafted mice. Treatment also induced a dose dependent, statistically significant, survival benefit. A proliferative burst of the human specific CD45+ blast cells is followed by cellular differentiation as measured by the expression of CD11b, CD14 and CD15 and cell morphology after Enasidenib treatment[2]. Enasidenib treatment also restores megakaryocyte-erythroid progenitor (MEP) differentiation that is suppressed by mutant IDH2 expression and reverses the effects of mutant IDH2 on DNA methylation in mutant stem/progenitor cells. Clinicalal trials combining IDH2 inhibitors with other targeted AML therapies are warranted in order to increase therapeutic efficacy[1].
Kinase Assay	Untranslated region-mediated luciferase reporter expression: HEK293 cells are transfected with a GEMS reporter vector that contains the luciferase open-reading frame flanked by and under post-transcriptional control of the BMI-1 5′ and 3′ UTRs. The resulting stable cells (F8) are treated with PTC-209 or vehicle control overnight, and then luciferase reporter activity is determined using Bright-Glo assays. The assays are run in triplicate for each point, and the percentage of inhibition was calculated against vehicle control.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 100 mg/mL (211.25 mM),Sonication is recommended. DMSO: 245 mg/mL (517.55 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: 5 mg/mL (10.56 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1125 mL	10.5623 mL	21.1247 mL
5 mM	0.4225 mL	2.1125 mL	4.2249 mL
10 mM	0.2112 mL	1.0562 mL	2.1125 mL
50 mM	0.0422 mL	0.2112 mL	0.4225 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

Alan H. Shih, et al. Blood. 2014, 124:437.
Kate Ellwood-Yen, et al. AACR. 2014, 74(19 Sup.):3116.