

Product Datasheet

AG-221

Catalog No. **BP-02477** · CAS **1446502-11-9** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

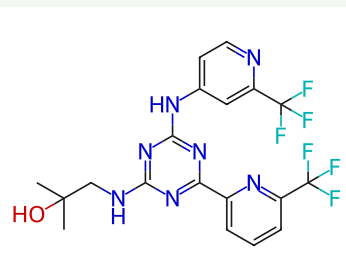
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Target Isocitrate Dehydrogenase (IDH)	Research area Cancer
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Molecular formula **C₁₉H₁₇F₆N₇O**

Molecular weight **473.3750**

STRUCTURE



DESCRIPTION

Enasidenib is an oral, potent, reversible, selective inhibitor of the IDH2 mutant enzymes, with IC₅₀s of 100 and 400 nM against IDH2 R140Q and IDH2 R172K, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Enasidenib (AG-221) reverses the effects of mutant IDH2 on DNA methylation in mutant stem/progenitor cells. Enasidenib induces differentiation and impairs self-renewal of IDH2-mutant leukemia cells, effects that are further enhanced by simultaneous inhibition of Flt3ITD. Enasidenib (AG-221) therapy induces differentiation of leukemic cells, with an increase in the CD11b+ population and a decrease in the c-Kit+ population in the peripheral blood at 2wks.

In Vivo

Treatment with Enasidenib (AG-221) significantly improves survival in an IDH2-mutant acute myeloid leukemia (AML) primary xenograft mouse model[1]. Enasidenib (AG-221), a mutant IDH2 inhibitor, remodels the epigenetic state of IDH2-mutant cells and induces alterations in self-renewal/differentiation in IDH2-mutant AML model in vivo. Enasidenib treatment (10mg/kg or 100mg/kg bid) leads to a reduction in 2-HG in vivo (96.7% below pre-treatment levels). Moreover, Enasidenib treatment restores megakaryocyte-erythroid progenitor (MEP) differentiation that is suppressed by mutant IDH2 expression (mean MEP% mean, 39% Veh vs 50% AG-221). Enasidenib therapy reverses the effects of mutant IDH2; a significant reduction is observed in DNA methylation, including 180 genes that have 20 or more hypomethylated differentially methylated cytosines (DMCs) following treatment. Enasidenib (100mg/kg bid) treatment of mice engrafted with Mx1-Cre IDH2R140QFlt3ITD AML cells markedly reduces 2-hydroxyglutarate (2-HG) levels consistent with on target inhibition. Enasidenib inhibits mutant IDH2-mediated 2-HG production.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1].Exploring the Pathway: IDH Mutations and Metabolic Dysregulation in Cancer Cells: A Novel Therapeutic Target. MAY 29, 2015

[2].Alan H. Shih, et al. AG-221, a Small Molecule Mutant IDH2 Inhibitor, Remodels the Epigenetic State of IDH2-Mutant Cells and Induces Alterations in Self-Renewal/Differentiation in IDH2-Mutant AML Model in Vivo. Blood 2014 124:437.

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