Product Data Sheet

Chemical Properties

Product Name: AG-221 (Enasidenib)
Cas No.: 1446502-11-9
M.Wt: 473.37
Formula: C19H17F6N7O

Chemical Name: 2-methyl-1-((4-(6-(trifluoromethyl)pyridin-2-yl)-6-((2-(trifluoromethyl)pyridin-4-yl)amino)-1,3,5-triazin-2-yl)amino)propan-2-ol
Canonical SMILES: CC(O)(C)CNC1=NC(C2=NC(C(F)(F)F)=CC=C2)=NC(NC3=CC(C(F)(F)F)=NC=C3)=N1

Solubility: ≥47.3mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Metabolism
Pathways: Dehydrogenase
Description:

IC50: ~16 nM for IDH2 R140Q mutant
AG-221 (Enasidenib) is a mutant isocitrate dehydrogenase 2 (IDH2) inhibitor. The somatic mutations of IDH1 and IDH2 are found in patients with acute myeloid leukemia. Leukemia-associated IDH1/2 mutations lead to aberrant accumulation of the oncometabolite 2-hydroxyglutarate (2-HG).
In vitro: AG-221 was found to be able to reduce 2-HG levels by >90%, reverse in-vitro histone and DNA hypermethylation, and induce differentiation in leukemia cell model as well. In addition, a dose dependent proliferative burst of the human specific CD45+ blast cells was observed by the treatment of AG-221, as measured by the expression of CD11b, CD14, CD15 and cell morphology [1].

In vivo: The efficacy of AG-221 in a primary human AML xenograft model with the IDH2 R140Q mutation was studied, and the results showed that AG-221 could reduce 2-HG in the plasma, bone marrow, and urine of engrafted mice potently. In addition, the treatment of AG-221 could also induce a significant and dose dependent survival benefit as demonstrated by that all mice in the high dose treatment of AG-221 survived to the end of study [1].

Clinical trial: A phase 1, multicenter, dose-escalation, safety, PK, PD, and clinical activity study of AG-221 in patients with advanced hematologic malignancies with an IDH2 mutation has been conducted [2].

Reference:

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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