Enasidenib
Item No. 21277

CAS Registry No.: 1446502-11-9
Formal Name: 2-methyl-1-[[4-[[6-(trifluoromethyl)-2-pyridinyl]-6-[[2-(trifluoromethyl)-4-pyridinyl]amino]-1,3,5-triazin-2-yl]amino]-2-propanol
Synonyms: AG-221, CC-90007
MF: C₁₉H₁₇F₆N₇O
FW: 473.4
Purity: ≥98%
UV/Vis.: λ_max: 237, 272 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Enasidenib is supplied as a crystalline solid. A stock solution may be made by dissolving the enasidenib in the solvent of choice, which should be purged with an inert gas. Enasidenib is soluble in the organic solvent DMSO at a concentration of approximately 10 mM.

Description

Enasidenib is an inhibitor of mutant isocitrate dehydrogenase 2 (IDH2; IC₅₀ = 0.1 µM for IDH2 R140Q). It is selective for IDH2 R140Q over wild-type IDH2, wild-type IDH1, and IDH1 R132H (IC₅₀s = 1.8, 0.45, and 48.4 µM, respectively). Enasidenib (0.1, 1, and 5 µM) inhibits production of D-2-hydroxyglutarate (Item No. 11605) and induces differentiation in primary acute myeloid leukemia (AML) cells expressing IDH2 R140Q. It increases survival in a patient-derived xenograft (PDX) mouse model when administered at doses of 5, 15, and 45 mg/kg. Formulations containing enasidenib have been used in the treatment of AML.

Reference