Catalog # 10-4660

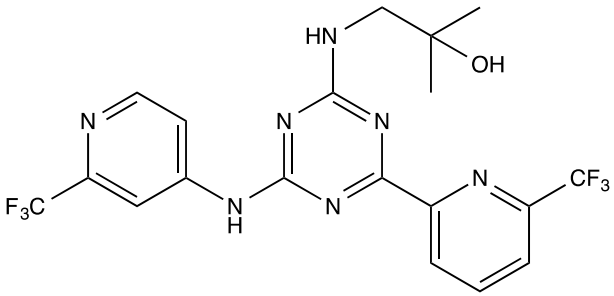
Enasidenib

CAS# 1446502-11-9

AG-221

2-Methyl-1-[[4-[6(trifluoromethyl)-2-pyridinyl]-6-[[2-(trifluoromethyl)-4-pyridinyl]amino]-1,3,5-triazin-2-yl]amino]-2-propanol

Lot # FBS1032



Enasidenib is a potent (IC_{50}'s = 100 nM IDH2R140Q homodimer, 30 nM IDH2R140Q/WT heterodimer and 10 nM IDH2R172K/WT heterodimer) and selective inhibitor of mutant isocitrate dehydrogenase 2 (IDH2).[1] It suppressed the production of the oncometabolite (R)-2-Hydroxyglutarate (a competitive inhibitor of αKG-dependent dioxygenases which leads to epigenetic dysregulation) and induced cellular differentiation in primary human IDH2 mutation-positive acute myeloid leukemia cells.[1,2] Recently approved for clinical use by the FDA.

1) Yen *et al.* (2017), *AG-221, A First-in-Class Therapy Targeting Acute Myeloid Leukemia Harboring Oncogenic IDH2 Mutations*; Cancer Discov. **7** 478
2) Amatangelo *et al.* (2017), *Enasidenib induces acute myeloid leukemia cell differentiation to promote clinical response;* Blood **130** 732

PHYSICAL DATA

Molecular Weight:	473.38
Molecular Formula:	$C_{19}H_{17}F_6N_7O$
Purity:	>98%
	NMR: Conforms
Solubility:	DMSO (25 mg/mL)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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