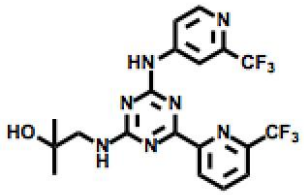
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

IHD2 Inhibitor – AG-221 (Enasidenib)

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



Molecular Weight:	473.38
Formula:	$C_{19}H_{17}F_6N_7O$
Purity:	≥98%
CAS#:	1446502-11-9
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

AG-221 (Enasidenib) is a potent and selective inhibitor of IDH2 R140Q mutant with IC_{50} ~16 nM. It can reduce intracellular and extracellular levels of 2-HG in TF-1/IDH2 (R140Q) mutant cells in a dose-dependent manner. It can reduce IDH2 (R140Q)-induced GM-CSF-independent growth, reduce histone hypermethylation associated with elevated levels of 2-HG. In vivo treatment with AG-221 in U87MG IDH2 (R140Q) tumor xenograft model lead to a reduction in tumor 2-HG concentration. A dose dependent decrease in leukemia and evidence of normal differentiation was seen in AG-221 treated NOD/SCID mice engrafted with AMM7577-P2 cells. Now the drug is in clinical trials for IDH2 mutant-positive AML.

How to Use:

In vitro: AG-221 was used at 1 μM in vitro and cellular assays.

In vivo: AG-221 was dosed orally to mice bearing IDH2 mutant tumors at 5-50 mg/Kg once per day.

Reference:

1. http://investor.agios.com/phoenix.zhtml?c=251862&p=irol-publications
2. Chong-Hui Gu, et al. Crystalline forms of therapeutically active compounds and use thereof. (2015) PCT WO 2015018060