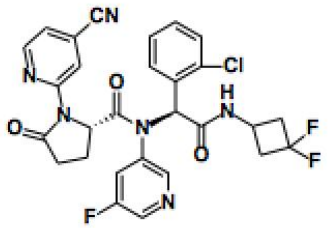
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

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

IDH1 Inhibitor – AG-120 (Ivosidenib)

Chemical Name: (S)-N-((S)-1-(2-chlorophenyl)-2-((3,3-difluorocyclobutyl)amino)-2-oxoethyl)-1-(4-cyanopyridin-2-yl)-N-(5-fluoropyridin-3-yl)-5-oxopyrrolidine-2-carboxamide



Molecular Weight:	582.96
Formula:	$C_{28}H_{22}ClF_3N_6O_3$
Purity:	≥98%
CAS#:	1448347-49-6
Solubility:	DMSO up to 100 mM EtOH up to 100 mM
Storage	Powder: 4 ºC 1 year DMSO: 4 ºC 3 months -20 ºC 1 year

Biological Activity:

AG-120 (Ivosidenib) is a potent, selective and reversible inhibitor of IDH1 with IC_{50} < 100 nM. It has been shown to lower 2-HG levels and restore cellular differentiation in IDH1-mutant primary human blast cells cultured ex vivo. In phase I clinical trials targeting patients with IDH1-mutated, relapsed and/or refractory (R/R) AML or untreated AML not eligible for standard of care or R/R MDS, AG-120 is well tolerated to date (10/2015) and MTD was not reached. Overall response rate is 35% including 12 CRs.

How to Use:

In vitro: AG-120 was used at 1 μM in vitro and cellular assays.

In vivo: AG-120 was dosed orally to mice bearing IDH1 mutant tumors at 150 mg/Kg once per day.

Reference:

1. Erica Hansen, et al. AG-120, an Oral, Selective, First-in-Class, Potent Inhibitor of Mutant IDH1, Reduces Intracellular 2HG and Induces Cellular Differentiation in TF-1 R132H Cells and Primary Human IDH1 Mutant AML Patient Samples Treated Ex Vivo. (2014) Blood. 124:3734
2. Kc B, et al. Evidence for Clinical Differentiation and Differentiation Syndrome in Patients With Acute Myeloid Leukemia and IDH1 Mutations Treated With the Targeted Mutant IDH1 Inhibitor, AG-120. (2016) Clin Lymphoma Myeloma Leuk. In press.