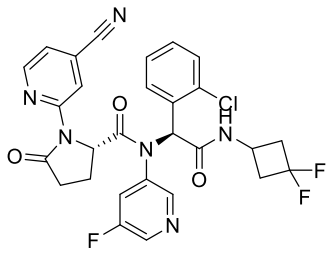
Product data sheet

MedKoo Cat#: 206507 Name: Ivosidenib CAS#: 1448347-49-6 (Ivosidenib) Chemical Formula: $C_{28}H_{22}ClF_3N_6O_3$ Exact Mass: 582.1394 Molecular Weight: 582.97	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Ivosidenib, also known as AG-120 and RG-120, is an orally available inhibitor of isocitrate dehydrogenase type 1 (IDH1), with potential antineoplastic activity. Ivosidenib specifically inhibits a mutated form of IDH1 in the cytoplasm, which inhibits the formation of the oncometabolite, 2-hydroxyglutarate (2HG). This may lead to both an induction of cellular differentiation and an inhibition of cellular proliferation in IDH1-expressing tumor cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	56.33	96.63
DMF	30.0	51.46
Ethanol	65.0	111.50

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.72 mL	8.58 mL	17.15 mL
5 mM	0.34 mL	1.72 mL	3.43 mL
10 mM	0.17 mL	0.86 mL	1.72 mL
50 mM	0.03 mL	0.17 mL	0.34 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Wu J, Chen R, Shen H, Yan T, Qian Y, Zhang Y, Huang Z, Kong P, Pang M, Zhang X. Transcriptome Analysis of Ivosidenib-Mediated Inhibitory Functions on Non-Small Cell Lung Cancer. Front Oncol. 2021 Mar 30;11:626605. doi: 10.3389/fonc.2021.626605. PMID: 33859940; PMCID: PMC8042334.
2. Popovici-Muller J, Lemieux RM, Artin E, Saunders JO, Salituro FG, Travins J, Cianchetta G, Cai Z, Zhou D, Cui D, Chen P, Straley K, Tobin E, Wang F, David MD, Penard-Lacronique V, Quivoron C, Saada V, de Botton S, Gross S, Dang L, Yang H, Utley L, Chen Y, Kim H, Jin S, Gu Z, Yao G, Luo Z, Lv X, Fang C, Yan L, Olaharski A, Silverman L, Biller S, Su SM, Yen K. Discovery of AG-120 (Ivosidenib): A First-in-Class Mutant IDH1 Inhibitor for the Treatment of IDH1 Mutant Cancers. ACS Med Chem Lett. 2018 Jan 19;9(4):300-305. doi: 10.1021/acsmedchemlett.7b00421. PMID: 29670690; PMCID: PMC5900343.

In vivo study

1. Wu J, Chen R, Shen H, Yan T, Qian Y, Zhang Y, Huang Z, Kong P, Pang M, Zhang X. Transcriptome Analysis of Ivosidenib-Mediated Inhibitory Functions on Non-Small Cell Lung Cancer. Front Oncol. 2021 Mar 30;11:626605. doi: 10.3389/fonc.2021.626605. PMID: 33859940; PMCID: PMC8042334.

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2. Popovici-Muller J, Lemieux RM, Artin E, Saunders JO, Salituro FG, Travins J, Cianchetta G, Cai Z, Zhou D, Cui D, Chen P, Straley K, Tobin E, Wang F, David MD, Penard-Lacronique V, Quivoron C, Saada V, de Botton S, Gross S, Dang L, Yang H, Utley L, Chen Y, Kim H, Jin S, Gu Z, Yao G, Luo Z, Lv X, Fang C, Yan L, Olaharski A, Silverman L, Biller S, Su SM, Yen K. Discovery of AG-120 (Ivosidenib): A First-in-Class Mutant IDH1 Inhibitor for the Treatment of IDH1 Mutant Cancers. ACS Med Chem Lett. 2018 Jan 19;9(4):300-305. doi: 10.1021/acsmedchemlett.7b00421. PMID: 29670690; PMCID: PMC5900343.

7. Bioactivity

Biological target:

Ivosidenib (AG-120) is an inhibitor of isocitrate dehydrogenase 1 mutant (mIDH1) enzyme.

In vitro activity

A549 and SK-MES-1 cell viabilities were lower after treatment with ivosidenib for 24, 48, and 72 h. The suppression rates were dose-dependent but not time-dependent (Figure 1C). As shown in (Figure 1D), the number of colonies inversely correlated with concentrations of ivosidenib. This study performed a Transwell array in A549 and SK-MES-1 cells to evaluate the effect of ivosidenib on cell invasion and migration and found that the invasion and migration abilities of A549 and SK-MES-1 cells were significantly lower in the ivosidenib-treatment group than in the control group (Figures 3A, B).

Reference: Front Oncol. 2021; 11: 626605. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8042334/

In vivo activity

AG-120 showed robust tumor 2-HG reduction in female nude BALB/c mice inoculated with HT1080 cells. Each mouse received a single oral dose of vehicle or AG-120 at 50 or 150 mg/kg by gavage. Tumor 2-HG concentration declined rapidly, with maximum inhibition (92.0% and 95.2% at the 50 mg/kg and 150 mg/kg doses, respectively) achieved at ~12 h post dose. Tumor 2-HG concentrations approached baseline levels 48–72 h following a single dose of AG-120 (Figure 1), consistent with the reversible nature of AG-120 inhibition.

Reference: ACS Med Chem Lett. 2018 Apr 12; 9(4): 300–305. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5900343/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.