Ivosidenib

Cat. No.: HY-18767

CAS No.: 1448347-49-6

Molecular Formula: $C_{28}H_{22}ClF_3N_6O_3$

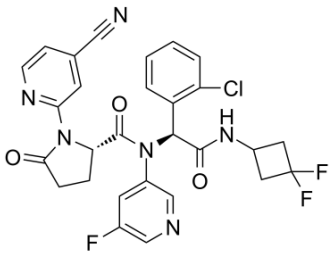
Molecular Weight: 582.96

Target: Isocitrate Dehydrogenase (IDH)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 39 mg/mL (66.90 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7154 mL	8.5769 mL	17.1538 mL
5 mM	0.3431 mL	1.7154 mL	3.4308 mL
10 mM	0.1715 mL	0.8577 mL	1.7154 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (3.57 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.57 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ivosidenib (AG-120) is an orally active inhibitor of isocitrate dehydrogenase 1 mutant (mIDH1) enzyme, it exhibits profound d-2-hydroxyglutatrate (2-HG) lowering in vivo. Ivosidenib (AG-120) has the potential for AML therapy due to its acceptable safety profile and clinical activity[1].

IC_{50} & Target

12 nM (mouse $IDH1^{R132H}$)[1]

In Vitro	Ivosidenib (AG-120) (0-13 μM; 48 hours) inhibits several IDH1-R132 mutants with potency similar IC_{50} values: IDH1-R132H (IC_{50}=12 nM); IDH1-R132C (IC_{50}=13 nM); IDH1-R132G (IC_{50}=8 nM); IDH1-R132L (IC_{50}=13 nM); IDH1-R132S (IC_{50}=12 nM), respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AG-120 (gavage administration; 50 mg/kg and 150 mg/kg) declines tumor 2-HG concentration 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female nude BALB/c mice inoculated with HT1080 cells[1]
Dosage:	50 mg/kg and 150 mg/kg
Administration:	Gavage administration; 50 mg/kg and 150 mg/kg
Result:	Showed robust tumor 2-HG reduction in mouse.

CUSTOMER VALIDATION

- Theranostics. 2020 Jul 9;10(19):8757-8770.
- Eur J Pharm Sci. 2019 Dec 1;140:105072.

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REFERENCES

[1]. Popovici-Muller J et al. Discovery of AG-120 (Ivosidenib): A First-in-Class Mutant IDH1 Inhibitor for the Treatment of IDH1Mutant Cancers. ACS Med Chem Lett. 2018 Jan 19;9(4):300-305.

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