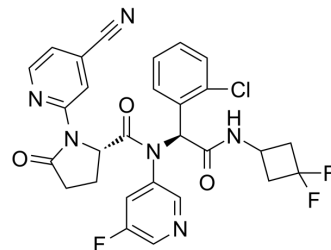


Ivosidenib

Cat. No.:	HY-18767		
CAS No.:	1448347-49-6		
Molecular Formula:	C ₂₈ H ₂₂ ClF ₃ N ₆ O 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)
 * "≥" means soluble, but saturation unknown.

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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-hydroxyglutamate (2-HG) lowering in vivo. Ivosidenib (AG-120) has the potential for AML therapy due to its acceptable safety profile and clinical activity^[1].

IC₅₀ & Target

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

In Vitro	Ivosidenib (AG-120) (0-13 μ M; 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.								
	<table border="1"> <tr> <td>Animal Model:</td> <td>Female nude BALB/c mice inoculated with HT1080 cells^[1]</td> </tr> <tr> <td>Dosage:</td> <td>50 mg/kg and 150 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Gavage administration; 50 mg/kg and 150 mg/kg</td> </tr> <tr> <td>Result:</td> <td>Showed robust tumor 2-HG reduction in mouse.</td> </tr> </table>	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.
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CUSTOMER VALIDATION

- J Exp Med. 2021 May 3;218(5):e20200924.
- Theranostics. 2020 Jul 9;10(19):8757-8770.
- Front Oncol. 2021 Mar 30;11:626605.
- Eur J Pharm Sci. 2019 Dec 1;140:105072.
- Bioorgan Med Chem. 27 November 2021, 116525.

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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 IDH1 Mutant Cancers. ACS Med Chem Lett. 2018 Jan 19;9(4):300-305.

Caution: Product has not been fully validated for medical applications. For research use only.

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