Ibezapolstat

Cat. No.: HY-128357

CAS No.: 1275582-97-2

Molecular Formula: $C_{18}H_{20}Cl_2N_6O_2$

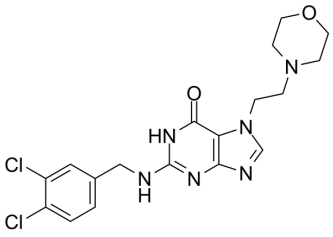
Molecular Weight: 423.3

Target: Bacterial; DNA/RNA Synthesis

Pathway: Anti-infection; Cell Cycle/DNA Damage

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 : 62.5 mg/mL (147.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3624 mL	11.8120 mL	23.6239 mL
	5 mM	0.4725 mL	2.3624 mL	4.7248 mL
	10 mM	0.2362 mL	1.1812 mL	2.3624 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 (4.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ibezapolstat (ACX-362E) is a first-in-class, orally active DNA polymerase IIIC (pol IIIC) inhibitor, with a K_i of 0.325 μM for the DNA pol IIIC from C. difficile. Ibezapolstat is developed for the research of C. difficile infection(CDI)[1][2].

IC_{50} & Target

Ki: 0.325 μM (DNA pol IIIC from C. difficile)[2]

In Vitro

Ibezapolstat binds to and inhibits DNA pol IIIC from aerobic and low G+C Gram-positive bacteria[1].
Ibezapolstat displays antibacterial activities against broad spectrum of C. difficile pathogens, with an MIC range of 1-8 μ

	g/mL for a panel of 104 clinical isolates of C. difficile overall in vitro[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ibezapolstat is poorly absorbed and apparently nontoxic in the hamster C. difficile-associated disease (CDAD) model (potential for achieving a high local concentration at the site of C. difficile infection in the colon)[3]. Ibezapolstat (50 mg/kg; p.o.; twice daily; for 3 days) shows strong anti-C. difficile properties in the hamster CDAD model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female golden Syrian hamsters (80-90 g), CDAD model[3]
Dosage:	50 mg/kg
Administration:	Oral administration, twice daily, for 3 days
Result:	Completely protected C. difficile-infected animals for a period of up to 5 days.

REFERENCES

[1]. Beverly Murray, et al. In vitro activity of the novel antibacterial agent ibezapolstat (ACX-362E) against Clostridioides difficile. J Antimicrob Chemother. 2020 Aug 1;75(8):2149-2155.

[2]. Andrea Torti, et al. Clostridium difficile DNA polymerase IIIC: basis for activity of antibacterial compounds. Curr Enzym Inhib. 2011 Oct; 7(3): 147-153.

[3]. Sofya Dvoskin, et al. A Novel Agent Effective against Clostridium difficile Infection. Antimicrob Agents Chemother. 2012 Mar; 56(3): 1624-1626.

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