Baloxavir

Cat. No.: HY-109025A

CAS No.: 1985605-59-1

Molecular Formula: $C_{24}H_{19}F_2N_3O_4S$

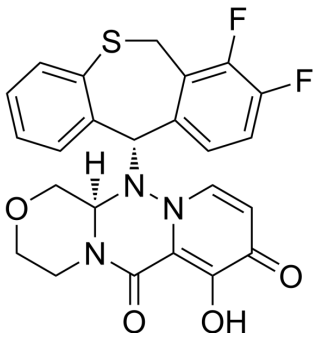
Molecular Weight: 483.49

Target: Influenza Virus

Pathway: Anti-infection

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (86.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0683 mL	10.3415 mL	20.6830 mL
	5 mM	0.4137 mL	2.0683 mL	4.1366 mL
	10 mM	0.2068 mL	1.0341 mL	2.0683 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 (4.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Baloxavir (Baloxavir acid), derived from the proagent Baloxavir marboxil, is a first-in-class, potent and selective cap-dependent endonuclease (CEN) inhibitor within the polymerase PA subunit of influenza A and B viruses. Baloxavir inhibits viral RNA transcription and replication and has potently antiviral activity[1][2].

IC_{50} & Target

Influenza virus[1]Cap-dependent endonuclease (CEN)[1][2]

In Vitro

The median EC_{50} values at baseline for Baloxavir (BXA) are 17.96 nM for A/H1N1pdm, 4.48 nM for A/H3N2, and 18.67 nM for type B virus[1].

Baloxavir (BXA) inhibits viral RNA transcription via selective inhibition of cap-dependent endonuclease (CEN) activity in enzymatic assays, and inhibits viral replication in infected cells without cytotoxicity in cytopathic effect assays. Baloxavir shows broad potency against various subtypes of influenza A viruses (H1N2, H5N1, H5N2, H5N6, H7N9 and H9N2).

Additionally, serial passages of the viruses in the presence of Baloxavir result in isolation of PA/I38T variants with reduced BXA susceptibility[2].

Baloxavir (BXA) inhibits cap-dependent endonuclease (CEN) and CEN/RdRp activities with IC_{50} values of 2.5 nM and 1.6 nM, respectively, while low potency (IC_{50} >40 nM) is observed against RdRp activity[2].

Baloxavir (BXA) has a high inhibitory potency against CEN activity of the tested viral ribonucleoprotein complexes (vRNPs) from influenza A and B viruses with mean IC_{50} values of 1.4-3.1 nM and 4.5-8.9 nM, respectively, indicating that Baloxavir has broad spectrum activities. Baloxavir shows high potency against influenza A and B viruses with mean EC_{90} of 0.46 - 0.98 nM and 2.2-3.4 nM, respectively[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Microbiol. 2020 Jan;5(1):27-33.
- Nat Commun. 2020 Jan 9;11(1):164.
- Emerg Infect Dis. 2019 Nov;25(11):2108-2111.
- Proc Natl Acad Sci U S A. 2020 Apr 14;117(15):8593-8601.
- PLoS Pathog. 2022 Jul 13;18(7):e1010698.

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REFERENCES

[1]. Omoto S, et al. Characterization of influenza virus variants induced by treatment with the endonuclease inhibitor baloxavir marboxil. Sci Rep. 2018 Jun 25;8(1):9633.

[2]. Noshi T, et al. In vitro characterization of baloxavir acid, a first-in-class cap-dependent endonuclease inhibitor of the influenza virus polymerase PA subunit. Antiviral Res. 2018 Dec;160:109-117.

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