Avoralstat

Cat. No.: HY-16735

CAS No.: 918407-35-9

Molecular Formula: $C_{28}H_{27}N_5O_5$

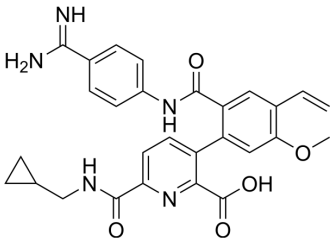
Molecular Weight: 513.54

Target: Ser/Thr Protease

Pathway: Metabolic Enzyme/Protease

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (97.36 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9473 mL	9.7363 mL	19.4727 mL
	5 mM	0.3895 mL	1.9473 mL	3.8945 mL
	10 mM	0.1947 mL	0.9736 mL	1.9473 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: ≥ 2.5 mg/mL (4.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Avoralstat (BCX4161), a potent and orally active plasma kallikrein (PKK) inhibitor, is used for hereditary angioedema research[1][2].

In Vitro

C1 inhibitor (C1INH) is the primary regulator of contact activation, both by inhibiting the conversion of prekallikrein to plasma kallikrein (PKK) by FXIIa, and by directly inhibiting PKK. PKK cleaves high molecular weight kininogen, releasing bradykinin, whose actions are responsible for the signs and symptoms of hereditary angioedema (HAE)[2].

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

CUSTOMER VALIDATION

- Circulation. 2022 Mar;145(9):675-687.
- J Clin Invest. 2021 May 17;131(10):e147973.
- J Biomol Struct Dyn. 2021 Sep 22;1-12.
- bioRxiv. 2021 Jan 4.

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REFERENCES

[1]. Aygoren-Pursun E, et al. Prophylaxis of hereditary angioedema attacks: A randomized trial of oral plasma kallikrein inhibition with avoralstat. J Allergy Clin Immunol. 2016 Sep;138(3):934-936.e5.

[2]. Cornpropst M, et al. Safety, pharmacokinetics, and pharmacodynamics of avoralstat, an oral plasma kallikrein inhibitor: phase 1 study. Allergy. 2016;71(12):1676-1683.

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