Product Data Sheet

Berotralstat dihydrochloride

 Cat. No.:
 HY-109127A

 CAS No.:
 1809010-52-3

 Molecular Formula:
 $C_{30}H_{28}Cl_2F_4N_6O$

Molecular Weight: 635.48

Target: Ser/Thr Protease

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, stored under nitrogen, away from moisture

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

moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 120 mg/mL (188.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.5736 mL	7.8681 mL	15.7361 mL
	5 mM	0.3147 mL	1.5736 mL	3.1472 mL
	10 mM	0.1574 mL	0.7868 mL	1.5736 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: ≥ 1.2 mg/mL (1.89 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.2 mg/mL (1.89 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.2 mg/mL (1.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Berotralstat dihydrochloride is a low toxicity, effective, highly specific, second-generation, synthetic and orally active plasma kallikrein inhibitor used for the research of hereditary angioedema (HAE) attacks. Berotralstat dihydrochloride works by blocking the enzymatic activity of plasma kallikrein in releasing bradykinin, the major biologic peptide that promotes swelling and pain associated with attacks of HAE^{[1][2]}.

REFERENCES

[1]. Zuraw B, et al. Oral once-daily berotralstat for the prevention of hereditary angioedema attacks: A randomized, double-blind, placebo-controlled phase 3 trial [published online ahead of print, 2020 Oct 21]. J Allergy Clin Immunol. 2020;S0091-6749(20)31484-6.
[2]. Manning ME, et al. Berotralstat (BCX7353) is a novel oral prophylactic treatment for hereditary angioedema: Review of phase II and III studies. Allergy Asthma Proc 2021;42(4):274-282.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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