Screening Libraries

Berotralstat

Cat. No.: HY-109127 CAS No.: 1809010-50-1 Molecular Formula: $C_{30}H_{26}F_{4}N_{6}O$ Molecular Weight: 562.56

Target: Ser/Thr Protease

Pathway: Metabolic Enzyme/Protease 4°C, stored under nitrogen Storage:

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

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (177.76 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|-----------|------------|
| | 1 mM | 1.7776 mL | 8.8879 mL | 17.7759 mL |
| | 5 mM | 0.3555 mL | 1.7776 mL | 3.5552 mL |
| | 10 mM | 0.1778 mL | 0.8888 mL | 1.7776 mL |

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 8.5 mg/mL (15.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Berotralstat (BCX7353) is a low toxicity, effective, highly specific, second-generation, synthetic and orally active plasma Description

kallikrein inhibitor used for the research of hereditary angioedema (HAE) attacks. Berotralstat 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]}.

Plasma kallikrein^[1] IC₅₀ & Target

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. Berotra 021;42(4):274-282. | lstat (BCX7353) is a novel ora | l prophylactic treatment for here | ditary angioedema: Review of phase II and | d III studies. Allergy Asthma Proc. |
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