**Proteins** 

# **GNE-1858**

Cat. No.: HY-135892 CAS No.: 2680616-96-8 Molecular Formula:  $C_{21}H_{26}F_{2}N_{8}$ Molecular Weight: 428.48 MAP4K Target:

Pathway: MAPK/ERK Pathway

Storage: Powder -20°C 3 years

2 years

-80°C In solvent 6 months

> -20°C 1 month

**Product** Data Sheet

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 20 mg/mL (46.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3338 mL	11.6692 mL	23.3383 mL
	5 mM	0.4668 mL	2.3338 mL	4.6677 mL
	10 mM	0.2334 mL	1.1669 mL	2.3338 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 mg/mL (4.67 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2 mg/mL (4.67 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2 mg/mL (4.67 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description

GNE-1858 is a potent and ATP-competitive hematopoietic progenitor kinase-1 (HPK1) inhibitor, with IC<sub>50</sub>s of 1.9 nM, 1.9 nM, and 4.5 nM for wild-type and the active mimetic mutants HPK1-TSEE and HPK1-SA, respectively<sup>[1]</sup>.

In Vitro

Hematopoietic progenitor kinase-1 (HPK1), a serine/threonine Ste20-related protein kinase whose expression is restricted to the hematopoietic compartment (e.g., T cells, B cells, and dendritic cells), is one such kinase. HPK1 is a negative-feedback regulator of T cell receptor signaling, which dampens T cell proliferation and effector function<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES
[1]. Wu P, et al. Hematopoietic Progenitor Kinase-1 Structure in a Domain-Swapped Dimer. Structure. 2019 Jan 2;27(1):125-133.e4.
Caution: Product has not been fully validated for medical applications. For research use only.
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Page 2 of 2 www.MedChemExpress.com