Inhibitors

Product Data Sheet

HPK1-IN-3

Cat. No.: HY-138568 CAS No.: 2739844-34-7 Molecular Formula: $C_{23}H_{22}F_4N_6O_2$

Molecular Weight: 490.45 MAP4K Target:

Pathway: MAPK/ERK Pathway

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 6 months

> -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 83.33 mg/mL (169.91 mM; Need ultrasonic)

| | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|------------|------------|
| Preparing Stock Solutions | 1 mM | 2.0389 mL | 10.1947 mL | 20.3894 mL |
| | 5 mM | 0.4078 mL | 2.0389 mL | 4.0779 mL |
| | 10 mM | 0.2039 mL | 1.0195 mL | 2.0389 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 (4.24 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.24 mM); Clear solution

BIOLOGICAL ACTIVITY

| Description | HPK1-IN-3 is a potent and selective ATP-competitive hematopoietic progenitor kinase 1 (HPK1; MAP4K1) inhibitor with an IC $_{50}$ of 0.25 nM. HPK1-IN-3 has IL-2 cellular potency with an EC $_{50}$ of 108 nM in human peripheral blood mononuclear cells (PBMCs) $^{[1]}$. |
|---------------------------|---|
| IC ₅₀ & Target | HPK1 0.25 nM (IC ₅₀) |
| In Vitro | HPK1-IN-3 (compound 27; 0.25-4 μ M; 24-h) treatment shows a statistically significant elevation of proinflammatory cytokines IL-6 and TNF- α was observed in a concentration-dependent manner in human monocyte-derived dendritic cells ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

| [1]. Brandon A Vara, et al. Discovery of Diaminopyrimidine Carboxamide HPK1 Inhibitors as Preclinical Immunotherapy Tool Compounds. ACS Med Chem Lett. 2021 Mar 19;12(4):653-661. | | | | | | | |
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| | Caution: Product has n | ot been fully validated for m | nedical applications. For research use | only. | | | |
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