Product Data Sheet

GNE-618

 Cat. No.:
 HY-12628

 CAS No.:
 1362151-42-5

 Molecular Formula:
 $C_{21}H_{15}F_3N_4O_3S$

Molecular Weight: 460.43

Target: NAMPT

Pathway: Metabolic Enzyme/Protease

Storage: Powder -20°C 3 years

 $\begin{array}{ccc} & 4^{\circ}\text{C} & 2 \text{ years} \\ \text{In solvent} & -80^{\circ}\text{C} & 6 \text{ months} \\ & -20^{\circ}\text{C} & 1 \text{ month} \end{array}$

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (271.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1719 mL	10.8594 mL	21.7188 mL
	5 mM	0.4344 mL	2.1719 mL	4.3438 mL
	10 mM	0.2172 mL	1.0859 mL	2.1719 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 (4.52 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: \ge 2.08 mg/mL (4.52 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	GNE-618 is a potent, orally active nicotinamide phosphoribosyl transferase (NAMPT) inhibitor with an IC $_{50}$ of 6 nM. GNE-618 depletes NAD levels and induces tumor cell death. Anti-tumor activity ^[1] .
IC ₅₀ & Target	IC50: 6 nM (NAMPT) ^[1]
In Vitro	GNE-618 reduces levels of NAD with an EC ₅₀ of 2.6 nM in the NSCLC cell line Calu- $6^{[1]}$. GNE-618 (10-30 nM; 72 hours) reveals an increase in the sub-2N population and a decreases in the percentage of cells in the

	G1 and M phases of the cell cycle in Calu-6 cells ^[1] . GNE-618 also reduces cellular proliferation of Calu-6 cells as determined using two different assay formats, either measuring ATP (EC ₅₀ of 13.6 \pm 1.8 nM) or total protein content (SRB assay; EC ₅₀ of 25.8 \pm 4.2 nM) ^[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GNE-618 (100 mg/kg; p.o.; twice daily for 5 days) significantly inhibits tumor growth by 88% and has minimal effects on body weight in STO#81 patient-derived gastric model ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Xiao Y, et al. Dependence of tumor cell lines and patient-derived tumors on the NAD salvage pathway renders them sensitive to NAMPT inhibition with GNE-618. Neoplasia. 2013 Oct;15(10):1151-60.

Caution: Product has not been fully validated for medical applications. For research use only.

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