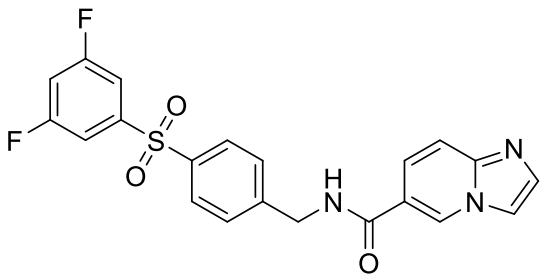
Product data sheet

MedKoo Cat#: 407304 Name: GNE-617 CAS: 1362154-70-8 Chemical Formula: $C_{21}H_{15}F_2N_3O_3S$ Exact Mass: 427.0802 Molecular Weight: 427.4258	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GNE-617 is a potent nicotinamide phosphoribosyltransferase (NAMPT) inhibitor with IC50 value of 5nM. Prolonged inhibition of nicotinamide phosphoribosyltransferase (NAMPT) is a strategy for targeting cancer metabolism.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	46.79
DMSO	78.67	26.22
DMSO:PBS (pH 7.2) (1:4)	0.2	0.47

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.34 mL	11.70 mL	23.40 mL
5 mM	0.47 mL	2.34 mL	4.68 mL
10 mM	0.23 mL	1.17 mL	2.34 mL
50 mM	0.05 mL	0.23 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Clausing M, William D, Preussler M, Biedermann J, Grützmann K, Richter S, Buchholz F, Temme A, Schröck E, Klink B. Different Effects of RNAi-Mediated Downregulation or Chemical Inhibition of NAMPT in an Isogenic IDH Mutant and Wild-Type Glioma Cell Model. Int J Mol Sci. 2022 May 21;23(10):5787. doi: 10.3390/ijms23105787. PMID: 35628596; PMCID: PMC9143996.
2. Ogino Y, Sato A, Uchiumi F, Tanuma SI. Cross resistance to diverse anticancer nicotinamide phosphoribosyltransferase inhibitors induced by FK866 treatment. Oncotarget. 2018 Mar 27;9(23):16451-16461. doi: 10.18632/oncotarget.24731. PMID: 29662658; PMCID: PMC5893253.

In vivo study

1. O'Brien T, Oeh J, Xiao Y, Liang X, Vanderbilt A, Qin A, Yang L, Lee LB, Ly J, Cosino E, LaCap JA, Ogasawara A, Williams S, Nannini M, Liederer BM, Jackson P, Dragovich PS, Sampath D. Supplementation of nicotinic acid with NAMPT inhibitors results in loss of in vivo efficacy in NAPRT1-deficient tumor models. Neoplasia. 2013 Dec;15(12):1314-29. doi: 10.1593/neo.131718. PMID: 24403854; PMCID: PMC3884523.

7. Bioactivity

Product data sheet

Biological target:

GNE-617 is a specific NAMPT inhibitor that inhibits the biochemical activity of NAMPT with an IC50 of 5 nM.

In vitro activity

To test whether pharmacological NAMPT inhibition resulted in similar cytotoxicity in IDH1^{R132H} cells to the esiRNA-mediated NAMPT knockdown, this study exposed cells to the chemically distinct, specific NAMPT inhibitors FK866, GMX1778 and GNE-617. Each inhibitor reduced the metabolic activity—quantified via the NAD(P)H-dependent WST-1-reduction rate—after 48 h of treatment in a concentration-dependent manner (Figure 5A). The IC50 values were determined to be 36.8 nM, 19.9 nM and 27.9 nM for FK866, GMX1778 and GNE-617, respectively.

Reference: Int J Mol Sci. 2022 May 21;23(10):5787. https://pubmed.ncbi.nlm.nih.gov/35628596/

In vivo activity

GNE-617 was highly efficacious and induced tumor regression within 5 days of twice daily treatment at MTDs of 10 to 15 mg/kg (20 to 30 mg/kg total dose/day) in the HCT-116 [%TGI at 15 mg/kg, twice daily = 87 (95% CI = 78, 94)], MiaPaCa-2 [%TGI at 10 mg/kg, twice daily = 91 (95% CI = 87, 95)], PC3 [%TGI at 15 mg/kg, twice daily = 168 (95% CI = 122, 476)], and HT-1080 [%TGI at 15 mg/kg, twice daily = 143 (95% CI = 107, 438)] xenograft models (Figures 1, A–D, and W2).

Reference: Neoplasia. 2013 Dec;15(12):1314-29. https://pubmed.ncbi.nlm.nih.gov/24403854/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.