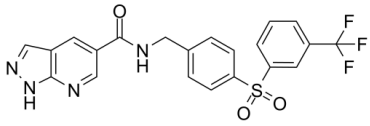
Data Sheet

Product Name:	GNE-618
Cat. No.:	CS-0012107
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
Solubility:	DMSO : 125 mg/mL (271.49 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. IC50 & 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 ± 1.8 nM) or total protein content (SRB assay; EC_{50} of 25.8 ± 4.2 nM)[1] **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].

References:

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

CAIndexNames:

1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[4-[[3-(trifluoromethyl)phenyl]sulfonyl]phenyl]methyl]-

SMILES:

O=C(NCC1=CC=C(S(=O)(C2=CC(C(F)(F)F)=CC=C2)=O)C=C1)C3=CC(C=NN4)=C4N=C3

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

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA