GNE-490

Cat. No.: HY-10812

CAS No.: 1033739-92-2

Molecular Formula: $C_{18}H_{22}N_6O_2S$

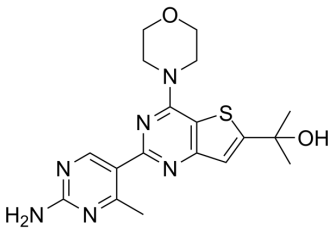
Molecular Weight: 386.47

Target: PI3K; mTOR

Pathway: PI3K/Akt/mTOR

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (258.75 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5875 mL	12.9376 mL	25.8752 mL
5 mM	0.5175 mL	2.5875 mL	5.1750 mL
10 mM	0.2588 mL	1.2938 mL	2.5875 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GNE-490, a (thienopyrimidin-2-yl)aminopyrimidine, is a potent pan-PI3K inhibitor with IC_{50}s of 3.5 nM, 25 nM, 5.2 nM, 15 nM for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ, respectively. GNE-490 has >200 fold selectivity for mTOR (IC_{50}=750 nM). GNE-490 shows potent suppression efficacy profile against MCF7.1 breast cancer xenograft model[1].

IC_{50} & Target

PI3Kα	PI3Kβ	PI3Kδ	PI3Kγ
3.5 nM (IC_{50})	25 nM (IC_{50})	5.2 nM (IC_{50})	15 nM (IC_{50})

mTOR
750 nM (IC_{50})

REFERENCES

[1]. Daniel P Sutherlin, et al. Discovery of (thienopyrimidin-2-yl)aminopyrimidines as potent, selective, and orally available pan-PI3-kinase and dual pan-PI3-kinase/mTOR inhibitors for the treatment of cancer. J Med Chem. 2010 Feb 11;53(3):1086-97.

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

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