SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

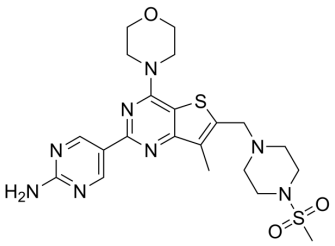
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

GNE-477

Cat. No.:	HY-11042
CAS No.:	1032754-81-6
Molecular Formula:	$C_{21}H_{28}N_8O_3S_2$
Molecular Weight:	504.63
Target:	PI3K; mTOR
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (33.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9816 mL	9.9082 mL	19.8165 mL
	5 mM	0.3963 mL	1.9816 mL	3.9633 mL
	10 mM	0.1982 mL	0.9908 mL	1.9816 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: ≥ 1.67 mg/mL (3.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GNE-477 is a potent and efficacious dual PI3K (IC_{50}=4 nM)/mTOR(K_i=21 nM) inhibitor.

IC_{50} & Target

PI3Kα	mTOR
4 nM (IC_{50})	21 nM (Ki)

In Vitro

GNE-477 (Compound 8) has improved potency in the MCF7.1 cell proliferation assay with an EC_{50} of 143 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GNE-477 also exhibits stasis in a PC3 tumor growth inhibition study. In an experiment evaluating the tumor growth inhibition of a PC3 tumor xenograft over 14 days, stasis is achieved at a 20 mg/kg QD dose and significant inhibition is

observed with doses as low as 1 mg/kg QD. GNE-477 is generally well tolerated during this study as demonstrated by acceptable levels of weight loss comparable to that observed with the animals in the vehicle cohort[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]

Mice, Rats and Dogs[1]

Female nu/nu mice are dosed with the GNE-477 HCl salt as a solution intraveinously (1 mg/kg) in 5% DMSO/5% cremophor and dosed orally as a solution in 80% PEG (5 mg/kg). Male rats are dosed with the GNE-477 TFA salt as a solution intraveinously (1 mg/kg) in 5% DMSO/5% cremophor and dosed orally as a solution in 80% PEG (5 mg/kg). Male beagle dogs are dosed with the GNE-477 HCl salt as a solution intraveinously (1 mg/kg) in 10% HP-β-CD and dosed orally as a suspension in MCT (2 mg/kg). Efficacy study of GNE-477 in the PC3-NCI tumor xenograft model is proformed. The percent of tumor growth inhibition (TGI) at the end of study (day 14) is measured and compared with the vehicle control group.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Pharmacol. 2020 Nov 11;11:580407.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Heffron TP, et al. Identification of GNE-477, a potent and efficacious dual PI3K/mTOR inhibitor. Bioorg Med Chem Lett. 2010 Apr 15;20(8):2408-11.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA