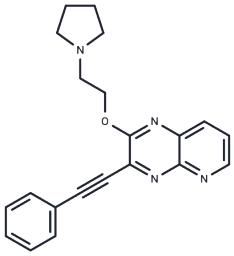
Data Sheet (Cat.No.T3968)

TargetMol

GK921

Chemical Properties



CAS No. : 1025015-40-0

Formula: C21H20N4O

Molecular Weight: 344.41

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GK921 is an inhibitor of transglutaminase 2 (TGase).
Targets(IC50)	Glutaminase
In vitro	GK921 inhibits TGase 2-induced polymerization of I-κBα and p53 in a dose-dependent manner. The cytotoxicity of GK921 ranged from a GI50 of 10^-10 to 10^-4 M with an average GI50 of 9.05×10^-7 M. GK921 rescues p53 levels and consequently induces apoptosis, increasing c-PARP and p53 levels in a concentration-dependent manner[1].'
In vivo	A single treatment with GK921 significantly stabilizes p53 and nearly completely reduces tumor growth in the ACHN and CAKI-1 preclinical xenograft tumor models, suggesting a potential new therapeutic approach to RCC[1].
Kinase Assay	TGase 2 from guinea pig liver is preincubated for 10 min with various concentrations of GK13 or GK921 in 0.1 mL of reaction buffer, with or without 10 mM CaCl2, followed by the addition of 0.4 mL of substrate solution containing 2
Cell Research	Cells are transfected with a BAX promoter luciferase reporter construct. After exposure to GK921 (0, 0.5, 1, 2.5, 5 μM), firefly and Renilla luciferase activities are measured using a dual luciferase assay kit and pRL-CMV as an internal control[1].

Solubility Information

Solubility	DMSO: 55 mg/mL (159.69 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.81 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9035 mL	14.5176 mL	29.0352 mL
5 mM	0.5807 mL	2.9035 mL	5.807 mL
10 mM	0.2904 mL	1.4518 mL	2.9035 mL
50 mM	0.0581 mL	0.2904 mL	0.5807 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ku BM, et al. Transglutaminase 2 inhibitor abrogates renal cell carcinoma in xenograft models. J Cancer Res Clin Oncol. 2014 May;140(5):757-67.

Chen J, Ma J, Qi D, et al.Inhibition of transglutaminase 2 inhibits ionizing radiation-induced cellular senescence in skin keratinocytes in vitro.IUBMB life.2024