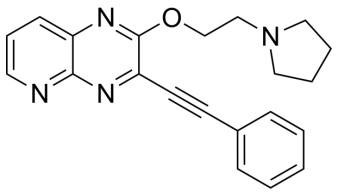
GK921

Cat. No.:	HY-12337
CAS No.:	1025015-40-0
Molecular Formula:	$C_{21}H_{20}N_4O$
Molecular Weight:	344.41
Target:	Glutaminase
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 30 mg/mL (87.11 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9035 mL	14.5176 mL	29.0352 mL
5 mM	0.5807 mL	2.9035 mL	5.8070 mL
10 mM	0.2904 mL	1.4518 mL	2.9035 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: ≥ 2.5 mg/mL (7.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GK921 is a transglutaminase 2 (TGase) inhibitor with an IC_{50} of 7.71 μM for human recombinant TGase 2.

IC_{50} & Target

IC50: 7.71 μM (TGase)[1]

In Vitro

GK921 inhibits the TGase 2-induced polymerization of I-κBα and p53 in a dose-dependent manner. The cytotoxicity of GK921 ranged from GI_{50} of 10^{-10} to 10^{-4} M. The average GI_{50} is 9.05×10^{-7} M. GK921 rescues p53 levels and consequently induces apoptosis; a concentration-dependent increase in cleaved poly(ADP-ribose) polymerase (c-PARP) and p53 levels is observed [1].

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

In Vivo	A single treatment with GK921 almost completely reduces tumor growth by stabilizing p53 in the ACHN and CAKI-1 preclinical xenograft tumor models[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	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 $CaCl_2$, followed by the addition of 0.4 mL of substrate solution containing 2 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: GK921 is dissolved in DMSO. Vehicle alone and GK921 (8 mg/kg) are administered orally once per day, 5 days/week, for 64 days. The size of the primary tumors is measured every 2-3 days using calipers. Tumor volume is calculated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Res. 2017 Sep 15;77(18):4973-4984.
- Am J Cancer Res. 2020 Sep 1;10(9):2878-2894.
- Respir Physiol Neurobiol. 2020 May;276:103402.

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REFERENCES

[1]. 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.

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