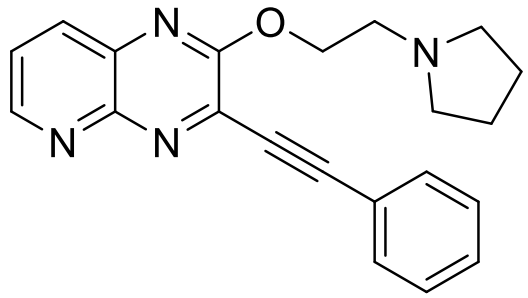
Product data sheet

MedKoo Cat#:530358 Name: GK921 CAS: 1025015-40-0 Chemical Formula: C21H20N4O Exact Mass: 344.1637 Molecular Weight: 344.418	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GK921 is a transglutaminase 2 (TGase 2) inhibitor. GK921 showed cytotoxicity to RCC (average GI50 in eight RCC cell lines: 0.905 μM). A single treatment with GK921 almost completely reduced tumor growth by stabilizing p53 in the ACHN and CAKI-1 preclinical xenograft tumor models. TGase 2 inhibitor GK921 abrogates RCC growth in xenograft tumor models, suggesting the possibility of a new therapeutic approach to RCC.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	30.0	87.10
DMSO	31.5	91.46
Ethanol	30.0	87.10
Ethanol:PBS (pH 7.2) (1:6)	0.14	0.41

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.90	14.52 mL	29.04 mL
5 mM	0.58 mL	2.90 mL	5.81 mL
10 mM	0.29 mL	1.45 mL	2.90 mL
50 mM	0.06 mL	0.29 mL	0.58 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kim N, Kang JH, Lee WK, Kim SG, Lee JS, Lee SH, Park JB, Kim KH, Gong YD, Hwang KY, Kim SY. Allosteric inhibition site of transglutaminase 2 is unveiled in the N terminus. Amino Acids. 2018 Nov;50(11):1583-1594. doi: 10.1007/s00726-018-2635-2. Epub 2018 Aug 14. PMID: 30105541.
2. Ku BM, Kim SJ, Kim N, Hong D, Choi YB, Lee SH, Gong YD, Kim SY. Transglutaminase 2 inhibitor abrogates renal cell carcinoma in xenograft models. J Cancer Res Clin Oncol. 2014 May;140(5):757-67. doi: 10.1007/s00432-014-1623-5. Epub 2014 Mar 8. PMID: 24610445.

In vivo study

1. Wang K, Zu C, Zhang Y, Wang X, Huan X, Wang L. Blocking TG2 attenuates bleomycin-induced pulmonary fibrosis in mice through inhibiting EMT. Respir Physiol Neurobiol. 2020 May;276:103402. doi: 10.1016/j.resp.2020.103402. Epub 2020 Jan 29. PMID: 32006666.

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2. Kim SY. New Insights into Development of Transglutaminase 2 Inhibitors as Pharmaceutical Lead Compounds. Med Sci (Basel). 2018 Oct 8;6(4):87. doi: 10.3390/medsci6040087. PMID: 30297644; PMCID: PMC6313797.

7. Bioactivity

Biological target:

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

In vitro activity

GK921 (Fig. 1a) showed TGase 2 inhibitory activity as 8.93 μM of IC_{50} under a modified assay condition, which concurred with a previous report (Supple Fig. 1a). Isothermal titration calorimetry (ITC) analysis also showed that GK921 binds TGase 2 in a dose-dependent manner (Fig. 1b). Cell proliferating assay using sulforhodamine B (SRB) showed that GK921 induced cell growth inhibition in RCC cells (Fig. 1c).

Reference: Amino Acids. 2018 Nov;50(11):1583-1594. https://pubmed.ncbi.nlm.nih.gov/30105541/

In vivo activity

The results showed that GK921 inhibited the increase of Vimentin induced by bleomycin but upregulated the E-cadherin expression, which indicated that Gk921 could inhibit the EMT induced by bleomycin. The staining results suggested that GK921 could reduce pulmonary fibrosis induced by bleomycin in mice and reduce the production of collagen fibers (Fig. 7E–G).

Reference: Respir Physiol Neurobiol. 2020 May;276:103402. https://pubmed.ncbi.nlm.nih.gov/32006666/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.