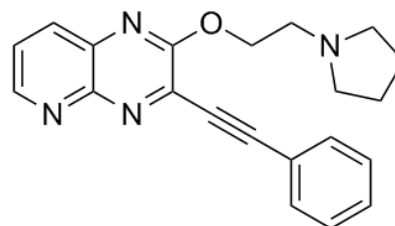


**Product Name** : GK921  
**Cat. No.** : PC-42986  
**CAS No.** : 1025015-40-0  
**Molecular Formula** : C<sub>21</sub>H<sub>20</sub>N<sub>4</sub>O  
**Molecular Weight** : 344.4097  
**Target** : Glutaminase  
**Solubility** : DMSO: ≥ 30 mg/mL



## Biological Activity

GK921 is a specific, orally active **Transglutaminase 2 (TGase 2, TG2)** inhibitor with IC<sub>50</sub> of 7.71 μM against recombinant hTGase 2.

GK921 inhibits the TGase 2-induced polymerization of I-κBα and p53 in vitro in a dose-dependent manner, induces cell death of eight RCC lines with average GI<sub>50</sub> of 0.9 μM.

GK921 almost completely reduces tumor growth by stabilizing p53 in the ACHN and CAKI-1 preclinical xenograft tumor models.

GK921 blocks MES transdifferentiation and showed significant therapeutic efficacy in mouse models of glioma stem cells (GSC)

## References

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Kang JH, et al. *Cell Death Dis.* 2016 Apr 21;7:e2200.

Ku BM, et al. *J Cancer Res Clin Oncol.* 2014 May;140(5):757-67.

Kang JH, et al. *Cell Death Dis.* 2016 Mar 31;7:e2163.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

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