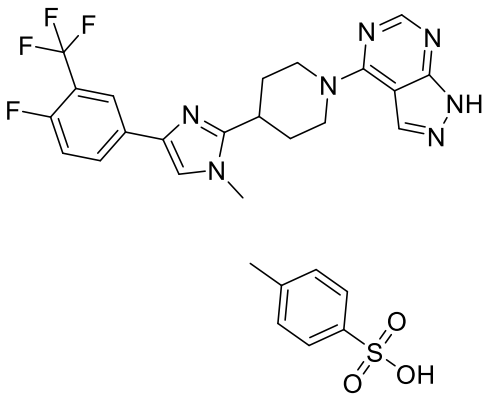
Product data sheet

MedKoo Cat#: 205522 Name: LY2584702 tosylate salt CAS#: 1082949-68-5 (tosylate) Chemical Formula: $C_{28}H_{27}F_4N_7O_3S$ Exact Mass: 445.1638 Molecular Weight: 617.6236	
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:

LY-2584702, also known as LYS6K2, is an orally available inhibitor of p70S6K signaling, with potential antineoplastic activity. LY2584702 inhibits ribosomal protein S6 Kinase (p70S6K), and prevents phosphorylation of the S6 subunit of ribosomes, thereby inhibiting normal ribosomal function within tumor cells leading to a decrease in protein synthesis and in cellular proliferation. P70S6K, a serine/threonine kinase, acts downstream of PIP3 and phosphoinositide-dependent kinase-1 in the PI3 kinase pathway, is often upregulated in a variety of cancer cells, and is involved in the regulation of cell growth, proliferation, motility, and survival.

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
DMSO	12.55	20.31

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.62 mL	8.10 mL	16.19 mL
5 mM	0.32 mL	1.62 mL	3.24 mL
10 mM	0.16 mL	0.81 mL	1.62 mL
50 mM	0.03 mL	0.16 mL	0.32 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. Chen B, Yang L, Zhang R, Gan Y, Zhang W, Liu D, Chen H, Tang H. Hyperphosphorylation of RPS6KB1, rather than overexpression, predicts worse prognosis in non-small cell lung cancer patients. PLoS One. 2017 Aug 9;12(8):e0182891. doi: 10.1371/journal.pone.0182891. PMID: 28792981; PMCID: PMC5549961.

In vivo study

TBD

7. Bioactivity

Biological target:

LY-2584702 tosylate salt is a selective ATP competitive inhibitor of p70S6K with an IC50 of 4 nM. In S6K1 enzyme assay, the IC50 of LY-2584702 is 2 nM.

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In vitro activity

Proliferation of A549 was significantly inhibited by LY2584702 treating over 24 h at 0.1 μM (Fig 5A, $P < 0.05$); and the trend of decline was more conspicuous with longer treatment and/or with the increased drug concentration (Fig 5A, all $P < 0.05$). Similar results were also observed in SK-MES-1, although the obvious inhibition was led by LY2584702 at 0.6 μM (Fig 5B, all $P < 0.05$), much higher than that of A549. Based on the results above, A549 treated by LY2584702 at 0.2 μM for 72 h were collected for cell cycle assay and apoptosis analysis. A549 cell lines cultured only by medium or medium added with DMSO for 72 h were used as controls. Not surprisingly, more cells with LY2584702 treatment were arrested in G0-G1 phase (Fig 6A, both $P < 0.05$); and cells in S or G2-M phase decreased correspondingly (Fig 6A, both $P < 0.05$). In addition, LY2584702 induced more apoptotic A549 cell by Annexin V-APC/7-AAD apoptosis detection (Fig 7A, both $P < 0.05$). Because of the less sensitivtiy of SK-MES-1 for LY2584702, SK-MES-1 treated at 1 μM for 72 h were employed for the cell cycle and apoptosis analysis. Silimarly, compared with controls, LY2584702 treatment also led to SK-MES-1 G0-G1 arrest and synchronous reduction in S and G2-M phase (Fig 6B, all $P < 0.05$).

Reference: PLoS One. 2017; 12(8): e0182891. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5549961/

In vivo activity

TBD

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.