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RapaLink-1

Store at +4C
#88626

5 mg

For Research Use Only. Not for Use in Diagnostic Procedures.

Background

RapaLink-1 is a small-molecule inhibitor of the mammalian target of rapamycin (mTOR), a Ser/Thr protein kinase that functions as an ATP and amino acid sensor to balance nutrient availability and cell growth (1). mTOR inhibitors, such as rapamycin, are used to inhibit the PI3K-AKT-mTOR pathway, which is a commonly activated pathway in human cancers. RapaLink-1 is an example of a third-generation mTOR inhibitor, which combines the effects of both first-generation (rapamycin and related analogs) and second-generation (MLN0128) mTOR inhibitors (2). Specifically, RapaLink-1 combines the high specificity binding and inhibition of mTORC1 found in rapamycin with the broader specificity of the second-generation mTOR inhibitors, which inhibit both mTORC1 and mTORC2 (3). Several studies have demonstrated that RapaLink-1 can display therapeutic effects in glioblastoma, follicular lymphoma, and renal cell carcinoma, often overcoming resistance to earlier-generation mTOR inhibitors (3-5).

Molecular Formula

C₉₁H₁₃₈N₁₂O₂₄

Molecular Weight

1784.14 g/mol

Purity

>96%

CAS

1887095-82-0

Solubility

Soluble in DMSO at 178 mg/mL.

Storage

Store lyophilized at 4°C, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, store at -20°C and use within 1 month to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

RapaLink-1 is supplied as a lyophilized powder. For a 10 mM stock, reconstitute 5 mg of powder in 0.28 mL of DMSO. Working concentrations and length of treatment can vary depending on the desired effect.

Background References

1. Dennis, P.B. et al. (2001) *Science* 294, 1102-5.
2. Rodrik-Outmezguine, V.S. et al. (2016) *Nature* 534, 272-6.
3. Fan, Q. et al. (2017) *Cancer Cell* 31, 424-435.
4. Kuroshima, K. et al. (2020) *Cancer Sci* 111, 1607-1618.
5. Oricchio, E. et al. (2017) *Sci Transl Med* 9, eaak9969. doi: 10.1126/scitranslmed.aak9969.

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