

Rapalink-1

Chemical Properties

CAS No. :	1887095-82-0
Formula:	C ₉₁ H ₁₃₈ N ₁₂ O ₂₄
Molecular Weight:	1784.14
Appearance:	no data available
Storage:	keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	RapaLink-1 is the third-generation mTOR inhibitor exploiting the unique juxtaposition of two drugs (first- and second-generation mTOR kinase inhibitors) -binding pockets to create a bivalent interaction that allows inhibition of the mutants which has resistance to the previous TORKi. The PIK3CA-AKT-mTOR pathway is one of the most commonly activated pathways in human cancers, which has led to the development of small-molecule inhibitors that target various nodes in the pathway. Two generations of mTOR inhibitors had been developed.
Targets(IC50)	Others
In vitro	Rapalink-1 is more potent than first- and second-generation mTOR inhibitors. RapaLink-1 could more potently reduce levels of both p-4EBP1 and cell proliferation. Researches compared rapamycin, RapaLink-1, and MLN0128 in LN229 and U87MG. Both growth inhibition and arrest in G0/G1 were more potent in response to RapaLink-1, compared with rapamycin or MLN0128. RapaLink-1 shows potent anti-tumor efficacy in vivo. RapaLink-1 led to initial regression and subsequent stabilization of tumor size in a xenograft model, while tumors treated with vehicle, rapamycin, or MLN0128 grew steadily.
In vivo	RapaLink-1 could durably block mTORC1. RapaLink-1 is associated with FKBP12, an abundant mTOR-interacting protein, enabling the accumulation of RapaLink-1. RapaLink-1 showed better efficacy than rapamycin or TORKi, potentially blocking cancer-derived, activating mutants of mTOR.

Solubility Information

Solubility	DMSO: ≥178.4 mg/mL, (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.5605 mL	2.8025 mL	5.6049 mL
5 mM	0.1121 mL	0.5605 mL	1.121 mL
10 mM	0.056 mL	0.2802 mL	0.5605 mL
50 mM	0.0112 mL	0.056 mL	0.1121 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

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