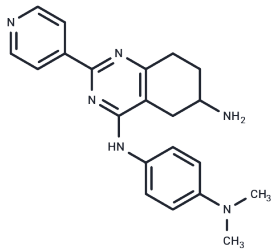
Data Sheet (Cat.No.T36968)

TargetMol

ARN-21934

Chemical Properties



CAS No. : 2230854-93-8

Formula: C21H24N6

Molecular Weight: 360.46

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	ARN-21934 is a potent, highly selective, blood-brain barrier (BBB) penetrant inhibitor for human topoisomerase II α over β. It inhibits DNA relaxation with an IC50 of 2 μM, compared to 120 μM for Etoposide. ARN-21934 exhibits favorable in vivo pharmacokinetic properties and shows promise in anticancer research, displaying affinity for topoIIα with an IC50 of 2 μM and for topoIIβ with an IC50 of 120 μM. It demonstrates activity against human cancer cell lines including melanoma (A375: 12.6 μM, G-361: 8.1 μM), breast (MCF7: 15.8 μM), endometrial (HeLa: 38.2 μM), lung (A549: 17.1 μM), and prostate (DU145: 11.5 μM) cancer cells. Following a single intraperitoneal injection of 10 mg/kg, ARN-21934 achieves a peak plasma concentration of 0.68 μg/mL in 15 minutes, with a half-life of 149 minutes, and remains detectable in plasma and the brain for up to 360 minutes. [1] Jose Antonio Ortega, et al. Novel, Potent, and Druglike Tetrahydroquinazoline Inhibitor That Is Highly Selective for Human Topoisomerase II α over β. J Med Chem. 2020 Nov 12;63(21):12873-12886.
Targets(IC50)	Topoisomerase
In vitro	ARN-21934 is more potent against the α isoform. ARN-21934 exhibits a small panel of human cancer cell lines such as melanoma (A375, IC50 = 12.6 μM and G-361, IC50 = 8.1 μM), breast (MCF7, IC50 = 15.8 μM), endometrial (HeLa, IC50 = 38.2 μM), lung (A549, IC50 = 17.1 μM), and androgen-independent prostate (DU145, IC50 = 11.5 μM) cancer cells[1].
In vivo	ARN-21934 is able to reach the brain, with a maximum concentration of compound at 60 min, and is still present in the brain 360 min after injection. ARN-21934 (intraperitoneal injection; 10 mg/kg) reaches a maximal plasma concentration of 0.68 μg/mL after 15 min. The half-life and clearance value are 149 min in circulation and 0.116 L/(min kg)[1].

Solubility Information

Solubility	DMSO: 40 mg/mL (110.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7742 mL	13.8712 mL	27.7423 mL
5 mM	0.5548 mL	2.7742 mL	5.5485 mL
10 mM	0.2774 mL	1.3871 mL	2.7742 mL
50 mM	0.0555 mL	0.2774 mL	0.5548 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jose Antonio Ortega, et al. Novel, Potent, and Druglike Tetrahydroquinazoline Inhibitor That Is Highly Selective for Human Topoisomerase II α over β. J Med Chem. 2020 Nov 12;63(21):12873-12886.