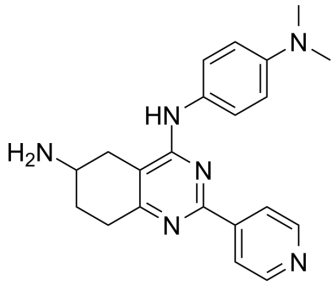
ARN-21934

Cat. No.:	HY-137466
CAS No.:	2230854-93-8
Molecular Formula:	$C_{21}H_{24}N_6$
Molecular Weight:	360.46
Target:	Topoisomerase
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 8.33 mg/mL (23.11 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ARN-21934 is a potent, highly selective, blood-brain barrier (BBB) penetrant inhibitor for human topoisomerase II α over β. ARN-21934 inhibits DNA relaxation with an IC_{50} of 2 μM as compared to the anticancer agent Etoposide (IC_{50}=120 μM). ARN-21934 exhibits a favorable in vivo pharmacokinetic profile and is a promising lead compound for anticancer research[1].

IC_{50} & Target		
	topoisomerase II alpha	topoisomerase II beta

In Vitro

ARN-21934 display a different affinity for topoIIα and topoIIβ. ARN-21934 is more potent against the α isoform, the IC_{50} value for the inhibition of DNA relaxation by topoIIα is 2 μM, the value for inhibition of DNA relaxation by topoIIβ is 120 μM[1]. ARN-21934 exhibits a small panel of human cancer cell lines. It against melanoma (A375 and G-361), breast (MCF7), endometrial (HeLa), lung (A549), and androgen-independent prostate (DU145) cancer cells with IC_{50} values of 12.6 μM, 8.1 μM, 15.8 μM, 38.2 μM, 17.1 μM, and 11.5 μM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ARN-21934 (intraperitoneal injection; 10 mg/kg; single dose) reaches a maximal plasma concentration of 0.68 μg/mL after 15 min. The half-life is 149 min in circulation, still being present in plasma 360 min after injection. The compound also exhibits good clearance values (0.116 L/(min kg)). Besides, 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[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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.

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