

Product Data Sheet

Product Name: ARN-21934

Cat. No.: GC62425

Chemical Properties

Cas. No. 2230854-93-8

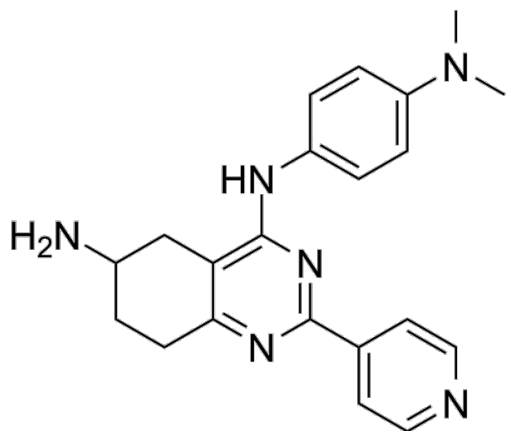
Formula $C_{21}H_{24}N_6$ M.Wt 360.46

Solubility DMSO : 8.33 mg/mL (23.11 mM); ultrasonic and warming and heat to 80°C) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

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 IC₅₀ of 2 μ M as compared to the anticancer agent Etoposide (IC₅₀=120 μ M). ARN-21934 exhibits a favorable in vivo pharmacokinetic profile and is a promising lead compound for anticancer research[1].

ARN-21934 display a different affinity for topolI α and topolI β . ARN-21934 is more potent against the

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

α isoform, the IC₅₀ value for the inhibition of DNA relaxation by topolI α is 2 μ M, the value for inhibition of DNA relaxation by topolI β is 120 μ M[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 IC₅₀ values of 12.6 μ M, 8.1 μ M, 15.8 μ M, 38.2 μ M, 17.1 μ M, and 11.5 μ M, respectively[1].

ARN-21934 (intraperitoneal injection; 10 mg/kg; single dose) reaches a maximal plasma concentration of 0.68 μ g/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]

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA