Data Sheet (Cat.No.T211728)

TargetMol

ARN25657

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

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	ARN25657 is a dual regulator of D3R/GSK-3β. It demonstrates partial agonist activity at the D3 receptor (EC50 = 15.2 nM, Ki = 1.5 nM) alongside potent inhibitor activity against GSK-3β (IC50 = 19.3 nM). ARN25657 shows excellent GSK-3β selectivity over FYN, PKA, and CDK5/p35. It inhibits P-gp-mediated efflux of acetoxymethyl calcein, enhancing in vitro ADME properties while maintaining balanced dual-target distribution. ARN25657 is applicable in the study of bipolar disorder and related neuropsychiatric conditions.
Targets(IC50)	Dopamine Receptor,GSK-3,P-gp,PKA
In vitro	ARN25657 (Compound 16) exhibits inhibitory effects on P-gp-mediated efflux of acetoxymethyl calcein in MDR1-MDCKII cells at concentrations of 1 μM and 10 μM, achieving an inhibition rate of 10.9% at 10 μM with a logD of 1.84. In SH-SY5Y neuronal cells, ARN25657 at 1 μM and 5 μM over 3 hours inhibits GSK-3β activity. The compound demonstrates high affinity for D3R in CHO cells with a (+)-butaclamol binding inhibition rate of 68% (K i = 1.5 nM), and for D2R in HEK293 cells with a [3 H]7-OH-DPAT binding inhibition rate of 80% (K i = 1 nM) at concentrations of 10 nM and 100 nM. Additionally, ARN25657 (0.1 μM, 10 μM) shows outstanding GSK-3β selectivity over FYN, PKA, and CDK5/p35 in the KinaseProfiler assay, with selectivity ratios of 0 h, 0.1 h, and 0 h compared to 0.1 μM GSK-3β.