

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-3 β . It demonstrates partial agonist activity at the D3 receptor (EC ₅₀ = 15.2 nM, K _i = 1.5 nM) alongside potent inhibitor activity against GSK-3 β (IC ₅₀ = 19.3 nM). ARN25657 shows excellent GSK-3 β 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 μ M and 10 μ M, achieving an inhibition rate of 10.9% at 10 μ M with a logD of 1.84. In SH-SY5Y neuronal cells, ARN25657 at 1 μ M and 5 μ M over 3 hours inhibits GSK-3 β 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 μ M, 10 μ M) shows outstanding GSK-3 β 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 μ M GSK-3 β .

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Tel:781-999-4286

E_mail:info@targetmol.com

Address:34 Washington Street,Wellesley Hills,MA 02481