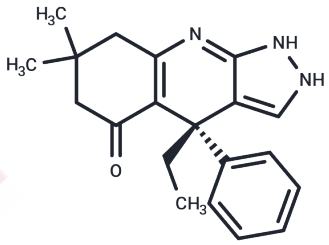
Data Sheet (Cat.No.T10606)

TargetMol

BRD0705

Chemical Properties



CAS No. : 2056261-41-5

Formula: C20H23N3O

Molecular Weight: 321.42

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	BRD0705 is a potent, orally active GSK3α inhibitor with high selectivity (IC50: 66 nM; Kd: 4.8 μM), demonstrating an 8-fold higher selectivity for GSK3α compared to GSK3β (IC50: 515 nM).
Targets(IC50)	GSK-3
In vitro	BRD0705 displays excellent selectivity in a penal of 311 kinases, the CDK family of kinases (CDK2, 3 and 5) are next most potently inhibits at values of 6.87 μM, 9.74 μM and 9.20 μM (87-fold, 123-fold and 116-fold selectivity relative to GSK3α). BRD0705 (10-40 μM; 2-24 hours; U937 cells) treatment impairs GSK3α Tyr279 phosphorylation in a time- and concentration-dependent manner without affecting GSK3β Tyr216 phosphorylation. BRD0705 impairs AML colony formation in all six tested cell lines, MOLM13, TF-1, U937, MV4-11, HL-60, and NB4, in a concentration-dependent manner, as opposed to BRD3731 which impairs colony formation in TF-1 while increasing colony-forming ability in the MV4-11 cell line.
In vivo	In AML mouse models, BRD0705 (30 mg/kg; oral gavage; twice daily; NSG mice) treatment impairs leukemia initiation and prolongs survival.

Solubility Information

Solubility	DMSO: 300 mg/mL (933.36 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (15.56 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1112 mL	15.556 mL	31.1119 mL
5 mM	0.6222 mL	3.1112 mL	6.2224 mL
10 mM	0.3111 mL	1.5556 mL	3.1112 mL
50 mM	0.0622 mL	0.3111 mL	0.6222 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

Wagner FF, et al. Exploiting an Asp-Glu "switch" in glycogen synthase kinase 3 to design paralog-selective inhibitors for use in acute myeloid leukemia. Sci Transl Med. 2018 Mar 7;10(431). pii: eaam8460.