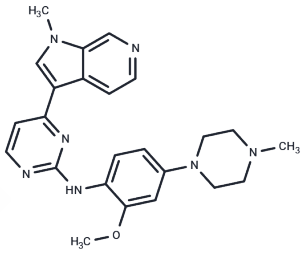
Data Sheet (Cat.No.T6257)

TargetMol

AZ191

Chemical Properties



CAS No. : 1594092-37-1

Formula: C24H27N7O

Molecular Weight: 429.52

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	AZ191(IC50 of 17 nM) is an effective and specific DYRK1B inhibitor. The specificity of AZ191 for DYRK1B is about 5- and 110-fold greater over DYRK1A and DYRK2, respectively.
Targets(IC50)	DYRK
In vitro	AZ191 selectively inhibits DYRK1B serine/threonine kinase activity with no effect on tyrosine kinase autophosphorylation. In HEK-293 cells, AZ191 also displays much greater potency for DYRK1B over DYRK1A, inhibiting CCND1 phosphorylation. In HD1B cells, AZ191 strongly inhibits the levels of the cell-cycle regulators, p21Cip1 and p27Kip1, and increases cell-cycle progression. [1]
Kinase Assay	Biochemical Binding Affinity - HTRF Assay: The p53-MDM2 HTRF assay is performed in buffer containing 50 mM Tris-HCl, pH 7.4, 100 mM NaCl, 1 mM DTT, 0.02 or 0.2 mg/ml BSA. Small-molecule inhibitors are stored in aliquots as 10 mM stock solutions in DMSO at 4°C in 96-deep-well plates. It is thawed and mixed immediately prior to testing. The compound is incubated with GST-MDM2 and a biotinylated p53 peptide for one hour at 37°C. Phycolink goat anti-GST (Type 1) allophycocyanin and Eu-8044-streptavidin are then added and followed by one hour incubation at room temperature. Plates are read using the Envision fluorescence reader. IC50 values are determined from inter-plate duplicate or triplicate sets of data. Data are analyzed by XLfit4 (Microsoft) using a 4 Parameter Logistic Model (Sigmoidal Dose-Response Model) and the equation Y= (A+ ((B-A)/ (1+ ((C/x)^D)))), where A and B are enzyme activity in the absence or presence of infinite inhibitor compound, respectively, C is the IC50 and D is the Hill coefficient.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 1 mg/mL (2.33 mM),Sonication is recommended. DMSO: 75 mg/mL (174.61 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: 7.5 mg/mL (17.46 mM),Solution. 10% DMSO+90% Saline: < 7.5 mg/mL (17.46 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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*

In vivo Formulation	*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	2.3282 mL	11.6409 mL	23.2818 mL
5 mM	0.4656 mL	2.3282 mL	4.6564 mL
10 mM	0.2328 mL	1.1641 mL	2.3282 mL
50 mM	0.0466 mL	0.2328 mL	0.4656 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

Ashford AL, et al. Biochem J. 2014, 457(1), 43-56.
Wang C, Hu R, Wang T, et al.A bivalent β-carboline derivative inhibits macropinocytosis-dependent entry of pseudorabies virus by targeting the kinase DYRK1A.Journal of Biological Chemistry.2023: 104605.