Data Sheet (Cat.No.T14323)

TargetMol

ARQ 531

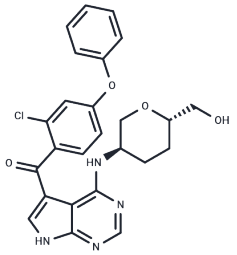
Chemical Properties

CAS No. : 2095393-15-8

Formula: C25H23ClN4O4

Molecular Weight: 478.93

Storage: Store at low temperature
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	ARQ-531 is a potent and orally active BTK inhibitor with potential antineoplastic activity, with IC50s of 0.85 nM and 0.39 nM for WT-BTK and C481S-BTK, respectively.
Targets(IC50)	BTK
In vitro	In vitro treatment of patient CLL cells with ARQ 531 decreases BTK-mediated functions including B-cell receptor (BCR) signaling, viability, migration, CD40 and CD86 expression, and NF-κB gene transcription.?Additionally, ARQ 531 inhibits CLL cell survival and suppresses BCR-mediated activation of C481S BTK and PLCγ2 mutants, which facilitate clinical resistance to ibrutinib[2].
In vivo	In vivo, ARQ 531 was found to increase survival over ibrutinib in a murine Eμ-TCL1 engraftment model of CLL and a murine Eμ-MYC/TCL1 engraftment model resembling Richter transformation[2].

Solubility Information

Solubility	DMSO: 50 mg/mL (104.4 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: 2 mg/mL (4.18 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	2.088 mL	10.4399 mL	20.8799 mL
5 mM	0.4176 mL	2.088 mL	4.176 mL
10 mM	0.2088 mL	1.044 mL	2.088 mL
50 mM	0.0418 mL	0.2088 mL	0.4176 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

S Eathiraj, et al. Targeting Ibrutinib-Resistant BTK-C481S Mutation with ARQ 531, a Reversible Non-Covalent Inhibitor of BTK. Clinical Lymphoma Myeloma & Leukemia, 2016, 16: S47-S48.
Sean D Reiff , Rose Mantel , Lisa L Smith,et al.The BTK Inhibitor ARQ 531 Targets Ibrutinib-Resistant CLL and Richter Transformation.Cancer Discov. 2018 Oct;8(10):1300-1315.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481