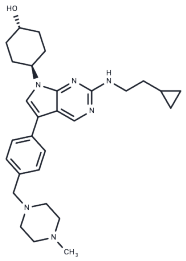
Data Sheet (Cat.No.T16144)

TargetMol

MRX-2843

Chemical Properties



CAS No. : 1429882-07-4

Formula: C29H40N6O

Molecular Weight: 488.67

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	MRX-2843 (UNC2371) is a potent and orally active inhibitor of MERTK and FLT3(IC50s of 1.3 nM and 0.64 nM, respectively).
Targets(IC50)	FLT
In vitro	MRX-2843, a type 1 small-molecule tyrosine kinase inhibitor that abrogates activation of both MERTK and FLT3 and their downstream effectors.?MRX-2843 treatment induces apoptosis and inhibits colony formation in AML cell lines and primary patient samples expressing MERTK and/or FLT3-ITD, with a wide therapeutic window compared with that of normal human cord blood cells[1].
In vivo	In murine orthotopic xenograft models, once-daily oral therapy prolonged survival 2- to 3-fold over that of vehicle-treated controls.?Additionally, MRX-2843 retained activity against quizartinib-resistant FLT3-ITD-mutant proteins with clinically relevant alterations at the D835 or F691 loci and prolonged survival in xenograft models of quizartinib-resistant AML.?Together, these observations validate MRX-2843 as a translational agent and support its clinical development for the treatment of AML[1].

Solubility Information

Solubility	DMSO: 1.34 mg/mL (2.74 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 (4.09 mM),Sonication is recommended. 10% DMSO+90% Saline: 0.13 mg/mL (0.27 mM),Solution. *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	2.0464 mL	10.2319 mL	20.4637 mL
5 mM	0.4093 mL	2.0464 mL	4.0927 mL
10 mM	0.2046 mL	1.0232 mL	2.0464 mL
50 mM	0.0409 mL	0.2046 mL	0.4093 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

Minson KA, et al. The MERTK/FLT3 inhibitor MRX-2843 overcomes resistance-conferring FLT3 mutations in acute myeloid leukemia. JCI Insight. 2016 Mar;1(3):e85630.

Dan, Yan, Rebecca,et al. MERTK Promotes Resistance to Irreversible EGFR Tyrosine Kinase Inhibitors in Non-small Cell Lung Cancers Expressing Wild-type EGFR Family Members.[J]. Clinical Cancer Research An Official Journal of the American Association for Cancer Research, 2018.