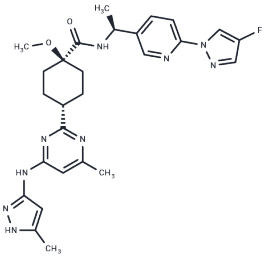
Data Sheet (Cat.No.TQ0277)

TargetMol

Pralsetinib

Chemical Properties



CAS No. : 2097132-94-8

Formula: C27H32FN9O2

Molecular Weight: 533.6

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	Pralsetinib (Blu667) (BLU-667) is a highly potent, selective RET inhibitor (IC50s: 0.4, 0.3, 0.4, 0.4, and 0.4 nM for WT RET, RET mutants V804L, V804M, M918T and CCDC6-RET fusion).
Targets(IC50)	c-RET
In vitro	Pralsetinib demonstrates more than 10-fold increased potency over approved MKIs against oncogenic RET variants and resistance mutants. Pralsetinib demonstrates potent activity (IC50: 0.4 nM) against common oncogenic RET alterations, including RET M918T, an activating mutation found in MTC, as well as the CCDC6-RET fusion observed in PTC and NSCLC. Pralsetinib suppresses RET pathway signaling in a panel of RET-driven cell lines: LC2/ad (CCDC6-RET, NSCLC), MZ-CRC-1 (RET M918T, MTC), and TT (RET C634W, MTC).
In vivo	Pralsetinib potently inhibits the growth of NSCLC and thyroid cancer xenografts driven by various RET mutations and fusions without inhibiting VEGFR-2. Pralsetinib shows dose-dependent activity against both KIF5B-RET Ba/F3 and KIF5B-RET V804L Ba/F3 allograft tumors with doses as low as 10 mg/kg twice daily.

Solubility Information

Solubility	DMSO: 126.25 mg/mL (236.6 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: 3.3 mg/mL (6.18 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	1.8741 mL	9.3703 mL	18.7406 mL
5 mM	0.3748 mL	1.8741 mL	3.7481 mL
10 mM	0.1874 mL	0.937 mL	1.8741 mL
50 mM	0.0375 mL	0.1874 mL	0.3748 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

Subbiah V, et al. Precision Targeted Therapy With BLU-667 for RET-Driven Cancers. American Association for Cancer Research. 10.1158/2159-8290.CD-18-0338.