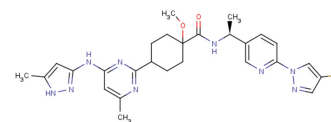


Pralsetinib

Chemical Properties

CAS No.:	2097132-94-8
Formula:	C ₂₇ H ₃₀ FN ₉ O ₂
Molecular Weight:	533.6
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Pralsetinib (BLU-667) is a highly potent, selective RET inhibitor (IC ₅₀ : 0.4, 0.3, 0.4, 0.4, and 0.4 nM for WT RET, RET mutants V804L, V804M, M918T and CCDC6-RET fusion).
In vitro	Pralsetinib demonstrates more than 10-fold increased potency over approved MKIs against oncogenic RET variants and resistance mutants. Pralsetinib demonstrates potent activity (IC ₅₀ : 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: 95 mg/mL (178.04 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.874 mL	9.37 mL	18.741 mL
5 mM	0.375 mL	1.874 mL	3.748 mL
10 mM	0.187 mL	0.937 mL	1.874 mL
50 mM	0.037 mL	0.187 mL	0.375 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

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.

Inhibitors · Natural Compounds · Compound Libraries

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

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