

Product Name : Pralsetinib

Synonyms : Blu667

Cat No. : M22062

CAS Number : 2097132-94-8

Molecular Formula : C27H30FN9O2

Formula Weight : 533.6

Chemical Name : ----

Description

Pralsetinib (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). Pralsetinib demonstrates more than 10-fold increased potency over approved MKIs against component RET variants and resistance mutants. Pralsetinib demonstrates potent activity (IC50: 0.4 nM) against component 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 RETdriven cell lines: LC2/ad (CCDC6-RET, NSCLC), MZ-CRC-1 (RET M918T, MTC), and TT (RET C634W, MTC). 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.

Pathway : Tyrosine Kinase

Target : c-RET

Receptor : RET

Solubility : DMSO:95 mg/mL (178.04 mM)

 $\textbf{SMILES} \hspace{1.5cm} : \hspace{1.5cm} \texttt{COC1}(\texttt{CCC}(\texttt{CC1})\texttt{C1} = \texttt{NC}(\texttt{C}) = \texttt{CC}(\texttt{NC2} = \texttt{NNC}(\texttt{C}) = \texttt{C2}) = \texttt{N1})\texttt{C}(=\texttt{O})\texttt{N[C@@H](C)C1} = \texttt{CN} = \texttt{C}(\texttt{C} = \texttt{C1})\texttt{N1C} = \texttt{C}(\texttt{F})\texttt{C} = \texttt{N1}$

Storage : (-20℃)

Stability : ≥ 2 years

Reference :

1. 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.