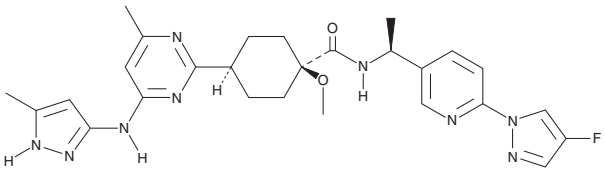
PRODUCT INFORMATION

Pralsetinib

Item No. 33181

CAS Registry No.: 2097132-94-8
Formal Name: *cis*-N-[(1S)-1-[6-(4-fluoro-1H-pyrazol-1-yl)-3-pyridinyl]ethyl]-1-methoxy-4-[4-methyl-6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-pyrimidinyl]-cyclohexanecarboxamide
Synonym: BLU-667
MF: $C_{27}H_{32}FN_9O_2$
FW: 533.6
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Pralsetinib is supplied as a solid. A stock solution may be made by dissolving the pralsetinib in the solvent of choice, which should be purged with an inert gas. Pralsetinib is soluble in. DMSO. Pralsetinib is slightly soluble in water and methanol.

Description

Pralsetinib is an inhibitor of RET (IC_{50}s = 0.4, 0.3, 0.4, and 0.4 nM for wild-type RET, RET^{V804L}, RET^{V804M}, and RET^{M918T}, respectively).[1] It is selective for RET over VEGFR2 (IC_{50} = 35 nM) and a panel of 371 kinases at 300 nM. Pralsetinib also inhibits a fusion protein of coiled-coil domain-containing protein 6 with RET (CCDC6-RET) and autophosphorylation of RET in Ba/F3 cells expressing a fusion protein of kinesin-1 heavy chain with RET (KIF5B-RET; IC_{50}s = 0.4 and 5 nM, respectively). It induces tumor regression in a KIF5B-RET-positive patient-derived xenograft (PDX) mouse model of non-small cell lung cancer (NSCLC) when administered at a dose of 30 mg/kg. Formulations containing pralsetinib have been used in the treatment of metastatic *RET*-fusion-positive NSCLC.

Reference

1. Subbiah, V., Gainor, J.F., Rahal, R., *et al.* Precision targeted therapy with BLU-667 for *RET*-driven cancers. *Cancer Discov.* **8(7)**, 836-849 (2018).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM