PRODUCT INFORMATION



Pralsetinib

Item No. 33181

CAS Registry No.: Formal Name:	2097132-94-8 <i>cis</i> -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 H
MF:	$C_{27}H_{32}FN_9O_2$
FW:	533.6
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years
Information represent	s 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 (IC₅₀s = 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 (IC₅₀ = 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; IC₅₀s = 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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