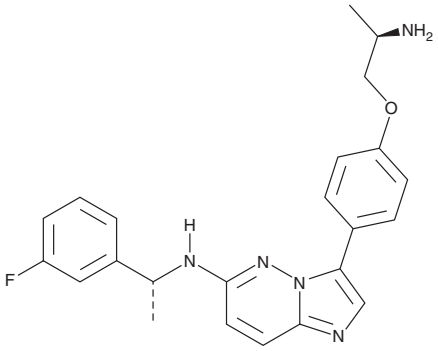
PRODUCT INFORMATION

Taletrectinib

Item No. 45040



CAS Registry No.:	1505514-27-1
Formal Name:	3-[4-[(2R)-2-aminopropoxy] phenyl]-N-[(1R)-1-(3-fluorophenyl)ethyl]-Imidazo[1,2-b]pyridazin-6-amine
Synonyms:	AB-106, DS-6051b
MF:	$C_{23}H_{24}FN_5O$
FW:	405.5
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

Taletrectinib is supplied as a solid. A stock solution may be made by dissolving the taletrectinib in the solvent of choice, which should be purged with an inert gas. Taletrectinib is sparingly soluble (1-10 mg/ml) in DMSO.

Description

Taletrectinib is an inhibitor of c-Ros oncogene 1 (ROS1), tropomyosin-related kinase A (TrkA), and TrkC (IC_{50}s = 0.207, 0.622, and 0.98 nM, respectively).[1] It is selective for these kinases over TrkB (IC_{50} = 2.28 nM). Talectrectinib (1-10,000 nM) reduces the viability of JFCR-165, JFCR-168, and MGH193-1B patient-derived CD74-ROS1 fusion protein-positive non-small cell lung cancer (NSCLC) cells. *In vivo*, talectrectinib (25-100 mg/kg) reduces tumor volume in Ba/F3 mouse xenograft models expressing CD74-ROS1 wild-type fusion proteins or crizotinib-resistant CD74-ROS1^{G2032R} mutant fusion proteins.

Reference

1. Katayama, R., Gong, B., Togashi, N., *et al.* The new-generation selective ROS1/NTRK inhibitor DS-6051b overcomes crizotinib resistant ROS1-G2032R mutation in preclinical models. *Nat. Commun.* **10(1),** 3604 (2019).

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