


Certificate of Analysis

Catalog Number	BP15205
Product Name	Taletrectinib

Physical and Chemical Properties

Synonyms	AB-106, DS-6051b
CAS No.	1505515-69-4
Chemical Formula	C ₂₉ H ₃₄ FN ₅ O ₅
Molecular Weight	551.619
Solubility	DMSO: 50 mg/mL (90.64 mM), Need ultrasonic
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	Taletrectinib (DS-6051b) is a potent, orally active, and next-generation selective ROS1/NTRK inhibitor. Taletrectinib potently inhibits recombinant ROS1, NTRK1, NTRK2, and NTRK3 with IC ₅₀ s of 0.207, 0.622, 2.28, and 0.98 nM, respectively. Taletrectinib also inhibits ROS1 G2032R and other Crizotinib-resistant ROS1 mutants.
Targets&IC ₅₀	TrkA:0.622 nM, TrkB:2.28 nM, ROS1:0.207 nM, TrkC:0.980 nM

In vitro	<p>The IC50 of Taletrectinib (1-1000 nM; 72 hours) against Ba/F3-TPM3-NTRK1, Ba/F3-ETV6-NTRK1, -NTRK2, -NTRK3, or KM12 cells is ~3-20 nM. Taletrectinib (0.001-1000 nM; 2 hours) dose dependently inhibited autophosphorylation of ROS1 in U-118-MG cells in vitro. Taletrectinib potently inhibits autophosphorylation of ROS1 in JFCR-165, JFCR-168, and MGH193-1B cells. Taletrectinib partially suppresses phospho-NTRK1 at 10 nM, and completely suppresses by 100 nM. Taletrectinib free base potently inhibits recombinant ROS1, NTRK1, and NTRK3 in sub-nanomolar concentration in an ATP-competitive manner. Taletrectinib almost completely inhibits ACK, ALK, DDR1, and LTK at 0.2 μM among 160 kinases in the presence of 1 mM ATP, but did not inhibit other 152 kinases strongly. Taletrectinib effectively inhibits Crizotinib-resistant ROS1 secondary mutations, including G2032R solvent front mutation.</p>
In vivo	<p>Taletrectinib (DS-6051b) (25-200 mg/kg; p.o.; once daily for 18 days) shows antitumor activity. Taletrectinib (6.25-200 mg/kg; p.o.; once daily for 8 days) inhibits NTRK-rearranged cancer in Balb-c nu/nu mice bearing KM12 cells. Taletrectinib (3-100 mg/kg; p.o.; once daily for 4 days) shows rapid tumor regression in the wild-type (WT) and the G2032R-mutant Ba/F3-bearing mice without severe body weight loss.</p>

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	<p>Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.</p>

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