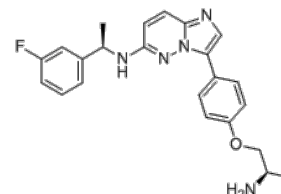


**Product Name** : Taletrectinib  
**Cat. No.** : PC-73061  
**CAS No.** : 1505515-69-4  
**Molecular Formula** : C<sub>23</sub>H<sub>24</sub>FN<sub>5</sub>O  
**Molecular Weight** : 405.477  
**Target** : ROS1  
**Solubility** : 10 mM in DMSO



## Biological Activity

DS-6051b (Taletrectinib, AB-106, IBI-344) is a new-generation selective **ROS1/NTRK** inhibitor, potently inhibits recombinant ROS1, NTRK1, and NTRK3 in sub-nanomolar concentration in ATP-competitive manner (IC<sub>50</sub>=1-10 nM). DS-6051b completely inhibits ACK, ALK, DDR1, and LTK at 0.2 μM among 160 kinases in the presence of 1 mM ATP, but not other 152 kinases. DS-6051b inhibits the growth of the CD74-ROS1 overexpressed Ba/F3 cells and the ROS1 fusion-positive HCC78 cancer cell line with a lower IC<sub>50</sub> than crizotinib. DS-6051b shows activity against NTRK1-TKI-resistant mutants including G595R (IC<sub>50</sub><100 nM), effectively inhibits crizotinib-resistant ROS1 secondary mutations, including G2032R. DS-6051b demonstrates efficacy in ROS1- or NTRK-rearranged cancer in preclinical models, including crizotinib-resistant ROS1 positive cancer with secondary kinase domain mutations especially G2032R mutation.

## References

Katayama R, et al. *Nat Commun.* 2019 Aug 9;10(1):3604.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

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