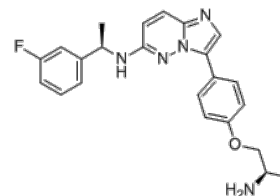


Product Name : Taletrectinib
Cat. No. : PC-73061
CAS No. : 1505515-69-4
Molecular Formula : C₂₃H₂₄N₅O
Molecular Weight : 405.477
Target : ROS1
Solubility :



Biological Activity

DS-6051b (Taletrectinib, DS 6051b) is a new-generation selective ROS1/NTRK inhibitor, potently inhibits recombinant ROS1, NTRK1, and NTRK3 in sub-nanomolar concentration in ATP-competitive manner (IC₅₀=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 IC₅₀ than crizotinib.

DS-6051b shows activity against NTRK1-TKI-resistant mutants including G595R (IC₅₀<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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