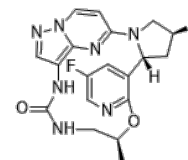


Product Name : ICP-723
Cat. No. : PC-22603
CAS No. : 2403703-30-8
Molecular Formula : C₁₉H₁₉F₂N₇O₂
Molecular Weight : 415.40
Target : Trk Receptor
Solubility : 10 mM in DMSO



CAS: 2403703-30-8

Biological Activity

Zurletrectinib (ICP-723) is a potent pan-**TRK** Inhibitor with IC₅₀ of <1 nM for TRKA, TRKB and TRKC, potently inhibits activities of wild-type and some mutant forms of TRK.

ICP-723 competitively binds to TRKA/B/C with 100% of inhibition rate at 100 nM.

ICP-723 demonstrates robust in vitro efficacy in TRK-driven tumor cells, KM12 colorectal cancer cell line bearing TPM3-NTRK1 fusion, as well as a panel of 19 Ba/F3 pro-B murine cell lines over-expressing wild-type.

ICP-723 also overcomes solvent front mutations (e.g., TRKA G595R, TRKC G623R/E) often developed following 1st generation TRK inhibitor treatment.

ICP-723 exerts reduced activity in gatekeeper mutations (e.g., TRKA F589L, TRKB F633L, TRKC F617L) and some xDFG mutations (e.g., TRKA G667C/S, TRKB G709C); but retains activity in other xDFG mutations (e.g., TRKA G667A, TRKC G696A/C) and unclassified mutations (e.g., TRKA V573M, TRKA A608D, TRKB V689M).

ICP-723 (1 mg/kg) causes 89.5% TGI of KM12 tumors in xenograft models.

ICP-723 (1 or 3 mg/kg) leads to 100% survival of mice bearing Ba/F3 LMNA-NTRK1 G595R tumors, confirms the effectiveness of ICP-723 against solvent front mutant TRKA.

References

Ruixia Liang, et al. *Cancer Res* (2022) 82 (12_Supplement): 6187.

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

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