

Data Sheet

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 Product Name
 : Entrectinib

 Cat. No.
 : PC-43144

 CAS No.
 : 1108743-60-7

 Molecular Formula
 : C₃₁H₃₄F₂N₆O₂

 Molecular Weight
 : 560.6375

 Target
 : Trk Receptor

Solubility : DMSO: ≥ 31 mg/mL

Biological Activity

Entrectinib (NMS-E628, RXDX-101, NMS-01191372) is a potent, ATP-competitive, orally available pan-TRK, ROS1 and ALK inhibitor with IC50 of 1/3/5/12/7 nM for TRKA/TRKB/TRKC/ALK/ROS1, respectively; exhibits high antiproliferative activity against colorectal carcinoma cell line KM12 with IC50 of 17 nM, abolishes autophosphorylation of TPM3-TRKA, concomitant with complete inhibition of the phosphorylation of PLC γ 1, AKT, and MAPK; induces regression in relevant human xenograft tumors, including the TRKA-dependent colorectal carcinoma KM12, ROS1-driven tumors, and several ALK-dependent models.

Lung Cancer Phase 2 Clinical

References

Menichincheri M, et al. J Med Chem. 2016 Apr 14;59(7):3392-408.

Ardini E, et al. Mol Cancer Ther. 2016 Apr;15(4):628-39.

Rolfo C, et al. Expert Opin Investig Drugs. 2015;24(11):1493-500.

Russo M, et al. Cancer Discov. 2016 Jan;6(1):36-44.

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

E-mail: tech@probechem.com