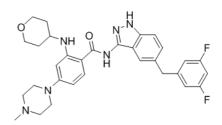


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Data Sheet

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Product Name	:	Entrectinib
Cat. No.	:	PC-43144
CAS No.	:	1108743-60-7
Molecular Formula	:	C ₃₁ H ₃₄ F ₂ N ₆ O ₂
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.

Entrectinib (NMS-E628, RXDX-101, NMS-01191372) 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_γ1, AKT, and MAPK,

Entrectinib (NMS-E628, RXDX-101, NMS-01191372) induces regression in relevant human xenograft tumors, including the TRKA-dependent colorectal carcinoma KM12, ROS1-driven tumors, and several ALK-dependent models.

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!

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