

Screening Libraries

Proteins

Inhibitors

Entrectinib-d₈

Cat. No.: HY-12678S1 CAS No.: 2251773-94-9 Molecular Formula: $C_{31}H_{26}D_8F_2N_6O_2$

Molecular Weight: 568.69

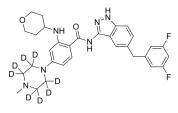
Isotope-Labeled Compounds; Autophagy; Anaplastic lymphoma kinase (ALK); Trk Target:

Receptor; ROS Kinase

Others; Autophagy; Protein Tyrosine Kinase/RTK; Neuronal Signaling Pathway:

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.



Product Data Sheet

BIOLOGICAL ACTIVITY

Description

Entrectinib-d8 (NMS-E628-d8; RXDX-101-d8) is a deuterated version of Entrectinib (HY-12678). Entrectinib (NMS-E628) is an orally available, blood-brain barrier permeable, central nervous system active TrkA/B/C, ROS1 and ALK inhibitor with IC₅₀ values of 1, 3, 5, 12 and 12, respectively. 7 nM. Entrectinib induces apoptosis and cycle arrest in cancer cells, has anti-tumor activity, and also alleviates bleomycin-induced pulmonary fibrosis in mice^{[1][2][3][4]}.

REFERENCES

[1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.

[2]. Miao Y, et al. Entrectinib ameliorates bleomycin-induced pulmonary fibrosis in mice by inhibiting TGF-\(\beta\)1 signaling pathway. Int Immunopharmacol. 2022 Dec;113(Pt B):109427.

[3]. Ardini E, et al. Entrectinib, a Pan-TRK, ROS1, and ALK Inhibitor with Activity in Multiple Molecularly Defined Cancer Indications. Mol Cancer Ther. 2016 Apr; 15(4):628-39.

[4]. Iyer R, et al. Entrectinib is a potent inhibitor of Trk-driven neuroblastomas in a xenograft mouse model. Cancer Lett. 2016 Mar 28;372(2):179-86.

Caution: Product has not been fully validated for medical applications. For research use only.

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