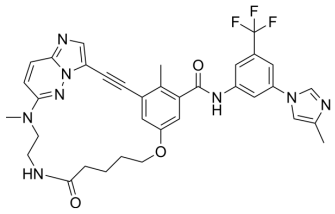
Type II TRK inhibitor 1

Cat. No.:	HY-146807
CAS No.:	2937543-72-9
Molecular Formula:	$C_{35}H_{33}F_3N_8O_3$
Molecular Weight:	670.68
Target:	Trk Receptor
Pathway:	Neuronal Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Type II TRK inhibitor 1 is a potent TRK inhibitor, which inhibits various TRK fusion protein variants and wild type. Type II TRK inhibitor 1 exhibits antiproliferative activity against Ba/F3 cells harboring CD74-TRKA^{G667C} and ETV6-TRKC^{G696C} fusion proteins with IC_{50}s of 6 nM and 1.7 nM, respectively[1]. Type II TRK inhibitor 1 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target	TrkA	TrkC

In Vitro

Type II TRK inhibitor 1 (compound 7b) (3.1-800 nM; 2 h) inhibits the phosphorylation of TRKA, PLCγ1, and ERK[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Ba/F3 engineered cells harboring CD74-TRKA, CD74-TRKA^{G667C}, and CD74-TRKA^{G595R}
Concentration:	0 nM, 3.1 nM, 12.5 nM, 50 nM, 200 nM, 800 nM
Incubation Time:	24 hours
Result:	Decreased the protein level of p-TRKA, p-PLCγ1, p-ERK in cells.

REFERENCES

[1]. Wang Z, et al. Discovery of the First Highly Selective and Broadly Effective Macrocycle-Based Type II TRK Inhibitors that Overcome Clinically Acquired Resistance. J Med Chem. 2022 Apr 28;65(8):6325-6337.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA