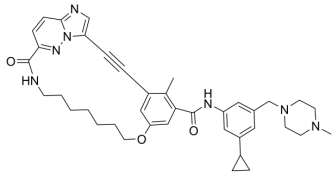
TRK-IN-24

Cat. No.:	HY-156086
CAS No.:	2937544-01-7
Molecular Formula:	$C_{39}H_{45}N_7O_3$
Molecular Weight:	659.82
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	TRK-IN-24 (compound 10g) is a Trk Receptor inhibitor that inhibits TRKA, TRKC, $TRKA^{G595R}$, $TRKA^{G667C}$ and $TRKA^{F589L}$ IC_{50}s are 5.21, 4.51, 6.77, 1.42 and 6.13 nM respectively. TRK-IN-24 has antitumor efficacy in BaF3-CD74-$NTRK1^{G595R}$ and BaF3-CD74-$NTRK1^{G667C}$ xenograft models. TRK-IN-24 inhibits the proliferation of Ba/F3 cells transfected with single mutants such as SF, GK, and xDFG, with an IC_{50} of 1.43-47.56 nM[1].
IC_{50} & Target	IC50: 5.21 nM (TRKA), 4.51 nM (TRKC), 6.77 nM ($TRKA^{G595R}$), 1.42 nM ($TRKA^{G667C}$), 6.13 nM ($TRKA^{F589L}$)[1]
In Vitro	TRK-IN-24 (compound 10g) (3.7-300 nM) inhibits the phosphorylation of AKT, TRKA, PLCγ1, and ERK in Ba/F3 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TRK-IN-24 (compound 10g) (50 mg/kg; 10-14 days) in mice BaF3-CD74-$NTRK1^{G595R}$ and BaF3-CD74-$NTRK1^{G667C}$ In xenograft models, treatment resulted in 72% and 78% tumor regression after 10 or 14 days, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wang Z, et al. Structure-Based Optimization of the Third Generation Type II Macrocycle TRK Inhibitors with Improved Activity against Solvent-Front, xDFG, and Gatekeeper Mutations. J Med Chem. 2023 Sep 7.. .

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