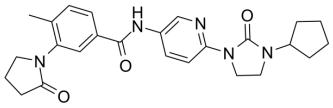
D5261

Cat. No.:	HY-144690
CAS No.:	1574574-57-4
Molecular Formula:	$C_{25}H_{29}N_5O_3$
Molecular Weight:	447.53
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	D5261 is a potent, type III allosteric tropomyosin-related kinase A (TrkA) inhibitor[1].
IC_{50} & Target	TrkA

In Vitro

D5261 (0-10 μM, 72 h) displays favorable anti-proliferative activity in Ba/F3-MPRIP-TrkA cells (IC_{50} = 3.32 μM) and Ba/F3 CD74-TrkA cells (IC_{50} = 2.91 μM) [1].

D5261 exhibits cellular selectivity over TrkB/C to some extent (Ba/F3 IC_{50} > 10 μM, Ba/F3-QKI-TrkB IC_{50} = 6.17 μM and Ba/F3-EML4-TrkC IC_{50} > 10 μM)[1].

D5261 (0-50 μM) inhibits the TrkA autophosphorylation and downstream signaling in a concentration-dependent manner[1].

D5261 shows inhibitory activity against Ba/F3 cells harboring TrkA mutants (G667C, G667S, G667A, G595R and V573 M)[1].

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

Cell Viability Assay[1]

Cell Line:	Ba/F3-MPRIP-TrkA, Ba/F3 CD74-TrkA cells
Concentration:	0-10 μM
Incubation Time:	72 h
Result:	Displayed favorable anti-proliferative activity with an IC_{50} of 3.32 and 2.91 μM against Ba/F3-MPRIP-TrkA and Ba/F3 CD74-TrkA cells, respectively.

Western Blot Analysis[1]

Cell Line:	Ba/F3 CD74-TrkA cells
Concentration:	0.08, 0.4, 2, 10, and 50 μM
Incubation Time:	
Result:	Inhibited the TrkA autophosphorylation and phosphorylation of downstream PLCγ1, AKT and ERK in a concentration-dependent manner.

REFERENCES

[1]. Jing Guo, et al. Discovery of novel TrkA allosteric inhibitors: Structure-based virtual screening, biological evaluation and preliminary SAR studies. Eur J Med Chem. 2022 Jan 15;228:114022.

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