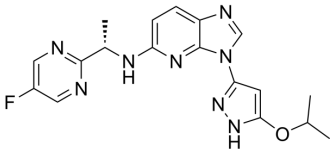
Utatrectinib

Cat. No.:	HY-102066
CAS No.:	1079274-94-4
Molecular Formula:	$C_{18}H_{19}FN_8O$
Molecular Weight:	382.39
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

Utatrectinib (AZD-7451) is a potent, selective and orally active Trk inhibitor. Utatrectinib blocks TrkC activation and associated tumorigenic behaviors[1].

In Vitro

Utatrectinib (100 nM, 22 h) inhibits the migration of TrkC-expressing U2SO cells[2].
Utatrectinib (1-10 nM, 24 h) inhibits cell growth in KM12, H460 and H810 cells[3].
Utatrectinib (5 nM, 24 h) inhibits phosphorylation of TRKA/B and downstream signaling in KM12, H460 cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Migration Assay [2]

Cell Line:	TrkC-expressing U2SO cells
Concentration:	100 nM
Incubation Time:	22 h
Result:	Inhibited cell migration (~2.3-fold, P<0.01).

Western Blot Analysis[3]

Cell Line:	KM12, H460 cells
Concentration:	0, 1, 5 nM
Incubation Time:	24 h
Result:	Inhibited pTRKA Tyr490 and pAk in KM12 cells. Inhibited pTRKB Tyr706/707 and pERK in H460 cells.

In Vivo

Utatrectinib (50 mg/kg, p.o., daily) suppresses adenoid cystic carcinoma (ACC) tumor growth in ACCX6 xenograft nu/nu mice model[2].
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Animal Model:	Xenograft nu/nu mice models of human ACC: ACCX6 and ACCX9[2]

Dosage:	50 mg/kg
Administration:	Oral administration, daily.
Result:	Tumor growth inhibition (TGI): 64% (in ACCX6 model)

REFERENCES

[1]. Ivanov SV, et al. TrkC signaling is activated in adenoid cystic carcinoma and requires NT-3 to stimulate invasive behavior. Oncogene. 2013 Aug 8;32(32):3698-710.

[2]. Tatematsu T, et al. Investigation of neurotrophic tyrosine kinase receptor 1 fusions and neurotrophic tyrosine kinase receptor family expression in non-small-cell lung cancer and sensitivity to AZD7451 in vitro. Mol Clin Oncol. 2014 Sep;2(5):725-730.

[3]. Kozaki R, et al. Combined use of Trk inhibitor containing heterocyclic urea derivative, and other kinase inhibitor for treating cancer. WO2019049891 A1.

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

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