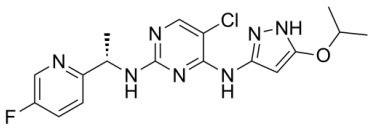
Data Sheet

Product Name:	AZ-23
Cat. No.:	CS-0007790
CAS No.:	915720-21-7
Molecular Formula:	$C_{17}H_{19}ClFN_7O$
Molecular Weight:	391.83
Target:	Trk Receptor
Pathway:	Neuronal Signaling; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 125 mg/mL (319.02 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

AZ-23 is an ATP-competitive and orally bioavailable **Trk kinase A/B/C** inhibitor with **IC_{50}**s of 2 nM (TrkA), 8 nM (TrkB), 24 nM (FGFR1), 52 nM (Flt3), 55 nM (Ret), 84 nM (MuSk), 99 nM (Lck), respectively. IC50 & Target: IC50: 2 nM (TrkA), 8 nM (TrkB), 24 nM (FGFR1), 52 nM (Flt3), 55 nM (Ret), 84 nM (MuSk), 99 nM (Lck)[1] ***In Vitro:*** AZ-23 potently and selectivity inhibits Trk phosphorylation in cells. AZ-23 potently inhibits Trk-mediated survival (EC_{50} of 2 nM). AZ-23 Inhibits Trk-Dependent Survival in MCF10ATrkA-Δ and TF-1 Cell Lines[1]. ***In Vivo:*** AZ-23 shows ***in vivo*** TrkA kinase inhibition and efficacy in mice following oral administration in a TrkA-driven allograft model and significant tumor growth inhibition in a Trk-expressing xenograft model of neuroblastoma[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: AZ-23 is prepared in DMSO[1].[1]Exponentially growing TF-1 cells are treated with various concentrations of AZ-23 and then incubated for an additional 72 h at 37°C in either growth or basal medium plus 100 ng/mL NGF. Cell proliferation is measured using MTS solution[1]. **Animal Administration:** [1]Mice[1]

Tumor-bearing mice are given a single, oral dose of compound and individual mice are sacrificed at various time points postdose (2, 6, 16, or 24 hours). Tumors are excised and homogenized and the resulting tumor lysates are analyzed using an ELISA for pTrkA[1].

References:

[1]. Thress K, et al. Identification and preclinical characterization of AZ-23, a novel, selective, and orally bioavailable inhibitor of the Trk kinase pathway. Mol Cancer Ther. 2009 Jul; 8(7):1818-27.

CAIndexNames:

2,4-Pyrimidinediamine, 5-chloro-N2-[(1S)-1-(5-fluoro-2-pyridinyl)ethyl]-N4-[5-(1-methylethoxy)-1H-pyrazol-3-yl]-

SMILES:

CC(OC1=CC(NC2=NC(N[C@H](C3=NC=C(F)C=C3)C)=NC=C2Cl)=NN1)C

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

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