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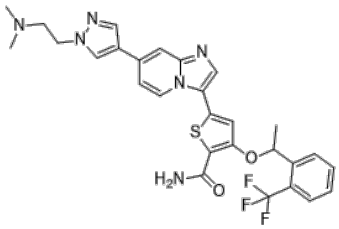
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	NBI-961
Cat. No.	:	PC-21395
CAS No.	:	2225902-98-5
Molecular Formula	:	$C_{28}H_{27}F_3N_6O_2S$
Molecular Weight	:	568.62
Target	:	Hec1/Nek2
Solubility	:	10 mM in DMSO



CAS: 2225902-98-5

Biological Activity

NBI-961 is a highly potent, selective inhibitor of NIMA related Kinase 2 (**NEK2**) and **FLT3** with IC50 of 32 nM and 37 nM, respectively.
NBI-961 also shows inhibitory activities on FTL3-ITDD835V and FLT3-ITDF691L.
NBI-961 exhibits high binding affinity for NEK2 relative to >90 other kinases (scanEDGE® kinome panel, Eurofins DiscoverX), with exception for FLT3.
NBI-961 achieves growth inhibitory concentrations (GI50) in DLBCL cell in the nanomolar range.
NBI-961 leads to proteasomal degradation of NEK2 and is more effective than the indirect INH154 (Cat#PC-61480) NEK2 inhibitor in both DLBCL cell lines and patient derived cells.
NBI-961 sensitizes lymphoma cells to chemotherapy by inducing apoptosis.
NBI-961 (5 mg/kg, i.p., once-daily) delays DLBCL growth in vivo and prolongs survival of mouse models of DLBCL, with no visible signs of distress or toxicity including no visible weight loss and no loss of appetite, activity, or tuft fur.

References

Mason McCrury, et al. ***Mol Cancer Ther.*** 2023 Oct 11. doi: 10.1158/1535-7163.MCT-23-0299.

Lingtian Zhang, et al. ***Eur J Med Chem.*** 2021 Dec 5:225:113776.

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