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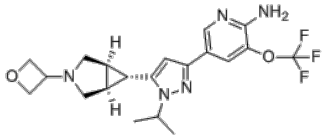
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GNE-8505
Cat. No.	:	PC-60092
CAS No.	:	1620574-24-4
Molecular Formula	:	$C_{20}H_{24}F_3N_5O_2$
Molecular Weight	:	423.44
Target	:	MEKK (MAP3K)
Solubility	:	10 mM in DMSO



Biological Activity

GNE-8505 (GNE8505) is a potent, selective and CNS-penetrant inhibitor of dual leucine zipper kinase (**DLK, MAP3K12**) with Ki of 3 nM.
GNE-8505 displays no significant inhibitory activity against a panel of 220 kinases (<70% inhibition at 1 uM), 42-fold selectivity over homologous mixed lineage kinase LZK based on binding affinity (Kd) values.
GNE-8505 reduces JNK phosphorylation (p-JNK) in HEK293 cells with IC50 of 0.195 uM, reduces p-MKK4 and p-JNK in models of chronic neurodegeneration.
GNE-8505 displays EC50 of 0.574 uM in a high-content in vitro axon degeneration assay.
GNE-8505 (15 or 50 mg/kg PO) reduces p-c-Jun in the PS2APP model of Alzheimer's disease.

References

Patel S, et al. ***J Med Chem.*** 2017 Oct 12;60(19):8083-8102.

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