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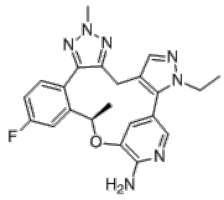
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	NVL-520
Cat. No.	:	PC-49635
CAS No.	:	2739829-00-4
Molecular Formula	:	$C_{22}H_{22}FN_7O$
Molecular Weight	:	419.46
Target	:	ROS1
Solubility	:	10 mM in DMSO



Biological Activity

Zidesamtinib (NVL-520, NVL520) is a potent, selective, TRK-sparing, and brain-penetrant inhibitor of **ROS1** fusions and secondary resistance mutations with IC50 of 0.5-3.5 nM, and 12 nM for ROS1 WT.
Zidesamtinib (NVL-520) displays >50-fold ROS1 selectivity over 98% of the kinome tested.
Zidesamtinib (NVL-520) is active in vitro against diverse ROS1 fusions and resistance mutations and exhibits 10-to-1,000-fold improved potency for the ROS1 G2032R solvent-front mutation over crizotinib, entrectinib, lorlatinib, taletrectinib, and repotrectinib.
Zidesamtinib (NVL-520) induces tumor regression in G2032R-inclusive intracranial and patient-derived xenograft models.
NVL-520 has a ~100-fold increased potency for ROS1 and ROS1 G2032R over TRK.
In clinical investigations, NVL-520 elicited objective tumor responses in three patients with TKI-refractory ROS1 fusion-positive lung cancers, including two with ROS1 G2032R and one with intracranial metastases, with no observed neurological toxicities.

References

Alexander Drilon, et al. ***Cancer Discov***. 2022 Dec 13;CD-22-0968.

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