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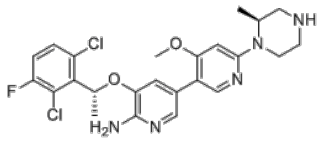
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TQ-B3139
Cat. No.	:	PC-49613
CAS No.	:	1621519-26-3
Molecular Formula	:	$C_{24}H_{26}Cl_2FN_5O_2$
Molecular Weight	:	506.40
Target	:	Anaplastic Lymphoma Kinase (ALK)
Solubility	:	10 mM in DMSO



Biological Activity

TQ-B3139 (Envonalkib, CT-711) is a potent inhibitor of **ALK** and **c-Met** kinases with IC50 of 14.3 and 12.5 nM in cell-free assays, respectively.
TQ-B3139 (CT-711) inhibits ALK signaling pathway and induces G1 arrest and apoptosis.
TQ-B3139 (CT-711) is an ALK inhibitor with improved ALK inhibitory activity compared with crizotinib.
TQ-B3139 (CT-711) is preferentially efficacious against cells expressing EML4-ALK (NCI-H3122, NCI-H2228), NPM1-ALK (SU-DHL-1) and ALK activating F1174L point mutation (SK-N-SH) with IC50 of 15-500 nM, but not ALK wild-type cells (NCI-H460, HCC827).
TQ-B3139 (CT-711) inhibits c-Met and overcomes resistance conferred by c-Met activition.
TQ-B3139 (CT-711) at a dose of 25 mg/kg inhibits the growth of ALK-driven tumors in vivo, exhibits significantly superiority to crizotinib against 32D harboring EML4-ALK L1196M and EML4-ALK C1156Y mutations.
Envonalkib is a potent, selective, and orally active inhibitor of ALK with IC50 of 1.96 nM, 35.1 nM, and 61.3 nM for WT and mutated L1196M and G1269S-ALK, respectively.
Envonalkib (12.5-25 mg/kg; p.o. once daily for 14 days) inhibits the growth of human non-small cell lung cancer NCI-H2228 nude mice xenografts.

References

Yuxiang Ma, et al. ***Eur J Cancer***. 2022 Sep;173:238-249.

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