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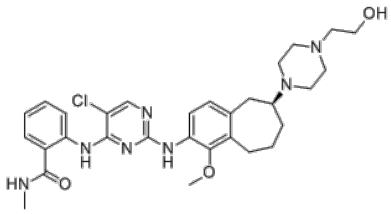
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CEP-37440
Cat. No.	:	PC-20623
CAS No.	:	1391712-60-9
Molecular Formula	:	$C_{30}H_{38}ClN_7O_3$
Molecular Weight	:	580.13
Target	:	Anaplastic Lymphoma Kinase (ALK)
Solubility	:	10 mM in DMSO



Biological Activity

CEP-37440 (CEP37440) is a potent, selective, and brain penetrant dual FAK/ALK inhibitor with IC50 of 2.0/3.1 nM, respectively.
CEP-37440 exhibits a Kd value of 3.6 nM for ALK (WT), displays similar potencies for the ALK mutants ALK (1151Tins, Kd 2.6 nM), ALK (C1156Y, Kd=1.6 nM), ALK (F1174L, Kd=1.1 nM, as well as the gatekeeper mutation ALK (L1196M, Kd=3.3 nM).
CEP-37440 exhibits selectivity against the full DiscoveRx kinase panel (442 kinases) at 1 uM.
CEP-37440 at low concentration decreases the proliferation of the IBC cell lines FC-IBC02, SUM190, and KPL4, while not affecting the proliferation of normal breast epithelial cells.
CEP-37440 decreases the cell proliferation of FC-IBC02, SUM190, and KPL4 by blocking the autophosphorylation kinase activity of FAK1 (Tyr 397).
CEP-37440 affects the expression of genes related to apoptosis, affects the expression of genes related to apoptosis, interferon signaling, and cytokines, exhibits SUM190, FC-IBC02, and SUM149 breast tumor xenografts.

References

Ott GR, et al. J Med Chem. 2016 Aug 25;59(16):7478-96.

Salem I, et al. Breast Cancer Res. 2016 Mar 24;18(1):37.

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