

Data Sheet

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 Product Name
 :
 CEP-37440

 Cat. No.
 :
 PC-20623

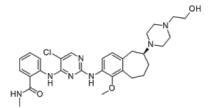
 CAS No.
 :
 1391712-60-9

 Molecular Formula
 :
 C₃₀H₃₈CIN₇O₃

 Molecular Weight
 :
 580.13

Target : Anaplastic Lymphoma Kinase (ALK)

Solubility : 10 mM in DMSO



Biological Activity

CEP-37440 (ESK440, 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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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