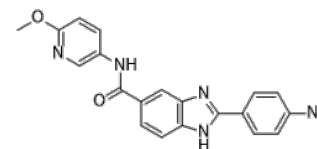


Product Name : EI-52
Cat. No. : PC-22946
CAS No. : 2215927-89-0
Molecular Formula : C₂₂H₂₁N₅O₂
Molecular Weight : 387.44
Target : ERK
Solubility : 10 mM in DMSO



CAS: 2215927-89-0

Biological Activity

ERK-MYD88 inhibitor EI-52 is a small molecule inhibitor of **ERK-MYD88** interaction, binds ERK1/2 (K_d=4.3/2.1 uM), inhibits ERK1/2-MYD88 interaction with IC₅₀ of 3.1/14.7 uM in HTRF assays, induces cancer cell death.

EI-52 inhibits endogenous ERK-MYD88 interaction in HCT116 cells.

EI-52 strongly inhibits MYD88 interaction with WT ERK, does not inhibit its interaction with D319N ERK, Asp319 is critical for EI-52 binding to ERK and inhibition of ERK-MYD88 PPI.

EI-52 (8 uM) induced a rapid and robust cancer cell death in human colon cancer cells HCT116 (K-RasG13D).

EI-52 modifies ERK activity and localization, leading to integrated stress response and apoptosis in cancer cells.

EI-52 does not disrupts the cell cycle, without affecting ERK phosphorylation or ERK1/2 kinase activity.

EI-52 induces apoptosis of Lewis lung carcinoma (LLC) cells in vitro (IC₅₀ = 4 μM).

EI-52 (25 or 50 mg/kg, vehicle (PBS, 40% PEG 400, 20% DMSO), i.p.) displays anti-tumor activity in mice and in patient-derived LCC tumor model.

References

Virard F, et al. *Nat Commun.* 2024 Aug 15;15(1):7037.

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

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