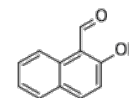


Product Name : HKi2
Cat. No. : PC-23286
CAS No. : 708-06-5
Molecular Formula : C₁₁H₈O₂
Molecular Weight : 172.18
Target : Other Targets
Solubility : 10 mM in DMSO



Biological Activity

HKi2 is a specific small-molecule HEG1-KRIT1 inhibitor (IC₅₀=3.5 μM) by competing orthosterically with HEG1 for binding to the KRIT1 FERM domain, elevates KLF4 and KLF2 gene expression and increases Akt phosphorylation. HKi2 treatment (50 μM) leads to KLF2 and KLF4 upregulation in endothelial cells. KRIT1 Lys720 forms a covalent reversible bond with the aldehyde of HKi2 and HKi2 does not block PARD3 binding to HEG1. HKi2 upregulates KLF4 and KLF2 target genes in endothelial cells. HKi2 induces expression of klf2a in arterial and venous endothelium in zebrafish. HKi2 treatment increases Akt phosphorylation at S473 that is dependent on PI3K activity. The transmembrane protein heart of glass1 (HEG1) directly binds to and recruits Krev interaction trapped protein 1 (KRIT1) to endothelial junctions to form the HEG1-KRIT1 protein complex that establishes and maintains junctional integrity.

References

Lopez-Ramirez MA, et al. FASEB Bioadv. 2021 Feb 18;3(5):334-355.

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

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