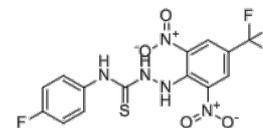


Product Name : Kobe2602
Cat. No. : PC-21604
CAS No. : 454453-49-7
Molecular Formula : C₁₄H₉F₄N₅O₄S
Molecular Weight : 419.31
Target : Ras
Solubility : 10 mM in DMSO



Biological Activity

Kobe2602 is a small-molecule Ras inhibitor that display antitumor activity by interfering with Ras-GTP-effector interaction, inhibits the binding of H-Ras·GTP to c-Raf-1 RBD with Ki of 149 uM.

Kobe2602 (20 μM) efficiently inhibited the phosphorylation of MEK and ERK, downstream kinases of Raf in NIH 3T3 cells transiently expressing H-RasG12V.

Kobe2602 (20 μM) almost completely inhibited the proliferation of H-rasG12V–transformed NIH 3T3 cells in the presence of 2% FBS (IC₅₀=2 uM).

Kobe2602 (80 mg/kg) exhibited antitumor activity on a xenograft of human colon carcinoma SW480 cells carrying the K-rasG12V gene by oral administration.

References

Shima F, et al. Proc Natl Acad Sci U S A. 2013 May 14;110(20):8182-7.

Shima F, et al. Enzymes. 2013;34 Pt. B:1-23.

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

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