

Kobe0065

Chemical Properties

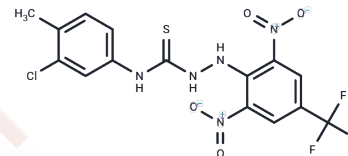
CAS No. : 436133-68-5

Formula: C₁₅H₁₁ClF₃N₅O₄S

Molecular Weight: 449.79

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Kobe0065 is a novel and effective small-molecule compound that inhibits the Ras-Raf interaction by structure-based drug design (SBDD); it displays potent activity, competitively inhibiting the binding of H-Ras·GTP to c-Raf-1 RBD with a K_i value of $46 \pm 13 \mu\text{M}$.
Targets(IC50)	Apoptosis,Raf,Ras
In vitro	Kobe0065-family compounds bind to Ras?GTP and exhibit antiproliferative activity toward cancer cells carrying the activated ras oncogenes. The compounds efficiently inhibit the interaction of Ras?GTP with their multiple effectors including Raf, PI3K, and RaIGDS and a regulator/effector Sos and show rather broad binding specificity toward various Ras family small GTPases, which may account for their higher potency at the cellular level compared with that of the in vitro binding inhibition[1].
In vivo	Kobe0065 has antitumor activity. The compound-treated tumors show a prominent increase of apoptotic cells without any significant body weight loss[1].
Kinase Assay	Determination of lipase activity: For determination of lipase activity, lysates are incubated with a substrate containing radiolabeled [9,10- ³ H(N)]-triolein. Subsequently, FA are extracted and quantitated by liquid scintillation counting. Data are presented as mean S.D. of triplicate determinations and representative for at least three independent experiments.
Cell Research	NIH 3T3 cells are transfected with pEF-BOS-HA-H-Ras(G12V) or an empty vector and treated with the 2 and 20 μM compound or the vehicle (DMSO) in the presence of 2% FBS for 1 h. Cell lysate is subjected to detection of c-Raf-1 coimmunoprecipitated with an anti-H-Ras antibody and total c-Raf-1 by Western blotting with an anti-c-Raf-1 antibody. Immunoprecipitated H-Ras(G12V) are detected by an anti-HA antibody.(Only for Reference)

Solubility Information

Solubility	Ethanol: 4.5 mg/mL (10 mM),Heating is recommended. DMSO: 20 mg/mL (44.47 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.45 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2233 mL	11.1163 mL	22.2326 mL
5 mM	0.4447 mL	2.2233 mL	4.4465 mL
10 mM	0.2223 mL	1.1116 mL	2.2233 mL
50 mM	0.0445 mL	0.2223 mL	0.4447 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

Wang J, Yao N, Hu Y, et al. PHLDA1 promotes glioblastoma cell growth via sustaining the activation state of Ras. Cellular and Molecular Life Sciences. 2022 Sep 15;79(10):520.

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