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产品名称:

2-[2,6-Dinitro-4-(trifluoromethyl)phenyl]-N-(4-fluorophenyl)hydrazinecarbothioamide

产品别名: **kobe2602**

生物活性:

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Description	<p>kobe2602 is a novel and effective small-molecule compound inhibiting Ras–Raf interaction by SBDD; exhibits potent activity to competitively inhibit the binding of H-Ras-GTP to c-Raf-1 RBD with a Ki value of 149 ± 55 μM. IC50 value: 149 ± 55 uM (Ki) [1] Target: Ras-Raf These two compounds(Kobe0065 and Kobe2602), added to the culture medium at 2 and 20 μM, effectively reduced the amount of c-Raf-1 associated with H-Ras G12V in NIH 3T3 cells in a dose-dependent manner, indicating the inhibition of the cellular activity of Ras. A rough estimate of the IC50 value for the cellular Ras–Raf-binding inhibition was around 10 μM (Fig. 1B), which was not much different from the Ki values for the in vitro Ras–Raf-binding inhibition considering thequite low cellular concentration of Raf. A similar inhibitory effect was also observed with NIH 3T3 cells overexpressing K-Ras G12V. Both Kobe0065 and Kobe2602 at 20 μM efficiently inhibited the phosphorylation of MEK and ERK, downstream kinases of Raf in NIH 3T3 cells transiently expressing H-Ras G12V, although the effect was slightly weaker than that of 2 μM sorafenib.</p>																	
Solvent&Solubility	<p><i>In Vitro:</i></p> <p>DMSO : 14.3 mg/mL (34.10 mM; Need ultrasonic and warming)</p>																	
	<table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>SolventMass Concentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.3849 mL</td><td>11.9244 mL</td><td>23.8487 mL</td></tr><tr><td>5 mM</td><td>0.4770 mL</td><td>2.3849 mL</td><td>4.7697 mL</td></tr><tr><td>10 mM</td><td>0.2385 mL</td><td>1.1924 mL</td><td>2.3849 mL</td></tr></table>	Preparing Stock Solutions	<div>SolventMass Concentration</div>	1 mg	5 mg	10 mg	1 mM	2.3849 mL	11.9244 mL	23.8487 mL	5 mM	0.4770 mL	2.3849 mL	4.7697 mL	10 mM	0.2385 mL	1.1924 mL	2.3849 mL
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<p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>																		
References	<p>[1]. Shima, Fumi, et al. In silico discovery of small-molecule Ras inhibitors that display antitumor activity by blocking the Ras-effector interaction. Proceedings of the National Academy of Sciences of the United States of America (2013), 110(20), 8182-8187,</p>																	