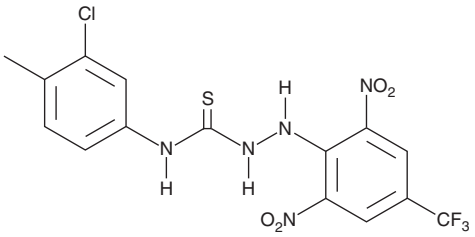
PRODUCT INFORMATION

Kobe 0065

Item No. 16261

CAS Registry No.:	436133-68-5
Formal Name:	N-(3-chloro-4-methylphenyl)-2-[2,6-dinitro-4-(trifluoromethyl) phenyl]-hydrazinecarbothioamide
MF:	$C_{15}H_{11}ClF_3N_5O_4S$
FW:	449.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 367 nm
Supplied as:	crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Kobe 0065 is supplied as a crystalline solid. A stock solution may be made by dissolving the Kobe 0065 in the solvent of choice, which should be purged with an inert gas. Kobe 0065 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of Kobe 0065 in these solvents is approximately 5, 20, and 10 mg/ml, respectively.

Kobe 0065 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Kobe 0065 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Kobe 0065 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The Ras family of small GTPases (H-Ras, K-Ras, and N-Ras) function as molecular switches, cycling between a GTP-bound active state and a GDP-bound inactive state, to turn on downstream Raf protein kinases. This initiates complex signaling pathways involved in cell growth, differentiation, and apoptosis. Mutations leading to aberrant Ras activation are frequently associated with various human cancers. Kobe 0065 is an orally active Ras inhibitor with selectivity for H-Ras (K_i = 46 µM).[1] It can inhibit both anchorage-dependent and -independent growth and induce apoptosis of H-Ras^{G12V}-transformed NIH 3T3 cells (IC_{50} = ~1.5 µM), which leads to a down-regulation of MEK/ERK, Akt, RalA, and Son of sevenless.[1] At an oral dose of 80 mg/kg, Kobe 0065 also exhibits antitumor activity in mice bearing a xenograft of human colon cancer SW480 cells expressing K-Ras^{G12V}.[1]

References

1. Shima, F., Yoshikawak, Y., Ye, M., *et al.* In silico discovery of small-molecule Ras inhibitors that display antitumor activity by blocking the Ras-effector interaction. *Proc. Natl. Acad. Sci. USA* **110(20)**, 8182-8187 (2013).

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