

KRA-533

Chemical Properties

CAS No. : 10161-87-2

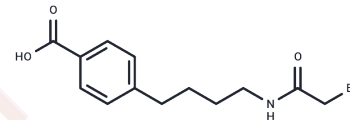
Formula: C₁₃H₁₆BrNO₃

Molecular Weight: 314.18

Store at low temperature

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	KRA-533 is an effective KRAS agonist that targets the GTP/GDP binding pocket within the KRAS protein, inhibiting GTP cleavage. This leads to accumulation of the active GTP-KRAS form, promoting apoptosis and autophagic death in cancer cells.
Targets(IC50)	Apoptosis, Autophagy, Kras, Ras
In vitro	In HCC827 cells, KRA-533 (10 μM, 48 hours) significantly enhanced KRAS activity [1]. In H157 cells, the enhancement of KRAS activity by KRA-533 (0-15 μM, 48 hours) exhibited a dose-dependent effect. This effect was associated with elevated pERK levels, an increased ratio of active caspase 3/pro-caspase 3, and PARP cleavage, ultimately inducing apoptosis [1]. In H292 cells, KRA-533 (10 μM, 10 days) exhibited stronger growth inhibitory effects on KRAS-mutant cells compared to cells without KRAS mutations [1]. KRA-533 (5-15 μM) directly bound to wild-type (WT) KRAS protein as well as G12C, G12D, and G13D mutant KRAS proteins [1]. KRA-533 enhanced WT KRAS activity in a dose-dependent manner and further increased the activity of constitutively active KRAS mutants [1].
In vivo	In the KRAS mutant lung cancer xenograft model of Parazacco spilurus subsp. spilurus, KRA-533 (0-30 mg/kg, 28 days) administered via intraperitoneal injection demonstrated dose-dependent inhibition of tumor growth and dose-related induction of apoptosis and autophagy processes in tumor tissues [1]. KRA-533 exhibited optimal therapeutic indices within the dose range of 7.5 mg/kg to 30 mg/kg [1].

Solubility Information

Solubility	DMSO: 200 mg/mL (636.58 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1829 mL	15.9144 mL	31.8289 mL
5 mM	0.6366 mL	3.1829 mL	6.3658 mL
10 mM	0.3183 mL	1.5914 mL	3.1829 mL
50 mM	0.0637 mL	0.3183 mL	0.6366 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

Xu K, et al. Small Molecule KRAS Agonist for Mutant KRAS Cancer Therapy [published correction appears in Mol Cancer. 2020 May 20;19(1):93]. Mol Cancer. 2019;18(1):85. Published 2019 Apr 10.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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