Product Data Sheet

Product Name: KRA-533
Cat. No.: GC64558

Chemical Properties

Cas. No.	10161-87-2		
Formula	C13H16BrNO3	M.Wt	314.18
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	KRA-533		

Background

KRA-533 is a potent KRAS agonist. KRA-533 binds to the GTP/GDP binding pocket in the KRAS protein to prevent GTP cleavage, resulting in the accumulation of constitutively active GTP-bound KRAS that triggers both apoptotic and autophagic cell death pathways in cancer cells.

KRA-533 (10 μM; 48 hours; HCC827 cells) enhances KRAS activity to a greater extent[1].KRA-533 (0~15 μM; 48 hours; H157 cells) enhances KRAS activity in a dose-dependent manner, which is associated increased levels of pERK, ratio of active caspase 3/procaspase 3 and PARP cleavage, leading to apoptotic cell death[1].KRA-533 (10 μM; 10 days; H292 cells) mediates cell growth suppression than those without KRAS mutation. KRA-533 (5~15 μM) can directly bind to WT, G12C, G12D and G13D mutant KRAS proteins. KRA-533 activates WT KRAS to increase its activity in a dose-dependent manner. KRA-533 further enhances the activities of active KRAS mutants[1].

KRA-533 (0~30 mg/kg; 28 days) suppresses tumor growth in a dose-dependent manner in lung cancer mutant KRAS xenografts and induces apoptosis and autophagy in tumor tissues in a dose-dependent manner[1].KRA-533 shows optimal therapeutic index between 7.5 mg/kg and 30 mg/kg doses[1].

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[1]. 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.

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