

Product Data Sheet

Product Name: KRA-533

Cat. No.: GC64558

Chemical Properties

Cas. No. 10161-87-2

Formula C₁₃H₁₆BrNO₃

M.Wt 314.18

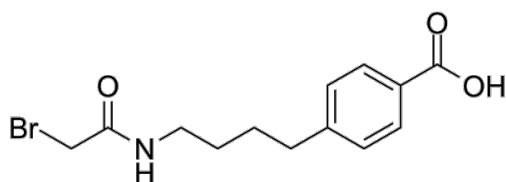
Solubility

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



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

Caution: Product has not been fully validated for medical applications. For research use only.

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suppression than those without KRAS mutation. KRA-533 (5~15 μ M) 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].

[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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