

KRASG12D-IN-7

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

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	KRASG12D-IN-7 is a selective inhibitor of KRASG12D. It exhibits strong binding affinity to KRASG12D in both GDP-bound and GTP-bound states, with Kd values of 1.12 nM and 1.86 nM, respectively. This compound inhibits the proliferation of KRASG12D-bearing AsPC-1 cells with an IC50 of 10 nM and disrupts MAPK signaling. In AsPC-1 cells, KRASG12D-IN-7 induces G0/G1 phase arrest and apoptosis (apoptosis), and significantly suppresses colony formation. The inhibitor is applicable for research on cancers with KRASG12D mutations, particularly pancreatic ductal adenocarcinoma (PDAC).
Targets(IC50)	Apoptosis,ERK,Ras
In vitro	KRASG12D-IN-7 (Compound (R)-5a) demonstrates potent and selective antiproliferative activity against AsPC-1 cells with a KRASG12D mutation (IC50 = 10 nM), GP2D cells (IC50 = 2.7 nM), AGS cells (IC50 = 6.1 nM), HPAF-II cells (IC50 = 6.8 nM), and Ls513 cells (IC50 = 27.3 nM), while showing negligible inhibition on other KRAS mutants (H358 G12C, G12S) and KRAS wild-type cell lines (IC50 > 1000 nM). The compound binds to both GDP- and GTP-bound forms of KRAS G12D, selectively blocking the interaction of GDP-bound KRAS G12D with SOS1, and disrupting the linkage between GTP-bound KRAS G12D and RAF1. Additionally, KRASG12D-IN-7 (1-1000 nM, 3 hours) leads to a dose-dependent reduction of p-ERK and p-S6 protein levels in AsPC-1 cells, effectively inhibiting the downstream MAPK signaling pathway in KRAS-mutant cells. Furthermore, KRASG12D-IN-7 (1-1000 nM, 24-72 hours) induces G0/G1 phase arrest and apoptosis in AsPC-1 cells, and a concentration of 5 nM over 10 days significantly suppresses colony formation in these cells.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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