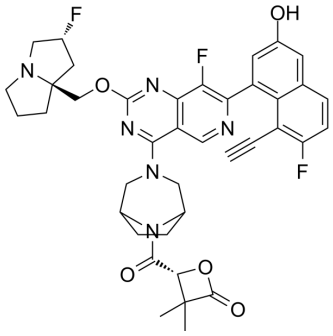
(R)-G12Di-7

Cat. No.:	HY-158008
CAS No.:	2946593-60-6
Molecular Formula:	$C_{39}H_{37}F_3N_6O_5$
Molecular Weight:	726.74
Target:	Ras
Pathway:	GPCR/G Protein; MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

(R)-G12Di-7 is a covalent ligand for KRAS-G12D, which selectively labels K-Ras-G12D·GDP and K-Ras-G12D·GppNHp. (R)-G12Di-7 exhibits inhibitory activity against G12D mutated cancer cells[1].

In Vitro

(R)-G12Di-7 (1-100 nM) inhibits cell viability in KRAS-G12D mutated cells Ba/F3, SW1990, AsPC-1 and AGS with GI_{50}s of 73 nM, 409 nM, 467 nM and 109 nM, respectively[1].

(R)-G12Di-7 (10 μM) labels KRAS-G12D in G12D mutated cells Ba/F3, SW1990, AsPC-1 and AGS, and thus suppresses the downstream signaling with stability over 12 h[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Ba/F3, SW1990, AsPC-1, AGS
Concentration:	1-1000 nM
Incubation Time:	72 h
Result:	Inhibited cell growth of Ba/F3, SW1990, AsPC-1 and AGS.

Western Blot Analysis[1]

Cell Line:	Ba/F3, SW1990, AsPC-1, AGS
Concentration:	10 μM
Incubation Time:	4 h
Result:	Suppressed expression K-RAS-G12D and phosphorylation of ERK and Akt in cells G12D mutated cells Ba/F3, SW1990, AsPC-1 and AGS.

In Vivo

(R)-G12Di-7 (10-50 mg/kg, twice a day, i.p. for 28 days) exhibits antitumor activity in SW1900 xenograft NOD/SCID mice[1].

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Animal Model:	SW1900 xenograft NOD/SCID mice[1]

Dosage:	10-50 mg/kg
Administration:	i.p., twice a day for 28 days
Result:	Inhibited tumor growth and weight loss.

REFERENCES

[1]. Zheng Q, et al., Strain-release alkylation of Asp12 enables mutant selective targeting of K-Ras-G12D. Nat Chem Biol. 2024 Mar 5.

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