CFL-137

Cat. No.: HY-W016889

CAS No.: 708-06-5

Molecular Formula: $C_{11}H_8O_2$

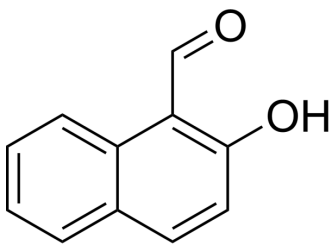
Molecular Weight: 172.18

Target: Ras

Pathway: GPCR/G Protein

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



BIOLOGICAL ACTIVITY

Description

CFL-137 is a potent $KRas^{G12C}$ inhibitor. CFL-137 shows an antiproliferative effect. CFL-137 shows anticancer activity. CFL-137 has the potential for the research of lung cancer[1].

IC_{50} & Target

KRAS(G12C)

In Vitro

CFL-137 (72 h) shows an antiproliferative effect with IC_{50}s of 11.4, 24.2, 24.5, 12.3, 43.3, 44.5, 27.63, 32.4, 46.9, 26.2, 25.0, 10.8, 66.2 μM for H1792, SW1573, MiaPaca2, H358, A549, SW480, PANC-1, LCLC-103H, BxPC3, HCA-7, MRC-5, HUVEC-TERT, CCD-986Sk cells, respectively[1].

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

In Vivo

CFL-137 (5 mg/kg, 5 treatments; 15 mg/kg, 5 treatments; 30 mg/kg, 3 treatments; i.p.) reduces tumor growth in subcutaneous H1792 (KRasG12C mutant) and LCLC-103H (KRasWT) human lung cancer-bearing mice[1].

Pharmacokinetic Parameters of CFL-137 in NOD-SCID female mice[1].

PK parameters	CFL-137
C_{max} (ng/mL)	27,366 ± 13,221
T_{max} (h)	0.25
AUC_t (ng/mL*h)	28,307 ± 6375
$t_{1/2}$ (h)	4.0 ± 0.2
V_d (mL)	63.6 ± 13.6
CL(mL/h)	11.0 ± 2.8

NOD-SCID female mice, 15 mg/kg IP[1].

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

Animal Model:	NOD/SCID female mice (KRasWT (LCLC-103H) or KRasG12C (H1792) tumors)[1]
Dosage:	5 mg/kg, 5 treatments; 15 mg/kg, 5 treatments; 30 mg/kg, 3 treatments
Administration:	I.p.
Result:	Reduced tumor growth compared to the control group in KRasG12C mutated model for 32.5%.

REFERENCES

[1]. Orgován Z, et al. Covalent fragment mapping of KRasG12C revealed novel chemotypes with in vivo potency. Eur J Med Chem. 2023 Mar 15;250:115212.

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