KRASG12C IN-2

Cat. No.: HY-153262

CAS No.: 2706637-12-7

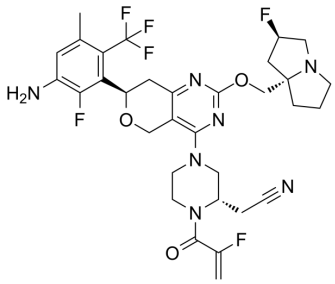
Molecular Formula: $C_{32}H_{35}F_6N_7O_3$

Molecular Weight: 679.66

Target: Ras

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

KRASG12C IN-2 (compound 17) is an orally active $KRAS^{G12C}$ inhibitor. KRASG12C IN-2 inhibits tumor growth in mice[1].

IC_{50} & Target

$KRAS^{G12C}$[1].

In Vitro

KRASG12C IN-2 (0-100 nM; 72 h) inhibits the inhibition of the proliferation of $KRAS^{G12C}$ mutant MIA-PA-CA-2 cells, with an IC_{50} value of 0.44 nM[1].

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

Cell Proliferation Assay[1]

Cell Line:	MIA-PA-CA-2 cells (with $KRAS^{G12C}$ mutant)
Concentration:	0-100 nM
Incubation Time:	72 h
Result:	Exhibited antiproliferation activity (IC_{50} = 0.44 nM).

In Vivo

KRASG12C IN-2 (10, 30 mg/kg; p.o.; single daily for 22 days) inhibits tumor growth in mice[1].

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

Animal Model:	Nude Balb/c mice (Subcutaneous transplantation model of Mia PaCa-2 cells)[1].
Dosage:	10, 30 mg/kg
Administration:	Oral administration; single daily for 22 days.
Result:	Inhibited tumor growth in mice, and the inhibition rates are 93.06% (dosage at 10 mg/kg) and 99.64% (dosage at 30 mg/kg), respectively.

Animal Model:	Male SD rats and male CD mice[1].
Dosage:	2.0 mg/kg

Administration:	i.v.; single
Result:	Pharmacokinetic Parameters of KRASG12C IN-2 in Male SD rats and Male CD mice[1].

	Male SD rats	Male CD mice
	IV (2 mg/kg)	IV (2 mg/kg)
$T_{1/2}$ (h)	1.9	1.7
CL (mL/min/kg)	71.5	40.6
Vd_{ss}/Vd_{ss}, u(L/kg)	10.6/221	3.9/32.3
$AUC_{0\text{-}last}/AUC_u$ (nM•h)	653/31.3	1297/155.6

Animal Model:	Male SD rats and male CD mice[1].
Dosage:	9.8, 10.3 mg/kg
Administration:	p.o.; single
Result:	Pharmacokinetic Parameters of KRASG12C IN-2 in Male SD rats and Male CD mice[1].

	Male SD rats	Male CD mice
	PO (9.8 mg/kg)	PO (10.3 mg/kg)
$AUC_{0\text{-}last}/AUC_u$ (nM•h)	995/47.8	1422/170.6
T_{max} (h)	1.5	1.0
$C_{max}/C_{max,\,u}$ (nM)	220/10.6	431/51.7
F (%)	30.5%	21.9%

REFERENCES

[1]. Zhang Yang, et al. Pyrimidoheterocyclic compounds and application thereof. WO2021180181A1.

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