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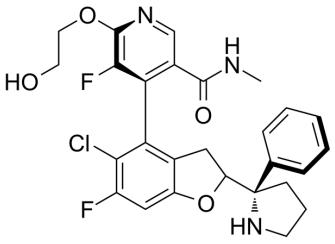
IAG933

Cat. No.:	HY-158384
Molecular Formula:	$C_{27}H_{26}ClF_2N_3O_4$
Molecular Weight:	529.96
Target:	YAP
Pathway:	Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

IAG933 is a small molecule compound. IAG933 is a direct and selective disruptor of YAP-TEAD protein-protein interaction (PPI). By binding to the Ω-loop pocket of TEAD protein, IAG933 directly prevents YAP/TAZ from forming complexes with TEADs, thereby interfering with YAP's carcinogenic function. IAG933 can be used to study the Hippo signaling pathway and specific types of cancer[1].

In Vitro

IAG933 (0.0001-10 μM, 24 h) almost completely inhibits the expression of direct TEAD target genes CCN1, ANKRD1 and CCN2 in both MSTO-211H cells and another Hippo-altered mesothelioma line NCI-H226, with IC_{50} values between 11 and 26 nM. Particularly in mesothelioma, IAG933 shows half-maximal growth inhibition (GI_{50}) values between 13 and 91 nM irrespective of pathway alterations[1].

IAG933 (0.0001-10 μM, 72 h) shows significant anti-proliferative activity in tumor cell lines with multiple Hippo signaling pathway alterations, especially in pleural mesothelioma cell lines[1].

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

Cell Proliferation Assay[1]

Cell Line:	TEAD-dependent mesothelioma lines
Concentration:	0.37 μM
Incubation Time:	72 h
Result:	Showed significant anti-proliferative activity in mesothelioma, hippo altered, and non-hippo mutant cell lines, and the cell line activity decreased to less than 10% after 72 hours of administration

In Vivo

IAG933 (30-240 mg/kg, op.; 24 h) shows rapid and efficient inhibition of TEAD transcription in mouse MSTO-211H cell-derived xenograft (CDX) models in a single dose evaluation experiment[1].

IAG933 (70 and 210 mg/kg, op.; 28 days) prevents mouse morbidity induced by increasing tumor burden in pleura, and antitumor responses are sustained over 4 weeks. IAG933 does not cause weight loss or tolerance problems in mouse models [1].

IAG933 (10 and 30 mg/kg, op.; 14 days) is well tolerated in a rat model where the degree of tumor exposures is proportional to dose and no weight loss was observed[1].

IAG933 (200 mg/kg, op.; 70 days) shows an antitumor response in an NF2-altered PDX model of triple-negative breast cancer [1].

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

Animal Model:	NF2-altered PDX model of triple-negative breast cancer[1]
Dosage:	200 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Demonstrated a favorable tumor response, including deep tumor shrinkage and persistent tumor arrest.

Animal Model:	mouse MSTO-211H cell-derived xenograft (CDX) models[1]
Dosage:	30-240 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Demonstrated dose-dependent antitumor effects, including tumor arrest and significant tumor shrinkage. At a dose of 240 mg/kg, nearly complete regression of the tumor was observed. No weight loss was observed during treatment.

REFERENCES

[1]. Chapeau EA, et al. Direct and selective pharmacological disruption of the YAP-TEAD interface by IAG933 inhibits Hippo-dependent and RAS-MAPK-altered cancers. Nat Cancer. 2024 Apr 2.

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