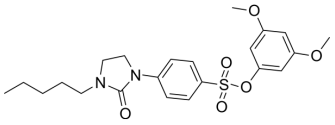
Antitumor agent-87

Cat. No.:	HY-148592
CAS No.:	1422527-88-5
Molecular Formula:	$C_{22}H_{28}N_2O_6S$
Molecular Weight:	448.53
Target:	Cytochrome P450
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antitumor agent-87 is a potent antitumor agent. Antitumor agent-87 shows a high affinity for CYP1A1 with a K_i value of 0.23 μM. Antitumor agent-87 shows antiproliferative activity. Antitumor agent-87 induces cell cycle arrest at the G2/M phase. Antitumor agent-87 show antitumoral activity[1].

IC_{50} & Target

CYP1A1
0.23 μM (Ki)

In Vitro

Antitumor agent-87 (compound 10) shows half-lives (t1/2) of 70, 44, 107 min in human liver microsomes, mouse liver microsomes and rat liver microsomes, respectively[1].
Antitumor agent-87 (1250 nM) induces cell cycle arrest at G2/M phase[1].
Antitumor agent-87 (0-12500 nM; 72 h) shows antiproliferative activity with IC_{50}s of 500, 8800, 6800, >12500, 210, 1100, 79, 43 nM for HT-1080^{CYP1A1}, HT-1080^{empty}, HT-1080, MDA-MB-231, MDA-MB-468, T47D, SK-BR-3, and MCF7 cells, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MCF7, HT-29, M21 cells
Concentration:	0-12500 nM
Incubation Time:	48 h
Result:	Showed antiproliferative activity with IC_{50}s of 600, >12500, >12500 nM for MCF7, HT-29, M21 cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	MCF7 cells
Concentration:	1250 nM
Incubation Time:	
Result:	Induced the accumulation of the cells in the G2/M phase of 57% and induced disruptions of the cytoskeleton of MCF7 cells.

In Vivo

Antitumor agent-87 (0.1 μg/egg) shows antitumor activity in chick embryos[1].

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Animal Model:	chick embryos (HT-1080^{CYP1A1}, HT-1080^{empty}, HT-1080 cells)[1]
Dosage:	0.1 μg/egg
Administration:	
Result:	Did not show statistically significant antitumor activity on grafted cell lines devoid of CYP1A1 (HT-1080 and HT-1080empty), showed antitumoral inhibition of 29% on the grafted HT-1080^{CYP1A1}.

REFERENCES

[1]. Chavez Alvarez AC, et al. Homologation of the Alkyl Side Chain of Antimitotic Phenyl 4-(2-Oxo-3-alkylimidazolidin-1-yl)benzenesulfonate Prodrugs Selectively Targeting CYP1A1-Expressing Breast Cancers Improves Their Stability in Rodent Liver Microsomes. J Med Chem. 2023 Feb 23;66(4):2477-2497.

Caution: Product has not been fully validated for medical applications. For research use only.

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