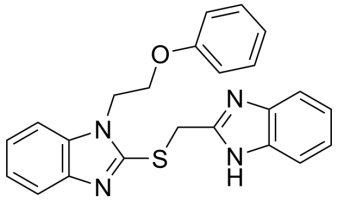
GY1-22

Cat. No.:	HY-149911
CAS No.:	326903-84-8
Molecular Formula:	$C_{23}H_{20}N_4OS$
Molecular Weight:	400.5
Target:	MDM-2/p53
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GY1-22 is an inhibitor of DNAJA1-mutP53^{R175H} interacting pocket. GY1-22 can be used for the research of cancer[1].
IC_{50} & Target	DNAJA1-mutP53^{R175H} interacting pocket[1]

In Vitro

GY1-22 (0-50 μM; 24 h) reduces mutp53 protein expression in mouse pancreatic cancer P03 and human colon cancer LS123 cells. GY1-22 inhibits cyclin D1 expression and induces wtp53-activated Waf1p21 expression in mutp53-driven P03 cells[1].
GY1-22 loses the ability to degrade mutp53^{R175H} after mutation of critical sites at the interface of the DNAJA1–mutp53^{R175H} complex[1].
GY1-22 (0-100 μM; 24 h) shows a dose-dependent effect on inhibiting cell growth and low cytotoxicity in mutp53-driven P03 pancreatic cancer cells[1].

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

Western Blot Analysis[1]

Cell Line:	Mouse mutp53-driven P03 pancreatic cancer cells and human colon cancer LS123 cells
Concentration:	0, 1, 10, 25 and 50 μM
Incubation Time:	24 h
Result:	Reduced mutp53 protein expression in both cells. Exhibited a dose-dependent effect on inhibition of mutp53 and cyclin D1 expression but induction of wtp53-activated Waf1p21 expression tested in P03 cells.

Cell Viability Assay[1]

Cell Line:	Mouse mutp53-driven P03 pancreatic cancer cells
Concentration:	0, 25, 50, 75 and 100 μM
Incubation Time:	24 h
Result:	Showed a dose-dependent effect on inhibiting cell growth with IC_{50} of 28 μM and low cytotoxicity (cell viability).

In Vivo

The LD_{50} of GY1-22 in rats is 1240 mg/kg. Dosage of 10 mg/kg (i.p.; daily for 2 weeks) does not exhibit any toxicity grossly or

histologically in mice[1].
GY1-22 (1 mg/kg; i.p.; daily for 2 weeks) inhibits mutp53-driven P03 pancreatic cancer cell growth in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J mice implanted with P03 cells[1]
Dosage:	1 mg/kg
Administration:	IP, daily for 2 weeks
Result:	Showed a significant inhibition of in vivo tumor growth, which was comparable with P03 DNAJA1 knockout line.

REFERENCES

[1]. Tong X, et al. Identification of a druggable protein-protein interaction site between mutant p53 and its stabilizing chaperone DNAJA1. J Biol Chem. 2021 Jan-Jun;296:100098.

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