Product Data Sheet

Product Name: HP590
Cat. No.: GC67958

Chemical Properties

Cas. No.			
Formula	$C_{29}H_{24}F_6N_4O_3$	M.Wt	590.52
Solubility		Storage	4°C, away from moisture and light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	HP590		

Background

IC50: 27.8 nM (STAT3 luciferase activity)[1]

HP590 is an orally active, novel and potent **STAT3** inhibitor (STAT3 luciferase activity: **IC_{50}**=27.8 nM; ATP inhibition: **IC_{50}**=24.7 nM). HP590 shows anti-proliferative activity to gastric cancer cells and induces apoptosis[1].

HP590 (0-40 μM; 72 h) shows anti-proliferative activities to MKN45, AGS, and MGC803 cells[1].

HP590 (0-40 nM; 0-24 h) inhibits STAT3 Tyr^{705} and Ser^{727} phosphorylation in GC cells, blocks the expression of STAT3 downstream genes (c-Myc and cyclin D1) in GC cells, reduces IL-6-mediated STAT3 nuclear translocation in MKN45 cells[1].

HP590 (5-20 nM; 48 h) induces gastric cancer cell apoptosis[1].

Cell Proliferation Assay[1]

Cell Line: MKN45, AGS, and MGC803 cells

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Concentration:	0-40 μM
Incubation Time:	72 hours
Result:	Inhibited MKN45, AGS, and MGC803 cells with IC_{50}s of 9.3, 13.5, and 8.7 nM, respectively.

Apoptosis Analysis[1]

Cell Line:	MKN45 and AGS cells
Concentration:	5, 10, and 20 nM
Incubation Time:	48 hours
Result:	Induced apoptosis in MKN45 and AGS cells in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	Gastric Cancer Cells
Concentration:	0-40 nM
Incubation Time:	0-24 h
Result:	Inhibited STAT3 p-Tyr^{705} and p-Ser^{727} in GC cells completely at 40 nM.Blocked the expression of STAT3 downstream genes, including c-Myc and cyclin D1, in a concentration-dependent and time-dependent manner.Showed the STAT3 p-Tyr^{705} stimulated by IL-6 in GC cell lines, but entirely suppressed by HP590 at 40 nM.

RT-PCR[1]

Cell Line:	MKN45 and AGS cells

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Concentration:	10, 20, and 40 nM
Incubation Time:	48 hours
Result:	Suppressed the expression of STAT3 downstream genes (c-Myc and cyclin D1) at the mRNA level.

HP590 (oral administration; 25 and 50 mg/kg; once daily; 5 w) inhibits GC growth effectively by inhibiting the STAT3 activation and shows better tolerance in GC xenograft model[1].

Animal Model:	BALB/c-nude mice injected with GC cells[1]
Dosage:	25 and 50 mg/kg
Administration:	Oral administration; 25 and 50 mg/kg; once daily; 5 weeks
Result:	Inhibited MKN45 tumor growth in a concentration-dependent manner.Inhibited STAT3 phosphorylation at Tyr705 and Ser727 and reduced the expression of the downstream genes.Inhibited the expression of Ki67 (a proliferation marker).Showed no weight loss during HP590 treatment, and no apparent damage in the major organs of mice.

[1]. He P, et al. Discovery of a Novel Potent STAT3 Inhibitor HP590 with Dual p-Tyr705/Ser727 Inhibitory Activity for Gastric Cancer Treatment. J Med Chem. 2022 Sep 14.

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