Erasin

Cat. No.: HY-115594

Molecular Formula: $C_{20}H_{19}N_3O_3$

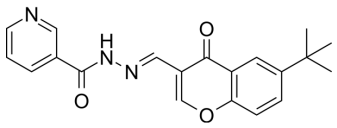
Molecular Weight: 349.38

Target: STAT; Apoptosis

Pathway: JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (178.89 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8622 mL	14.3111 mL	28.6221 mL
	5 mM	0.5724 mL	2.8622 mL	5.7244 mL
	10 mM	0.2862 mL	1.4311 mL	2.8622 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Erasin is a potent Erlotinib (HY-50896)-resistance antagonizing STAT3 inhibitor with IC_{50}s of 9.7 and 24 μM against STAT3 and STAT1, respectively. Erasin induces cancer cells apoptosis[1].

IC_{50} & Target

STAT3	STAT1
9.7 μM (IC_{50})	24 μM (IC_{50})

In Vitro

Erasin inhibits protein-protein interactions mediated by STAT SH2 domains[1].
Erasin (0-80 μM; 24 h) induces apoptosis in MDA-MB-231, HCC-827 and Erlotinib (HY-50896)-resistant HCC-827 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HepG2 and K562 cells
Concentration:	0, 5, 10, 25, 50 and 100 μM
Incubation Time:	24 h (pretreated for 1-2h)
Result:	Inhibited interleukin (IL)-6-stimulated STAT3 Tyr705 phosphorylation in HepG2 cells in a dose-dependent manner. Interferon (IFN)-γ-stimulated phosphorylation of STAT1 Tyr701 was inhibited to a lesser extent. Tyrosine phosphorylation of STAT5 in K562 cells was not inhibited.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231, HCC-827, Erlotinib (HY-50896)-resistant HCC-827 and MDA-MB-453 cells
Concentration:	0, 20, 40, 60 and 80 μM
Incubation Time:	24 h
Result:	Induced a dose-dependent increase in the rate of apoptosis in MDA-MB-231 and HCC-827 cells, compared to DMSO-treated control cells. Was equally effective at increasing the apoptotic rate of Erlotinib-resistant HCC-827 cells and parental HCC-827 cells compared to the respective DMSO-treated control cells.

Western Blot Analysis[1]

Cell Line:	Parental HCC-827 cells and Erlotinib (HY-50896)-resistant HCC-827 cells
Concentration:	0, 20, 40, 60 and 80 μM
Incubation Time:	24 h (pretreated for 1-2h)
Result:	Showed a dose-dependent inhibitory effect on STAT3 Tyr705 phosphorylation in both parental HCC-827 and Erlotinib-resistant HCC-827 cells.

REFERENCES

[1]. Lis C, et al. Development of Erasin: a chromone-based STAT3 inhibitor which induces apoptosis in Erlotinib-resistant lung cancer cells. Sci Rep. 2017 Dec 12;7(1):17390.

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