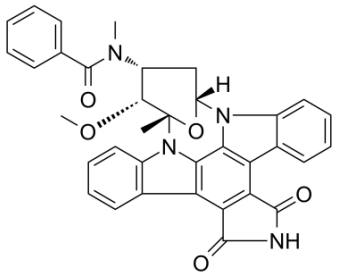
Stauprimide

Cat. No.:	HY-N6747
CAS No.:	154589-96-5
Molecular Formula:	$C_{35}H_{28}N_4O_5$
Molecular Weight:	584.62
Target:	c-Myc; Autophagy
Pathway:	Apoptosis; Autophagy
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description

Stauprimide is a staurosporine analog that promotes embryonic stem cell (ESC) differentiation. Stauprimide is a non-broad spectrum inhibitor that binds to the MYC transcription factor **NME2** and blocks its nuclear localization in ESCs, which results in down-regulation of MYC transcription[1].

In Vitro

Stauprimide (10 μM; 6 hours) suppresses MYC transcription in the majority of cell lines tested with an EC_{50} range of 30 nM-8 μM, and decreases MYC levels between 15% to over 90%[1].

Stauprimide (2-8 μM; 24-72 hours) down-regulates MYC leads to the inhibition of cell proliferation in vitro with an IC_{50} of 780 nM in RXF 393 cells[1].

Stauprimide (5 μM; 3 hours) suppresses MYC Transcription by decreasing NME2 Nuclear Translocation[1].

Stauprimide (4-10 μM; 6 hours) acts with different EC_{50}s and with different degrees of maximal MYC mRNA down-regulation in different cell lines[1].

Cell Proliferation Assay[1]

Cell Line:	Renal cancer cell line RXF 393 cells
Concentration:	2 μM, 4 μM, 8 μM
Incubation Time:	24 hours, 48 hours, 72 hours
Result:	Inhibited cell proliferation at concentrations of 2-8 μM.

Western Blot Analysis[1]

Cell Line:	Renal cancer cell line RXF 393 cells and CAKI-1 cells
Concentration:	5 μM
Incubation Time:	3 hours
Result:	Decreased nuclear localized NME2.

RT-PCR[1]

Cell Line:	CA46 cells; Ramos cells; RXF393 cells; TK10 cells; KG1A cells; CAKI-1 cells

Concentration:	4μM, 6μM, 8μM, 10μM
Incubation Time:	6 hours
Result:	Suppressed MYC transcription in KG1A cells with an EC_{50} of 400±50 nM and a suppression of 90%; CA46 cells were resistant to stauprimide.

In Vivo

Stauprimide (oral administration; 50 mg/kg; once daily; 30 days, 55 days) blocks tumor growth, reduces MYC protein levels in xenograft mouse with RXF 393 or CAKI-1 cells and inhibits MYC transcription in the RXF 393 tumor[1].

Animal Model:	Xenograft tumor models with RXF 393 and CAKI-1 cells in NOD/SCID mice[1]
Dosage:	50 mg/kg
Administration:	Oral administration; 50 mg/kg; once daily; 30 days, 55 days
Result:	Blocked tumor growth in mice injected with either RXF 393 or CAKI-1 cells during the dosing periods.

REFERENCES

[1]. Bouvard C, et al. Small molecule selectively suppresses MYC transcription in cancer cells. Proc Natl Acad Sci USA. 2017 Mar 28;114(13):3497-3502.

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