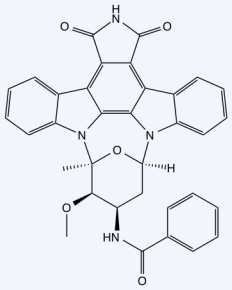
FOCUS on...

Transcription Factor Modulators

Novel modulators of:

Nrf2
HNF4α
LSF
β-catenin
c-Myc



Stauprimide

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Inhibits nuclear localization of NME2, a c-Myc-activating transcription factor. Primes embryonic stem cells for differentiation[1,2].

10-1189 — 1 mg , 5 mg

BI-6015

Antagonizes HNF4α, a nuclear receptor transcription factor that controls metabolic homeostasis and epithelial differentiation[3]. BI-6015 (1-10μM) inhibits the expression of HNF4α target genes, reduces insulin production in T6PNE cells and is cytotoxic to a number of cancer cell lines.

10-1402 — 5 mg , 25 mg

AI-1

Activates Nrf2, a transcriptional regulator of cellular antioxidant responses[4]. Nrf2 is negatively regulated via ubiquitination by the Cul3-Keap1 ubiquitin ligase complex. AI-1 covalently modifies Keap1 and prevents it from serving as an adaptor for the complex, resulting in stabilization and transcriptional activation of Nrf2.

10-1471 — 5 mg , 25 mg

FQI1

Factor quinolinone inhibitor 1 (FQI1), inhibits late SV40 factor (LSF), a transcription factor highly expressed in hepatocellular carcinoma (HCC). FQI1 inhibits LSF DNA-binding and induces cell death in HCC cells but not primary hepatocytes. In vivo, FQI-1 inhibited HCC tumor growth in a mouse xenograft model.

10-1360 — 5 mg , 25 mg

10058-F4

A c-Myc inhibitor that specifically inhibits the c-Myc-Max interaction and prevents transactivation of c-Myc target gene expression[6]. Inhibits proliferation, induces apoptosis and arrests cells in G0/G1 in rat1a-c-Myc cells. Also reduces tumor growth *in vivo*.

10-1466 — 5 mg , 25 mg

FH-535

Suppresses Wnt/β-catenin signaling. It antagonizes PPAR ligand-dependent activation mediated by inhibition of recruitment of the coactivators β-catenin and GRIP1 but not the corepressors NCoR and SMRT[7]. Inhibits the migration and growth of breast cancer cell lines.

10-1328 — 10 mg , 50 mg

References

1. Zhu *et al.* (2009), Cell Stem Cell; **4** 416
2. Zaret *et al.* (2009) Cell Stem Cell; **4** 373
3. Kiselyuk *et al.* (2012) Chem. Biol.; **19** 806
4. Hur *et al.* (2010) Chem. Biol.; **17** 537
5. Grant *et al.* (2012) Proc. Natl. Acad. Sci. U.S.A.; **109** 4503
6. Yin et al. (2003), Oncogene; **22** 6151
7. Handeli *et al.* (2008), Mol. Cancer Ther.; **7** 521

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