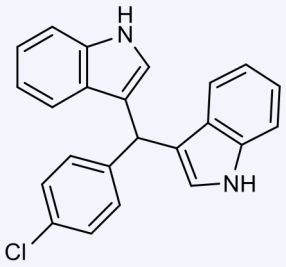
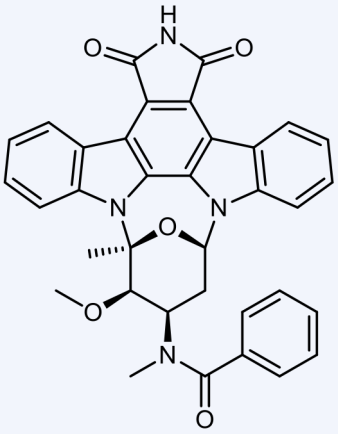
FOCUS on...

Transcription Factor Modulators



C-DIM 12



Stauprimide

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

10-1189 1 mg / $85.00, 5 mg / $380.00

BI-6015

Antagonizes HNF4α, a transcription factor that controls metabolic homeostasis and epithelial differentiation.[2] BI-6015 (1-10 μM) 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 / $48.00, 25 mg / $192.00

AI-1

Activates Nrf2, a transcriptional regulator of cellular antioxidant responses.[3] 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 / $70.00, 25 mg / $280.00

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.[4]

10-1360 5 mg / $70.00, 25 mg / $280.00

10058-F4

A c-Myc inhibitor that specifically inhibits the c-Myc-Max interaction and prevents transactivation of c-Myc target gene expression.[5] 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 / $50.00, 25 mg / $200.00

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.[6] Inhibits the migration and growth of breast cancer cells.

10-1328 10 mg / $50.00, 50 mg / $200.00

Oltipraz

Upregulates the transcription factor Nrf2 and prevents insulin resistance and obesity induced by high fat diet in C57BL/6J mice.[7]

10-2831 50 mg / $39.00, 250 mg / $156.00

Tanshinone IIA

Inhibits AP-1 activity by suppressing jun-fos-DNA complex formation (IC_{50} = 0.22 μM).[8]

10-2186 5 mg / $80.00, 25 mg / $280.00

C-DIM 12

Activates the orphan nuclear receptor Nurr1 which is a suppressor of NFκB-dependent proinflammatory genes. Displays neuroprotective activity in a mouse model of progressive neurodegeneration with a strong neuroinflammatory component (50 mg / Kg).[9]

10-3280 5 mg / $55.00, 25 mg / $180.00

References

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9. De Miranda *et al.* (2015) Mol. Pharmacol. **87** 1021

400 Davis Dr. Suite 600 Plymouth Meeting PA 19462 • sales@focusbiomolecules.com • 610-994-1134 • focusbiomolecules.com

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