DESIGN, SYNTHESES, AND BIOASSAYS OF ANTI-VIRAL AND ANTI-CANCER AGENTS

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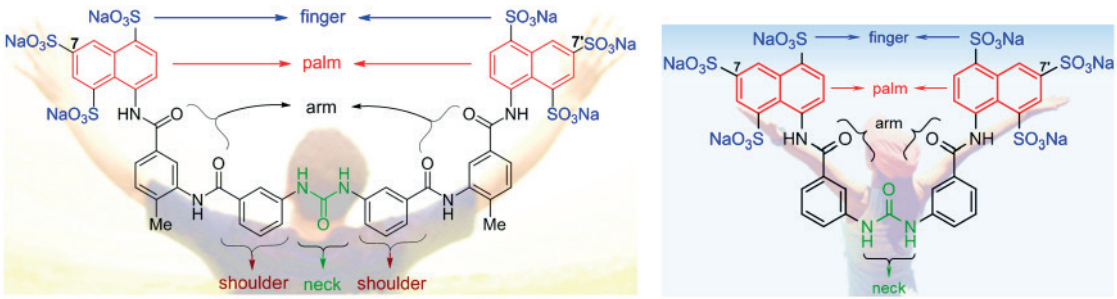
Chikungunya virus (CHIKV) outbreaks in Africa, Asia, Europe, and America. Till now chikungunya fever has spread in nearly 40 countries. Because of lack of effective vaccines and antiviral drugs to intervene this disease, 20 new benzofurane-1,3-thiazolidin-4-one and benzofurane-1,3- thiazinan-4-one conjugated compounds in dimeric form were designed and synthesized.[1] Six of them inhibited CHIKV replication with EC_{50} values in the range of 1.9–2.7 μM. These compounds had up to 42 times lower EC_{50} values than suramin. Moreover, new conjugated compounds with significant anti-CHIKV activity were designed and synthesized by coupling of 6,8-dithioguanosine at its C-6 position with 3-(chloromethyl)coumarins bearing an F, Cl, Br, Me, or –OMe substituent through the – SCH_2 – joint.[2]

Inhibitors of apoptosis proteins (IAPs) are conserved E3-ligases. These enzymes ubiquitylate substrates to prevent apoptosis and activate the NF-kB survival pathway, often deregulated in cancer. We are presenting a thorough analysis of several new suramin analogs that bind to XIAP-BIR1.[3] Our results indicate that their overall symmetry and the chemical features of their central moieties are essential for an efficient interaction with the related protein.



References
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