The cure for HBV may be close with novel HBV capsid effectors

Five new 5-halogeno-heteroarylpyrimidines (HAP) analogs have recently been discovered to display anti-HBV activity in the low micro molar range. This news comes from a newly published article in Bioorganic & Medicinal Chemistry Letters by Emory's own Raymond F. Schinazi, Co-Director of the Virology and Drug Discovery Core for the Emory University Center for AIDS Research (CFAR). You may also know him from his discovery of lamivudine (3TC), among the very first drugs discovered for the treatment of HIV and an active treatment strategy for HBV.

Unfortunately, still no potent cure for HBV is available. Seven FDA approved HBV inhibitors can decrease viral load but cannot manage to fully eliminate HBV cccDNA which is integrated into the nucleus of hepatocytes. That's why several HBV Capsid Assembly Effectors (CAE) have been developed over the years.

Since CAEs are promising on the way to develop a potent cure for HBV, researchers pursue the search for more effective small antiviral molecules based on their potential CAE. Dr. Schinazi's group reported the discovery of the synthesis and evaluation of four new series of HAP analogs. They tested the anti-viral activity of more than 30 new CAE analogs of HAP-12 and GLS4 in-vitro in HepAD38 cells using real-time-PCR and also the measured cytotoxicity levels. Among them, 5 HAP-analogues were found to exert good anti-viral activity and less cytotoxicity.

Thanks to our fellow researchers, we are now 5 HAP-analogues closer to the discovery of a potent cure for HBV.

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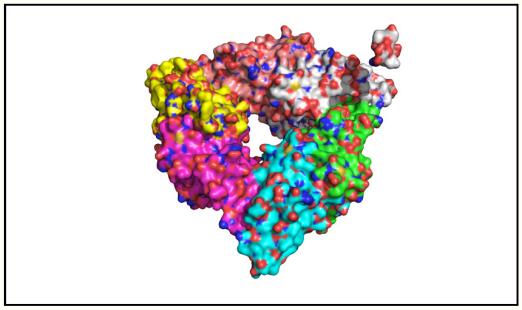
Reference

Synthesis and antiviral evaluation of novel heteroarylpyrimidines analogs as HBV capsid effectors. S Boucle *et al, Bioorg. Med. Chem. Lett.,* 2017, **27**, 904



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