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Design, synthesis and anti-mycobacterial activity evaluation of benzofuran-isatin hybrids

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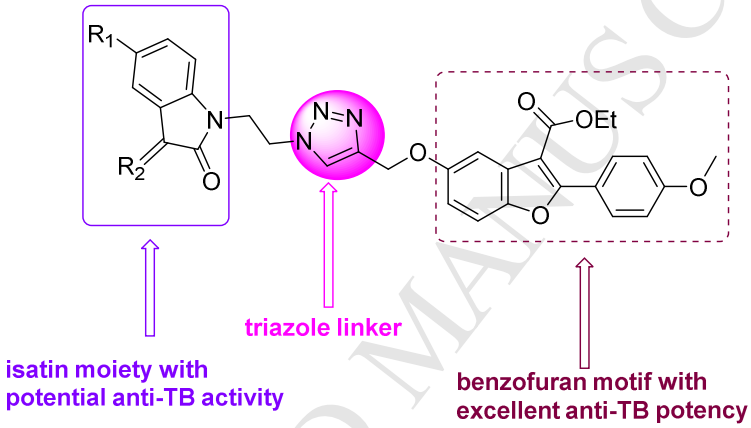

A series of novel benzofuran-isatin hybrids were designed, synthesized and evaluated for their *in vitro* anti-mycobacterial activities as well as cytotoxicity. Preliminary results indicated that all hybrids with acceptable cytotoxicity in VERO cells exhibited considerable anti-mycobacterial activities against MTB H_{37}Rv and MDR-TB strains. It is worthy to note that hybrid **8f** with no cytotoxicity towards VERO cells, was found to be the most active compound against MTB H_{37}Rv and MDR-TB. Comparing to the first-line anti-TB agents (rifampicin and isoniazid), hybrid **8f** has shown over two magnitude more active against MDR-TB. However, both the metabolic stability and *in vivo* pharmacokinetic profiles of **8f** were inferior to inhibitor **TAM16**. Further modification based on hybrid **8f** is needed to improve metabolic stability and pharmacokinetic profiles.