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Efficient synthesis of new antiproliferative steroidal hybrids using the molecular hybridization approach

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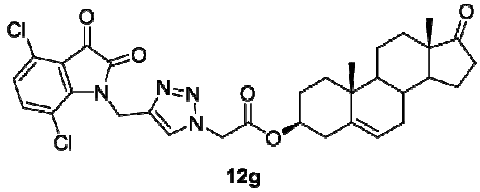
Steroidal hybrids were synthesized and evaluated for their antiproliferative activity. Compound **12g** potently inhibited growth of SH-SY5Y cells possibly through the inactivation of LSD1, arrested cell cycle at G2/M phase, induced apoptosis and decreased MMP. Docking simulations were performed to rationalize the potency toward LSD1.



12g

SH-SY5Y IC_{50} = 4.06±0.61 μM
LSD1 IC_{50} = 3.18 μM
First Steroid-Based LSD1 inactivator