Biological Activity of 1-Aryl-3-phenethylamino-1-propanone Hydrochlorides and 3-Aroyl-4-aryl-1-phenethyl-4-piperidinols on PC-3 Cells and DNA Topoisomerase I Enzyme

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A number of studies reported Mannich bases to manifest antimicrobial, cytotoxic, anticancer, anti-inflammatory, and anticonvulsant activities. A considerable number of therapeutically important cytotoxic compounds are active on DNA topoisomerases that regulate the DNA topology. In the present study we evaluated the biological activity of mono-Mannich bases, 1-aryl-3-phenethylamino-1-propanone hydrochlorides (**1a**–**10a**), and semicyclic mono-Mannich bases, 3-aroyl-4-aryl-1-phenethyl-4-piperidinols (**1b**–**9b**), synthesized in our laboratory. We employed androgen-independent human prostate cancer cells (PC-3) to assess the cytotoxicity of the compounds and extended the biological activity evaluation to cover supercoil relaxation assays of mammalian type I topoisomerases. Our results showed that the compounds had cytotoxicity within the 8.2–32.1 μM range, while two compounds gave rise to a comparable average value in topo I interference of 42% and 40% for **10a** (with a hydroxy substituent on the phenyl ring from mono-Mannich bases) and **5b** (with a fluoro substituent on the phenyl ring from the semicyclic mono-Mannich base series, piperidinols), respectively.

Key words: Mono-Mannich Bases, Cytotoxic Activity, PC-3 Cell, DNA Topoisomerase I