Therapeutic efficacy of ormeloxifene against hepatocellular carcinoma

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Background: Hepatocellular carcinoma (HCC) is the most common primary liver cancer and leading cause of cancer related deaths worldwide. Severe toxicity and drug resistance to available chemotherapeutic agents display ineffective clinical response. Therefore, drug repurposing is gaining attention owing to their known biological activities and excellent safety profiles. Ormeloxifene (ORM), non-steroidal, selective estrogen receptor modulator (SERM), and exhibit diverse pharmacological activities. The aim of this study is to assess the therapeutic activity of ORM and to investigate the underlying molecular mechanism against hepatocellular carcinoma.

Objective: To investigate the therapeutic activity of ormeloxifene in human hepatocellular carcinoma cells.

Methodology: MTT and colony formation assays were performed in SK-Hep-1, Hep3B and C3A cells. *In vitro* functional assays were carried out for investigating effect of ORM on migration and invasion abilities of HCC cells using Boyden chamber and Matrigel assays respectively.

Results: Functional analysis revealed that ORM treatment led to suppression of proliferation and colony formation in human hepatocellular carcinoma cells in dose and time-dependent manner compared to vehicle treated group. ORM treatment, as shown by wound healing and Matrigel invasion assay, respectively, suppresses the migration and invasion of human hepatocellular carcinoma cells. Further, experiments are underway to determine the effect of ORM on EMT markers using western blotting and qPCR techniques.

Conclusion: Taken together, ORM exhibited potent anticancer effects against HCC and could be further explored as a novel therapeutic modality for the treatment of HCC.