Small Molecule Glycosylated Histone Deacetylase Inhibitors (HDACi)

A targeted potential therapy for liver cancer

A new highly targeted therapy as a possible treatment of liver cancer has been developed by researchers at Georgia Tech. The compounds are a series of small molecule glycosylated histone deacetylase inhibitors (HDACi) designed to act as both anti-proliferative and anti-inflammatory agents.

Georgia Tech's small molecule glycosylated HDACi can potentially be incorporated into a wide range of pharmaceutical compositions with various dosage forms and administration mechanisms, such as parenteral injection; enteral, transdermal, or transmucosal routes; or by using bioerodible inserts.

As the incidence of liver cancer increases with no effective treatment, these Georgia Tech compounds may help address a critical unmet need.

See also #4195, "Tissue Selective Anticancer Agents: HDAC inhibitors with targeted anti-cancer activity."

Summary Bullets

- **Targeted**: The compounds were particularly cytotoxic to liver or hepatocellular cancer cells (HCC) during in vivo murine model laboratory studies.
- **Enhanced potency**: The compounds' hepatocellular carcinoma selectivity observed in laboratory studies potentially increases their efficacy on liver cancer and possibly other cancers.
- **Many formulations**: A number of formulation methods are potentially available, including tablets, beads, granules, microparticle, or nanoparticles that provide a variety of drug release profiles.

Solution Advantages

- **Targeted**: The compounds were particularly cytotoxic to liver or hepatocellular cancer cells (HCC) during in vivo murine model laboratory studies.
- **Enhanced potency**: The compounds' hepatocellular carcinoma selectivity observed in laboratory studies potentially increases their efficacy on liver cancer and possibly other cancers.
- **Many formulations**: A number of formulation methods are potentially available, including tablets, beads, granules, microparticle, or nanoparticles that provide a variety of drug release profiles.

- **Versatile delivery methods**: While the compounds may not require a delivery vehicle for administration, many delivery options may be suitable.

Potential Commercial Applications

This technology could potentially be used in treating a wide variety of cancers and inflammatory disorders, including:

- Liver and hepatocellular cancers
- Chronic inflammatory disorders

Background and More Information

In 2018, liver cancer was the fourth leading cause of global cancer deaths, with an estimated 841,000 new cases and 782,000 deaths. This trend in liver carcinomas is the most rapidly increasing cancer in both men and women in the United States.

Histone deacetylases (HDACs) are enzymes that change the way the histones bind to DNA and are considered crucial targets in various diseases, including cancer, interstitial fibrosis, autoimmune and inflammatory diseases, and metabolic disorders. HDAC inhibitors show promise for the treatment of many diseases. By preventing the dynamic turnover of acetylation, HDACi produces hyperacetylation of target proteins. This promotes cytostatic and cytotoxic effects in a wide range of tumor cell types while having little effect on normal cells. Glycosylated HDAC has been demonstrated in the treatment for HCC in murine models.

Inventors

- Dr. Adegboyega Oyelere
  Associate Professor - Georgia Tech School of Chemistry and Biochemistry
- Subhasish Tapadar
  Research Scientist – Georgia Tech School of Chemistry and Biochemistry
- Dr. Bocheng Wu
  Postdoctoral Research Fellow - Georgia Institute of Technology

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Publications

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