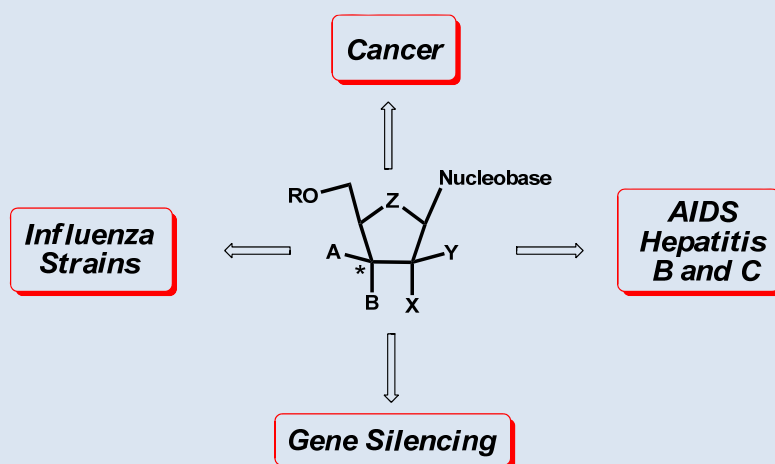


A New Family of Nucleotide and Nucleoside Analogues



APPLICATIONS

- Anti-cancer treatment
- Anti-viral treatment
- Novel siRNA technology

ADVANTAGES

- Versatile synthetic method
- Simple chemical entity
- Incremental approach: New drug in combination, then 1st line
- Minimize undesired side effect: reduce toxicity
- Clinically validated target: (onco, viral)
- Known mechanism of action: antimetabolite

INTELLECTUAL PROPERTY

Patent pending in US, EP, IN, CN and JP filed Q3/2009

BACKGROUND Antimetabolites are one of the oldest and most important classes of antineoplastic agents. They exert their effects via chain termination of DNA strands, by inhibiting enzymes such as DNA polymerase and ribonucleotide reductase, or by inhibiting DNA methylation. They are important drugs used in the treatment of leukemias, lymphomas, and some solid tumors. They are, however, quite toxic and patients suffer severe side effects during treatment.

The development of chemotherapy resistance and tumor cell metastasis also represent great challenges in cancer treatment. More specific and less toxic compounds are highly desirable. The present invention has the potential to offer improvements in these areas.

TECHNOLOGY Dr. Yvon Guindon of the *Institut de Recherches Cliniques de Montréal* (IRCM) in Quebec, Canada, has developed a novel synthesis method which enables the development of synthetic nucleosides as a whole new class of antimetabolites.

The novel antimetabolites have a quaternary stereogenic center at the C3-prime position of the ribose moiety. They could potentially be used in combination with other anti-tumor therapies to increase the overall treatment effectiveness and selectivity, and to minimize undesirable side effects. This promising new family of molecules can be used for cancer treatment and may help to solve the problem of chemotherapy resistance. Furthermore, these analogues could also be used for other applications, such as anti-viral treatment or in the design of novel siRNA gene inactivation technology.

DEVELOPMENT STATUS The novel synthesis method has been validated and a bank of unique, new chemical entities is available. Proof of principle has been completed *in vitro* for several compounds using representative cancer cell lines (e.g. breast, bladder, prostate and kidney). Screening as antiviral agent is planned to proceed in the near future.

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