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Novel Selective Inhibitors for Protein alpha-N-terminal Methyltransferases

Novel, stable, and cell-permeable chemical compounds have been developed as potent and selective inhibitors targeting the NTMT1 protein for anticancer research and development.

Protein N-terminal methyltransferase 1 (NTMT1) plays an important role in regulating cell mitosis, chromatin interactions, and DNA repair. NTMT1 is over-expressed in cancer patient tissues including the head and neck, colorectal, malignant melanoma, compared to normal tissue. Knockdown of NTMT1 promotes hypersensitivity of breast cancer cell lines to double-strand DNA breaks (DSBs) by etoposide and gamma irradiation. This knowledge has made NTMT1 an important anticancer target. Currently, there are no specific cell-potent probes available for NTMT1. There is a need for a new technology that can target the NTMT1 protein.

Researchers at Purdue University have developed new potent inhibitors for the protein NTMT. The inhibitor exhibited high selectivity to NTMT1/2 over a panel of 41 methyltransferases. These chemical compounds are novel because they are amenable for cell-based studies. They may be further optimized for animal studies. This technology is more stable and cell-permeable than existing solutions. This technology could open the door for elucidate the pharmacological functions of protein NTMT1.

Advantages:

-Amenable for cell based studies

-Displays selective inhibition for NTMT1

-May be used for animal studies

Potential Applications:

-Cell based studies

-Animal studies

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Category

Pharmaceuticals/Small Molecule Therapeutics

Pharmaceuticals/Research Tools & Assays

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