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# Small molecule inhibitors of TET enzymes for cancer therapy

**Small molecules designed to inhibit TET enzymes for the treatment of various cancers.**

**IP Status:** Utility Patent Pending; Application No. 18/633,908

### Applications

- Research tools for epigenetic studies
- Therapeutic agents for various cancers

### Key Benefits & Differentiators

- **Highly potent:** Compounds inhibit TET enzyme activity with low micromolar concentrations.
- **Unique bifunctional design:** Mimicry of both the native substrate and co-factor molecules enhances potency and selectivity compared to existing inhibitors.

### Technology Overview

Epigenetic modifications, such as DNA methylation, play a critical role in regulating gene expression and are often dysregulated in various cancers. Ten-eleven translocation (TET) enzymes are key players in this process, as they remove the most abundant DNA methylation mark, 5-Methylcytosine (5mC). TET expression and activity are frequently dysregulated in cancers like chronic lymphocytic leukemia, acute myeloid leukemia, and triple-negative breast cancer, making them promising therapeutic targets. However, the lack of potent and selective small-molecule inhibitors has limited the exploration of TETs as therapeutic targets.

Researchers at the University of Minnesota have developed a new series of bifunctional, cytosine-based chemical scaffolds designed to inhibit TET enzyme activity. This novel architecture represents a significant improvement over other inhibitors by mimicking both the native substrate and co-factor molecules that TET enzymes recognize. This unique bifunctional strategy enhances both potency and selectivity, addressing the shortcomings of current inhibitors which have suboptimal potency and potential off-target effects. The technology includes two sets of compounds: an "active" form for in vitro enzymatic assays and a "prodrug" version for cellular administration, which is expected to have the most therapeutic relevance for various cancer indications.

### Phase of Development

**TRL: 3**
The technology is in the early research stage, with initial in vitro and cellular assays completed and a modular synthesis methodology developed.

### Desired Partnerships

This technology is now available for:

**Technology ID**
2022-272

**Category**
All Technologies
Life Sciences/Biochemicals & Small Molecules
Life Sciences/Pharmaceuticals
Life Sciences/Research Tools
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### Researchers

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