UMASS BOSTON

Invention Available for Licensing *Life Sciences*

Title: ***Novel inhibitors of transcription factors for treatment of cancer and other proliferative diseases***

UMB13-05

Inventors: ***James Bradner, Wei Zhang, et al.***

Applications:

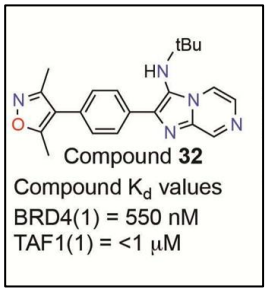
- Treatment of proliferative diseases including cancers and benign neoplasms
- Treatment of autoimmune diseases
- Treatment of inflammatory diseases

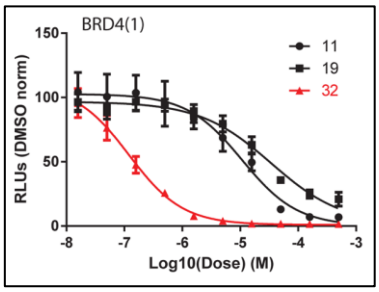
Benefits:

- Compounds with enhanced potency and selectivity toward multiple BET family members and other bromodomain-containing transcription factors.
- New chemical entities with novel scaffolds

Technology Description: Bromodomain-containing proteins have been widely studied in recent years for their transcriptional and epigenetic regulatory properties. In particular, the bromo and extra terminal protein (BET) family proteins, have been implicated in diseases such as cancer, autoimmune diseases, and other inflammatory diseases. Although a number of bromodomain-binding agents have been developed to date to tackle these diseases, there still remains a need for safe and potent bromodomain inhibitors. The inventors have discovered a new set of compounds which have the ability to bind and inhibit the activity of bromodomain-containing proteins. These new compounds are highly potent and selective in inhibiting BET family proteins BRD2, BRD3, BRD4, and BRDT. Importantly, these new compounds are also active toward additional bromodomain-containing transcription factor proteins which may be validated targets for cancer therapy. Together, these compounds may offer novel potential methods of treating diseases associated with bromodomain-containing proteins.

Patent and Publication Status: Dana Farber Cancer Institute and UMass Boston have been granted U.S. Patent Number 9,975,896 on this invention, with certain foreign applications pending. The research underlying the invention has been published in McKeown, et al., J. Med. Chem. 2014, 57, 9019–9027.





Structure of the optimal compound of this invention, with representative *in vitro* data showing efficacy.

For more information:

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