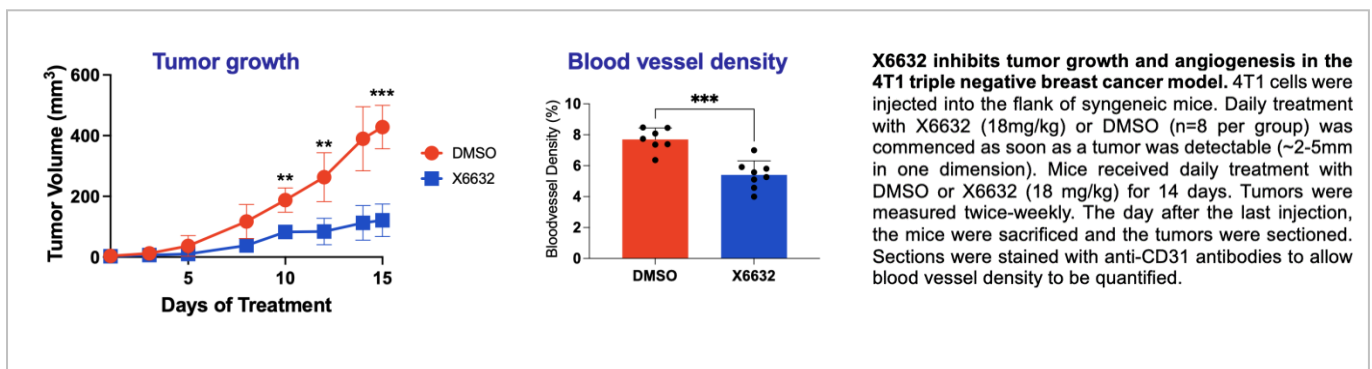




Chemical Inhibitors of Id Proteins for the Treatment of Cancer and Other Diseases

Technology Offer

Ref. No.: U3-19



Category

Cancer therapy

Keywords

Small molecule, dual inhibition, cell proliferation

Development stage

in vivo

Seeking

Licensing/collaboration

IP status

Granted patents in US, JP, UPC, CH and GB

Background

Inhibitor of DNA binding (Id) proteins, especially Id1 and Id3, play critical roles in the progression of various cancers by regulating cellular proliferation, tumor initiation, and resistance to therapy. Elevated ID protein expression is associated with aggressive tumor growth, metastasis, therapy resistance and poor patient prognosis.

Existing cancer therapies fail to directly target these regulators, leaving patients vulnerable to relapse and metastasis driven by residual cancer stem cells and therapy-resistant clones. Thus, there is a pressing medical need for direct, effective inhibitors of Id proteins to improve patient outcomes, especially for difficult-to-treat and resistant cancers. Novel, potent, and selective small molecule inhibitors of Id expression could provide transformative new therapies for cancer and other diseases involving deregulated cell differentiation and proliferation.

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Technology

This technology introduces a new class of chemical small-molecule inhibitors that target ID proteins, as exemplified by the compound X6632, which robustly suppresses tumor growth, metastasis, and angiogenesis *in vivo*, does not elicit detectable toxicity, and is ten times more potent than previously described ID inhibitors. An advantage of this class of compounds is its ability to target both tumor cells and the tumor microenvironment, limiting the potential for the development of therapy resistance. It can potentially be used in combination with immune checkpoint inhibitors and BRAF/MEK inhibitors, and is suitable for treating various cancers and conditions associated with ID protein expression.

Benefits

- **Direct Targeting:** These inhibitors suppress the "master regulator" function of ID proteins at the heart of cancer stemness, metastasis, and therapy resistance.
- **Superior Efficacy and Safety:** Compounds demonstrate stronger antitumor activity and less cytotoxicity to healthy cells than cannabidiol (CBD) or other indirect modulators.
- **Pharmacological Benefits:** Excellent tumor tissue and brain penetration plus flexible chemical optimization potential.
- **Rational Drug Design Enabled:** Comprehensive understanding of key chemical motifs required for ID inhibition, giving a platform for next-generation inhibitor design.

Applications

- **Cancer Therapy:** Treatment of aggressive solid tumors, such as melanoma, glioblastoma, or triple-negative breast cancer, by blocking ID-driven cancer progression and therapy resistance.
- **Fibrotic Diseases & Tissue Engineering:** Modulation of pathological or regenerative processes where deregulated ID protein activity impedes normal tissue repair.
- **Neurodevelopmental & Degenerative Disorders:** Regulating aberrant ID-driven processes in neurogenesis or glial cell function, with potential in neuro-oncology and regenerative medicine.

Publications

- Sedlmeier *et al*, 2020. Id1 and Id3 Are Regulated Through Matrix-Assisted Autocrine BMP Signaling and Represent Therapeutic Targets in Melanoma Through Matrix-Assisted Autocrine BMP Signaling and Represent Therapeutic Targets in Melanoma. *Advanced Therapeutics*. 4. 2000065. 10.1002/adtp.202000065.

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