Small Molecule Inhibitors of Bromodomain and Extra-Terminal (BET) Family Proteins

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ABSTRACT

Researchers at the University of California, Davis have developed diazinane and piperidine small molecule inhibitors that are designed to selectively target the extraterminal (ET) domain of BET proteins to treat cancers, inflammation, cardiovascular diseases, and viral infections.

FULL DESCRIPTION

This technology features innovative BET protein inhibitors designed to disrupt BET family proteins by binding specifically to their extraterminal (ET) domain rather than the bromodomain. Unlike conventional bromodomain inhibitors, these compounds provide enhanced selectivity, reducing off-target effects associated with non-BET bromodomain proteins. The inhibitors include diazinane-based (e.g., piperazine and 1,3-diazinane) and piperidine compounds designed to mimic key ET binding motifs. These molecules have demonstrated efficacy in inhibiting cell growth in drug-resistant prostate cancer models, synergizing with antiandrogen therapies, and showing promise in treatment of inflammation, viral infections, and cardiovascular diseases.

APPLICATIONS

- Pharmaceutical treatments for castrate-resistant prostate cancer and other BET-driven malignancies.
- Combination therapy targeting antiandrogen drug-resistant cancers.
- Therapeutics addressing inflammation and immune-related diseases via BET modulation.
- Antiviral agents targeting viral protein interactions with BET proteins.
- Cardiovascular disease treatment options including pulmonary hypertension and heart failure.
- Drug development platforms for screening and optimizing ET domain BET inhibitors.

FEATURES/BENEFITS

- Selectively targets the BET protein ET domain to reduce off-target interactions and toxicity.
- Overcomes resistance to current antiandrogen therapies, enhancing effectiveness against drug-resistant and metastatic cancers.
- Potentiates standard antiandrogen treatments through synergistic effects.
- Expands treatment options to multiple disease states, including inflammation, cardiovascular disease, and viral infections.
- Provides multiple compound classes (piperazine, piperidine, 1,3-diazinane) for versatile chemical modification.

CONTACT

Victor Haroldsen
haroldsen@ucdavis.edu
tel: 530-752-7717.

INVENTORS

- Armstrong, Cameron
- Gao, Allen C.
- Ning, Shu

OTHER INFORMATION

KEYWORDS

BET protein inhibitor, cancer treatment, diazinane, drug resistance, epigenetic therapy, piperazine, piperidine, prostate cancer, therapeutic synergy, viral infection

CATEGORIZED AS

- **Medical**
  - Disease: Autoimmune and Inflammation
  - Disease: Cancer
  - Disease: Central Nervous System
  - Therapeutics

- Demonstrates strong in vitro and in vivo efficacy within favorable dose ranges and administration routes.
- Enables more precise modulation of BET protein activity in cancers with overexpression and in other hard-to-treat conditions.

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Published Application	20240189304	06/13/2024	2021-639

RELATED CASES

2021-639-0

University of California, Davis
Technology Transfer Office
1 Shields Avenue, Mrak Hall 4th Floor,
Davis,CA 95616

Tel:
530.754.8649
techtransfer@ucdavis.edu
https://research.ucdavis.edu/technology-transfer/
Fax:
530.754.7620