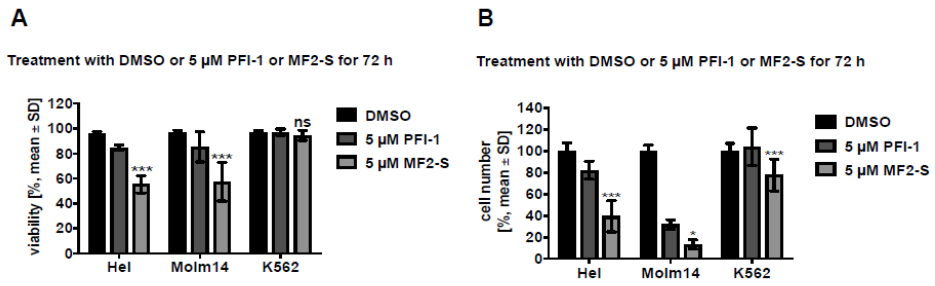
INNOVATION | RWTH AACHEN UNIVERSITY

RWTH Technology

Highly Efficient and Selective Inhibitors Targeting BET Bromodomains



*Investigation of the efficacy of the novel compounds on cell viability (A) and proliferation (B) of HEL, Molm14 and K562 cells. (A) Viability was evaluated after 72 hours by trypan blue staining and cell number was calculated using a Casy cell counter. Comparison of the three different cell lines are illustrated at compound concentrations of 5 µM. Data are shown as mean ± SD. Statistics: PFI-1 was compared to MF2-S. *p < 0.05, ***p < 0.001. ns - not significant*

Challenge

BET proteins are important because they regulate both normal transcription processes as well as the transcription of oncogenes such as c-myc and Bcl-2 in various types of cancer. An efficient and selective inhibition of the BET proteins is therefore of great importance for cancer therapies. Various compounds, including benzenesulfonamide derivates are known to possess this property. However, there is a great desire for further, more selective inhibitors.

Solution

The use of sulfoximine, sulfondiimide and sulfonimidamide derivatives allows to generate novel molecules with a stereogenic sulfur atom. The utilization of this chirality provides new, highly effective and selective BET inhibitors.

Advantages

- Novel BET inhibitors bearing a stereocenter
- Chirality is the key to high efficacy and selectivity

Status

- Patent application at the German Patent and Trade Mark Office. The patent application pending is not yet published in the Patent Gazette. Only after the first publication of the patent application, the applicant can derive rights therefrom and can especially claim compensation from third parties.
- Proof of concept and Ongoing research

RWTH Aachen University is looking for partners for patent exploitation.

Further details

- Chiral Analogues of PFI-1 as BET Inhibitors and Their Functional Role in Myeloid Maligncies
  B. Altenburg, M. Frings, J.-H. Schöbel, J. Goßen, K. Pannen, K. Vanderliek, G. Rossetti, S. Koschmieder, N. Chatain, C. Bolm, *ACS Med. Chem. Lett.* **2020**, https://doi.org/10.1021/acsmedchemlett.9b00625.

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Fields of application
Pharmaceutical Development; Oncology

Keywords
#BET inhibitor, #Sulfoximines, #Sulfondiimides, #Sulfonimidamides

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