

Title (en)

SMALL MOLECULE INHIBITORS OF ONCOGENIC CHD1L WITH PRECLINICAL ACTIVITY AGAINST COLORECTAL CANCER

Title (de)

KLEINMOLEKÜLIGE HEMMER VON ONKOGENEM CHD1L MIT PRÄKLINISCHER AKTIVITÄT GEGEN KOLOREKTALKARZINOM

Title (fr)

INHIBITEURS À PETITES MOLÉCULES DE CHD1L ONCOGÈNES PRÉSENTANT UNE ACTIVITÉ PRÉCLINIQUE CONTRE LE CANCER COLORECTAL

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Abstract (en)

[origin: WO2021195279A2] Treatment of CHD1L-driven cancers, including TCF transcription-driven cancers and EMT-driven cancers using CHD1L inhibitors. Small molecule inhibitors of CHD1L which inhibit CHD1L ATPase and inhibit CHD1L-dependent TCF-transcription have been identified. CHD1L inhibitors prevent the TCF-complex from binding to Wnt response elements and promoter sites. More specifically, CHD1L inhibitors induce the reversion of EMT. CHD1L inhibitors are useful in the treatment of various cancers and particularly CRC and m-CRC. The CHD1L-driven cancer is among others, CRC, breast cancer, glioma, liver cancer, lung cancer or gastrointestinal (GI) cancers. CHD1L inhibitors of formulas I and XX and salts thereof as defined herein are provided as well as pharmaceutical compositions containing CHD1L inhibitors. Synergistic combinations of CHD1L inhibitors with other antineoplastic agents are also described.

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