Therapeutic for Tissue Fibrosis

OCR Number: OCR 7100

Description:

**MKP-5 Allosteric modulation: anti-fibrotic therapeutics**

- **About MKP-5 expression/indications**
  - Liver, sk. muscle, lung, hematopoietic system and vasculature
  - MKP-5 antagonism for multiple fibrotic indications
  - MKP-5 is safely KO'd
  - MKP-5 family & pathway well-characterized/TGFβ-1
  - MKP-5 upregulated with chronic muscle damage/Duchenne muscular dystrophy (DMD)

- **Available assays/in vivo models**
  - In vitro: assays for efficient lead identification/selection
  - In vivo DMD/MDX model: MDX/MKP-5 KO blocks progression of DMD

- **About the hit/Structure-based design**
  - OCR7100 is a μM allosteric modulator
  - OCR7100 is selective for MKP-5 (vs. MKP-1 & MKP-3)
  - OCR7100 has been co-crystallized with MKP-5

- **Novelty/surprising result**
  - New compositions of matter by design
  - Mechanism of inhibition is allosteric, not active site

- **OCR7100 IP Status:**
  - Unpublished
  - Provisional patent filed
  - Both available under CDA

**Publications:**

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