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  - Unpublished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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