

# TGF-β Receptors: Gatekeeper of TGF-β Signaling

### TGF- $\beta$ , TGFBR, and TGF- $\beta$ signaling pathway

TGF- $\beta$ , namely Transforming Growth Factor Beta, plays a key role in regulating normal development, homeostasis, and cancer progression. The TGF- $\beta$  family members signal via TGF- $\beta$  receptors (TGFBR1, 2, and 3). TGFBR1 and 2 form a heterotetrameric complex with the TGF- $\beta$  ligand, while TGFBR3 facilitates ligand binding to TGFBR2.

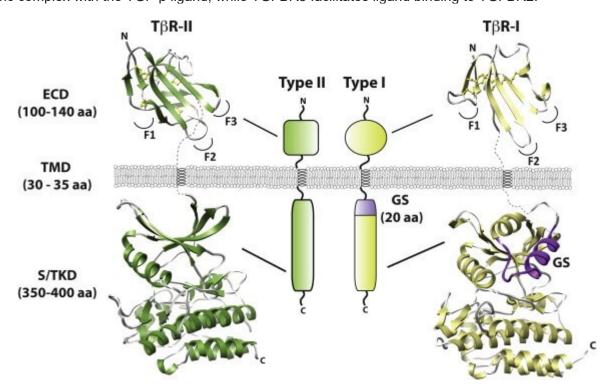


Figure 1: Structure of TGFBR1, 2[1]

TGF-β signaling is transmitted by the phosphorylation of the R-Smad proteins. The phosphorylated R-Smad proteins assemble into a heteromeric complex with the common-Smad (Smad4) and translocate into the nucleus to regulate gene expression. The heterotetrameric receptor complex can also activate non-Smad proteins, such as TRAF4/6, Rho, and PI3K, to regulate the transcription of target genes<sup>[2-3]</sup>.

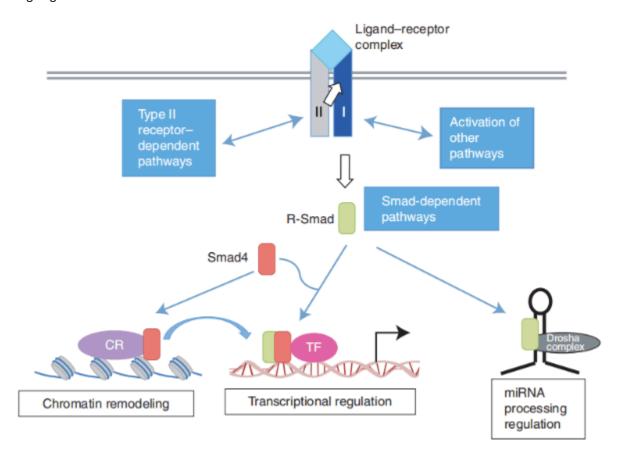


Figure 2: Smad-dependent TGF -  $\beta$  signaling pathway  $\ensuremath{^{[3]}}$ 

# TGFBR as a target for cancer treatment

TGF- $\beta$  functions as a tumor suppressor in pre-malignant cancer progression, but plays a pro-tumorigenic role in late-stage cancer. In cancer cells, TGF- $\beta$ -induced cell cycle arrest and apoptosis may be eliminated by the inhibition of TGFBRs. TGFBR1 and 2 have been considered promising targets for the inhibition of the TGF- $\beta$  signaling pathway<sup>[4-5]</sup>.

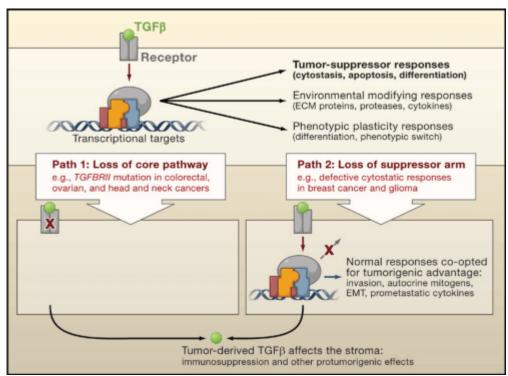


Figure 3: The pathway of cancer cells evading the tumor inhibitory effect of TGF –  $\beta^{\text{[4]}}$ 

# **Products**

As a leading supplier of small-molecule compound, CSNpharm offers a number of TGF-β and TGFBR inhibitors, as well as the TGF-β signaling pathway inhibitor/activator array for scientific use. We also offer the Kinase Inhibitor Library, which includes 470 kinase inhibitors and covers over 80 kinase targets, for the high-throughput and high-content screening of protein kinase targets.

#### **TGF-**β Receptors



#### References

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