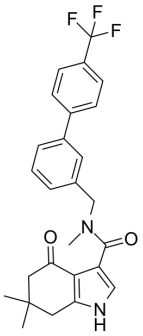
TGFβRII-IN-3

Cat. No.:	HY-161398
Molecular Formula:	$C_{26}H_{25}F_3N_2O_2$
Molecular Weight:	454.48
Target:	TGF-β Receptor; TGF-beta/Smad
Pathway:	TGF-beta/Smad; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TGFβRII-IN-3 (Compound 2r) is a selective inhibitor of the TGFβ type II receptor (TGFβ RII) (IC_{50} = 4.1 μM). TGFβRII-IN-3 inhibits TGFβ signaling by promoting the proteolytic degradation of TGFβ RII. TGFβRII-IN-3 can block endothelial-to-mesenchymal transition and cell migration. TGFβRII-IN-3 can be used in cancer research[1].
IC_{50} & Target	TGF-βR2 4.1 μM (IC_{50})
In Vitro	TGFβRII-IN-3 (5-15 μM; 24 h) inhibits the activity of the TGFβ signaling pathway by reducing the phosphorylation levels of Smad2 in A549 cells and demonstrates selective degradation of TGFβ RII[1]. TGFβRII-IN-3 (2.5-10 μM; 48 h) effectively inhibits the loss of E-cadherin induced by TGFβ1, while suppressing the upregulation of Snail and N-cadherin[1]. TGFβRII-IN-3 (5 μM; 48h) inhibits cell migration and morphological changes by suppressing TGFβ signaling in A549 and H1299 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	A549 cell
Concentration:	5;10;15 μM
Incubation Time:	24h
Result:	Significantly and dose-dependently inhibited TGFβ1-induced phosphorylation of Smad2 in A549 cells. Significantly reduced the steady-state levels of TβRII in a dose-dependent manner. At the same time, the levels of TGFβ type I receptor were not significantly affected.

REFERENCES

[1]. Längle D, et al.Expanding the Chemical Space of Transforming Growth Factor-β (TGFβ) Receptor Type II Degraders with 3,4-Disubstituted Indole Derivatives. ACS Pharmacol Transl Sci. 2024 Mar 21;7(4):1069-1085.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA