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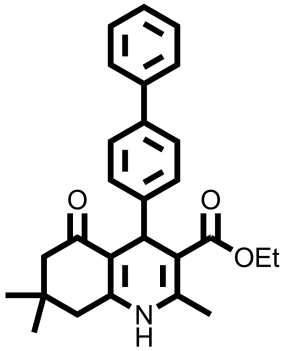
TGFβ inhibitor ITD-1

Chemical Name: ethyl 4-([1,1'-biphenyl]-4-yl)-2,7,7-trimethyl-5-oxo-1,4,5,6,7,8-hexahydroquinoline-3-carboxylate



Molecular Weight:	415.52
Formula:	$C_{27}H_{29}NO_3$
Purity:	≥98%
CAS#:	1099644-42-4
Solubility:	DMSO up to 50 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

ITD-1 is a novel and highly selective TGFβ pathway inhibitor identified by a high throughput, embryonic stem cell (ESC) cardiac differentiation screening. ITD-1 promoted cardiomyocyte differentiation specifically via degradation of the TGFβ type II receptor (TGFBR2), effectively clearing the receptor from the cell surface and selectively inhibiting intracellular signaling (IC_{50} 0.4–0.8 μM), revealing a role for TGFβ signaling mechanism as a repressor of cardiomyocyte fate. ITD-1 selectively enhanced the differentiation of uncommitted mesoderm to cardiomyocytes, but not to vascular smooth muscle and endothelial cells. In contrast to other commonly used TGFβ receptor (ALK) inhibitors, ITD-1 importantly only inhibits TGFβ signaling, but does not block the closely related Activin A signaling pathway, and represents a unique reagent for exploring TGFβ function in various biological contexts such as embryonic development and models of disease.

How to Use:

In vitro: ITD-1 was used at 5 μM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. Willems E, et al. Small Molecule-Mediated TGF-β Type II Receptor Degradation Promotes Cardiomyogenesis in Embryonic Stem Cells. (2012) Cell Stem Cell.11(2):242-52.