

# Taladegib

Catalog No: tcsc0459



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1258861-20-9

**Formula:**

$C_{26}H_{24}F_4N_6O$

**Pathway:**

Stem Cell/Wnt

**Target:**

Smo

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq$  5.2 mg/mL (10.15 mM)

**Alternative Names:**

LY2940680

**Observed Molecular Weight:**

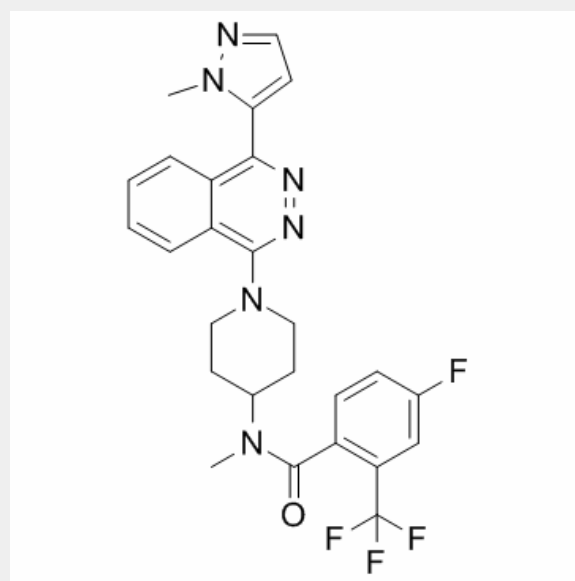
512.5

## Product Description

Taladegib (LY2940680) is an antagonist of the **smoothened** receptor.

IC<sub>50</sub> & Target: Smo<sup>[1]</sup>

***In Vitro:*** Taladegib, a small-molecule antagonist of the smoothened receptor, shows a slight inhibitory effect on cell proliferation without differences between mucin- (IC<sub>50</sub>: Taladegib=49.8±4.5 μM) and mixed- Cholangiocarcinoma (CCA) (IC<sub>50</sub>: Taladegib=61.2±21.1 μM)<sup>[1]</sup>. The IC<sub>50</sub> for Taladegib inhibition of [<sup>3</sup>H]MRT-92 binding is right shifted (3- to 100-fold) for the S387A<sup>ECL2</sup>, L325F<sup>3.36f</sup>, and D473H<sup>6.54f</sup> mutants but did not differ from that of WT receptor for the other mutants. The ability of SANT-1 to inhibit [<sup>3</sup>H]MRT-92 binding to V329F<sup>3.40f</sup> and T466F<sup>6.47f</sup> mutants is abolished, and it is severely impaired for L325F<sup>3.40f</sup>, I408F<sup>5.51f</sup>, and M525G<sup>7.45f</sup> mutants (4- to 140-fold drop of the IC<sub>50</sub>), but is not modified for the S387A<sup>ECL2</sup> mutant. Taken together, these data confirm our docking hypothesis that MRT-92-binding mode differs from that of either Taladegib or SANT-1 by simultaneously occupying binding sites 1 and 2<sup>[2]</sup>.



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