

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 : ≥ 5.2 mg/mL (10.15 mM)

Alternative Names:

LY2940680

Observed Molecular Weight:

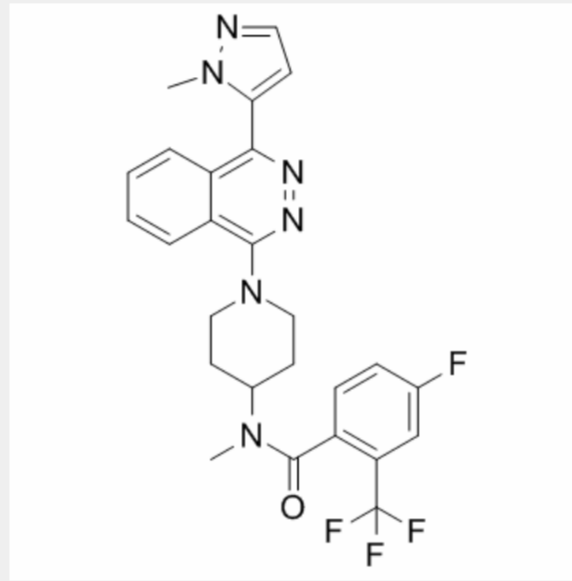
512.5

Product Description

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

IC₅₀ & Target: Smo^[1]

In Vitro: Taladegib, a small-molecule antagonist of the smoothened receptor, shows a slight inhibitory effect on cell proliferation without differences between mucin- (IC₅₀: Taladegib=49.8±4.5 μM) and mixed- Cholangiocarcinoma (CCA) (IC₅₀: Taladegib=61.2±21.1 μM)^[1]. The IC₅₀ for Taladegib inhibition of [³H]MRT-92 binding is right shifted (3- to 100-fold) for the S387A^{ECL2}, L325F^{3.36f}, and D473H^{6.54f} mutants but did not differ from that of WT receptor for the other mutants. The ability of SANT-1 to inhibit [³H]MRT-92 binding to V329F^{3.40f} and T466F^{6.47f} mutants is abolished, and it is severely impaired for L325F^{3.40f}, I408F^{5.51f}, and M525G^{7.45f} mutants (4- to 140-fold drop of the IC₅₀), but is not modified for the S387A^{ECL2} 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^[2].



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