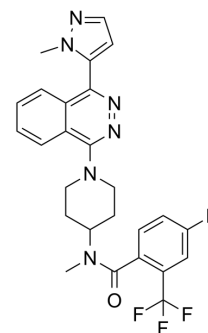


Taladegib

Cat. No.:	HY-13242		
CAS No.:	1258861-20-9		
Molecular Formula:	C ₂₆ H ₂₄ F ₄ N ₆ O		
Molecular Weight:	512.5		
Target:	Smo		
Pathway:	Stem Cell/Wnt		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (97.56 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM		1.9512 mL	9.7561 mL	19.5122 mL
		5 mM		0.3902 mL	1.9512 mL	3.9024 mL
10 mM			0.1951 mL	0.9756 mL	1.9512 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution 					

BIOLOGICAL ACTIVITY

Description	Taladegib (LY2940680) is an antagonist of the smoothed receptor.
IC₅₀ & Target	Smo ^[1]
In Vitro	Taladegib, a small-molecule antagonist of the smoothed receptor, shows a slight inhibitory effect on cell proliferation without differences between mucin- (IC ₅₀ : Taladegib=49.8±4.5 μM) and mixed- Cholangiocarcinoma (CCA) (IC ₅₀ : Taladegib=61.2±21.1 μM) ^[1] . The IC ₅₀ for Taladegib inhibition of [³ H]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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Genet Genomics. 2018 May 20;45(5):237-246.

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REFERENCES

- [1]. Fraveto A, et al, Sensitivity of Human Intrahepatic Cholangiocarcinoma Subtypes to Chemotherapeutics and Molecular Targeted Agents: A Study on Primary Cell Cultures. PLoS One. 2015 Nov 16;10(11):e0142124.
- [2]. Hoch L, et al. MRT-92 inhibits Hedgehog signaling by blocking overlapping binding sites in the transmembrane domain of the Smoothed receptor. FASEB J. 2015 May;29(5):1817-29.
- [3]. Ma W, et al. Reduced Smoothed level rescued A β -induced memory deficits and neuronal inflammation in animal models of Alzheimer's disease. J Genet Genomics. 2018 May 20;45(5):237-246.

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

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