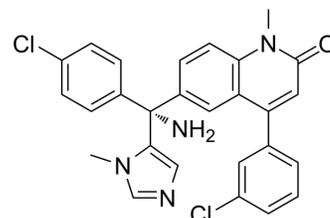


Tipifarnib

Cat. No.:	HY-10502		
CAS No.:	192185-72-1		
Molecular Formula:	C ₂₇ H ₂₂ Cl ₂ N ₄ O		
Molecular Weight:	489.4		
Target:	Farnesyl Transferase		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (204.33 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		10 mg	
	1 mM	2.0433 mL	10.2167 mL	20.4334 mL
	5 mM	0.4087 mL	2.0433 mL	4.0867 mL
	10 mM	0.2043 mL	1.0217 mL	2.0433 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 20% HP-β-CD/10 mM citrate pH 2.0 Solubility: 10 mg/mL (20.43 mM); Clear solution; Need ultrasonic			
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1.43 mg/mL (2.92 mM); Clear solution			
	3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 1.43 mg/mL (2.92 mM); Suspended solution; Need ultrasonic			
	4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.43 mg/mL (2.92 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	Tipifarnib (IND 58359) binds to and inhibits farnesyltransferase (FTase) with an IC ₅₀ of 0.86 nM. Antineoplastic activity and antiparasitic activity ^[1] .
IC ₅₀ & Target	IC50: 0.86 nM (FTase)

<p>In Vitro</p>	<p>Tipifarnib is a potent inhibitor of Trypanosoma Cruzi with the ED₅₀ of 4 nM^[1]. Tipifarnib inhibits isolated human farnesyltransferase for a lamin B peptide and for the K-RasB peptide with IC₅₀ of 0.86 nM and 7.9 nM, respectively^[2]. Tipifarnib shows inhibition of cell growth or angiogenesis, and induction of apoptosis in aggressive prostate cancer (PCa)^[3]. Tipifarnib (0.25 μM, 1 μM; 48 h) shows a significant decrease in the concentration of exosomes in C4-2B cells and PC-3 cells^[3].</p> <p>Tipifarnib (1 μM) significantly inhibits the protein concentration of Alix, nSMase2, and Rab27a in C4-2B cells^[3]. Tipifarnib (0.25 μM) significantly inhibits the activation of p-ERK (downstream effector molecule of the Ras/Raf/ERK signaling pathway) but not total ERK in C4-2B and PC-3 cells^[3]. Tipifarnib (1.25-5 μM; 30 min) promotes endoplasmic reticulum stress in U937 cells, resulting in dysregulation of intracellular calcium homeostasis^[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
<p>In Vivo</p>	<p>Tipifarnib (10 mg/kg; ip; single dose) upregulated antiapoptotic protein, Bcl-xL in liver, and prevents mouse death induced by GalN/LPS^[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 684 1515 957"> <tr> <td>Animal Model:</td> <td>GalN/LPS challenge mouse^[5]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg; while challenge with GalN (400 mg/kg; IP) and LPS (32 g/kg)</td> </tr> <tr> <td>Administration:</td> <td>IP; 60 min before challenge</td> </tr> <tr> <td>Result:</td> <td>Protected primary hepatocytes from GalN/tumor necrosis factor-induced cell death. Inhibited caspase 3 activation and upregulating antiapoptotic proteins.</td> </tr> </table>	Animal Model:	GalN/LPS challenge mouse ^[5]	Dosage:	10 mg/kg; while challenge with GalN (400 mg/kg; IP) and LPS (32 g/kg)	Administration:	IP; 60 min before challenge	Result:	Protected primary hepatocytes from GalN/tumor necrosis factor-induced cell death. Inhibited caspase 3 activation and upregulating antiapoptotic proteins.
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CUSTOMER VALIDATION

- Mol Cell. 2021 Jul 1;81(13):2736-2751.e8.
- Mol Cell. 2021 Oct 7;81(19):4076-4090.e8.
- J Immunother Cancer. 2022 Apr;10(4):e004399.
- Br J Cancer. 2024 Jan 26.
- Plant Cell Environ. 2022 Nov 1.

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REFERENCES

- [1]. Devendra S Puntambekar, et al. Inhibition of farnesyltransferase: a rational approach to treat cancer? J Enzyme Inhib Med Chem. 2007 Apr;22(2):127-40.
- [2]. End DW, et al. Characterization of the antitumor effects of the selective farnesyl protein transferase inhibitor R115777 in vivo and in vitro. Cancer Res. 2001 Jan 1;61(1):131-7
- [3]. Amrita Datta, et al. High-throughput screening identified selective inhibitors of exosome biogenesis and secretion: A drug repurposing strategy for advanced cancer. Sci Rep. 2018 May 25;8(1):8161.

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

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