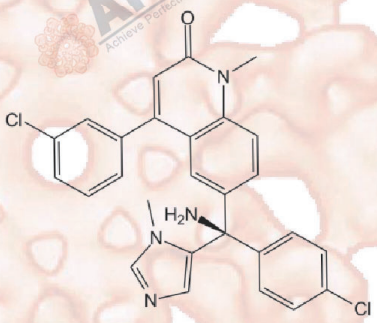
Product Data Sheet

Tipifarnib (Zarnestra)

Cat. No.: A4227
CAS No.: 192185-72-1
Formula: C27H22Cl2N4O
M.Wt: 489.4
Synonyms: Zarnestra,R115777,R-115777,IND 58359
Target: Metabolism
Pathway: Transferase
Storage: Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; ⩾8.16 mg/mL in DMSO; ⩾9.16 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.0433 mL	10.2166 mL	20.4332 mL
5 mM	0.4087 mL	2.0433 mL	4.0866 mL
10 mM	0.2043 mL	1.0217 mL	2.0433 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Farnesyltransferase inhibitor,potent and specific
IC_{50} & Target	0.6 nM (FTase)

In Vitro

Cell Viability Assay

Cell Line:	Human leukemia cell line THP-1
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	100 ng/ml LPS plus 2 μM tipifarnib. 6 time points (0, 10, 20, 30, 40 and 50h)

	Applications:	Tipifarnib showed significant inhibition of the cytokine/ MMP-9 production as early as 20 h for MCP-1 and IL-6 and 30 h for IL-1β and MMP-9. Tipifarnib showed no significant inhibition of IL-8 production.
In Vivo	**Animal experiment**	
	Animal models:	Female BALB/c mice (6–7 weeks old)
	Dosage form:	Tipifarnib was dissolved in 20% cyclodextran, and 50 mg/kg was orally administered to mice at 24, 17, and 1 h before intraperitoneal injection of 20 μg of LPS per mouse, 1 mg/kg.
	Applications:	After treatment of 2h, tipifarnib significantly inhibited LPS-induced TNF-α production and inhibited 50% of MIP-1α and MCP-1 production. After 3h, tipifarnib inhibited about 50% of IL-6 production and almost complete inhibition of IL-1β production. IL12-p40 and -p70 induction by LPS was also inhibited by tipifarnib at 3 h, whereas IL-10 was not significantly changed at both time points. No effects of tipifarnib on LPS-induced KC were observed, consistent with in vitro results for IL-8.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Xue X, Lai K T A, Huang J F, et al. Anti-inflammatory activity in vitro and in vivo of the protein farnesyltransferase inhibitor tipifarnib. Journal of Pharmacology and Experimental Therapeutics, 2006, 317(1): 53-60.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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