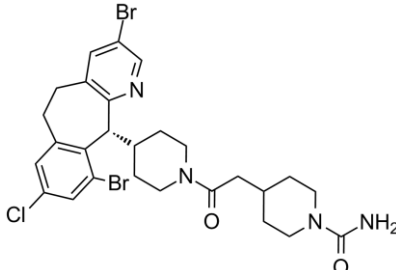


Product Data Sheet

Chemical Properties

Product Name:	Lonafarnib	
Cas No.:	193275-84-2	
M.Wt:	638.82	
Formula:	C ₂₇ H ₃₁ Br ₂ ClN ₄ O ₂	
Synonyms:	Sch 66336, Sch66336, Sch-66336	
Chemical Name:	4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[1,2]cyclohepta[2,4-b]pyridin-11-yl]piperidin-1-yl]-2-oxoethyl]piperidine-1-carboxamide	
Canonical SMILES:	C1CN(CCC1CC(=O)N2CCC(CC2)C3C4=C(C=C(C=C4CCC5=CC(=CN=C35)Br)Cl)Br)C(=O)N	
Solubility:	≥31.95 mg/mL in DMSO, ≥96.4 mg/mL in EtOH with ultrasonic, <2.5 mg/mL in H ₂ O	
Storage:	Store at -20°C	
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.	
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request	

Biological Activity

Targets : Metabolism

Pathways: Transferase

Description:

Lonafarnib (SCH66336, Sarasar) is an potent, selective, orally, bioavailable tricyclic nonpeptidyl nonsulfhydryl inhibitor of farnesyltransferase (FTase).[1] It is a small molecular with the formula

of C₂₇H₃₁Br₂ClN₄O₂ and molecular weight of 638.82. Farnesylated Ras proteins was found to regulate signal transduction pathways which drive cell proliferation, growth and survival and be required for its membrane localization.[1, 2] Lonafarnib inhibits the post-translational farnesylation of ras proteins, therefore blocking translocation of RAS to the plasma membrane.[3]

Reference:

[1] Eric W, Malcolm J. M, Kim N. C, D. Scott E, et al. A multinomial Phase II study of lonafarnib (SCH 66336) in patients with refractory urothelial cancer. *Urologic Oncology: Seminars and Original Investigations*. 2005, 23. 143-149.

[2] Gongjie L, Stacey A. T, Cindy H. M, Yunsheng H, W. Robert B, et al. Continuous and intermittent dosing of lonafarnib potentiates the therapeutic efficacy of docetaxel on preclinical human prostate cancer models. *Int. J. Cancer*. 2009, 125. 2711–2720.

[3] Vasiliki A. N, Alexander J. S, Keith T. F, Hensin T, et al. Melanoma: New Insights and New Therapies. *J Invest Dermatol*. 2012, 132. 854–863.

Protocol

Cell experiment:

Cell lines	UMSCC10B, UMSCC14B, UMSCC17B, UMSCC22B, UMSCC35 and UMSCC38 cells
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	0.1 ~ 8 μM; 24 hrs
Applications	In human head and neck squamous carcinoma cells (HNSCCs), SCH66336 (0.1 ~ 8 μM) suppressed cell growth and induced apoptosis of in a dose- and time- dependent manner.

Animal experiment [3]:

Animal models	NOD/SCID mice bearing XEN08 tumors
Dosage form	50 mg/kg; p.o.; b.i.d., for 20 days
Applications	In NOD/SCID mice bearing XEN08 tumors, SCH66336 (50 mg/kg, p.o., b.i.d.) significantly inhibited tumor growth, with a mean growth inhibition of 63.8 ± 5.0%.
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.

Reference:

- [1]. Chun KH, Lee HY, Hassan K, Khuri F, Hong WK, Lotan R. Implication of protein kinase B/Akt and Bcl-2/Bcl-XL suppression by the farnesyl transferase inhibitor SCH66336 in apoptosis induction in squamous carcinoma cells. *Cancer Res.* 2003 Aug 15;63(16):4796-800.
- [2]. Feldkamp MM, Lau N, Roncari L, Guha A. Isotype-specific Ras.GTP-levels predict the efficacy of farnesyl transferase inhibitors against human astrocytomas regardless of Ras mutational status. *Cancer Res.* 2001 Jun 1;61(11):4425-31.

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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