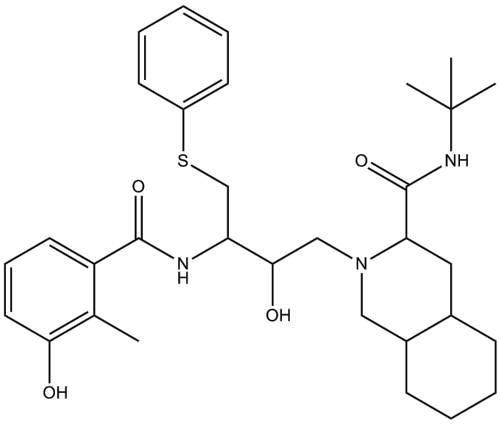
Product Data Sheet

Product Name: Nelfinavir
Cat. No.: GC17709

Chemical Properties

Cas. No.	159989-64-7		
Chemical Name	(3S,4aS,8aS)-N-tert-butyl-2-[(2R,3R)-2-hydroxy-3-[(3-hydroxy-2-methylbenzoyl)amino]-4-phenylsulfanylbutyl]-3,4,4a,5,6,7,8,8a-octahydro-1H-isoquinoline-3-carboxamide		
SMILES	CC1=C(C=CC=C1O)C(=O)NC(CSC2=CC=CC=C2)C(CN3CC4CCCCC4CC3C(=O)NC(C)(C)C)O		
Formula	C32H45N3O4S	M.Wt	567.78
Solubility	≥ 20.45mg/mL in Ethanol	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.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines A549 and H1224

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Preparation Method	Human A549 cells were plated in 96-well plates at a density of 8000 cells per well and cultured overnight at 37°C. The next days, cells were treated with different concentrations of Nelfinavir (1, 2.5, 5, 10, 20, 40 and 60μM) for 24 and 48 hours. MTT reagent was added to each well and incubated for 4 hours at 37°C. The formazan crystals formed by the reduction of MTT by mitochondrial succinic dehydrogenase secreted by viable cells were dissolved using 150μL of DMSO. Absorbance was measured at 490nm. The experiment was repeated using H1224 cells.
Reaction Conditions	1, 2.5, 5, 10, 20, 40 and 60μM; 24 and 48 hours
Applications	Nelfinavir dose-dependently suppressed proliferation of human A549 cells.

Animal experiment [2]:

Animal models	6-week-old female athymic NCr-nu/nu mice
Preparation Method	Cells (5×10^6 H157 or H549) were suspended in 100μL of phosphate-buffered saline and subcutaneously injected into the bilateral rear flanks of 6-week-old female athymic NCr-nu/nu mice. When the transplanted tumors reached a volume of $50mm^3$, the mice were divided into four groups (5 mice per group): (i) Vehicle control (4% DMSO, 5% polyethylene glycol, 5% Tween 80 in saline); (ii) 50mg/kg Nelfinavir; (iii) 50mg/kg chloroquine; or (iv) the combination of Nelfinavir and chloroquine, with intraperitoneal injection once daily for 13 days. The body weights of the animals and the sizes of the tumors were measured every other day. In all studies, tumor volume was calculated using the formula $v=(ab^2)/2$, where a and b represent the long axis and short axis of the tumor, respectively. Immunoblotting analysis was performed to detect markers of apoptosis and endoplasmic reticulum (ER) stress.

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Dosage form	50mg/kg/daily; 13 days; i.p.
Applications	Combining Nelfinavir with Chloroquine inhibits in vivo growth of human lung cancer xenograft tumors

References:
[1] He F, Wang G, Xu Z, Huang Z. Nelfinavir restricts A549 cell growth by inhibiting STAT3 signaling. J Int Med Res. 2021 Jun;49(6):3000605211014989.
[2] Lopiccolo J, Kawabata S, Gills JJ, Dennis PA. Combining Nelfinavir With Chloroquine Inhibits In Vivo Growth of Human Lung Cancer Xenograft Tumors. In Vivo. 2021 Jan-Feb;35(1):141-145.

Background

Nelfinavir is a selective, nonpeptidic competitive inhibitor of HIV-1 protease. Nelfinavir shows good in vitro activity against HIV-1 strains[1].

In vitro, Nelfinavir (1, 2.5, 5, 10, 20, 40 and 60μM; 24 and 48h) dose-dependently suppressed proliferation of human A549 cells[2]. Nelfinavir (20μM; 24h) can directly inhibit the activity of aspartic protease DNA damage inducible 1 homolog 2 (DDI2), thereby effectively blocking the proteolysis of NFE2L1 and enhancing the cytotoxicity of proteasome inhibitors (PIs) in cancer cells[3].

In vivo, combining Nelfinavir (50mg/kg/daily; 13 days; i.p.) with Chloroquine inhibits in vivo growth of human lung cancer xenograft tumors[4]. Nelfinavir (100mg/kg per day; 24 days; i.p.) and metformin, when used in combination, act synergistically to inhibit the growth of cervical cancer cell xenografts in nude mice[5].

References:
[1] Perry CM, Frampton JE, McCormack PL, Siddiqui MA, Cvetković RS. Nelfinavir: a review of its use in the management of HIV infection. Drugs. 2005;65(15):2209-44.
[2] He F, Wang G, Xu Z, Huang Z. Nelfinavir restricts A549 cell growth by inhibiting STAT3 signaling. J Int Med Res. 2021 Jun;49(6):3000605211014989.
[3] Gu Y, Wang X, Wang Y, Wang Y, Li J, Yu FX. Nelfinavir inhibits human DDI2 and potentiates cytotoxicity of proteasome inhibitors. Cell Signal. 2020 Nov;75:109775.

Caution: Product has not been fully validated for medical applications. For research use only.
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[4] Lopiccolo J, Kawabata S, Gills JJ, Dennis PA. Combining Nelfinavir With Chloroquine Inhibits In Vivo Growth of Human Lung Cancer Xenograft Tumors. In Vivo. 2021 Jan-Feb;35(1):141-145.
[5] Xia C, Chen R, Chen J, Qi Q, Pan Y, Du L, Xiao G, Jiang S. Combining metformin and nelfinavir exhibits synergistic effects against the growth of human cervical cancer cells and xenograft in nude mice. Sci Rep. 2017 Mar 2;7:43373.

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