Product Data Sheet

Product Name: Biricodar (VX-710)
Cat. No.: GC33338

Chemical Properties

Cas. No.	159997-94-1		
SMILES	O=C([C@H]1N(C(C(C2=CC(OC)=C(OC)C(OC)=C2)=O)=O)CCCC1)OC(CCCC3=CC=CN=C3)CCCC4=CC=CN=C4		
Formula	$C_{34}H_{41}N_3O_7$	M.Wt	603.71
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Biricodar (VX-710)		

Protocol

Cell experiment:

To study cytotoxicity in suspension cell lines, cells are plated in 96-well tissue culture plates at a density of 10,000 cells/well in RPMI 1640 supplemented with 10% FCS, 2 mM l-glutamine, 20 units/mL penicillin, and 20 µg/mL streptomycin. Drug is added to the culture medium to achieve final concentrations of 0.3 nM to 10 µM, with half-log increments, with and without biricodar at a final concentration of 2.5 µM. The final volume of medium per well is 100 µL. Cells are incubated for 96 h at 37°C in a fully humidified atmosphere of 5% CO2 in air. Cell growth is assessed by the WST-1 colorimetric assay[1].

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References:

[1]. Minderman H, et al. VX-710 (biricodar) increases drug retention and enhances chemosensitivity in resistant cells overexpressing P-glycoprotein, multidrug resistance protein, and breast cancer resistance protein. Clin Cancer Res. 2004 Mar 1;10(5):1826-34.
[2]. Yanagisawa T, et al. BIRICODAR (VX-710; Incel): an effective chemosensitizer in neuroblastoma. Br J Cancer. 1999 Jun;80(8):1190-6.
[3]. Germann UA, et al. Cellular and biochemical characterization of VX-710 as a chemosensitizer: reversal of P-glycoprotein-mediated multidrug resistance in vitro. Anticancer Drugs. 1997 Feb;8(2):125-40.

Background

Biricodar (VX-710) is a modulator of P-glycoprotein and MRP-1; shows effective chemosensitizing activity in multidrug resistant cells.

Biricodar shows activity against both P-glycoprotein (Pgp) and MRP-1 and also has activity in increasing drug uptake and retention and reversing drug resistance mediated by wild-type BCRP (BCRPR482). In 8226/Dox6 cells (Pgp), biricodar increases mitoxantrone and daunorubicin uptake by 55 and 100%, respectively, increases their retention by 100 and 60%, respectively, and increases their cytotoxicity 3.1- and 6.9-fold, respectively. Biricodar also increases the uptake, retention and cytotoxicity in HL60/Adr (MRP-1) and 8226/MR20 cells (BCRP(R482)), but has little effect in MCF7 AdVP3000 cells (BCRP(R482T))[1]. VX-710 is a non-macrocyclic pipecolinate derivative which binds the FK506 receptor protein. VX-710 has been shown to restore sensitivity in a range of multidrug-resistant cells, including myeloma, melanoma, carcinoma and leukaemia[2]. Biricodar effectively inhibits photoaffinity labeling of P-glycoprotein by [3H]azidopine or [125I]iodoaryl azido-prazosin with EC50 values of 0.75 and 0.55 μM[3].

[1]. Minderman H, et al. VX-710 (biricodar) increases drug retention and enhances chemosensitivity in resistant cells overexpressing P-glycoprotein, multidrug resistance protein, and breast cancer resistance protein. Clin Cancer Res. 2004 Mar 1;10(5):1826-34. [2]. Yanagisawa T, et al. BIRICODAR (VX-710; Incel): an effective chemosensitizer in neuroblastoma. Br J Cancer. 1999 Jun;80(8):1190-6. [3]. Germann UA, et al. Cellular and biochemical characterization of VX-710 as a chemosensitizer: reversal of P-glycoprotein-mediated multidrug resistance in vitro. Anticancer Drugs. 1997 Feb;8(2):125-40.

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