Product Data Sheet

Product Name: Safingol
Cat. No.: GC16405

Chemical Properties

Cas. No.	15639-50-6		
Chemical Name	(2S,3S)-2-amino1,3-octadecanediol		
SMILES	OC[C@H](N)[C@@H](O)CCCCCCCCCCCCCCC		
Formula	$C_{18}H_{39}NO_2$	M.Wt	301.5
Solubility	≤0.25mg/ml in ethanol	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	Safingol		

Background

Ki: SphK with a Ki of about 5 μmol/L

Safingol is a sphingosine and PKC kinases inhibitor.

Sphingosine 1-phosphate, a product of sphingosine kinases (SphK), mediates various biological processes including cell proliferation, differentiation, motility, and apoptosis. Protein kinase C (PKC), is a family of protein kinase enzymes involved in controlling the function of other proteins through the phosphorylation of hydroxyl groups of serine and threonine amino acid residues.

In vitro: Safingol was identified as a potent competitive inhibitor of SphK and had significant in-vitro anticancer activity. Safingol could increase the in-vitro antitumor effect of various chemotherapeutic agents including cisplatin, doxorubicin, and mitomycin C via enhancing chemotherapy induced apoptosis. It was also found that safingol alone induced cell death by autophagy. Safingol was also extensively studied as

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an inhibitor of PKC, although the Ki was higher than that for SphK [1].

In vivo: Previous studies found that although safingol showed limited single-agent activity in vivo, xenograft experiments had indicated that safingol could increase the antitumor activity of cisplatin without increasing toxicity [1].

Clinical trial: Previous clinical study showed that safingol could be safely administered in combination with cisplatin. As expected from preclinical data, the reversible dose-dependent hepatic toxicity was observed. Target inhibition was achieved with downregulation of S1P. The recommended phase II dose is S 840 mg/m2 and C 60 mg/m2, every 3 weeks [1].

Reference:
[1] Dickson MA, Carvajal RD, Merrill AH Jr, Gonen M, Cane LM, Schwartz GK. A phase I clinical trial of safingol in combination with cisplatin in advanced solid tumors. Clin Cancer Res. 2011 Apr 15;17(8):2484-92.