Product Data Sheet

Product Name: Eg5-I
Cat. No.: GC10681

Chemical Properties

Cas. No.	1338701-15-7		
Chemical Name	(2R)-2-amino-3-[[(4-methoxyphenyl)diphenylmethyl]thio]-1-propanol		
SMILES	OC[C@@H](N)CSC(C1=CC=C(OC)C=C1)(C2=CC=CC=C2)C3=CC=CC=C3		
Formula	$C_{23}H_{25}NO_2S$	M.Wt	379.5
Solubility	≤1mg/ml in ethanol;25mg/ml in DMSO;5mg/ml in dimethyl formamide	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	Eg5-I		

Background

IC50: 127 and 190 nM for enzyme and cell, respectively

Eg5-I is a potent inhibitor of Eg5.

The kinesin-like spindle protein Eg5, also known as KSP and Kif11, is a motor protein that is essential for establishing a bipolar spindle during mitosis.

In vitro: Previous study found that the interphase microtubule morphology was normal after the treatment of Eg5-I, whereas spindle morphology mirrored that of the parent compound. Eg5-I was tested for inhibitory activity against a selected panel of mitotic kinesins with no measurable inhibition detected. To determine whether Eg5-I had a similar effect on KSP dynamics, cells were treated with Eg5-I and probed for KSP localization with anti-KSP antibodies. Eg5-I showed a dose-dependent depletion of KSP from the spindle, with a 1.63-fold increase in clearance of KSP over its parent compound,

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consistent with the biochemical-and cell-based assays. Eg5-I blocked bipolar spindle formation, whereas the parent compound was weakly active. Eg5-I was also evaluated for anti-proliferative activity against the NCI60 tumor panel and the growth inhibitory concentration anged from 10 nM to 3 μM across the panel, respectively [1].

In vivo: Up to now, there is no animal in vivo data reported for Eg5-I.

Clinical trial: So far, no clinical study has been conducted for Eg5-I.

Reference:
[1] Rodriguez, D. ,Ramesh, C.,Henson, L.H., et al. Synthesis and characterization of tritylthioethanamine derivatives with potent KSP inhibitory activity. Bioorganic & Medicinal Chemistry 19(18), 5446-5453 (2011).