Product Data Sheet

Product Name: Siramesine
Cat. No.: GC13083

Chemical Properties

Cas. No.	147817-50-3		
Chemical Name	1'-[4-[1-(4-fluorophenyl)indol-3-yl]butyl]spiro[1H-2-benzofuran-3,4'-piperidine]		
SMILES	C1CN(CCC12C3=CC=CC=C3CO2)CCCCC4=CN(C5=CC=CC=C54)C6=CC=C(C=C6)F		
Formula	$C_{30}H_{31}FN_2O$	M.Wt	454.58
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	Siramesine		

Background

Siramesine(Lu 28-179) is a selective sigma-2 receptor agonist, which has been shown to trigger cell death of cancer cells and to exhibit a potent anticancer activity in vivo. IC50 value:Target: sigma-2 receptor; lysosome-destabilizing agentsiramesine can induce rapid cell death in a number of cell lines at concentrations above 20 μM. In HaCaT cells, cell death was accompanied by caspase activation, rapid loss of mitochondrial membrane potential (MMP), cytochrome c release, cardiolipin peroxidation and typical apoptotic morphology, whereas in U-87MG cells most apoptotic hallmarks were not notable, although MMP was rapidly lost [1]. Siramesine, a sigma-2 receptor agonist originally developed as an anti-depressant, can induce cell death in transformed cells through a mechanism involving lysosomal destabilization [2].in vivo: SA4503 or siramesine given jointly with MEM (as well as with AMA) decreased the immobility time in rats. The effect of SA4503 and AMA co-administration was antagonized by progesterone, a sigma1 receptor antagonistic neurosteroid. Combined treatment with siramesine and AMA was modified by neither progesterone nor BD1047 (a novel sigma antagonist with preferential affinity for sigma1 sites) [3]

References:
[1]. Cesen MH, et al. Siramesine triggers cell death through destabilisation of mitochondria,

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

but not lysosomes. Cell Death Dis. 2013 Oct 3;4:e818.
[2]. Spirkoski J, et al. Mast cell apoptosis induced by siramesine, a sigma-2 receptor agonist. Biochem Pharmacol. 2012 Dec 15;84(12):1671-80.
[3]. Skuza G, et al. The synergistic effect of selective sigma receptor agonists and uncompetitive NMDA receptor antagonists in the forced swim test in rats. J Physiol Pharmacol. 2006 Jun;57(2):217-29.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA