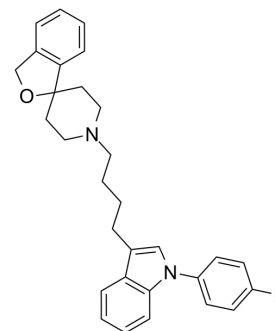


Siramesine

Cat. No.:	HY-14221
CAS No.:	147817-50-3
Molecular Formula:	C ₃₀ H ₃₁ FN ₂ O
Molecular Weight:	454.58
Target:	Sigma Receptor
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Siramesine (Lu 28-179) is a potent sigma-2 receptor agonist. Siramesine has a subnanomolar affinity for sigma-2 receptors (IC ₅₀ =0.12 nM) and exhibits a 140-fold selectivity for sigma-2 receptors over sigma-1 receptors (IC ₅₀ =17 nM). Siramesine triggers cell death through destabilisation of mitochondria, but not lysosomes. Anti-cancer activity ^{[1][2][3]} .
In Vitro	Siramesine displays the binding affinities: IC ₅₀ (sigma 1)=17 nM, IC ₅₀ (sigma 2)=0.12 nM, IC ₅₀ (5-HT _{1A})=21000 nM, IC ₅₀ (5-HT _{1A})=2000 nM, IC ₅₀ (D ₂)=800 nM, IC ₅₀ (alpha 1)=330 nM ^[1] . Siramesine (0-50 μM; 8 hours) induces cell death in various cell lines (HaCaT, Hsc-4, HeLa and MCF-7, neuroblastoma cell line SH-SY5Y and glioblastoma cell line U-87MG) ^[2] . Siramesine (0-40 μM; 2-48 hours) activates caspases in HaCaT and in U-87MG cells ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2023 Mar 11;e2300311.
- J Pharmacol Exp Ther. 2015 Aug;354(2):203-12.
- Patent. US20220305013A1.

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REFERENCES

- [1]. Perregaard J, et al. Sigma ligands with subnanomolar affinity and preference for the sigma 2 binding site. 1. 3-(omega-aminoalkyl)-1H-indoles. J Med Chem. 1995;38(11):1998-2008.
- [2]. Česen MH, et al. Siramesine triggers cell death through destabilisation of mitochondria, but not lysosomes. Cell Death Dis. 2013;4(10):e818. Published 2013 Oct 3.
- [3]. Ostenfeld MS, et al. Anti-cancer agent siramesine is a lysosomotropic detergent that induces cytoprotective autophagosome accumulation. Autophagy. 2008;4(4):487-499.

Caution: Product has not been fully validated for medical applications. For research use only.

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