

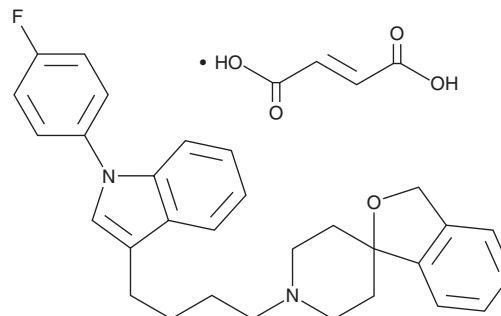
# PRODUCT INFORMATION



## Siramesine (fumarate)

Item No. 21817

**CAS Registry No.:** 163630-79-3  
**Formal Name:** 1'-[4-[1-(4-fluorophenyl)-1H-indol-3-yl]butyl]-spiro[isobenzofuran-1(3H),4'-piperidine], 2E-2-butenedioate  
**Synonym:** Lu 28-179  
**MF:** C<sub>30</sub>H<sub>31</sub>FN<sub>2</sub>O • C<sub>4</sub>H<sub>4</sub>O<sub>4</sub>  
**FW:** 570.7  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 258, 296 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Siramesine (fumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the siramesine (fumarate) in the solvent of choice, which should be purged with an inert gas. Siramesine (fumarate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of siramesine (fumarate) in these solvents is approximately 30 mg/ml.

Siramesine (fumarate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, siramesine (fumarate) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Siramesine (fumarate) has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Siramesine is an agonist of the sigma-2 ( $\sigma_2$ ) receptor ( $IC_{50} = 0.19$  nM).<sup>1</sup> It is selective for  $\sigma_2$  over  $\sigma_1$  ( $IC_{50} = 17$  nM) and related receptors and transporters.<sup>1</sup> Siramesine is anxiolytic without inducing sedation or impairing motor coordination in animal studies.<sup>1</sup> It is known to induce the death of cancer cells *in vitro* by destabilizing lysosomes, although it has also been shown to destabilize mitochondria and, with lapatinib (Item No. 11493), induce ferroptosis.<sup>2-4</sup>

### References

1. Sánchez, C., Arnt, J., Costall, B., *et al.* The selective  $\sigma_2$ -ligand Lu 28-179 has potent anxiolytic-like effects in rodents. *J. Pharmacol. Exp. Ther.* **283**(3), 1323-1332 (1997).
2. Česen, M.H., Repnik, U., Turk, V., *et al.* Siramesine triggers cell death through destabilisation of mitochondria, but not lysosomes. *Cell Death Dis.* **4**(10), e818 (2013).
3. Ma, S., Henson, E.S., Chen, Y., *et al.* Ferroptosis is induced following siramesine and lapatinib treatment of breast cancer cells. *Cell Death Dis.* **7**(7), e2307 (2016).
4. Ostenfeld, M.S., Fehrenbacher, N., Høyer-Hansen, M., *et al.* Effective tumor cell death by  $\sigma_2$  receptor ligand siramesine involves lysosomal leakage and oxidative stress. *Cancer Res.* **65**(19), 8975-8983 (2005).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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