

Syrosingopine

Syrosingopine is a dual inhibitor of [MCT1](#) and [MCT4](#), which are [monocarboxylate transporters](#) involved in lactate/H⁺ [ion](#) transport across the [cell membrane](#).

Originally used as an [antihypertensive drug](#) (a reserpine analog).

Not a new compound — has been repurposed for anticancer research due to its metabolic effects.

GBM cells rely heavily on aerobic [glycolysis](#) (Warburg effect), producing high amounts of [lactate](#).

MCT1 and MCT4 help export lactate out of tumor cells, preventing intracellular acidification and maintaining [tumor growth](#) and [invasion](#).

Inhibiting MCT1/4 with syrosingopine disrupts this lactate export, leading to:

Acidic stress inside tumor cells

Impaired energy metabolism

[Cell death](#)

In U87MG and LN229 glioma cell lines:

Syrosingopine caused dose-dependent cytotoxicity

Induced apoptosis

Reduced cell migration and invasion

□ Advantages Good CNS penetration (essential for GBM therapy).

Previously used in humans for hypertension — known safety profile.

Potential combination therapy: prior studies suggest synergy with metformin or other metabolic inhibitors. ¹⁾

¹⁾

Behera MM, Purkait S, Ghosh A, Sable MN, Sahu RN, Chhabra G. The [Monocarboxylate Transporters MCT1](#) and [MCT4](#) Are Highly Expressed in [Glioblastoma](#) and Crucially Implicated in the [Pathobiology](#). Neuropathology. 2025 Mar 27. doi: 10.1111/neup.70006. Epub ahead of print. PMID: 40145253.

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Last update: **2025/03/27 10:45**

