



Product Introduction

Salubrinal

Salubrinal is a selective inhibitor of eIF2 α dephosphorylation and inhibits ER stress-mediated apoptosis with EC₅₀ of ~15 μ M.

Technical Data:

Molecular Weight (MW):	479.81	
Formula:	C ₂₁ H ₁₇ Cl ₃ N ₄ OS	
Solubility (25°C)	DMSO 96 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol 2 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months -80°C in DMSO	
CAS No.:	405060-95-9	

Biological Activity

Salubrinal is a selective inhibitor of cellular complexes that dephosphorylate eukaryotic translation initiation factor 2 subunit α (eIF2 α). Salubrinal inhibited ER stress-mediated apoptosis induced by the protein glycosylation inhibitor tunicamycin (Tm) in a dose-dependent manner, with a median effective concentration (EC₅₀) ~ 15 μ M. Salubrinal also suppressed Tm-induced DNA fragmentation the processing of caspase-7, a caspase activated by ER stress. However, Salubrinal is not a general apoptosis inhibitor. Salubrinal induced rapid and robust eIF2 α phosphorylation and its downstream effects in PC12

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cells, including down-regulation of cyclin D1 and up-regulation of GADD34 and CHOP, two proteins whose expression is induced by eIF2 α phosphorylation. Salubrinal inhibits eIF2 α dephosphorylation by inhibiting the PP1/GADD34 complex. Salubrinal inhibits HSV replication with IC50 of $\sim 3\mu\text{M}$ by inhibiting eIF2 α dephosphorylation. ^[1] Salubrinal increased non-rapid eye movement (NREM) sleep. ^[2]

Salubrinal inhibits HSV replication in a mouse cornea infection model. Compared to vehicle control, topical Salubrinal treatment significantly reduced the viral titer recovered from eye swabs of infected animals. ^[1]

I.C.V. administration of Salubrinal significantly modified the homeostatic sleep response. ^[3]

References

- [1] Boyce M, et al. *Science*, 2005, 307(5711), 935-939.
- [2] Methippara MM, et al. *Am J Physiol Regul Integr Comp Physiol*, 2009, 296(1), 178-184.
- [3] Methippara M, *Neuroscience*, 2012, 209, 108-118.



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