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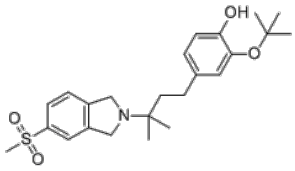
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CT1812
Cat. No.	:	PC-21108
CAS No.	:	1802632-22-9
Molecular Formula	:	$C_{24}H_{33}NO_4S$
Molecular Weight	:	431.59
Target	:	Sigma Receptor
Solubility	:	10 mM in DMSO



Biological Activity

CT1812 (Zervimesine) is a potent, orally active dual **σ1R** and **σ2R** ligand with Ki of 63 and 8.5 nM respectively, IC50 of 0.31 uM in neuronal trafficking assays.
CT1812 prevented and reversed trafficking deficits caused by soluble Aβ oligomers (AβOs), but had no effect in the absence of AβOs in neurons.
CT1812 also prevented binding AβO to neuronal receptors, displaced prebound AβO, and was determined by a one-site ELISA assay to have no effect on AβO assembly or AβO dissociation.
CT1812 is an AβO-displacing compound and a potent and highly selective antagonist of the sigma-2 receptor.
CT1812 significantly increased CSF concentrations of Aβ oligomers in AD patient CSF, reduced concentrations of synaptic proteins and phosphorylated tau fragments, and reversed expression of many AD-related proteins dysregulated in CSF compared to placebo.

References

Izzo NJ, et al. ***Alzheimers Dement***. 2021 Aug;17(8):1365-1382.

Rishton GM, et al. ***ACS Med Chem Lett.*** 2021 Aug 9;12(9):1389-1395.

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