**BIOLOGICAL ACTIVITY:**
LDN-212320(OSU-0212320) is a glutamate transporter EAAT2 activator; enhances EAAT2 levels by > 6 fold at concentrations < 5 μM after 24 h.
IC50 value: 1.83 uM(EC50) [2]
Target: EAAT2 activator
in vitro: LDN/OSU-0212320 increased EAAT2 protein levels in a dose-dependent(EC50=1.83 ± 0.27 μM) and time-dependent manner.
LDN/OSU-0212320 increased EAAT2 protein levels and glutamate uptake function, but did not affect EAAT1 or EAAT3 protein levels.
LDN/OSU-0212320 treatment markedly prevented neuronal loss and degeneration, as assessed by MAP2 immunostaining [2].
in vivo: After a single i.p. 40-mg/kg dose of LDN/OSU-0212320, EAAT2 protein levels and associated glutamate uptake increased by approximately 1.5- to 2-fold at 2 hours and by approximately 2- to 3-fold between 8 and 24 hours after injection. Even 72 hours after injection, an approximately 1.5-fold increase in EAAT2 protein levels could still be detected (data not shown). In addition, we found that LDN/OSU-0212320–induced EAAT2 protein levels and glutamate uptake were dose dependent [2].

**PROTOCOL (Extracted from published papers and Only for reference)**
Cell assay [2]: PA-EAAT2 cells were grown in DMEM (Invitrogen) supplemented with 10% FBS, 700 μg/ml genetin (Gibco) and 100 μg/ml penicillin-streptomycin (Sigma-Aldrich). Cells were maintained at 37°C in the presence of 5% CO2. To evaluate compound effects, cells were cultured in DMEM and treated with compound for the indicated times and then harvested for analysis. Animal administration [2]: WT adult C57Bl/6 mice received i.p. administration of LDN/OSU-0212320 at the indicated doses (Figure ?(Figure3)3) in 500 μl of 1% DMSO/1% polyethylene glycol 400/0.2% Tween 80/10% hydroxypropyl-β-cyclodextrin/saline (or the indicated formulation) at the indicated time points. Tissue was then harvested either fresh or perfused with 0.9% NaCl followed by 4% PFA in 0.1 M phosphate buffer (PB) for analysis. Western blotting, [3H]glutamate uptake assays, cresyl violet staining, immunofluorescence staining, and real-time RT-PCR were performed as previously described. Pharmacokinetic evaluation of LDN/OSU-0212320 was performed using freshly isolated brain tissue. Briefly, after a single i.p. administration (3 mg/kg in 2% hydroxypropyl-β-cyclodextrin/PBS) to male C57Bl/6 mice, plasma and brain concentrations were determined at 0.25, 0.5, 1, 2, and 8 hours by LC-MS/MS. The plasma half-life was estimated, and the average brain/plasma ratios were tested at all time points. These studies were performed by Absorption Systems.

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

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