

Catalog # 10-1406 FSC-231

(E)-Ethyl-2-cyano-3-(3,4-dichlorophenyl)acryloylcarbamate Lot # L101096

The first small-molecule inhibitor of the PDZ domain in protein interacting with C kinase 1 (PICK1). Binds to PICK1 PDZ domain with affinity similar to that of the endogenous peptide ligands (K_i~10.1 μM). Does not bind to PDZ domains of postsynaptic density protein 95 (PSD-95) nor glutamate receptor interacting protein 1 (GRIP1). Pretreatment of cultured hippocampal neurons inhibits coimmunoprecipitation of the AMPA receptor GluR2 subunit with PICK1. FSC231 accelerated recycling of fluorophore-tagged GluR2 in hippocampal neurons after internalization in response to NMDA receptor activation. Blocks the expression of both long-term depression and long-term potentiation.^{1,2} Attenuates cocaine seeking in a rodent model.³ Blocks PICK1-induced anti-inflammatory effect in acute liver injury.⁴

- 1) Thorsen et al. (2010), Identification of a small-molecule inhibitor of the PICK1 PDZ domain that inhibits hippocampal LTP and LTD; Proc. Natl. Acad. Sci. USA, **107** 413
- 2) Tang et al. (2013), Willed-movement training reduces motor deficits and induces a PICK1-dependent LTD in rats subjected to focal cerebral ischemia; Behav. Brain Res., **256** 481
- 3) Schmidt et al. (2013), Stimulation of mGluR5 in the accumbens shell promotes cocaine seeking by activating PKC gamma; J. Neuroscience, **33** 14160
- 4) Xie et al. (2016), PICK1 confers anti-inflammatory effects in acute liver injury via suppressing M1 macrophage polarization; Biochemie, **127** 121

PHYSICAL DATA

Molecular Weight: 313.14

Solubility:

Molecular Formula: C₁₃H₁₀Cl₂N₂O₃
Purity: 98% by TLC
NMR: (Conforms)

DMSO (up to 35 mg/ml with warming) or Ethanol (up to 18 mg/ml with warming)

Physical Description: Yellow solid

Storage and Stability: Store as supplied desiccated at room temperature for up to 1 year from the date of purchase.

Solutions in DMSO or ethanol may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.