PRODUCT INFORMATION



Leucettine L₄₁ Item No. 29225

CAS Registry No.: 1112978-84-3

Formal Name: (5Z)-5-(1,3-benzodioxol-5-

ylmethylene)-3,5-dihydro-2-

(phenylamino)-4H-imidazol-4-one

MF: $C_{17}H_{13}N_3O_3$

307.3 FW: **Purity:** ≥98% Supplied as: A solid Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Leucettine L_{41} is supplied as a solid. A stock solution may be made by dissolving the leucettine L_{41} in the solvent of choice, which should be purged with an inert gas. Leucettine L_{41} is soluble in ethanol and DMSO.

Description

Leucettine L₄₁ is an inhibitor of dual-specificity tyrosine phosphorylation-regulated kinase 1A (DYRK1A), DYRK2, CDC-like kinase 1 (CLK1), and CLK3 (IC $_{50}$ s = 0.04, 0.035, 0.015, and 4.5 μ M, respectively). It also inhibits GSK3 α/β and Pim1 with IC₅₀ values of 0.41 and 4.1 μ M, respectively. It inhibits phosphorylation of the serine/arginine (SR) protein 9G8 by DYRK2, DYRK3, CLK1, CLK2, and CLK4 and inhibits TNF-α-induced SRp75 and SRp55 phosphorylation in human microvascular endothelial cells when used at concentrations ranging from 0.1 to 10 μ M. Leucettine L_{41} modulates alternative pre-RNA splicing of a synthetic CLK1 minigene in a reporter model. It prevents lipid peroxidation and the accumulation of reactive oxygen species (ROS) induced by amyloid-β 25-35 (Item No. 24155) in the hippocampus in a mouse model of Alzheimer's disease-like toxicity.² Leucettine L₄₁ (0.4, 1.2, and 4 µg, i.c.v.) also prevents memory deficits induced by amyloid-β 25-35 in the same model.

References

- 1. Debdab, M., Carreaux, F., Renault, S., et al. Leucettines, a class of potent inhibitors of cdc2-like kinases and dual specificity, tyrosine phosphorylation regulated kinases derived from the marine sponge leucettamine B: Modulation of alternative pre-RNA splicing. J. Med. Chem. 54(12), 4172-4186 (2011).
- 2. Naert, G., Ferré, V., Meunier, J., et al. Leucettine L41, a DYRK1A-preferential DYRKs/CLKs inhibitor, prevents memory impairments and neurotoxicity induced by oligomeric Aβ25-35 peptide administration in mice. Eur. Neuropsychopharmacol. 25(11), 2170-2182 (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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