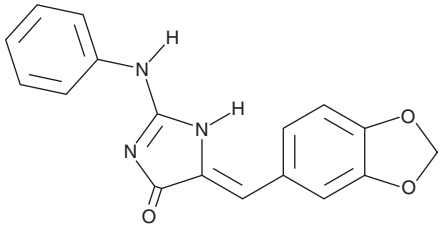
PRODUCT INFORMATION

Leucettine L_{41}

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: $C_{17}H_{13}N_3O_3$
FW: 307.3
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 L_{41} 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 µM, respectively).[1] It also inhibits GSK3α/β and Pim1 with IC_{50} values of 0.41 and 4.1 µM, 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 µM. 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 L_{41} (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).

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