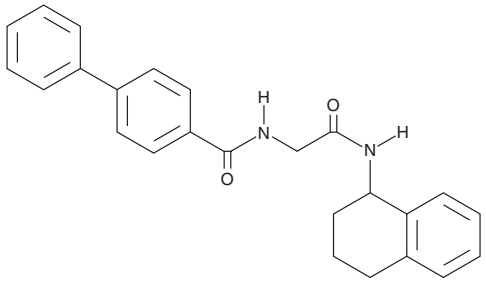
PRODUCT INFORMATION

Compound 43 TAO Kinase Inhibitor

Item No. 25632

CAS Registry No.: 850467-66-2
Formal Name: N-[2-oxo-2-[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]ethyl]-[1,1'-biphenyl]-4-carboxamide
MF: $C_{25}H_{24}N_2O_2$
FW: 384.5
Purity: ≥98%
UV/Vis.: λ_{max}: 269 nm
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

Compound 43 TAO kinase inhibitor is supplied as a solid. A stock solution may be made by dissolving the compound 43 TAO kinase inhibitor in the solvent of choice, which should be purged with an inert gas. Compound 43 TAO kinase inhibitor is soluble in organic solvents such as ethanol and DMSO. The solubility of compound 43 TAO kinase inhibitor in these solvents is approximately 20 and 100 mM, respectively.

Description

Compound 43 TAO kinase inhibitor is an ATP-competitive inhibitor of the thousand-and-one amino acid kinases TAOK1 and TAOK2 (IC_{50}s = 11 and 15 nM, respectively).[1] It is selective for TAOK1 and TAOK2 over 62 kinases in a panel, but does inhibit TAOK3 by 87% and seven additional kinases by 21-52%. Compound 43 TAO kinase inhibitor inhibits proliferation of SK-BR-3, BT-549, and MCF-7 cells by 94, 82, and 46%, respectively, at a concentration of 10 µM. It reduces tau phosphorylation by TAOK2 at residues S262/S356 and S202/T205/S208 when used at concentrations ranging from 5 to 60 µM in a kinase assay and at residues S202/T205/S208 when used at concentrations of 5, 10, and 30 µM in HEK293 cells.[2] Compound 43 TAO kinase inhibitor reduces tau phosphorylation of residues T123 and T427, which are phosphorylated by TAOK1 and TAOK2 *in vitro* and have been identified in tangles in Alzheimer's disease brain tissue. It also reduces tau phosphorylation in cortical neurons in a transgenic mouse model of tauopathy and in induced pluripotent stem cell-derived neurons from patients with frontotemporal lobar degeneration.

References

1. Koo, C.Y., Giacomini, C., Reyes-Corral, M., *et al*. Targeting TAO kinases using a new inhibitor compound delays mitosis and induces mitotic cell death in centrosome amplified breast cancer cells. *Mol. Cancer Ther.* **16(11)**, 2410-2421 (2017).
2. Giacomini, C., Koo, C.Y., Yankova, N., *et al*. A new TAO kinase inhibitor reduces tau phosphorylation at sites associated with neurodegeneration in human tauopathies. *Acta Neuropathol. Commun.* **6(1)**, 37 (2018).

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