PRODUCT INFORMATION



ETC-206

Item No. 36501

CAS Registry No.: 1464151-33-4

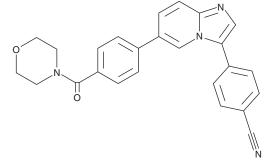
4-[6-[4-(4-morpholinylcarbonyl) Formal Name:

phenyllimidazo[1,2-a]pyridin-3-

yl]-benzonitrile

MF: $C_{25}H_{20}N_4O_2$ FW: 408.5

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

ETC-206 is supplied as a solid. A stock solution may be made by dissolving the ETC-206 in the solvent of choice, which should be purged with an inert gas. ETC-206 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ETC-206 in these solvents is approximately 1 and 3 mg/ml, respectively. ETC-206 is also slightly soluble in ethanol.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ETC-206 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of ETC-206 in PBS (pH 7.2) is approximately 0.2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

ETC-206 is an inhibitor of MAPK-interacting serine/threonine kinase 1 (MKNK1) and MKNK2 $(IC_{50}S = 0.064 \text{ and } 0.086 \mu\text{M}, \text{ respectively}).^{1}$ It is selective for MKNK1 and MKNK2 over a panel of 104 kinases at 1 μM. ETC-206 inhibits phosphorylation of eukaryotic translation initiation factor 4E (eIF4E) in HeLa cells (IC₅₀ = 0.321 μM). In vivo, ETC-206 enhances tumor growth inhibition induced by dasatinib (Item No. 11498) in a K562 mouse xenograft model. It also prevents weight gain in a mouse model of high-fat diet-induced obesity when administered at a dose of 100 mg/kg.²

References

- 1. Yang, H., Chennamaneni, L.R., Ho, M.W.T., et al. Optimization of selective mitogen-activated protein kinase interacting kinases 1 and 2 inhibitors for the treatment of blast crisis leukemia. J. Med. Chem. 61(10), 4348-4369 (2018).
- Sandeman, L.Y., Kang, W.X., Wang, X., et al. Disabling MNK protein kinases promotes oxidative metabolism and protects against diet-induced obesity. Mol. Metab. 42, 101054 (2020).

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.

WARRANTY AND LIMITATION OF REMEDY

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