PRODUCT INFORMATION



MKC-3946 Item No. 19152

CAS Registry No.: 1093119-54-0

Formal Name: 2-hydroxy-6-[5-[(4-methyl-1-

piperazinyl)carbonyl]-2-thienyl]-1-

naphthalenecarboxaldehyde

MF: $C_{21}H_{20}N_2O_3S$

380.5 FW: **Purity:** ≥98%

UV/Vis.: λ_{max} : 226, 316 nm Supplied as: A crystalline solid

Storage: -20°C Stability: ≥2 years

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

Laboratory Procedures

MKC-3946 is supplied as a crystalline solid. A stock solution may be made by dissolving the MKC-3946 in the solvent of choice. MKC-3946 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of MKC-3946 in these solvents is approximately 14 and 20 mg/ml, respectively.

MKC-3946 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MKC-3946 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MKC-3946 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MKC-3946 is an inhibitor of inositol-requiring enzyme 1α (IRE1 α). It inhibits basal XBP1 splicing, a marker of IRE1α activity, in RPMI 8226 multiple myeloma cells in a concentration-dependent manner. MKC-3946 also inhibits XBP1 splicing induced by endoplasmic reticulum (ER) stress and reduces expression of the XBP1 target genes SEC61A1, p58IPK, and ERdj4 in RPMI 8226 cells. In vitro, it is cytotoxic to multiple myeloma cell lines but has no effect on normal mononuclear cells. In vivo, MKC-3946 (100 mg/kg) reduces tumor growth and XBP1 splicing in an RPMI 8226 mouse xenograft model.

Reference

1. Mimura, N., Fulciniti, M., Gorgun, G., et al. Blockade of XBP1 splicing by inhibition of IRE1α is a promising therapeutic option in multiple myeloma. Blood 119(24), 5772-5781 (2012).

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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