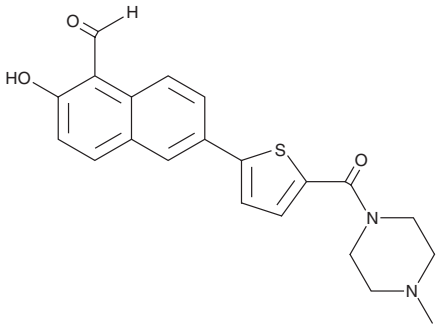
PRODUCT INFORMATION

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:	$C_{21}H_{20}N_2O_3S$
FW:	380.5
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 (IRE1α).[1] 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM