

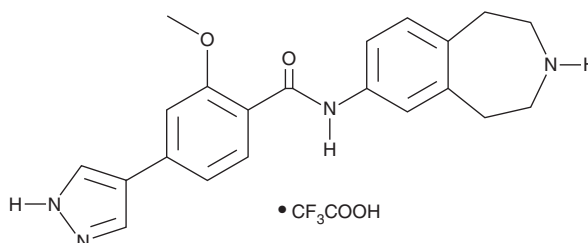
PRODUCT INFORMATION



JNJ-47117096 (trifluoroacetate salt)

Item No. 41605

Formal Name: 2-methoxy-4-(1H-pyrazol-4-yl)-N-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-benzamide, trifluoroacetate salt
MF: $C_{21}H_{22}N_4O_2 \cdot CF_3COOH$
FW: 476.4
Purity: $\geq 95\%$
Supplied as: A solid
Storage: $-20^\circ C$
Stability: ≥ 4 years



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

Laboratory Procedures

JNJ-47117096 (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the JNJ-47117096 (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. JNJ-47117096 (trifluoroacetate salt) is sparingly soluble (1-10 mg/ml) in DMSO.

Description

JNJ-47117096 is an inhibitor of maternal embryonic leucine zipper kinase (MELK; $IC_{50} = 37$ nM).¹ It is selective for MELK over calcium/calmodulin-dependent protein kinase δ (CAMKII δ), MAP kinase-interacting serine/threonine protein kinase 2 (MNK2), CAMKII γ , and myosin light-chain kinase (MLCK; IC_{50} s = 810, 760, 1,000, and 1,000 nM, respectively) but does inhibit FMS-related tyrosine kinase 3 (FLT3; $IC_{50} = 18$ nM). JNJ-47117096 inhibits autophosphorylation of MELK ($IC_{50} = 0.52$ μ M) and proteasome-dependent degradation of MELK in MCF-7 cells.² It induces cellular senescence and the DNA damage response mediated by ataxia-telangiectasia and Rad3-related protein kinase (ATM) in MCF-7 cells.

References

1. Johnson, C.N., Berdini, V., Beke, L., *et al.* Fragment-based discovery of type I inhibitors of maternal embryonic leucine zipper kinase. *ACS Med. Chem. Lett.* **6**(1), 25-30 (2014).
2. Beke, L., Kig, C., Linders, J.T., *et al.* MELK-T1, a small-molecule inhibitor of protein kinase MELK, decreases DNA-damage tolerance in proliferating cancer cells. *Biosci. Rep.* **35**(6), e00267 (2015).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

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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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