

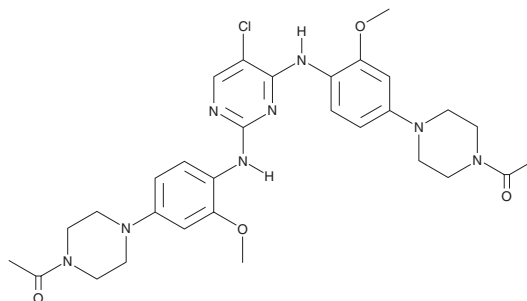
PRODUCT INFORMATION



KRCA 0008

Item No. 43933

CAS Registry No.: 1472795-20-2
Formal Name: 1-phenylene-4,1-piperazinediyl]]
bis-1,1'-[[5-chloro-2,4-pyrimidinediyl]
bis[imino(3-methoxy-4 ethanone
MF: C₃₀H₃₇ClN₈O₄
FW: 609.1
Purity: ≥95%
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

KRCA 0008 is supplied as a solid. A stock solution may be made by dissolving the KRCA 0008 in the solvent of choice, which should be purged with an inert gas. KRCA 0008 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

KRCA 0008 is an inhibitor of anaplastic lymphoma kinase (ALK) and tyrosine non-receptor kinase 2 (TNK2), also known as activated Cdc42 kinase 1 (ACK1; IC₅₀s = 12 and 4 nM, respectively).¹ It is selective for these kinases over the insulin receptor (InsR; IC₅₀ = 210 nM). KRCA 0008 also inhibits the ALK mutants ALK^{L1196M}, ALK^{C1156Y}, ALK^{F1174L}, and ALK^{R1275Q} (IC₅₀s = 75, 4, 171, and 17 nM, respectively).² It selectively reduces the proliferation of NCI H3122 lung cancer cells, which are driven by the EML4-ALK fusion protein, over NCI H1993 lung cancer cells, which are driven by c-Met (IC₅₀s = 80 and 3,600 nM, respectively). KRCA 0008 (25 and 50 mg/kg) reduces tumor volume in an NCI H3122 mouse xenograft model.

References

1. Lee, H.J., Latif, M., Choe, H., *et al.* K inhibitors of bis-ortho-alkoxy-para-piperazinesubstituted pyrimidines and -triazines for cancer treatment. *Arch. Pharm. Res.* **37**, 1130–1138 (2014).
2. Park, C.H., Choe, H., Jang, I.-Y., *et al.* Novel bis-ortho-alkoxy-para-piperazinesubstituted-2,4-dianilino-pyrimidines (KRCA-0008) as potent and selective ALK inhibitors for anticancer treatment. *Bioorg. Med. Chem. Lett.* **23(22)**, 6192-6196 (2013).

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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