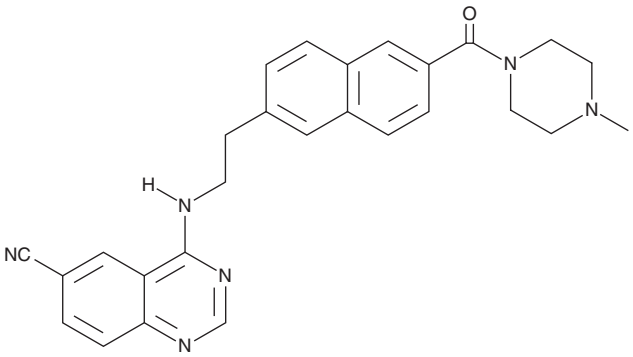
PRODUCT INFORMATION

Senexin B

Item No. 28459

CAS Registry No.:	1449228-40-3
Formal Name:	4-[[2-[6-[(4-methyl-1-piperazinyl) carbonyl]-2-naphthalenyl]ethyl] amino]-6-quinazolinecarbonitrile
Synonym:	SNX2-1-165
MF:	$C_{27}H_{26}N_6O$
FW:	450.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 229, 327 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Description

Senexin B is an inhibitor of Cdk8 and Cdk19.[1] It inhibits Cdk8 with IC_{50} values ranging from 24 to 50 nM, depending on the assay used. It selectively inhibits Cdk19 and Cdk8 by 98.6 and 97.8%, respectively, in a panel of greater than 450 kinases at 2 µM but does inhibit MAP4K2 and YSK4 by 69 and 59%, respectively. Senexin B (1.25-5 µM) inhibits cell growth in MCF-7, BT474, and T47D-ER/Luc breast cancer cells in estrogen-containing media in a concentration-dependent manner.[2] It reduces tumor growth in an MCF-7 mouse xenograft model when administered at a dose of 100 mg/kg twice per day. Senexin B (1 and 1.5 µM) also inhibits RANKL-induced differentiation of murine bone marrow-derived macrophages (BMDMs) into osteoclasts.[3] It increases the bone volume fraction and bone mineral density in injured tibiae in a rat model of cancellous bone injury and regeneration when administered locally at a dose of 1 µg.

References

1. Roninson, I.B., Porter, D.C., and Wentland, M.P. Cdk8-cdk19 selective inhibitors and their use in anti-metastatic and chemopreventative methods for cancer. *Senex Biotechnology Inc.* **US9321737B2** (2016).
2. McDermott, M.S., Chumanevich, A.A., Lim, C.-U., *et al.* Inhibition of CDK8 mediator kinase suppresses estrogen dependent transcription and the growth of estrogen receptor positive breast cancer. *Oncotarget* **8(8)**, 12558-12575 (2017).
3. Amirhosseini, M., Bernhardsson, M., Lång, P., *et al.* Cyclin-dependent kinase 8/19 inhibition suppresses osteoclastogenesis by downregulating RANK and promotes osteoblast mineralization and cancellous bone healing. *J. Cell. Physiol.* **234(9)**, 16503-16516 (2019).

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