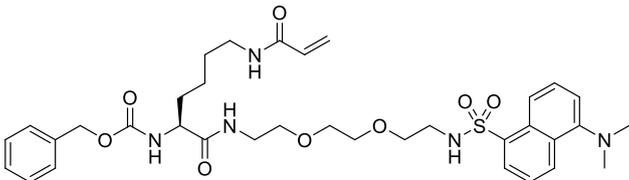


Product Number **Z016**
Revision Number **RN2.0**

Product Name	Keillor inhibitor NC9
CAS	1352090-52-8
Application	<p>Irreversible transglutaminase inhibitor that shows some selectivity for tissue transglutaminase.</p> <p>$K_i = 34 \mu\text{M}$; $k_{\text{inact}}/K_i = 0.08 \mu\text{M}^{-1}\text{min}^{-1}$ [1]</p> <p>Shown to lock tissue transglutaminase in an open conformation, in vitro^[2,3] and in living cells^[4,5], and to abolish GTP binding^[1].</p> <p>Halts the proliferation and invasion of cancer stem cells^[6,7] with $\text{EC}_{50} \sim 20 \mu\text{M}$^[1].</p> <p>Inhibits growth of tumours initiated with cancer stem cells (at 20 mg/kg), with no chronic toxicity detected in mice (to 50 mg/kg) ^[8,9].</p>
Molecular Formula	$\text{C}_{35}\text{H}_{47}\text{N}_5\text{O}_8\text{S}$
Molecular Weight	697.84
Chemical Structure	
Purity by HPLC	>95 % (214 nm)
Solubility	100 μM in 5% (v/v) DMSO / aqueous buffers.
	DMSO stock solutions can be stored at -20°C for at least 6 months. To avoid too many freeze-thaw cycles, we strongly recommend storage of aliquots.
Selectivity & Cytotoxicity	k_{rel} for irreversible inactivation was determined to be 390 for TG2, 79 for TG1, 1.0 for TG3a, 6.2 for TG6 and 5.9 for FXIIIa (Data taken from Akbar <i>et al.</i> ^[1]).
Appearance	Yellow, fluorescent solid
Storage	Store at -20°C , desiccate, keep away from light.
Related Products	Z015 Keillor inhibitor AA9 Z017 Keillor inhibitor VA4 Z006 Z-DON-Val-Pro-Leu-OMe, "Z-DON" B003 Boc-DON-Gln-Ile-Val-OMe, Boc-DON A102 TG2-Assay Substance F002 Tissue Transglutaminase Assay Kit T002 Human tissue transglutaminase
Reference(s)	[1] A. Akbar <i>et al. J. Med. Chem.</i> 2017 , 60, 7910-7927. [2] Clouthier, C.M. <i>et al. Angew. Chem. Int. Ed. Engl.</i> 2012 , 51, 12464-12468. [3] Mironov, G.G. <i>et al. Nature Chem. Biol.</i> 2016 , 12, 918-922. [4] Caron, N.S. <i>et al. PLoS ONE</i> 2012 , 7, e44159. [5] Kerr, C. <i>et al. Oncogene</i> 2017 , 36, 2981-2990. [6] Fisher, M.L. <i>et al. Mol. Cancer Res.</i> 2015 , 13, 1083-1094. [7] Fisher, M.L. <i>et al. Oncotarget</i> 2015 , 6, 20525-20539.

Product Data Sheet



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[8] Fisher, M.L. *et al. Cancer Res.* **2016**, 76, 7265-7275.
[9] Adhikary, G. *et al. Oncotarget* **2018**, 9, 34495-34505.

Release date 30 December 2021

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