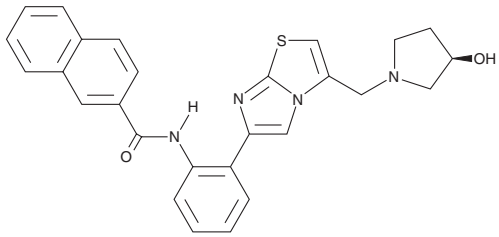
PRODUCT INFORMATION

SRT 2183

Item No. 43526



CAS Registry No.:	1001908-89-9
Formal Name:	N-[2-[3-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]imidazo[2,1-b]thiazol-6-yl]phenyl]-2-naphthalenecarboxamide
MF:	$C_{27}H_{24}N_4O_2S$
FW:	468.6
Purity:	≥98%
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

SRT 2183 is supplied as a solid. A stock solution may be made by dissolving the SRT 2183 in the solvent of choice, which should be purged with an inert gas. SRT 2183 is soluble (≥10 mg/ml) in DMSO.

Description

SRT 2183 is an activator of sirtuin 1 (SIRT1; EC_{50} = 0.36 µM).[1] However, it was found only to bind fluorophore-labeled SIRT1 and also inhibits 14 targets, including cannabinoid receptor 1 (CB_1), adenosine receptor 3 (A_3), and phosphodiesterase 5 (PDE5), in a panel of 100 receptors, enzymes, ion channels, and transporters at 10 µM.[2] SRT 2183 (2 µM) inhibits RANKL-induced osteoclastogenesis in primary mouse bone marrow-derived macrophages (BMDMs).[3] It inhibits apoptosis induced by hydrogen peroxide (H_2O_2) in primary mouse renal medullary interstitial cells when used at a concentration of 5 µM.[4]

References

1. Milne, J.C., Lambert, P.D., Schenk, S., *et al.* Small molecule activators of SIRT1 as therapeutics for the treatment of type 2 diabetes. *Nature* **450(7170)**, 712-716 (2007).
2. Pacholec, M., Bleasdale, J.E., Chrunyk, B., *et al.* SRT1720, SRT2183, SRT1460, and resveratrol are not direct activators of SIRT1. *J. Biol. Chem.* **285(11)**, 8340-8351 (2010).
3. Gurt, I., Artsi, H., Cohen-Kfir, E., *et al.* The Sirt1 activators SRT2183 and SRT3025 Inhibit RANKL-induced osteoclastogenesis in bone marrow-derived macrophages and down-regulate Sirt3 in Sirt1 null cells. *PLoS One* **10(7)**, e0134391 (2015).
4. He, W., Wang, Y., Zhang, M.Z., *et al.* Sirt1 activation protects the mouse renal medulla from oxidative injury. *J. Clin. Invest.* **120(4)**, 1056-1068 (2010).

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