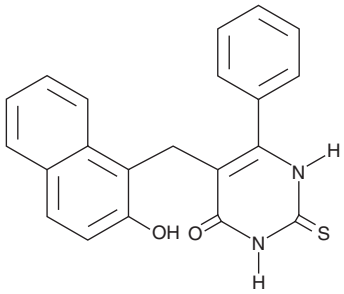
PRODUCT INFORMATION

SIRT1/2 Inhibitor IV

Item No. 14407

CAS Registry No.:	14513-15-6
Formal Name:	2,3-dihydro-5-[(2-hydroxy-1-naphthalenyl)methyl]-6-phenyl-2-thioxo-4(1H)-pyrimidinone
Synonyms:	Cambinol, NSC 112546, SIRT1 Inhibitor II, SIRT2 Inhibitor VI
MF:	$C_{21}H_{16}N_2O_2S$
FW:	360.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 228, 281 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.

Laboratory Procedures

SIRT1/2 inhibitor IV is supplied as a crystalline solid. A stock solution may be made by dissolving the SIRT1/2 inhibitor IV in the solvent of choice, which should be purged with an inert gas. SIRT1/2 inhibitor IV is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of SIRT1/2 inhibitor IV in these solvents is approximately 0.33, 20, and 16 mg/ml, respectively.

SIRT1/2 inhibitor IV is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SIRT1/2 inhibitor IV should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SIRT1/2 inhibitor IV has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SIRT1/2 inhibitor IV is a cell-permeable inhibitor of SIRT1 (IC_{50} = 56 µM) and SIRT2 (IC_{50} = 59 µM), blocking NAD^+-dependent deacetylase activity in a substrate competitive manner.[1] It less effectively inhibits SIRT5 (IC_{50} >300 µM) and has no effect on class I and II histone deacetylases.[1] By inhibiting SIRT1, SIRT1/2 inhibitor IV sensitizes H460 lung cancer cells to etoposide and paclitaxel and enhances etoposide-induced G_2 arrest.[1] It also blocks a SIRT1-dependent hypoxic response *in vivo*, suppressing HIF-1α protein accumulation as well as EPO and VEGF expression in HepG2 tumors in mice.[2]

References

1. Heltweg, B., Gatbonton, T., Schuler, A.D., *et al.* Antitumor activity of a small-molecule inhibitor of human silent information regulator 2 enzymes. *Cancer Res.* **66(8)**, 4368-4377 (2006).
2. Laemmle, A., Lechleiter, A., Roh, V., *et al.* Inhibition of SIRT1 impairs the accumulation and transcriptional activity of HIF-1α protein under hypoxic conditions. *PLoS One* **7(3)**, (2012).

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