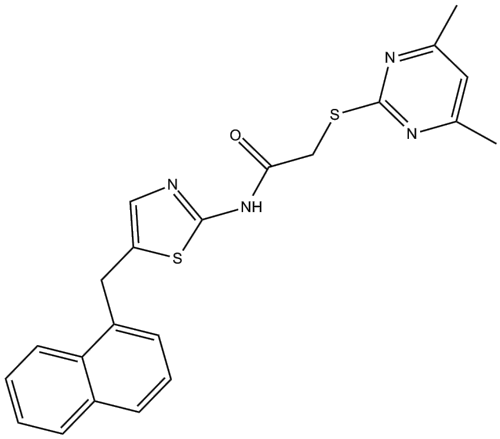
Product Data Sheet

Product Name: SirReal2
Cat. No.: GC13942

Chemical Properties

Cas. No.	709002-46-0		
Chemical Name	2-((4,6-dimethylpyrimidin-2-yl)thio)-N-(5-(naphthalen-1-ylmethyl)thiazol-2-yl)acetamide		
SMILES	O=C(CSC1=NC(C)=CC(C)=N1)NC2=NC=C(S2)CC3=CC=CC4=CC=CC=C43		
Formula	$C_{22}H_{20}N_4OS_2$	M.Wt	420.55
Solubility	≥ 14.71mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Target: Sirtuin 2 (Sirt2)

IC50: 140 nM

SirReal2 is a potent and selective Sirtuin 2 (Sirt2) inhibitor with IC50 value of 140 nM [1]. The Sirtuins are a highly conserved class of NAD+-dependent lysine deacylases. The human isotype Sirtuin 2

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(Sirt2) deacetylates both cytoplasmatic and nuclear proteins and it has been implicated in the pathogenesis of cancer, neurodegeneration, and inflammation [1, 2]. Therefore, the modulation of Sirt2 activity is a promising strategy for pharmaceutical intervention.

In vitro: SirReal2, the most potent Sirtuin-rearranging ligand, induced the checkpoint protein BubR1 destabilization and hyperacetylation of the microtubule network in HeLa cells [1]. Moreover, SirReal2 (20 μM) inhibited Sirt2 activity but was unable to affect the activity of the other Class-I sirtuins Sirt1 and Sirt3 in cells. SirReal2 (12.5, 25, and 50 μM) treatment dose-dependently induced depletion of BubR1 but did not alter cell cycle distribution [1].

In vivo: SirReal2 (12.5, 25, and 50 μM) treatment did not induce the increase in acetylation of p53 [1].

References:
1. Rumpf T, Schiedel M, Karaman B, Roessler C, North BJ, Lehotzky A, et al. Selective Sirt2 inhibition by ligand-induced rearrangement of the active site. Nat Commun. 2015;6:6263. Epub 2015/02/13.
2. Galleano I, Schiedel M, Jung M, Madsen AS, Olsen CA. A Continuous, Fluorogenic Sirtuin 2 Deacylase Assay: Substrate Screening and Inhibitor Evaluation. J Med Chem. 2016;59(3):1021-31. Epub 2016/01/21.

Caution: Product has not been fully validated for medical applications. For research use only.
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