

PRODUCT INFORMATION

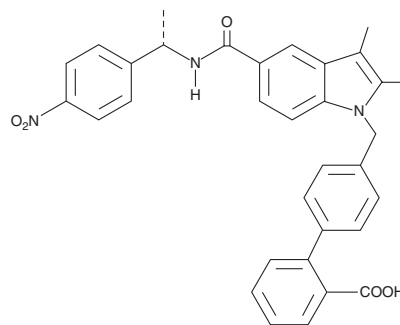


SR 1664

Item No. 11086

CAS Registry No.: 1338259-05-4
Formal Name: 4'-[[[2,3-dimethyl-5-[[[(1S)-1-(4-nitrophenyl)ethyl]amino]carbonyl]-1H-indol-1-yl]methyl]-[1,1'-biphenyl]-2-carboxylic acid

MF: C₃₃H₂₉N₃O₅
FW: 547.6
Purity: ≥98%
UV/Vis.: λ_{max}: 252 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

SR 1664 is supplied as a crystalline solid. A stock solution may be made by dissolving the SR 1664 in the solvent of choice, which should be purged with an inert gas. SR 1664 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SR 1664 in ethanol and DMSO is approximately 15 mg/ml and approximately 20 mg/ml in DMF.

SR 1664 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SR 1664 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SR 1664 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Apart from direct peroxisome proliferator-activated receptor γ (PPAR γ) agonism, several PPAR γ ligands have recently been shown to exert anti-diabetic effects through a second, distinct biochemical function: blocking the obesity-linked phosphorylation of PPAR γ by cyclin-dependent kinase 5 (Cdk5) at serine 273.¹ This effect requires binding to the PPAR γ ligand binding domain, which causes a conformational change that interferes with the ability of Cdk5 to phosphorylate serine 273. SR 1664 is a small molecule that blocks phosphorylation of peroxisome proliferator-activated receptor γ (PPAR γ) by cyclin-dependent kinase 5 with an IC₅₀ value of 80 nM (K_i = 28.7 nM) without exhibiting agonist activity at the PPAR γ receptor.² It demonstrates potent, dose-dependent anti-diabetic effects in obese mice without inducing fluid retention and weight gain or inhibiting bone formation.²

References

1. Norris, A.W. and Sigmund, C.D. A second chance for a PPAR γ targeted therapy?: A commentary on "antidiabetic actions of a non-agonist PPAR γ ligand blocking Cdk5-mediated phosphorylation". *Circ. Res.* **110(1)**, 8-11 (2012).
2. Choi, J.H., Banks, A.S., Kamenecka, T.M., et al. Anti-diabetic actions of a non-agonist PPAR γ ligand blocking Cdk5-mediated phosphorylation. *Nature* **477(7365)**, 477-481 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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