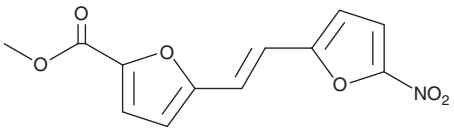
PRODUCT INFORMATION

βARK1 Inhibitor

Item No. 21751

CAS Registry No.: 24269-96-3
Formal Name: 5-[2-(5-nitro-2-furanyl)ethenyl]-2-furancarboxylic acid, methyl ester
MF: $C_{12}H_9NO_6$
FW: 263.2
Purity: ≥95% (mixture of isomers)
UV/Vis.: λ_{max}: 228, 298, 395 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

βARK1 inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the βARK1 inhibitor in the solvent of choice. βARK1 inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of βARK1 inhibitor in DMSO and DMF is approximately 30 mg/ml. βARK1 inhibitor is also slightly soluble in ethanol.

βARK1 inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, βARK1 inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. βARK1 inhibitor has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

βARK1 inhibitor is an inhibitor of G protein-coupled receptor kinase 2/β-adrenergic receptor kinase 1 (GRK2/βARK1; IC_{50} = 126 µM).[1] It is selective for GRK2/βARK1 over PKA at concentrations up to 1 mM. βARK1 inhibitor decreases systolic blood pressure in *ob/ob* and nicotinamide plus streptozotocin-induced mouse models of type 2 diabetes when administered at a dose of 200 µg/kg.[2] It also improves clonidine-induced relaxation of precontracted isolated aortic rings and improves glucose tolerance in the *ob/ob* mouse model. βARK1 inhibitor inhibits dopamine inhibition reversal (DIR) induced by serotonin (Item No. 14332) or neurotensin (Item No. 24717) in the rat ventral tegmental area *in vitro*.[3]

References

1. Iino, M., Furugori, T., Mori, T., *et al.* Rational design and evaluation of new lead compound structures for selective betaARK1 inhibitors. *J. Med. Chem.* **45(11)**, 2150-2159 (2002).
2. Taguchi, K., Matsumoto, T., Kamata, K., *et al.* Inhibitor of G protein-coupled receptor kinase 2 normalizes vascular endothelial function in type 2 diabetic mice by improving β-arrestin 2 translocation and ameliorating Akt/eNOS signal dysfunction. *Endocrinology* **153(7)**, 2985-2996 (2012).
3. Nimitivai, S., McElvain, M.A., and Brodie, M.S. Reversal of dopamine D2 agonist-induced inhibition of ventral tegmental area neurons by Gq-linked neurotransmitters is dependent on protein kinase C, G protein-coupled receptor kinase, and dynamin. *J. Pharmacol. Exp. Ther.* **344(1)**, 253-263 (2013).

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