PRODUCT INFORMATION



GS-143

Item No. 26138

CAS Registry No.: 916232-21-8

Formal Name: 4-[4-[[5-(2-fluorophenyl)-2-furanyl]

methylene]-4,5-dihydro-5-oxo-3-

(phenylmethyl)-1H-pyrazol-1-yl]-benzoic acid

MF: $C_{28}H_{19}FN_2O_4$

FW: 466.5 **Purity:** ≥98%

 λ_{max} : 288, 420 nm UV/Vis.: Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GS-143 is supplied as a crystalline solid. A stock solution may be made by dissolving the GS-143 in the solvent of choice, which should be purged with an inert gas. GS-143 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of GS-143 in these solvents is approximately 10 and 25 mg/ml, respectively.

GS-143 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GS-143 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GS-143 has a solubility of approximately 0.20 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GS-143 is an inhibitor of IκBα ubiquitylation. It inhibits ubiquitylation of phosphorylated IκBα mediated by the SCF^{βTrCP1} E3 ubiquitin ligase complex *in vitro* (IC₅₀ = $5.2 \,\mu$ M) and reduces TNF- α -induced degradation of phosphorylated IkB α , but not β -catenin, another SCF β TrCP1 substrate, in HeLa S3 cells. GS-143 inhibits LPS-induced expression of TNF-α, IL-6, IL-12, ICAM-1, and NF-κB in THP-1 cells in a concentration-dependent manner. Intranasal administration of GS-143 (32 µg/animal) reduces the number of eosinophils, lymphocytes, and total cells in bronchoalveolar lavage fluid (BALF) in an ovalbumin-sensitized mouse model of allergic asthma.²

References

- 1. Nakajima, H., Fujiwara, H., Furuichi, Y., et al. A novel small-molecule inhibitor of NF-кВ signaling. Biochem. Biophys. Res. Commun. 368(4), 1007-1013 (2008).
- 2. Hirose, K., Wakashin, H., Oki, M., et al. GS143, an IkB ubiquitination inhibitor, inhibits allergic airway inflammation in mice. Biochem. Biophys. Res. Commun. 374(3), 507-511 (2008).

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

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.

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