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IkappaB Ubiquitination Inhibitor – GS143

Chemical Name: 4-(3-benzyl-4-((5-(2-fluorophenyl)furan-2-yl)methylene)-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzoic acid

Molecular Weight:	466.46
Formula:	C ₂₈ H ₁₉ FN ₂ O ₄
Purity:	≥98%
CAS#:	916232-21-8
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year
	DMSO: 4 °C 3 months
	-20 °C 1 year

Biological Activity:

GS143 is selective β -TrCP1 ligase inhibitor, inhibits I κ B α ubiquitination with IC50 \sim 5.2 μ M without affecting proteasome activity. It blocks LPS-induced expression of inflammatory cytokines in human myelomonocytic cells. It suppresses antigen-induced NF κ B expression, inflammation and mucus production in airways of OVA-sensitized mice.

How to Use:

In vitro: GS143 was used at 10-20 μM final concentration in various assays.

In vivo: OVA-sensitized mice were given intranasally with GS143 (16 or $32 \mu g$) and 2 h later, these mice were challenged with inhaled OVA.

Reference:

- 1. Hirose K, et al. GS143, an IkappaB ubiquitination inhibitor, inhibits allergic airway inflammation in mice. Biochem Biophys Res Commun. (2008) 374(3):507-11.
- 2. Nakajima H, et al. A novel small-molecule inhibitor of NF-kappaB signaling. (2008) Biochem Biophys Res Commun. 368(4):1007-13.

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