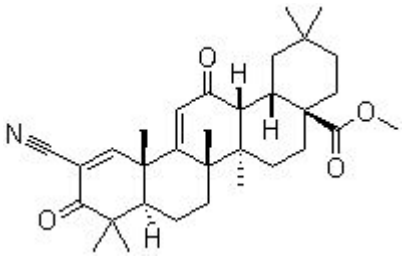
Product Introduction

Bardoxolone Methyl

Bardoxolone Methyl is an **IKK** inhibitor, showing potent proapoptotic and anti-inflammatory activities. Phase 3.

Technical Data:

Molecular Weight (MW):	505.69	
Formula:	$C_{32}H_{43}NO_4$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 21 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	218600-53-4	

Biological Activity

Bardoxolone Methyl exhibits potent inhibitory activities against production of nitric oxide induced by interferon-Ɣ in mouse macrophages with IC50 of 0.1 nM. [1] Bardoxolone Methyl decreases the viability of leukemic HL-60, KG-1, and NB4 cells with IC50 of 0.4, 0.4, and 0.27 μM, respectively. CDDO-Me induces pro-apoptotic Bax protein, inhibits the activation of ERK1/2, and it blocks Bcl-2 phosphorylation, which contributes to the induction of apoptosis. [2] Bardoxolone Methyl potently inhibits both constitutive and inducible NF-kappaB activated by TNF, interleukin (IL)-1beta, phorbol ester, okadaic acid, hydrogen

peroxide, lipopolysaccharide, and cigarette smoke. [3]

Bardoxolone Methyl (60 mg/kg) reduces the number, size, and severity of lung tumors in vivo. [4]

Bardoxolone Methyl significantly reduces the in vivo inflammatory cytokine response following LPS challenge, induces HO-1 protein expression in the spleen, and protects mice against lethal-dose LPS. [5]

The only IKKβ inhibitor in clinical use for solid tumors, type 2 diabetes, and chronic kidney disease. An orally-available antioxidant inflammation modulator.

References

[1] Honda T, et al. J Med Chem. 2000, 43(22), 4233-4246.

[2] Konopleva M, et al. Blood. 2002, 99(1), 326-335.

[3] Shishodia S, et al. Clin Cancer Res. 2006, 12(6), 1828-1838.

[4] Liby K, et al. Cancer Res. 2007, 67(6), 2414-2419.

[5] Auletta JJ, et al. J Interferon Cytokine Res. 2010, 30(7), 497-508.

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