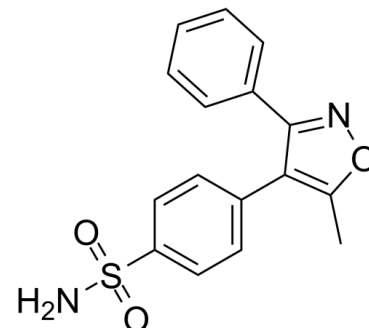


Data Sheet

| | |
|---------------------------|---|
| Product Name: | Valdecoxib |
| Cat. No.: | CS-1674 |
| CAS No.: | 181695-72-7 |
| Molecular Formula: | C ₁₆ H ₁₄ N ₂ O ₃ S |
| Molecular Weight: | 314.36 |
| Target: | COX |
| Pathway: | Immunology/Inflammation |
| Solubility: | DMSO : ≥ 34 mg/mL (108.16 mM) |



BIOLOGICAL ACTIVITY:

Valdecoxib is a highly potent and selective inhibitor of **COX-2**, with **IC₅₀s** of 5 nM and 140 μM for COX-2 and COX-1, respectively. Valdecoxib can be used in the research of arthritis and pain. **IC₅₀ & Target:** IC₅₀: 5 nM (COX-2), 140 μM (COX-1)^[1] **In Vitro:** Valdecoxib (Compound 2) is a highly potent, selective and orally active inhibitor of COX-2, with **IC₅₀s** of 5 nM and 140 μM for COX-2 and COX-1, respectively^[1]. Valdecoxib (10, 100 μM) inhibits LPS-induced proliferation of endothelial cells and bFGF secretion in a dose-dependent manner. Valdecoxib stimulates VEGF formation via HMEC-1 under inflammatory conditions^[2]. **In Vivo:** Valdecoxib (Compound 2) shows potent oral activity in an acute antiinflammatory assay (rat carrageenan foot pad edema; ED₅₀ = 10.2 ± 1.4 mg/kg). Valdecoxib also has chronic antiinflammatory activity in the rat adjuvant arthritis model, with an ED₅₀ of 0.032 ± 0.002 mg/kg/day^[1]. Valdecoxib (10 mg/kg, i.p.) significantly attenuates the behavioral and biochemical (oxidative damage) alterations in chronic-stressed mice^[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ^[2]**HMEC-1 cells** proliferation is measured using the MTT conversion method. Cells are seeded (**50,000 cells/well**) into 96-well plates. The cells are incubated for 24 h with LPS 100 μg/mL, CoCl₂ 200 μM, **Valdecoxib 10 or 100 μM**, LPS and Valdecoxib or CoCl₂ and Valdecoxib or without tested chemicals (control group). All the substances are added at the same time. After incubation, 50 μL MTT (1 mg/mL) is added and the plates are incubated at 37°C for 4 h. At the end of the experiment, cells are exposed to 100 μL DMSO, which enables the release of the blue reaction product-formazan. The absorbance at 570 nm is read on a microplate reader and results are expressed as a percentage of the absorbance measured in control cells^[2].

Animal Administration: ^[3]Mice^[3]

The drugs including naproxen (14 mg/kg, i.p.), rofecoxib (5 mg/kg, i.p.), meloxicam (5 mg/kg, i.p.), nimesulide (5 mg/kg, i.p.) and **Valdecoxib (10 mg/kg, i.p.)** are used in the assay. The animals are randomized into 7 groups (n=10 in each group), including the naive group, in which the mice only receive vehicle for 15 d without forced swimming session; the control (chronically stressed) group, in which mice receive vehicle 30 min before the forced swimming session (6 min) for 15 d; the naproxen (14 mg/kg) group; the **Valdecoxib (10 mg/kg)** group; the rofecoxib (5 mg/kg) group; the meloxicam (5 mg/kg) group; and the nimesulide (5 mg/kg) group. Drugs are **suspended in 0.25% carboxymethylcellulose (CMC)** and administered **intraperitoneally**, 30 min before the forced swimming session for 15 consecutive days^[3].

References:

[1]. Talley JJ, et al. 4-[5-Methyl-3-phenylisoxazol-4-yl]- benzenesulfonamide, valdecoxib: a potent and selective inhibitor of COX-2. J Med Chem. 2000 Mar 9;43(5):775-7.

[2]. Wiktorowska-Owczarek A. The effect of valdecoxib on the production of growth factors evoked by hypoxia and bacterial lipopolysaccharide in HMEC-1 cells. Adv Clin Exp Med. 2013 Nov-Dec;22(6):795-800.

[3]. Kumar A, et al. Protective effects of selective and non-selective cyclooxygenase inhibitors in an animal model of chronic stress. Neurosci Bull. 2010 Feb;26(1):17-27.

CAIndexNames:

Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-

SMILES:

O=S(C1=CC=C(C2=C(C)ON=C2C3=CC=CC=C3)C=C1)(N)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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