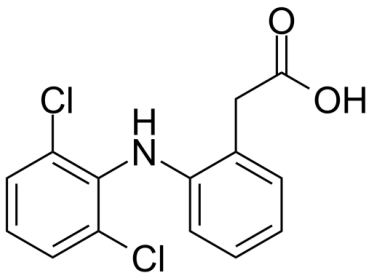
Data Sheet

Product Name:	Diclofenac
Cat. No.:	CS-2862
CAS No.:	15307-86-5
Molecular Formula:	C14H11Cl2NO2
Molecular Weight:	296.15
Target:	COX
Pathway:	Immunology/Inflammation
Solubility:	DMSO : ≥ 3.5 mg/mL (11.82 mM)



BIOLOGICAL ACTIVITY:

Diclofenac is a potent and nonselective anti-inflammatory agent, acts as a **COX** inhibitor, with **IC_{50}**s of 4 nM, 1.3 nM for human COX-1 and COX-2 in CHO cells, and 5.1, 0.84 μM for ovine COX-1 and COX-2, respectively. IC50 & Target: IC50: 4 nM (Human COX-1, in CHO cells), 1.3 nM (Human COX-2, in CHO cells)[1], 5.1 μM (Ovine COX-1), 0.84 μM (Ovine COX-2)[2] **In Vitro:** Diclofenac is a potent COX inhibitor, with IC_{50}s of 4 nM and 1.3 nM for human COX-1 and COX-2 in the CHO cells, respectively. Diclofenac effectively blocks COX-1 mediated prostanoid production from U937 cell microsomes, with an IC_{50} of 7 ± 3 nM[1]. Diclofenac sodium exihibits inhibition on COX-1 and COX-2 enzyme with IC_{50}s of 5.1 and 0.84 μM, respectively[2]. **In Vivo:** Diclofenac (3 mg/kg, b.i.d., for 5 days) significantly increases faecal ^{51}Cr excretion in rats, and such effect is also observed in squirrel monkeys after administrated of 1 mg/kg twice daily for 4 days[1]. Diclofenac (10 mg/kg) shows anti-inflammatory activity in mice[2]. Diclofenac (10 mg/kg) decreases oxidized low-densitylipoprotein (Ox-LDL), but shows no effects on the kinetics parameters of catalase and glutathione peroxidase via intramuscularly injection into rats[3].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Diclofenac is suspended in 1% methocellulose[1].[1]Rats[1]

Male Sprague-Dawley rats (150 ± 200 g) are dosed orally with **Diclofenac** either once (acute dosing) or twice daily for 5 days (chronic dosing). A plasma sample is obtained 1 h after the morning dose on day 4 for measurement of **Diclofenac** concentration. Immediately after the administration of the last dose on day 5, the rats are injected via a tail vein with 0.5 mL of ^{51}Cr-labelled red blood cells from a donor rat after incubation with sodium ^{51}chromate. The rats are placed individually in metabolism cages with food and water ad libitum. Faeces are collected for a 48 h period and ^{51}Cr faecal excretion is calculated as a % of total injected dose (20 mCi per animal)[1].

Squirrel monkeys[1]

Squirrel monkeys (Saimiri sciureus; 0.8 ± 1.4 kg) are dosed **orally** with **Diclofenac** twice daily for 1 ± 5 days. One hour after administration of the last dose, $^{51}CrCl_3$ in sterile saline (1 mL/kg, 4 ± 5 mCi per animal) is injected via a saphenous vein and plasma samples are obtained for measurement of **Diclofenac** concentration. The monkeys are then housed individually in metabolism cages. Faeces are collected for a 24 h period and ^{51}Cr faecal excretion is calculated as a % of total injected dose[1].

References:

[1]. Riendeau D, et al. Biochemical and pharmacological profile of a tetrasubstituted furanone as a highly selective COX-2 inhibitor. Br J Pharmacol. 1997 May;121(1):105-17.

[2]. Labib MB, et al. Design, synthesis of novel isoindoline hybrids as COX-2 inhibitors: Anti-inflammatory, analgesic activities and docking study. Bioorg Chem. 2018 Oct;80:70-80.

[3]. Curcelli EC, et al. Beneficial effects of diclofenac therapy on serum lipids, oxidized low-density lipoprotein and antioxidant defenses in rats. Life Sci. 2008 Apr 9;82(15-16):892-8.

CAIndexNames:

Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-

SMILES:

O=C(O)CC1=CC=CC=C1NC2=C(Cl)C=CC=C2Cl

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