产品名称：双氯芬酸

产品别名：**Diclofenac**

生物活性：

Description	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.

IC_{50} & Target	Human COX-2	Human COX-1	Ovine COX-2	Ovine COX-1
	1.3 nM (IC_{50}, in CHO cells)	4 nM (IC_{50}, in CHO cells)	0.84 μM (IC_{50})	5.1 μM (IC_{50})

In Vitro	Diclofenac is a potent COX inhibitor, with IC50s 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 IC50 of 7 ± 3 nM[1]. Diclofenac sodium exihibits inhibition on COX-1 and COX-2 enzyme with IC50s of 5.1 and 0.84 μM, respectively[2].
In Vivo	Diclofenac (3 mg/kg, b.i.d., for 5 days) significantly increases faecal 51Cr 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].

Solvent&Solubility

In Vitro:

DMSO： ≥ 3.5 mg/mL (11.82 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3767 mL	16.8833 mL	33.7667 mL
	5 mM	0.6753 mL	3.3767 mL	6.7533 mL
	10 mM	0.3377 mL	1.6883 mL	3.3767 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

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 51Cr-labelled red blood cells from a

Animal Administration	donor rat after incubation with sodium 51chromate. The rats are placed individually in metabolism cages with food and water ad libitum. Faeces are collected for a 48 h period and 51Cr 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, 51CrCl3 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 51Cr 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.