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产品名称：3-[[3-[(二甲氨基)羰基]-2-羟苯基]氨基]-4-[[(R)-1-(4-异丙呋喃-2-基)丙基]氨基]环丁基-3-烯-1,2-二酮
产品别名：SCH 563705

生物活性：

Description	SCH 563705 is a potent and orally available CXCR2 and CXCR1 antagonist, with IC_{50}s of 1.3 nM, 7.3 nM and K_is of 1 and 3 nM, respectively.				
IC_{50} & Target	CXCR2	CXCR1	CXCR2	CXCR1	Mouse CXCR2
	1 nM (Ki)	3 nM (Ki)	1.3 nM (IC_{50})	7.3 nM (IC_{50})	5.2 nM (IC_{50})
In Vitro	SCH 563705 (Compound 16) is a potent and orally available CXCR2 and CXCR1 antagonist, with IC_{50}s of 1.3 nM, 7.3 nM and K_is of 1 and 3 nM, respectively. SCH 563705 shows potent inhibition against both Gro-a and IL-8 induced human neutrophil migration (chemotaxis IC_{50} = 0.5 nM, against 30 nM of Gro-a; chemotaxis IC_{50} = 37 nM, against 3 nM of IL-8)[1]. SCH 563705 potently inhibits mouse CXCR2 (IC_{50} = 5.2 nM)[2].				
In Vivo	SCH 563705 has good oral pharmacokinetic profiles in rats, mice, monkeys and dogs[1]. SCH 563705 (50 mg/kg p.o) reduces blood $Ly6G^+$ $Ly6C^+$ neutrophil frequency and unchanged levels of Ly6GLy6Chi monocytes. SCH563705 (3-30 mg/kg p.o) treatment causes a dosedependent elevation in plasma levels of CXCL1[2].				

Solvent&Solubility

In Vitro:

DMSO : ≥ 30 mg/mL (70.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3503 mL	11.7514 mL	23.5029 mL
	5 mM	0.4701 mL	2.3503 mL	4.7006 mL
	10 mM	0.2350 mL	1.1751 mL	2.3503 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]. Chao J, et al. C(4)-alkyl substituted furanyl cyclobutenediones as potent, orally bioavailable CXCR2 and CXCR1 receptor antagonists. Bioorg Med Chem Lett. 2007 Jul 1;17(13):3778-83.

[2]. Min SH, et al. Pharmacological targeting reveals distinct roles for CXCR2/CXCR1 and CCR2 in a mouse model of arthritis. Biochem Biophys Res Commun. 2010 Jan 1;391(1):1080-6.

实验参考：

	Mice[2] Induction of anti-collagen antibody-induced arthritis. Anti-collagen antibody-induced arthritis (ABIA) is induced in BALB/c mice (n = 8 mice per treatment group) as follows. On day 0, mice are injected intraperitoneally with 4 mg ArthritoMAB Arthritis-inducing Antibody Cocktail. On day 3, mice are boosted intraperitoneally with 50 μg of lipopolysaccharide from Escherichia coli 055:B5 in 200 μL

Animal Administration	sterile PBS. In all studies, SCH 563705 is administered in a vehicle consisting of 0.4% METHOCEL E15 premium hydroxypropyl methylcellulose (MC). Clinical scores are determined daily as follows. Each paw is assigned a score of 0-4 based on the following criteria: asymptomatic, 0; slight redness, 1; one or more swollen digits in addition to redness, 2; swelling of entire paw, 3; ankylosing of joints and residing of swelling, 4. The sum of the four paw scores for each mouse (0-16) are plotted against time to calculate the area under the curve (AUC) of disease activity. Paw hickness measurements are made daily using a micrometer caliper over the metatarsals of the paw. The percent change in paw thickness relative to baseline (day 0) measurements is then calculated[2].
References	[1]. Chao J, et al. C(4)-alkyl substituted furanyl cyclobutenediones as potent, orally bioavailable CXCR2 and CXCR1 receptor antagonists. Bioorg Med Chem Lett. 2007 Jul 1;17(13):3778-83. [2]. Min SH, et al. Pharmacological targeting reveals distinct roles for CXCR2/CXCR1 and CCR2 in a mouse model of arthritis. Biochem Biophys Res Commun. 2010 Jan 1;391(1):1080-6.