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产品名称：[4-t-Butylphenyl]-N-(4-imidazol-1-yl phenyl)sulfonamide, Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[4-(1H-imidazol-1-yl)phenyl
产品别名：ISCK03

生物活性：

Description	ISCK03 is a specific SCF/c-Kit inhibitor.
In Vitro	Pretreatment of 501mel cells with ISCK03 inhibits SCF-induced c-kit phosphorylation dose dependently. ISCK03 also inhibits p44/42 ERK mitogen-activated protein kinase (MAPK) phosphorylation, which is known to be involved in SCF/c-kit downstream signaling. However ISCK03 does not inhibit hepatocyte growth factor (HGF)-induced phosphorylation of p44/42 ERK proteins[1]. ISCK03, a tyrosine kinase inhibitor specific to KIT, prevents survival of CCDC26-KD cells under low-serum conditions. All treated cells exhibits sensitivity to ISCK03 in a dose-dependent manner. After ISCK03 treatment, the survival of KD cells is suppressed to the same level as that of non-KD cells. Conversely, ISCK03 treatment has limited effects on the growth of control K562 and KD clone 3–4 cells under high-serum concentration conditions[2].
In Vivo	Oral administration of ISCK03 induces the dose-dependent depigmentation of newly regrown hair, and this is reversed with cessation of ISCK03 treatment. The topical application of ISCK03 promotes the depigmentation of UV-induced hyperpigmented spots. Fontana-Masson staining analysis shows epidermal melanin is diminished in spots treated with ISCK03[1].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 38 mg/mL (106.91 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8133 mL	14.0667 mL	28.1334 mL
	5 mM	0.5627 mL	2.8133 mL	5.6267 mL
	10 mM	0.2813 mL	1.4067 mL	2.8133 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。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.03 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理

	盐水水溶液中，混合均匀 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.03 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Na YJ, et al. [4-t-butylphenyl]-N-(4-imidazol-1-yl phenyl)sulfonamide (ISCK03) inhibits SCF/c-kit signaling in 501mel human melanoma cells and abolishes melanin production in mice and brownish guinea pigs. Biochem Pharmacol. 2007 Sep 1;74(5):780-6. [2]. Hirano T, et al. Long noncoding RNA, CCDC26, controls myeloid leukemia cell growth through regulation of KIT expression. Mol Cancer. 2015 Apr 19;14:90. doi: 10.1186/s12943-015-0364-7.

实验参考：

Cell Assay	To determine any cytotoxic effects of ISCK03 on 501mel cells, MTT assays are performed with various doses of ISCK03 (1, 5, 10 μM). 501mel cells are cultured with SCF alone (50 ng/mL) or SCF with ISCK03 for 48 h[1].
Animal Administration	Mice: To induce the hair cycle, depilation of skin on the back of the female C57BL/6 mice is performed. Briefly, the hair is removed from anesthetized mice. The rat antimouse c-kit-neutralizing monoclonal antibody ACK2 is administered intraperitoneally (50 mg) every day. ISCK03 is administered orally once a day. On days 21–28, animals are sacrificed or analyzed for repigmentation of the newly regrown hair shaft. Skin is harvested and fixed in paraffin or frozen for immunohistochemical analyses[1].
Kinase Assay	ATP is dispensed into 384-well plates, chemical compounds (ISCK03: 2.5, 5, 10, 100 μM) are added by replicative plate, and recombinant human c-kit protein is added for the kinase reaction. Following a 45-min incubation at 37°C, the development reaction is carried out for 40 min at room temperature. After the reaction is stopped, the coumrain and fluorescein fluorescence-emission signals are detected[1].
References	[1]. Na YJ, et al. [4-t-butylphenyl]-N-(4-imidazol-1-yl phenyl)sulfonamide (ISCK03) inhibits SCF/c-kit signaling in 501mel human melanoma cells and abolishes melanin production in mice and brownish guinea pigs. Biochem Pharmacol. 2007 Sep 1;74(5):780-6. [2]. Hirano T, et al. Long noncoding RNA, CCDC26, controls myeloid leukemia cell growth through regulation of KIT expression. Mol Cancer. 2015 Apr 19;14:90. doi: 10.1186/s12943-015-0364-7.