Proteins

Screening Libraries

ISCK03

Cat. No.: HY-101443 CAS No.: 945526-43-2 Molecular Formula: $C_{19}H_{21}N_3O_2S$ Molecular Weight: 355.45

Storage: Powder -20°C 3 years

> 4°C 2 years

In solvent -80°C 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: $\geq 38 \text{ mg/mL} (106.91 \text{ mM})$

* "≥" 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

 $ISCK03 is a SCF/c-Kit \ and \ CD117-specific \ inhibitor. \ ISCK03 \ significantly \ inhibits \ c-Kit \ phosphorylation \ at \ 10\ \mu M^{[1][2][3]}.$

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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].

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PROTOCOL

Kinase Assay [1]

ATP is dispensed into 384-well plates, chemical compounds (ISCK03: 2.5, 5, 10, 100 μ M) 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].

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Cell Assay [1]

To determine any cytotoxic effects of ISCK03 on 501mel cells, MTT assays are performed with various doses of ISCK03 (1, 5, 10 μ M). 501mel cells are cultured with SCF alone (50 ng/mL) or SCF with ISCK03 for 48 $h^{[1]}$.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Cell Dev Biol. 2021 May 27;9:650599.
- Cell Cycle. 2020 Aug;19(16):2074-2080.

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

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