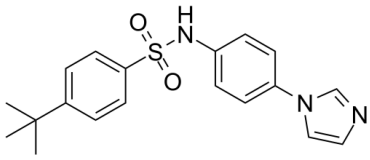
Data Sheet

Product Name:	ISCK03
Cat. No.:	CS-6336
CAS No.:	945526-43-2
Molecular Formula:	C19H21N3O2S
Molecular Weight:	355.45
Target:	c-Kit
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 38 mg/mL (106.91 mM)



BIOLOGICAL ACTIVITY:

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].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]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]. **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 μM). 501mel cells are cultured with SCF alone (50 ng/mL) or SCF with ISCK03 for 48 h [1]. **Animal Administration:** ISCK03 is prepared in PG:EtOH:water (5:3:2).[1]Mouse: 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].

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.

CAIndexNames:

Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[4-(1H-imidazol-1-yl)phenyl]-

SMILES:

O=S(C1=CC=C(C(C)(C)C)C=C1)(NC2=CC=C(N3C=CN=C3)C=C2)=O

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