上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

# 产品名称：DCC-2618
# 产品别名：c-Kit-IN-1

## 生物活性：

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| **Description** | c-Kit-IN-1 is a potent inhibitor of c-Kit and c-Met with IC50s of <200 nM. |
| **$IC_{50}$ & Target** | IC50: <200 nM (c-Met), <200 nM (c-Kit), <2 μM (KDR), <10 μM (PDGFRα), <10 μM (PDGFRβ)[1] |
| **In Vitro** | c-Kit-IN-1 is a c-Kit and c-Met inhibitor extracted from patent 2010051373A1, compound example 45, has an IC50 of <200 nM. c-Kit-IN-1 also inhibits KDR, PDGFR α and β with IC50s of <2 μM, <10 μM and <10 μM, respectively[1]. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 100 mg/mL (204.30 mM)**

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.0430 mL | 10.2151 mL | 20.4303 mL |
| | 5 mM | 0.4086 mL | 2.0430 mL | 4.0861 mL |
| | 10 mM | 0.2043 mL | 1.0215 mL | 2.0430 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→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.11 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 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

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

Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.11 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。

3.请依序添加每种溶剂： 10% DMSO →90% corn oil

Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

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|---|---|
| | 此方案可获得 ≥ 2.5 mg/mL (5.11 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。<br>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]. Daniel L. Flynn, et al. Cyclopropane amides and analogs exhibiting anti-cancer and anti-proliferative activities. WO 2010051373 A1 |

**实验参考：**

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| **Cell Assay** | A serial dilution of test compounds (e.g., c-Kit-IN-1) are dispensed into a 96-well black clear bottom plate. For each cell line, five thousand cells are added per well in 200 μL complete growth medium. Plates are incubated for 67 hours at 37 degrees Celsius, 5% $CO_2$, 95% humidity. At the end of the incubation period 40 μL of a 440 μM solution of resazurin in PBS is added to each well and incubated for an additional 5 hours at 37 degrees Celsius, 5% $CO_2$, 95% humidity. Plates are read on a Synergy2 reader using an excitation of 540 nM and an emission of 600 nM. Data is analyzed using Prism software to calculate $IC_{50}$ values[1]. |
| **Kinase Assay** | Activity of c-KIT kinase is determined by following the production of ADP from the kinase reaction through coupling with the pyruvate kinase/lactate dehydrogenase system. In this assay, the oxidation of NADH (thus the decrease at A340nm) is continuously monitored spectrophometrically. The reaction mixture (100 μL) contained c-KIT (cKIT residues T544-V976, from ProQinase, 5.4 nM), polyE4Y (1 mg/mL), $MgC1_2$ (10 mM), pyruvate kinase (4 units), lactate dehydrogenase (0.7 units), phosphoenol pyruvate (1 mM), and NADH (0.28 mM) in 90 mM Tris buffer containing 0.2 % octyl-glucoside and 1% DMSO, pH 7.5. Test compounds (e.g., c-Kit-IN-1) are incubated with c-KIT and other reaction reagents at 22°C for <2 min before ATP (200 μM) is added to start the reaction. The absorption at 340 nm is monitored continuously for 0.5 hours at 30°C on Polarstar Optima plate reader (BMG). The reaction rate is calculated using the 0 to 0.5 h time frame. Percent inhibition is obtained by comparison of reaction rate with that of a control (i.e. with no test compound). $IC_{50}$ values are calculated from a series of percent inhibition values determined at a range of inhibitor concentrations using software routines as implemented in the GraphPad Prism software package[1]. |
| **References** | [1]. Daniel L. Flynn, et al. Cyclopropane amides and analogs exhibiting anti-cancer and anti-proliferative activities. WO 2010051373 A1 |