# 产品名称：2-[[3-溴-5-叔丁基-4-羟基苯基]亚甲基]丙二腈
# 产品别名：AG1024

## 生物活性：

**Description**

AG-1024 (Tyrphostin) inhibits IGF-1R autophosphorylation with IC50 of 7 μM, less potent to IR with IC50 of 57 μM. IC50 value: 7 uM (IGF-1R autophosphorylation); 57 uM (IR) [1] Target: IGF-1R; IR in vitro: AG-1024 blocks the IGF-1 receptor and IR autophosphorylation with IC50 of 7 μM and 57 μM, respectively. AG-1024 also inhibits the receptor tyrosine kinase activity towards exogenous substrates (TKA) with IC50 values of 18 μM and 80 μM, respectively [1]. Human breast cancer cell line MCF-7 exposure to Tyrphostin AG 1024 inhibited proliferation and induced apoptosis in a time-dependent manner, and the degree of growth inhibition for IC20 plus irradiation (4 Gy) was up to 50% compared to the control. Examination of Tyrphostin AG 1024 effects on radiation response demonstrated a marked enhancement in radiosensitivity and amplification of radiation-induced apoptosis [2]. AG-1024 significantly inhibits melanoma cell proliferation with an IC50 of <50 nM in the absence of serum, by blocking MAPK/ERK2 signaling, subsequently rapidly inducing pRb dephosphorylation and activation, and eventually the formation of growth suppressive pRb-E2F complexes [3]. in vivo: Administration of AG-1024 at a dose of 30 μg for 10 days significantly inhibits the tumor growth of Ba/F3-p210 xenograft in mice [4].

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 50 mg/mL (163.84 mM)**

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.2769 mL | 16.3843 mL | 32.7686 mL |
| | 5 mM | 0.6554 mL | 3.2769 mL | 6.5537 mL |
| | 10 mM | 0.3277 mL | 1.6384 mL | 3.2769 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 (8.19 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.19 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。


[1]. Párrizas M, et al. Specific inhibition of insulin-like growth factor-1 and insulin receptor tyrosine kinase activity and biological function by tyrphostins. Endocrinology. 1997 Apr;138(4):1427-33.

[2]. Wen B, et al. Tyrphostin AG 1024 modulates radiosensitivity in human breast cancer cells. Br J Cancer.

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| **References** | 2001 Dec 14;85(12):2017-21.<br>[3]. von Willebrand M, et al. The tyrphostin AG1024 accelerates the degradation of phosphorylated forms of retinoblastoma protein (pRb) and restores pRb tumor suppressive function in melanoma cells. Cancer Res. 2003 Mar 15;63(6):1420-9.<br>[4]. Deutsch E, et al. Tyrosine kinase inhibitor AG1024 exerts antileukaemic effects on STI571-resistant Bcr-Abl expressing cells and decreases AKT phosphorylation. Br J Cancer. 2004 Nov 1;91(9):1735-41. |