

# **Data Sheet**

 Product Name:
 AG1024

 Cat. No.:
 CS-1032

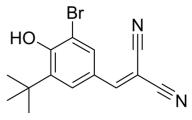
 CAS No.:
 65678-07-1

 Molecular Formula:
 C<sub>14</sub>H<sub>13</sub>BrN<sub>2</sub>O

Molecular Weight: 305.17

**Target:** Apoptosis; IGF-1R; Insulin Receptor **Pathway:** Apoptosis; Protein Tyrosine Kinase/RTK

**Solubility:** DMSO :  $\geq$  50 mg/mL



## **BIOLOGICAL ACTIVITY:**

AG1024 (Tyrphostin AG 1024) is a reversible, competitive and selective **IGF-1R** inhibitor with an **IC**<sub>50</sub> of 7  $\mu$ M. AG1024 inhibits phosphorylation of **IR** (**IC**<sub>50</sub>=57  $\mu$ M). AG1024 induces **apoptosis** and has anti-cancer activity<sup>[1][2]</sup>. IC50 & Target: IC50: 7  $\mu$ M (IGF1R) and 57  $\mu$ M (IR)<sup>[1][2]</sup> In Vitro: AG1024 (Tyrphostin AG 1024; 2-10  $\mu$ M; 1-5 days) shows a dose-dependent inhibition of cell proliferation [1]

AG1024 (1-5 µM; 1-3 days) induces UT7-9 and Baf3-p210 cells apoptosis<sup>[1]</sup>.

AG1024 (2 µM; 6, 12 hours) downregulates phospho-Akt, Bcr-Abl and upregulates DNA-PKcs<sup>[1]</sup>.

*In Vivo*: AG1024 (Tyrphostin AG 1024; 30 μg; i.p.; per day; for 2 weeks) significantly delays the tumour growth<sup>[1]</sup>.

#### References:

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

[2]. 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.

#### **CAIndexNames:**

Propanedinitrile, 2-[[3-bromo-5-(1,1-dimethylethyl)-4-hydroxyphenyl]methylene]-

### **SMILES:**

 $N\#C/C(C\#N)=C\setminus C1=CC(C(C)(C)C)=C(O)C(Br)=C1$ 

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

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