

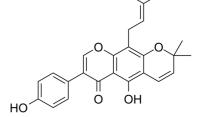
Data Sheet

Product Name: Warangalone
Cat. No.: CS-8196
CAS No.: 4449-55-2
Molecular Formula: C25H24O5
Molecular Weight: 404.46

Target: Parasite; PKA

Pathway: Anti-infection; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt

Solubility: 10 mM in DMSO



BIOLOGICAL ACTIVITY:

Warangalone is an anti-malarial compound which can inhibit the growth of both strains of parasite **3D7** (chloroquine sensitive) and **K1** (chloroquine resistant) with **IC**₅₀s of 4.8 μ g/mL and 3.7 μ g/mL, respectively. Warangalone can also inhibit **cyclic AMP-dependent protein kinase catalytic subunit** (**cAK**) with an **IC**₅₀ of 3.5 μ M. IC50 & Target: IC50: 4.8 μ g/mL (3D7), 3.7 μ g/mL (K1)^[1] IC50: 3.5 μ M (cAK)^[2] **In Vitro**: Warangalone is an anti-malarial compound which can inhibit the growth of both strains of parasite 3D7 (chloroquine sensitive) and K1 (chloroquine resistant) with IC₅₀s of 4.8 μ g/mL and 3.7 μ g/mL, respectively^[1]. Warangalone can also inhibit cyclic AMP-dependent protein kinase catalytic subunit (cAK) with an IC₅₀ of 3.5 μ M^[2]. When HL-60 cells are exposed to Warangalone (30 μ M) for 24 h, Warangalone induces a significant decrease (8%) in cell viability compare to controls. Warangalone also inhibits HL-60 cell growth within 24 h in a time-dependent fashion. A time-dependent increase in caspase-9 activity is observed in Warangalone-treated cells^[3].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: ^[3]The enzyme activities of caspase-3 and caspase-9 are measured using a caspase fluorometric assay kit. Cells are seeded in 24-well plates at a density of 3×10^6 cells per well. After exposure of the cells to Warangalone for the allotted time periods, the cells are washed three times with PBS, and then lysed in a lysis buffer for 10 min on ice. The protein content of the cell lysates is assayed with a Micro BCA reagent. Cell lysates containing 50 μg of protein are incubated with a caspase-3 fluorogenic substrate (DEVD-AFC) or a caspase-9 fluorogenic substrate (LEHD-AFC) for 1 h at 37°C. Caspase activity is measured by fluorometric detection ^[3]. Cell Assay: ^[3]Cell viability is determined using the Cell Titer 96 Aqueous assay kit. Cells are seeded in 96-well plates at a density of 1×10^5 cells per well. The cells are maintained for 24 h at 37°C and then Warangalone (30 μM) is added to the culture medium. MTS solution is added to the 96-well plates at the indicated time points, and the cells are incubated for 1 h at 37°C. The absorbance is measured at a wavelength of 490 nm with a microplate counter ^[3].

References:

- [1]. Tati Herlina, et al. ANTI-MALARIAL COMPOUND FROM THE STEM BARK OF Erythrina variegate. Indo. J. Chem., 2009, 9 (2), 308-311.
- [2]. Wang BH, et al. Specific inhibition of cyclic AMP-dependent protein kinase by warangalone and robustic acid. Phytochemistry. 1997 Mar;44(5):787-96.
- [3]. Induction of apoptosis by isoflavonoids from the leaves of Millettia taiwaniana in human leukemia HL-60 cells. Planta Med. 2006 Apr;72(5):424-9.

CAIndexNames:

 $2\text{H,}6\text{H-Benzo} \\ \text{[1,2-b:5,4-b']} \\ \text{dipyran-6-one, 5-hydroxy-7-(4-hydroxyphenyl)-2,2-dimethyl-10-(3-methyl-2-buten-1-yl)-1} \\ \text{(3-methyl-2-buten-1-yl)-1} \\ \text{(3-methyl-2-buten-1$

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