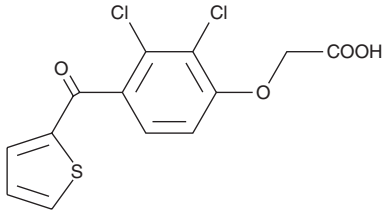
PRODUCT INFORMATION

Tienilic Acid

Item No. 10008517

CAS Registry No.:	40180-04-9
Formal Name:	[2,3-dichloro-4-(2-thienylcarbonyl) phenoxy]-acetic acid
MF:	$C_{13}H_8Cl_2O_4S$
FW:	331.2
Purity:	≥99%
UV/Vis.:	λ_{max}: 269, 295 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tienilic acid is supplied as a crystalline solid. A stock solution may be made by dissolving the tienilic acid in the solvent of choice, which should be purged with an inert gas. Tienilic acid is soluble in organic solvents such as ethanol, methanol, DMSO, and dimethyl formamide (DMF). The solubility of tienilic acid in ethanol, DMSO, and DMF is approximately 30 mg/ml and approximately 1 mg/ml in methanol.

Tienilic acid is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tienilic acid should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Tienilic acid has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cytochrome P450 enzymes function to metabolize both endogenous and exogenous compounds. Both the 3A and 2C isoforms are present in human liver of which CYP2C9 seems most highly expressed.[1] Tienilic acid is a specific suicide substrate for CYP2C9 and CYP2C10 whereby its oxidation inactivates the enzyme.[2,3] The time required to inactivate one half of the CYP2C10 enzyme at the maximal rate ($t_{½max}$) is 3.4 minutes, with a dissociation constant (K_d) value of 4.3 µM.[3]

References

1. Mancy, A., Broto, P., Dijols, S., *et al.* The substrate binding site of human liver cytochrome P450 2C9: An approach using designed tienilic acid derivatives and molecular modeling. *Biochemistry* **34(33)**, 10365-10375 (1995).
2. Jean, P., Lopez-Garcia, P., Dansette, P.M., *et al.* Oxidation of tienilic acid by human yeast-expressed cytochromes P450 2C8, 2C9, 2C18 and 2C19: Evidence that this drug is a mechanism-based inhibitor specific of cytochrome P450 2C9. *Eur. J. Biochem.* **241(3)**, 797-804 (1996).
3. Lopez-Garcia, M.P., Dansette, P.M., and Mansuy, D. Thiophene derivatives as new mechanism-based inhibitors of cytochromes P450: Inactivation of yeast-expressed human liver P450 2C9 by tienilic acid. *Biochemistry* **33(1)**, 166-175 (1994).

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