ANTITUMOR ACTIVITY OF ACODAZOLE AND RELATED ANILINOIMIDAZO[4,5-f]QUINOLINES

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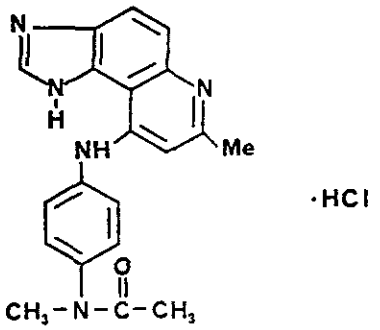
Acodazole hydrochloride, NSC 305884, is one of a group of 7-methyl-9-(substituted arylamino)imidazo[4,5-f]quinolines synthesized and screened for antitumor activity.

The synthetic route to these compounds is through 9-chloro-7-methylimidazo[4,5-f]quinoline by displacement of the chlorine atom with the appropriately substituted aniline. Thermal condensation of 5-aminobenzimidazole with ethyl acetoacetate followed by cyclization and then chlorination affords the 9-chloroimidazoquinoline intermediate.

In antitumor screening, acodazole hydrochloride demonstrated good activity against the i.p. implanted murine B16 melanoma. Moderate activity was noted against the i.p. P388 leukemia and the i.p. L1210 leukemia. No activity was seen in s.c. $CD8F_1$ mammary tumor, s.c. colon 38, i.v. Lewis lung and SRC xenografts.

Clinical trials with acodazole hydrochloride are scheduled to start this year.



Acodazole Hydrochloride