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6-Alkylamino-2-(3-hydroxyphenyl)quinolin-4-one Derivatives Induced Mitotic Arrest in Human Hep3B Cells

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In order to develop potential backup compounds for our previous identified new anticancer drug candidate, **CHM-1-P-Na**, a series of 6-alkylamino-2-(3-hydroxyphenyl)quinolin-4-one derivatives were designed and synthesized. The newly synthesized derivatives were evaluated for cytotoxicity and structure-activity relationships were established. Among those tested compounds, 6-pyrrolidino-2-(3-hydroxyphenyl)quinolin-4-one (**A**) was the most promising agent which was submitted to NCI for evaluation against 60 human cancer cell lines.

Furthermore, the monophosphate of compound A (**A-P**) was synthesized and evaluated for antitumor activity in Hep3B Xenograft nude mice model. The results indicated that compound **A-P** has excellent antitumor activity when administrated either iv or po. With excellent antitumor activity profiles, compound **A-P** is considered potential backup compound for **CHM-1-P-Na**, which is currently under preclinical study.