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Synthesis and biological evaluation of 1,2,4-oxadiazole linked imidazopyrazine derivatives as anticancer agents

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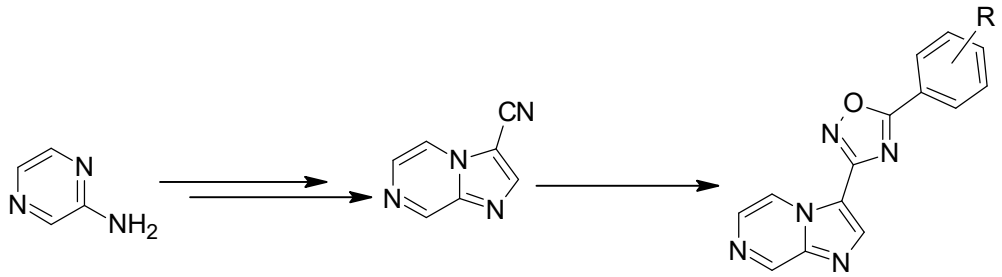

A series of new 1,2,4-oxadiazole linked imidazopyrazines (**10a-j**) were synthesized and evaluated for their cytotoxic activity against various human cancer cell lines, such as MCF-7 (breast), A-549 (lung), and A375 (melanoma). These compounds showed moderate to appreciable anticancer activities. Among them, compounds **10b** (MCF-7 = 0.68±0.03 □M, A-549 = 1.56±0.061 □M and A-375 = 0.79±0.033 □M)**, 10c** (MCF-7 = 2.11±0.14 □M, A-549 = 1.02±0.043 □M and A-375 = 0.34±0.016 □M)**, 10d** (MCF-7 = 1.45±0.06 □M, A-549 = 0.90±0.032 □M and A-375 = 2.18±0.112 □M)**, 10f** (MCF-7 = 1.35±0.058 □M, A-549 = 0.55±0.001 □M and A-375 = 1.67±0.06 □M) and **10i** (MCF-7 = 0.22±0.009 □M, A-549 = 1.09±0.041 □M and A-375 = 1.18±0.054 □M) were showed more potent activity than adriamycin (MCF-7 = 2.02±0.078 □M, A-549 = 2.18±0.081 □M and A-375 = 5.51±0.203 □M).

Keywords: Imidazo[1,2-*a*]pyrazine, phidianidines A, phidianidines B, cytotoxicity.