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Discovery and lead identification of quinazoline-based BRD4 inhibitors

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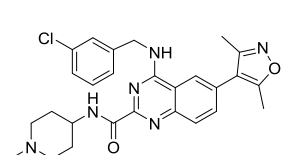
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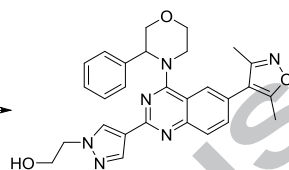
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34 (early lead)
BRD4 K_d = 80 nM
MV4-11 viability IC_{50} = 1.02 μ M
mouse PK, $AUC_{(0-inf)}$ = 54 (h•ng/mL)



65 (new lead)
BRD4 K_d = 66 nM
MV4-11 viability IC_{50} = 1.10 μ M
mouse PK, $AUC_{(0-inf)}$ = 4494 (h•ng/mL)
oral bioavailability ($F\%$) = 51%

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