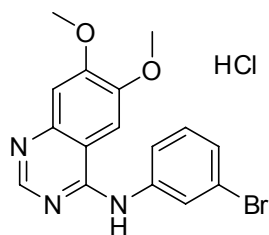


**N-(3-Bromophenyl)-6,7-dimethoxy-4-quinazolinamine hydrochloride ( AG 1517; NSC 669364; PD 153035; SU 5271; WHI-P79 )** - an extremely potent inhibitor of epidermal growth factor (EGF) receptor tyrosine kinase, with an IC<sub>50</sub> of 25 pM. Inhibits other purified tyrosine kinases only at micromolar or higher concentrations



Chemical Formula: C<sub>16</sub>H<sub>15</sub>BrClN<sub>3</sub>O<sub>2</sub>

Molecular Weight: 396.67

Ref.: Grunt et al. **An EGF receptor inhibitor induces RAR-β expression in breast and ovarian cancer cells.** *Biochemical and Biophysical Research Communications* (2005), 329, 1253-1259

Inhibition of the epidermal growth factor (EGF)-receptor (EGFR) has become a promising anticancer treatment strategy. Application of retinoids yields encouraging results for cancer prevention and therapy. Many tumors express no or low amounts of retinoic acid receptor-β2 (RAR-β2) due to epigenetic silencing via DNA hypermethylation. RAR-β2 is the main mediator of the antiproliferative effect of retinoids. RAR-β2 re-expression causes reversal of transformation, cell cycle arrest, and restoration of retinoid sensitivity. RAR-β2 is thus a tumor suppressor. Western blotting, colorimetric in vitro cell proliferation assays, and reverse transcription-polymerase chain reaction demonstrated that the EGFR inhibitor **PD153035** not only blocked activation of EGFR and inhibited cell growth, but also stimulated RAR-β expression in MDA-MB-468 breast and OVCAR-3 ovarian carcinoma cells. Upregulation of RAR-β by PD153035 was confirmed by real-time reverse transcription-polymerase chain reaction. In contrast, expression of other retinoid receptors and of estrogen receptor-α was not affected. **PD153035**-mediated re-induction of RAR-β was associated with demethylation of the RAR-β2 gene promoter P2 as demonstrated by methylation-specific polymerase chain reaction. These novel results on the ErbB/retinoid receptor cross-talk may be useful for designing future anticancer combination regimens.

<b>OTAVA catalog no.</b>	<b>CAS RN</b>	<b>Amount</b>	<b>Delivery time</b>	<b>Purity</b>
7020540711	153436-54-5	1 mg 5 mg 25 mg 1 gram	In-stock In-stock In-stock In-stock	≥ 95% by HPLC & <sup>1</sup> H NMR

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