

Product Specification Sheet

Product Name: PD153035

Catalog Number: C7153

Technical information:

Chemical Formula: $C_{16}H_{14}BrN_3O_2 \cdot HCl$

CAS #: 153436-54-5, 183322-45-4

Molecular Weight: 396.67

Purity: > 98%

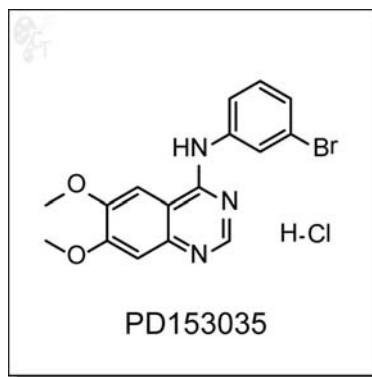
Appearance: Off White solid

Solubility: Soluble in DMSO up to 10 mM

Chemical Name: N-(3-bromophenyl)-6,7-dimethoxyquinazolin-4-amine hydrochloride

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.252mL of DMSO for each mg of PD153035
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: PD153035 is an ATP-competitive, quinazoline-based, potent inhibitor of EGFR with an IC₅₀ of 29 pM and Ki of 5.2 pM. [1] PD153035 is selective against PDGFR, FGFR, CSF-1, IR, and Src at concentrations as high as 50 uM. EGF-dependent mitogenesis was inhibited 50% by PD153035 at a concentration of 80 nM. [1]

In cell lines with high levels of EGFR overexpression (Difi, A431, MDA-MB-468), complete inhibition of EGFR autophosphorylation was achieved at concentrations of ≥ 75 nM. In all other EGFR-expressing cell lines, receptor phosphorylation was completely inhibited at dosages of 350 nM or higher. [2]

PD153035 treatment decreases protein expression of iNOS, TNF α , and IL-6, suggesting reduction of M1 proinflammatory state in ATMs, resulting in an improvement in insulin signaling and sensitivity. Prolonged treatment was shown to improve glucose tolerance, reduction in insulin resistance, and a decrease in insulin receptor substrate-1 Ser307 phosphorylation in JNK and inhibition of NF-kB kinase (IKK β) activation. [3]

- Reference:**
1. Fry et al., A specific inhibitor of the epidermal growth factor receptor tyrosine kinase. *Science*, 1994, 265, 1093-1095. Pubmed ID: 8066447
 2. Bos et al., PD153035, a tyrosine kinase inhibitor, prevents epidermal growth factor receptor activation and inhibits growth of cancer cells in a receptor number-dependent manner. *Clin. Cancer Res.* 1997, 3, 2099-2106. Pubmed ID: 9815602
 3. Prada et al., EGFR tyrosine kinase inhibitor (PD153035) improves glucose tolerance and insulin action in high-fat diet-fed mice. *Diabetes*, 2009, 58(12), 2910-2919. Pubmed ID: 19696185

To reorder: <http://www.cellagentech.com/PD153035/>
For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.