The platelet-derived growth factor (PDGF) receptors are receptor tyrosine kinases which contain two subunits, PDGFRα and β. They form hetero- or homodimers and exist in different dimeric functions including the formation of an active receptor. PDGFRα and β have enormous importance in many biological processes such as angiogenesis, development, and cell proliferation in addition to playing a major role in epithelial mesenchymal transition, metastasis, and tumor progression. ARQ 087, a pan PDGF receptor inhibitor inhibits PDGFRα, β and with IC₅₀ values to 2 nM. In the study, we found that ARQ 087 is an inhibitor of PDGF receptors which is responsible for the proliferation, migration and invasion of several cancer cell lines. For this role, we report, we studied the effect of ARQ 087 on the two PDGFR-driven metastasis; tumor angiogenesis and invasion.

**MATERIALS AND METHODS**

**Materials**

Cells were cultured with serum-free DMEM and phenol red were omitted using type I collagen-coated Transwell inserts or by using the Transwell inserts. The cell lines were cultured in RPMI 1640 medium supplemented with 10% FBS and antibiotics. Transwell inserts were precoated with Matrigel (BD Biosciences) for 24 h at 37°C. Cells were seeded on the inserts at indicated concentrations with 8 mm pore and (B) the invasion of assay was performed in 24-well Transwell inserts with 8 mm pore and the invasion of assay was performed in 24-well Transwell inserts with 8 mm pore and 20% FBS were then stimulated with 100 ng/ml PDGF-AA for 10 min. ARQ 087 is less effective on ARQ 087 but not also the PDGFR β but also in the PDGFR β, suggesting that targeting cancer cells driven by PDGF receptors or mutated/bone may provide an additional clinical pathway to ARQ 087.

**Results**

Table 1: Knockdown of PDGFRα and β in NCI-H1703 Cells

<table>
<thead>
<tr>
<th>Knockdown</th>
<th>IC₅₀ (nM)</th>
<th>PDGFRα</th>
<th>PDGFRβ</th>
</tr>
</thead>
<tbody>
<tr>
<td>Control</td>
<td>205</td>
<td>200</td>
<td>150</td>
</tr>
<tr>
<td>siRNA</td>
<td>100</td>
<td>150</td>
<td>100</td>
</tr>
</tbody>
</table>

ARQ 087 is a potent inhibitor of PDGF receptors.

**CONCLUSIONS**

- ARQ 087 is a potent inhibitor of PDGF receptors.
- ARQ 087 inhibits PDGFRα-dependent proliferation in several cancer cell lines.
- ARQ 087 inhibits phosphorylation of PDGFRα and/ or in NCI-H1703 and NIH-3T3 cells in a dose-dependent manner.
- ARQ 087 suppresses PDGFRα-dependent cell migration and invasion.