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# Data Sheet

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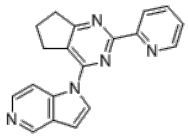

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|---|---|---|
| **Product Name** | : | AZ12601011 |
| **Cat. No.** | : | PC-35811 |
| **CAS No.** | : | 2748337-86-0 |
| **Molecular Formula** | : | $C_{19}H_{15}N_5$ |
| **Molecular Weight** | : | 313.364 |
| **Target** | : | TGF beta Receptor (TGFBR) |
| **Solubility** | : | 10 mM in DMSO |

## Biological Activity

AZ12601011 (AZ-12601011) is a potent, selective inhibitor of **ALK4**, **ALK7** and **TGFBR1** (Kd=2.9 nM), inhibits TGFβ-induced reporter activity with IC50 of 18 nM.
AZ12601011 inhibits TGFBR1 kinase activity (competition binding) with Kd of 2.9 nM, shows some inhibitory activity against the related receptors ALK4 and BMPR1B, but shows only weakly activity against ALK1, ALK2 and BMPR1A in in vitro kinase assays.
AZ12601011 is a more effective inhibitor of TGFβ-induced reporter activity than SB-431542 (IC50=84nM) and LY2157299 (galunisertib) (IC50=380nM), inhibits phosphorylation of SMAD2 via the type 1 receptors ALK4, TGFBR1 and ALK7.
AZ12601011 is highly effective at inhibiting basal and TGFβ-induced migration of HaCaT keratinocytes.
AZ12601011 inhibits tumour growth and metastasis to the lungs in a 4T1 syngeneic orthotopic mammary tumour model.

## References

Spender LC, et al. ***Mol Pharmacol.*** 2018 Nov 20. pii: mol.118.112946. doi: 10.1124/mol.118.112946.



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