

## **Data Sheet**

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 Product Name
 :TP-5801

 Cat.No.
 :URK-V24472

 CAS No.
 :2574474-81-8

 Molecular Formula
 :C<sub>24</sub>H<sub>31</sub>BrN<sub>8</sub>O

 Molecular Weight
 :527.46

Target : Solubility :

N N Br

## **Biological Activity**

TP-5801 is a new selective and potent small molecule inhibitor of the receptor tyrosine kinase Axl. Axl is a transmembrane receptor and a member of the TAM (Tyro3, Axl, Mer) family of receptor tyrosine kinases.

TP-5801 is identified as a highly potent Axl inhibitor in a high-throughput screening of a library of small molecule candidates. It selectively inhibits Axl kinase activity with an IC50 of 13 nM and displays excellent kinome-wide selectivity and minimal off-target effects. In preclinical studies, TP-5801 has shown efficacy in inhibiting tumor growtl and metastasis in several cancer models, including triple-negative breast cancer, ovarian cancer, and lung cancer. The mechanism of TP-5801 involves binding to the kinase domain of Axl and inhibiting its phosphorylation, thereby suppressing downstream signaling pathways such as PI3K/AKT and MAPK/ERK. This leads to decreased cell proliferation, migration, and invasion, and increased apoptosis in cancer cells.

## References

- 1. Holland SJ, Pan A, Franci C, et al. R428, a selective small molecule inhibitor of Axl kinase, blocks tumor spread and prolongs survival in models of metastatic breast cancer. Cancer Res. 2010;70(4):1544-1554. doi:10.1158/0008-5472.CAN-09-4019
- 2. Gay CM, Balaji K, Byers LA. Giving AXL the axe: targeting AXL in human malignancy. Br J Cancer. 2017;116(4):415-423. doi:10.1038/bjc.2016.421.

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