

## **Data Sheet**

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 Product Name
 :
 BSJ-04-122

 Cat. No.
 :
 PC-38277

 CAS No.
 :
 2513289-74-0

 Molecular Formula
 :
 C<sub>15</sub>H<sub>12</sub>CIN<sub>5</sub>O

 Molecular Weight
 :
 313.745

 Target
 :
 MEK (MAP2K)

Solubility :



## **Biological Activity**

BSJ-04-122 is a potent, selective, covalent dual **MKK4/7** inhibitor with IC50 of 4/181 nM, displays excellent kinome selectivity.

BSJ-04-122 covalently targets a conserved cysteine (Cys247 for MKK4, and Cys261 for MKK7) located before the DFG motif. BSJ-04-122 exhibits potent cellular target engagement and induces robust target-specific downstream effects.

In breast cancer cell lines, BSJ-04-122 potently inhibited JNK phosphorylation, BSJ-04-122 significantly decreased levels of T183/Y185 pJNK at 5 uM, resulting in complete inhibition at 10 uM in MDA-MB-231 cells.

The combination of the dual MKK4/7 inhibitor BSJ-04-122 with a selective, covalent JNK inhibitor (JNK-IN-8) demonstrated an enhanced antiproliferative activity against triple-negative breast cancer cells.

## References

Jie Jiang, et al. *Cell Chem Biol.* 2020 Dec 17;27(12):1553-1560.e8.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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