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Data Sheet

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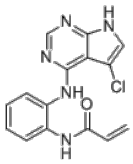
Product Name	:	BSJ-04-122
Cat. No.	:	PC-38277
CAS No.	:	2513289-74-0
Molecular Formula	:	$C_{15}H_{12}ClN_5O$
Molecular Weight	:	313.745
Target	:	MEK (MAP2K)
Solubility	:	10 mM in DMSO



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.

E-mail: tech@probechem.com