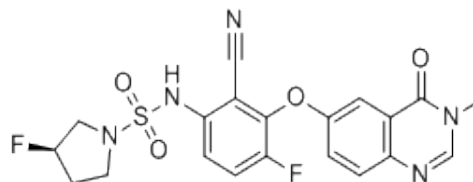


Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name : BRAF inhibitor Compound Ia
Cat.No. : URK-V2346
CAS No. : 2649372-20-1
Molecular Formula : $C_{20}H_{17}F_2N_5O_4S$
Molecular Weight : 461.444
Target :
Solubility :



Biological Activity

BRAF inhibitor Compound Ia is a potent, selective, brain penetrant BRAF inhibitor with binding K_d of 0.6, 1.2, and 1.7 nM for BRAF WT, BRAF V600E, and c-RAF, presenting paradox breaker properties.

Compound Ia displays high selectivity profile conducted on 403 kinases with only one exception for the binding to the kinase BRK ($K_d=156$ nM).

Compound Ia demonstrated potent kinase inhibitory activity across all BRAF mutants with IC_{50} values of <1.77 nM, including the clinical relevant BRAF mutants V600E/K/A/D.

Compound Ia was designed to avoid paradoxical MAPK hyperactivation in non-BRAF V600E models, an undesired property of the three currently approved BRAFi.

References

1. Wichmann J, et al. Clin Cancer Res. 2022 Feb 15;28(4):770-780.

Note: All products of Ureiko are only used for scientific research or drug certificate declaration, we do not provide products and services for any personal use!

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

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