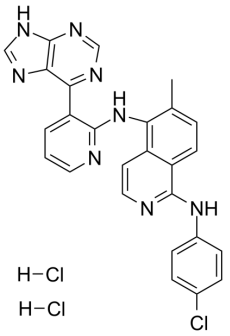
Raf inhibitor 1 dihydrochloride

Cat. No.:	HY-14177A
CAS No.:	1191385-19-9
Molecular Formula:	$C_{26}H_{21}Cl_3N_8$
Molecular Weight:	551.86
Target:	Raf
Pathway:	MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	B-Raf inhibitor 1 dihydrochloride is a potent Raf kinase inhibitor with K_is of 1 nM, 1 nM, and 0.3 nM for B-Raf^{WT}, B-Raf^{V600E}, and C-Raf, respectively.		
IC_{50} & Target	B-Raf 1 nM (Ki)	B-Raf^{V600E} 1 nM (Ki)	c-Raf 0.3 nM (Ki)
In Vitro	B-Raf inhibitor 1 (Compound 13) inhibits A375 and HCT-116 proliferation with IC_{50}s of 0.31 and 0.72 μM, respectively. B-Raf inhibitor 1 (Compound 13) binds to and stabilizes B-Raf in a DFG-out, inactive conformation in which the ATP pocket is partially filled by Phe595 and Gly596 from the DFG motif. B-Raf inhibitor 1 (Compound 13) additionally exhibits low micromolar inhibition against wild type B-Raf cell lines, which may be due to off-target kinase activities or alternatively to pan-Raf inhibition, including Raf dimers[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

CUSTOMER VALIDATION

- J Med Chem. 2015 Jan 8;58(1):466-79.
- Patent. US20200147090A1
- Patent. WO2017154001A1.

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REFERENCES

[1]. Wang X, et al. Conformation-specific effects of Raf kinase inhibitors. J Med Chem. 2012 Sep 13;55(17):7332-41.

Caution: Product has not been fully validated for medical applications. For research use only.

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