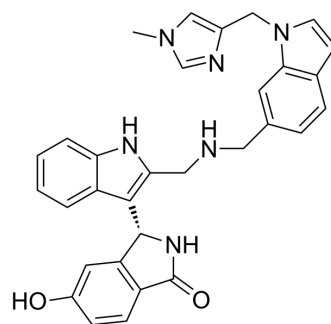


(R)-BI-2852

Cat. No.:	HY-126247B
CAS No.:	2375482-49-6
Molecular Formula:	C ₃₁ H ₂₈ N ₆ O ₂
Molecular Weight:	516.59
Target:	Others
Pathway:	Others
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



BIOLOGICAL ACTIVITY

Description

(R)-BI-2852 is the isomer of BI-2852 (HY-126247), and can be used as an experimental control. BI-2852 is a KRAS inhibitor for the switch I/II pocket (SI/II-pocket) by structure-based agent design with nanomolar affinity. BI-2852 is mechanistically distinct from covalent KRASG12C inhibitor (binds to switch II pocket) and binds ten-fold more strongly to active KRASG12D versus KRASwt (740 nM vs 7.5 μM). BI-2852 blocks GEF, GAP, and effector interactions with KRAS, leading to inhibition of downstream signaling and an antiproliferative effect in KRAS mutant cells.

REFERENCES

- [1]. Dirk Kessler, et al. Drugging all RAS isoforms with one pocket. FUTURE MEDICINAL CHEMISTRY
- [2]. Kessler D, et al. Drugging an undruggable pocket on KRAS. Proc Natl Acad Sci U S A. 2019 Aug 6; 116(32):15823-15829.

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

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