Regorafenib-resistant KIT mutants do not bind regorafenib

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Introduction

Reactome is open-source, open access, manually curated and peer-reviewed pathway database. Pathway annotations are authored by expert biologists, in collaboration with Reactome editorial staff and cross-referenced to many bioinformatics databases. A system of evidence tracking ensures that all assertions are backed up by the primary literature. Reactome is used by clinicians, geneticists, genomics researchers, and molecular biologists to interpret the results of high-throughput experimental studies, by bioinformaticians seeking to develop novel algorithms for mining knowledge from genomic studies, and by systems biologists building predictive models of normal and disease variant pathways.

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Literature references


Reactome database release: 83

This document contains 1 reaction (see Table of Contents)

https://reactome.org
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Stable identifier: R-HSA-9669870

Type: transition

Compartments: plasma membrane

Diseases: cancer

Regorafenib is used as a secondary therapeutic in cases of imatinib-resistant cancers with KIT mutations. Its effectiveness depends on the position of the secondary mutation. Regorafenib is effective in imatinib-resistant tumors carrying secondary mutations in exon 14 (gatekeeper) and most secondary mutations encoded by exons 17 and 18 (activation loop) (Yeh et al, 2017; Miyake et al, 2018; Serrano et al, 2019a,b; reviewed in Roskoski, 2018; Klug et al, 2018).

Literature references

Tzen, CY., Chen, MH., Chen, YY., Yang, CY., Yen, CC., Yeh, CN. et al. (2017). A phase II trial of regorafenib in patients with metastatic and/or a unresectable gastrointestinal stromal tumor harboring secondary mutations of exon 17. Oncotarget, 8, 44121-44130.


Editions

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