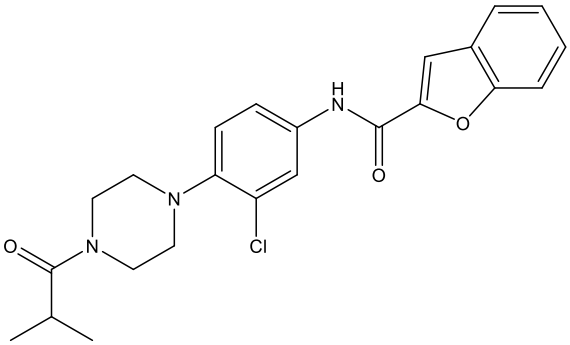
Catalog # 10-5498
Rasarfin

CAS# 674359-73-0

N-(3-Chloro-4-(4-isobutyrylpiperazin-1-yl)phenyl)benzofuran-2-carboxamide

Lot # S106146



Rasarfin is a dual inhibitor of Ras and ARF6 discovered by screening a library of over 115,000 small molecules employing an endosomal BRET-based assay with the prototypical GPCR angiotensin II type 1 receptor (AT1R) seeking to identify inhibitors of receptor trafficking. IC_{50}=7 and 0.7 µM for ARF and Ras respectively with no inhibition of Rac/Cdc42 and Rho up to 100 µM. It blocks agonist-mediated internalization of AT1R and other GPCRs. It also potently inhibits agonist-induced ERK1/2 signaling by GPCRs and MAPK and AKT signaling by EGFR (IC_{50}=4-5 µM) and prevents cancer cell proliferation. Rasarfin's direct action on Ras was also verified using purified H-Ras in an *in vitro* GEF exchange assay.[1]

1) Giubilaro *et al.* (2021*), Discovery of a dual Ras and ARF6 inhibitor from a GPCR endocytosis screen;* Nat. Commun. **12** 4688

PHYSICAL DATA

Molecular Weight:	425.91
Molecular Formula:	$C_{23}H_{24}ClN_3O_3$
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (70 mg/ml)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied at -20ºC for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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