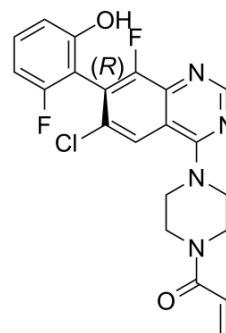


ARS-1630

Cat. No.:	HY-U00417		
CAS No.:	1698055-86-5		
Molecular Formula:	C ₂₁ H ₁₇ ClF ₂ N ₄ O ₂		
Molecular Weight:	430.84		
Target:	Ras		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (232.10 mM)
 H₂O : < 0.1 mg/mL (insoluble)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.3210 mL	11.6052 mL	23.2105 mL
	5 mM	0.4642 mL	2.3210 mL	4.6421 mL
	10 mM	0.2321 mL	1.1605 mL	2.3210 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.80 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (5.80 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ARS-1630, a less active enantiomer of ARS-1620, is a novel inhibitor of mutant K-ras G12C extracted from patent WO 2015054572 A1.

IC₅₀ & Target

KRAS(G12C)

In Vitro

KRAS^{G12C} is recently identified to be potentially druggable by allele-specific covalent targeting of Cys-12 in vicinity to an inducible allosteric switch II pocket (S-IIP). Success of this approach requires active cycling of KRAS^{G12C} between its active-GTP and inactive-GDP conformations as accessibility of the S-IIP is restricted only to the GDP-bound state. This strategy proves feasible for inhibiting mutant KRAS in vitro^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liansheng Li, et al. Inhibitors of kras g12c. WO 2015054572 A1.

[2]. Janes MR, et al. Targeting KRAS Mutant Cancers with a Covalent G12C-Specific Inhibitor. Cell. 2018 Jan 25;172(3):578-589.e17.

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

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