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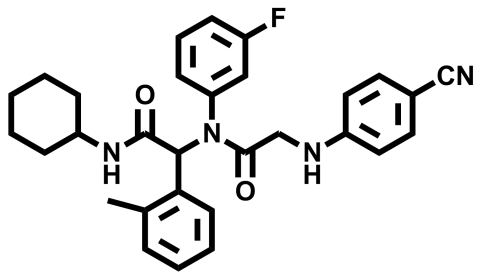
IDH1 Inhibitor IDH-C227

Chemical Name: 2-((4-cyanophenyl)amino)-N-(2-(cyclohexylamino)-2-oxo-1-(o-tolyl)ethyl)-N-(3-fluorophenyl)acetamide



Molecular Weight:	498.59
Formula:	$C_{30}H_{31}FN_4O_2$
Purity:	≥98%
CAS#:	1355324-14-9
Solubility:	DMSO up to 50mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

IDH-C227 is a potent and selective IDH1 R132H inhibitor first reported in patent WO2012009678. It can inhibit the enzymatic activity of IDH1 R132H in vitro with an $IC_{50} < 0.1$ μM, and 2-HG production in HT1080 and U87MG cells with $IC_{50} < 0.25$ μM. Because the mutated form of IDH1 produces the metabolite, 2-hydroxyglutarate (2HG), which may contribute to the formation and malignant progression of gliomas as well as other forms of cancer, IDH-C227 serves as a very useful chemical probe to study this novel target.

How to Use:

In vitro: IDH-C227 was used at 1-5 μM final concentration in vitro.

In vivo: n/a (try to use IDH-C35 for in vivo studies)

Reference:

1. Popovicimuller Janeta, et al. THERAPEUTICALLY ACTIVE COMPOSITIONS AND THEIR METHOD OF USE. (2012) PCT WO 2012009678.
2. Dang L, et al. Cancer-associated IDH1 mutations produce 2-hydroxyglutarate. (2009) Nature 462, 739-744.
3. Turcan S, et al. IDH1 mutation is sufficient to establish the glioma hypermethylator phenotype. (2012) Nature. 483(7390):479-83.